

(56)

References Cited

OTHER PUBLICATIONS

Watanabe et al., "Winning WNT: Race to Wnt signaling inhibitors", Proc Natl Academy Sciences, 2011, vol. 108, No. 15, pp. 5929-5930.

Gonsalves et al., "An RNAi-based chemical genetic screen identifies three small-molecule inhibitors of the Wnt/wingless signaling pathway", Proc Natl Academy Sciences, 2011, vol. 108, pp. 5954-5973 and Supplemental Information, pp. 1-8.
ACS registration RN 902585-79-9.

Effect of candidate inhibitors on TOP12-LF in Clone 8 cells

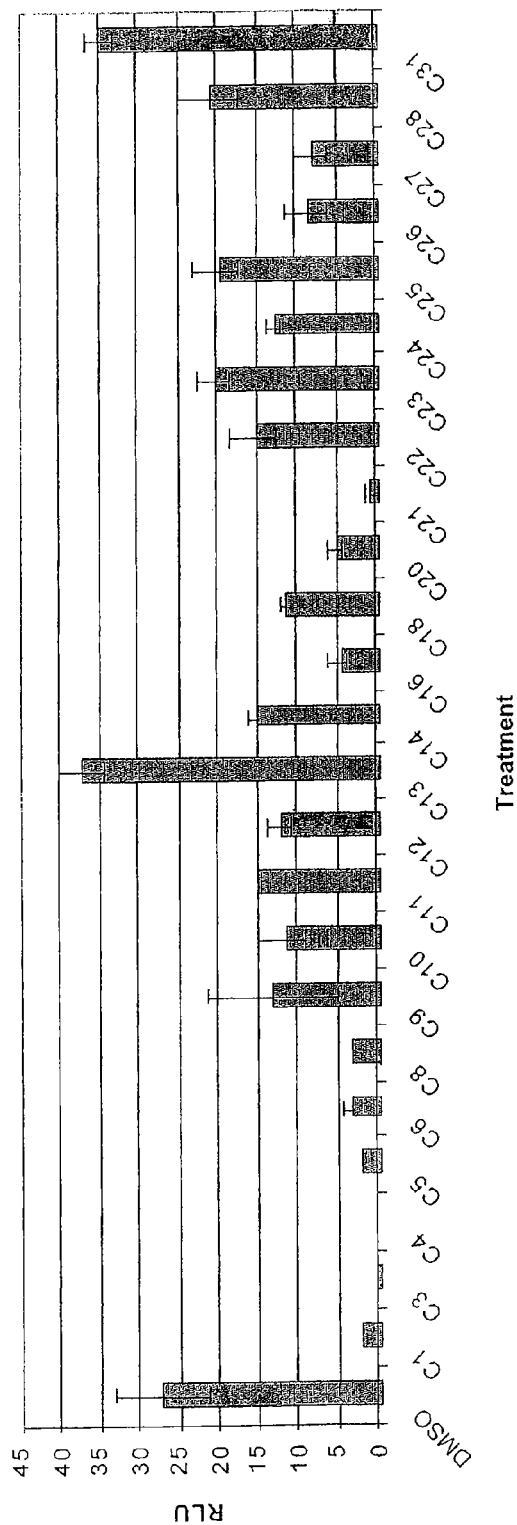


FIG. 1

Epistasis Analysis

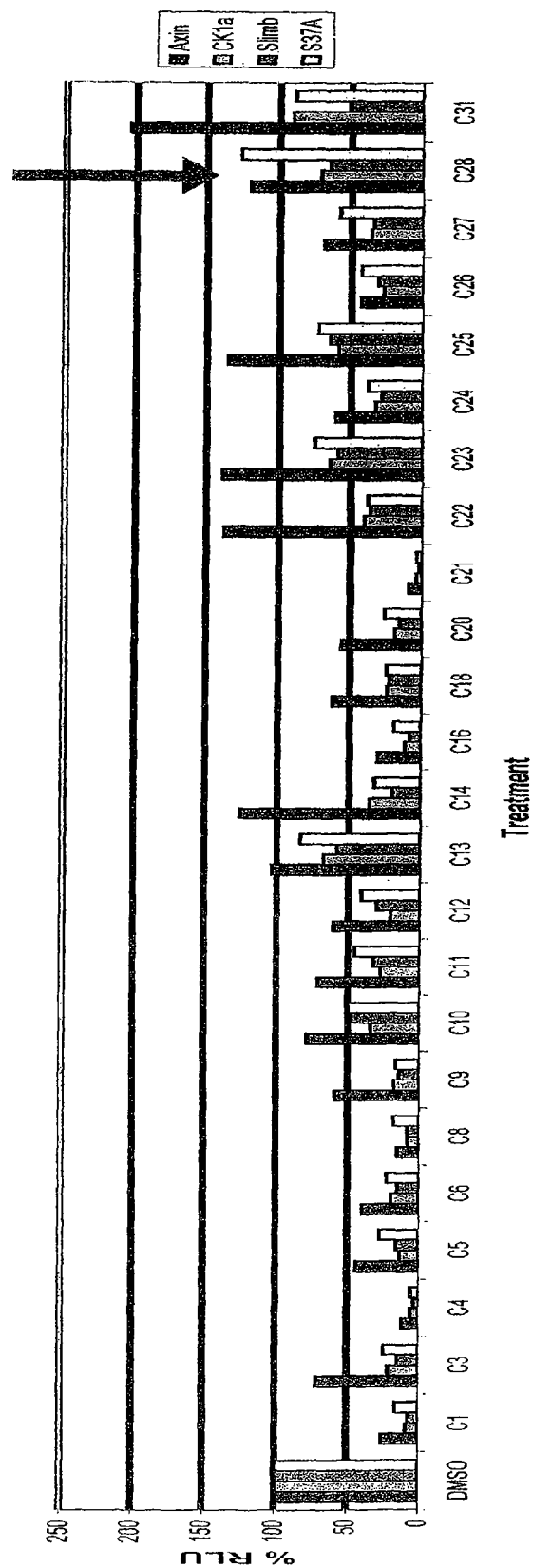
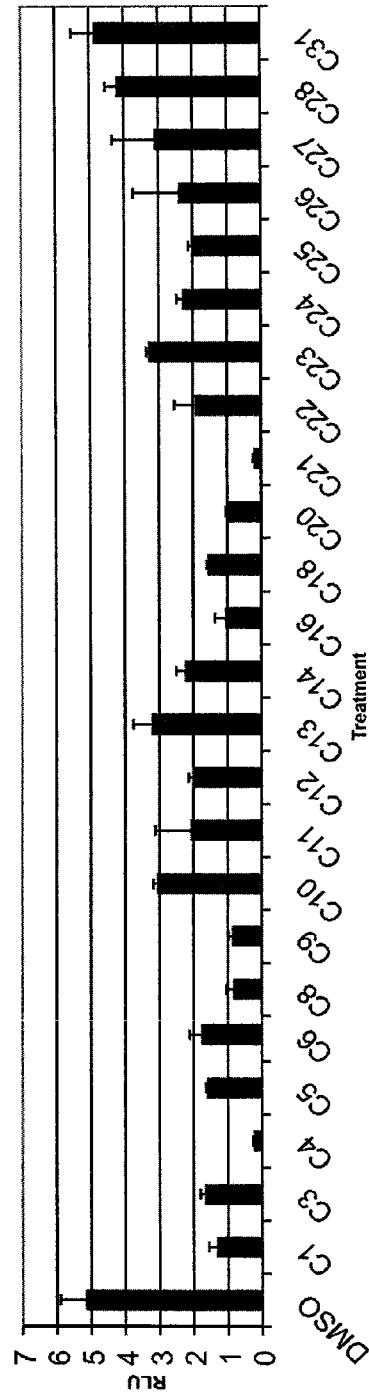


FIG. 2

Effect of candidate inhibitors on S37A β -catenin mediated TOP12-LF in
Clone 8 cells



S37A: phosphorylation mutant form of β -catenin

Most compounds exert their inhibitory effect on Wnt-signaling at the
transcriptional level

FIG.3

Effect of several inhibitory compounds is recapitulated in
(mammalian) HEK-293 cells

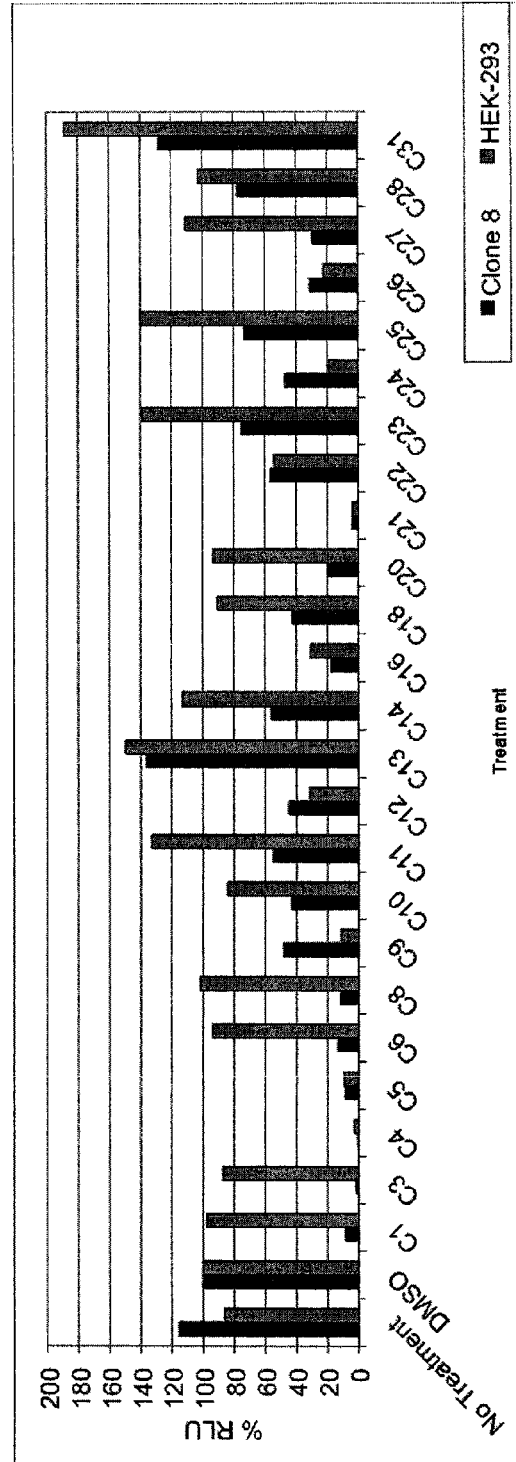


FIG.4

Quantitative analysis of Wnt3a induced transformation in C57mg cells

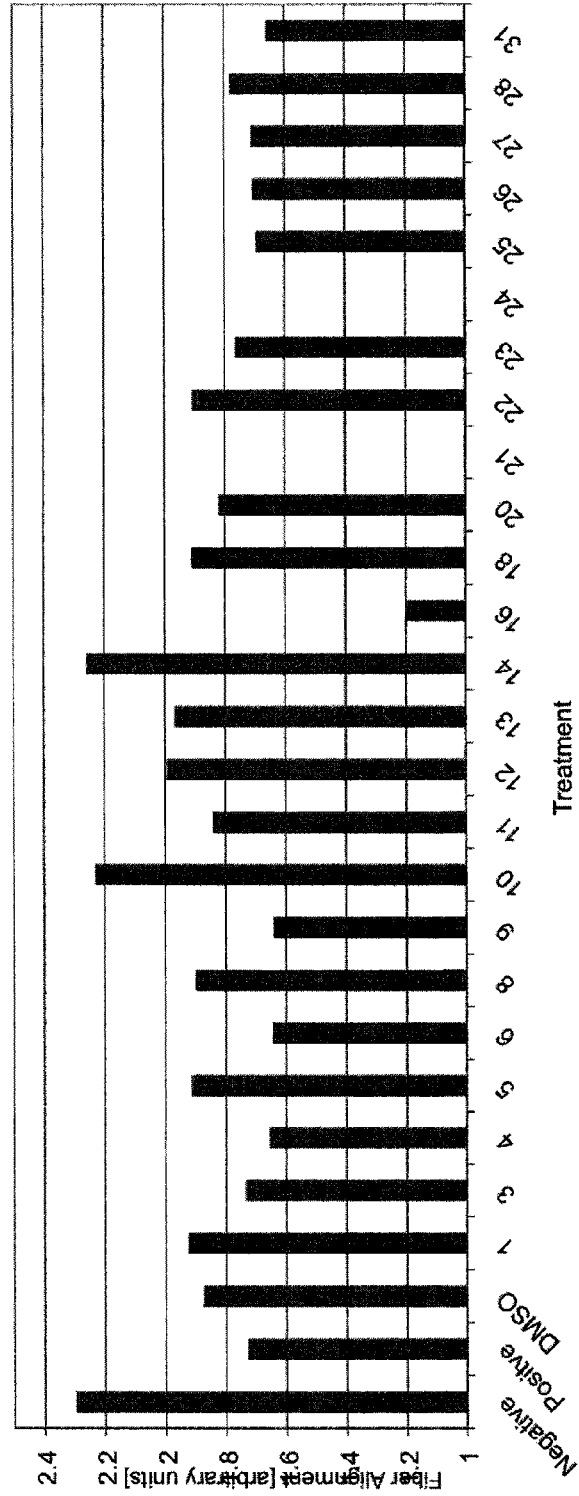


FIG.5

Phenotypic rescue correlates with inhibition of WISP1 transcription

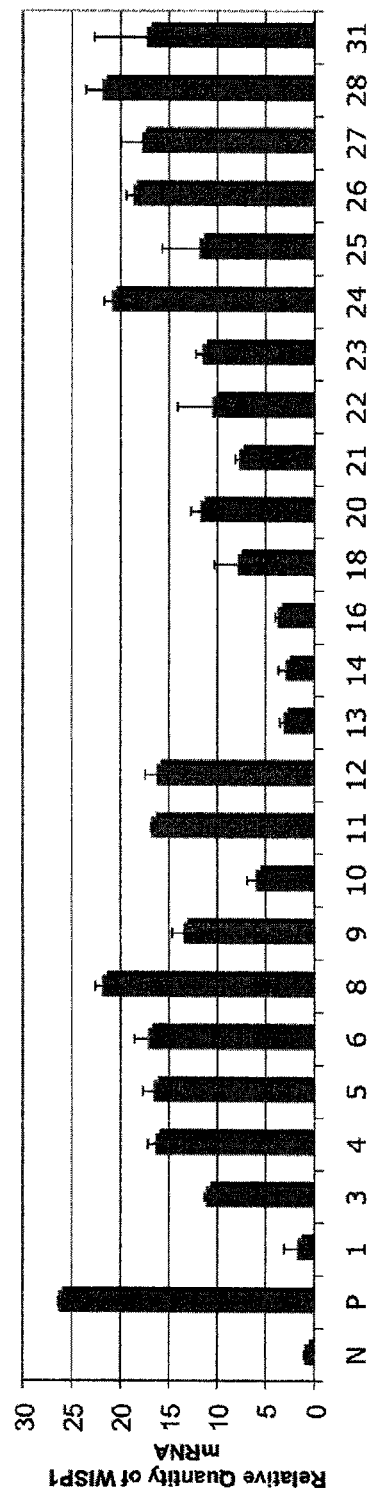


FIG.6

Inhibition of Wnt-target accumulation in HCT116 cells

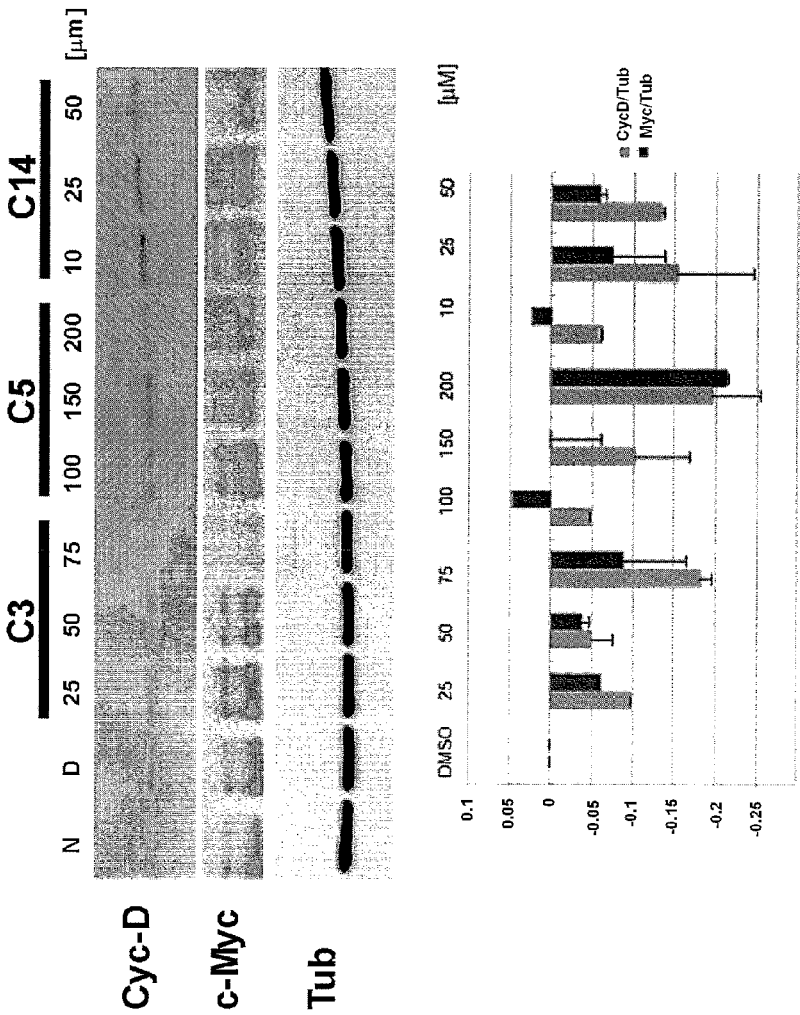


FIG. 7

Transcription Inhibition of Wnt-targets in HCT116 cells

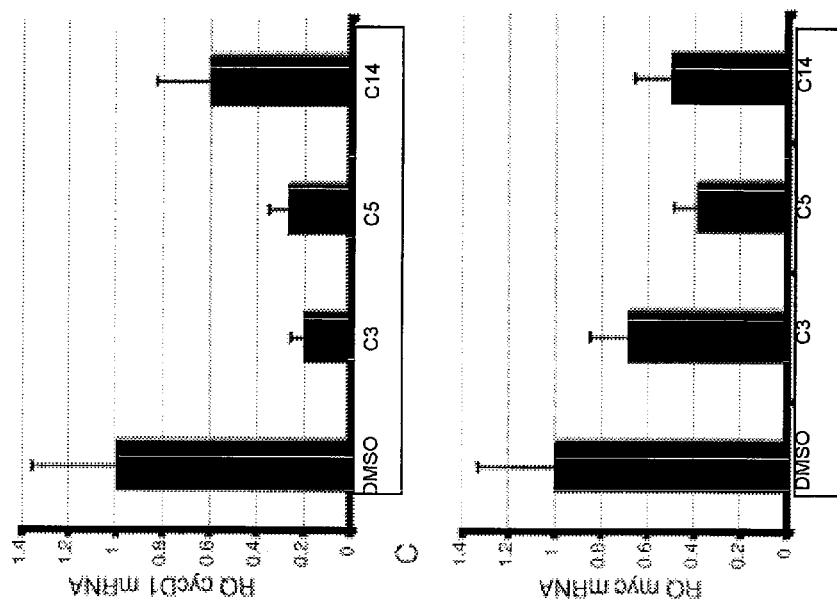


FIG. 8

C3 & C14 cause G0/G1 arrest

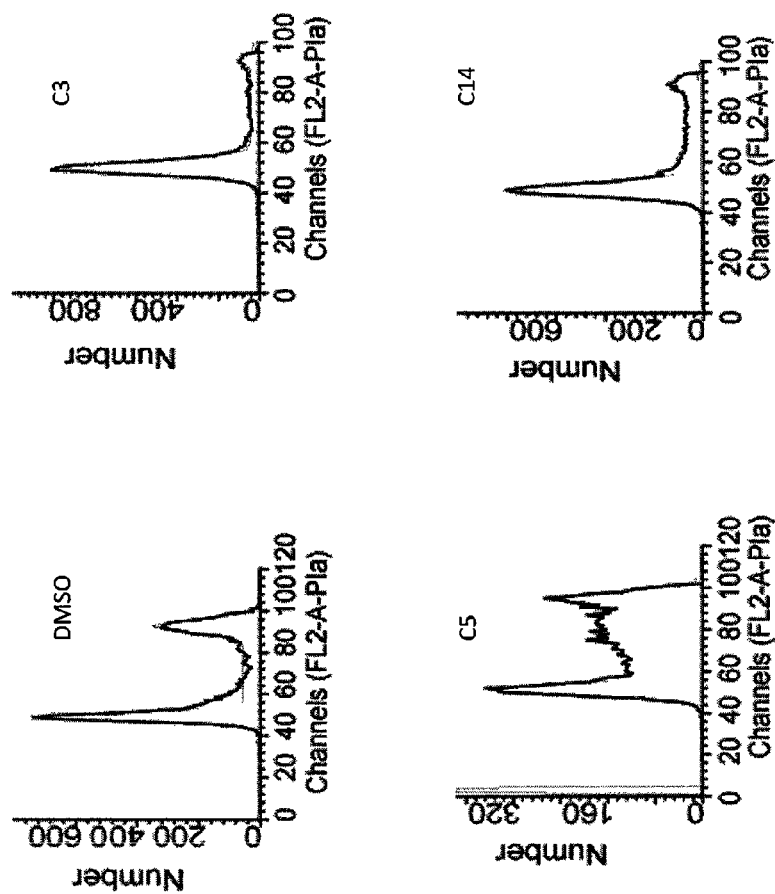


FIG.9

Quantification of alpha-PH3 staining in compound treated HCT116 cells

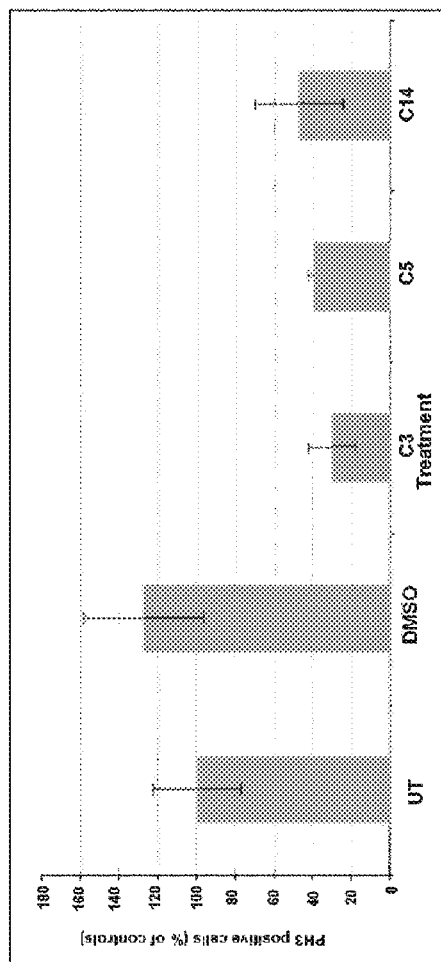
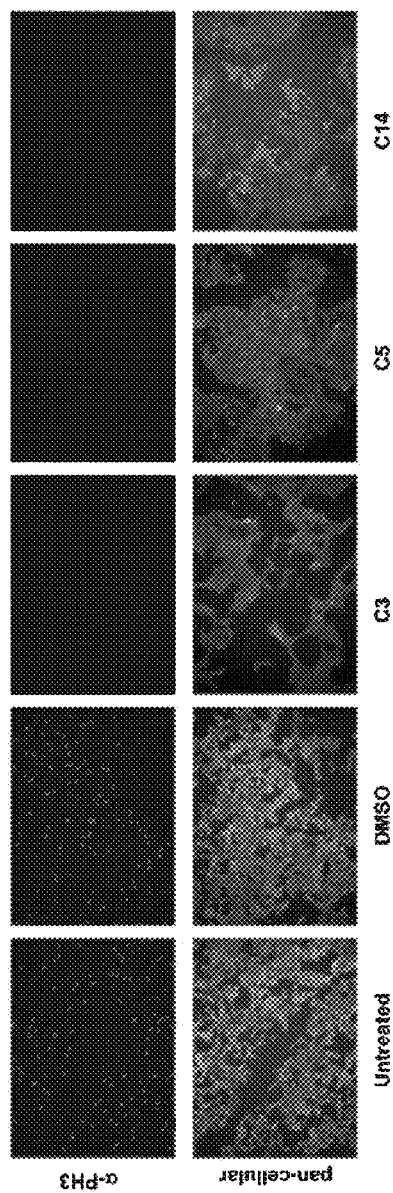


FIG. 10

1

OXAZOLE AND THIAZOLE COMPOUNDS AS β -CATENIN MODULATORS AND USES THEREOF

RELATED APPLICATIONS

The present application is a Continuation Application of U.S. application Ser. No. 12/322,070, filed Jan. 28, 2009 now U.S. Pat. No. 8,252,823, issued Aug. 28, 2012, which in turn claims the benefit under 35 U.S.C. §119 of U.S. Provisional Application Ser. No. 61/062,772 filed Jan. 28, 2008; Ser. No. 61/084,681 filed Jul. 30, 2008; and Ser. No. 61/147,715 filed Jan. 27, 2009. The contents of each of said applications is hereby incorporated by reference in its entirety.

GOVERNMENT RIGHTS

This invention was made with government support under Grant No. W81XWH-04-1-0460 awarded by the Department of Defense. Accordingly, the United States Government has certain rights in the invention.

FIELD OF THE INVENTION

This invention relates to oxazole and thiazole compounds capable of modulating β -catenin activity and uses of such compounds to modulate the activity of the Wnt/wingless (wg) signaling pathway.

BACKGROUND OF THE INVENTION

Wnts/wingless (wg) are a family of conserved signaling molecules that have been shown to regulate a plethora of fundamental developmental and cell biological processes, including cell proliferation, differentiation and cell polarity [Miller et al. *Oncogene* 18, 7860-72 (1999); Polakis. *Genes Dev* 14, 1837-51 (2000); Wodarz et al. *Annu Rev Cell Dev Biol* 14, 59-88 (1998)]. Mutations in the Wnt genes or in those genes encoding regulators of the Wnt/wg signaling pathway can cause devastating birth defects, including debilitating abnormalities of the central nervous system, axial skeleton, limbs, and occasionally other organs [Ciruna et al. *Nature* 439, 220-4 (2006); Grove et al. *Development* 125, 2315-25 (1998); Jiang et al. *Dev Dyn* 235, 1152-66 (2006); Kokubu et al. *Development* 131, 5469-80 (2004); Miyoshi et al. *Breast Cancer Res* 5, 63-8 (2003); Shu et al. *Development* 129, 4831-42 (2002); Staal et al. *Hematol J* 1, 3-6 (2000)]. Aberrant Wnt signaling has also been linked to human disease, such as hepatic, colorectal, breast and skin cancers [Miyoshi et al. *supra* (2003); Miyoshi et al. *Oncogene* 21, 5548-56 (2002); Moon et al. *Nat Rev Genet* 5, 691-701 (2004)].

Wnts/wg encode secreted glycoproteins that activate receptor-mediated pathways leading to numerous transcriptional and cellular responses [Wodarz et al. *supra* (1998); Moon et al. *supra* (2004); Nusse. *Trends Genet* 15, 1-3 (1999)]. The main function of the canonical Wnt pathway is to stabilize the cytoplasmic pool of a key mediator, β -catenin (β -cat)/armadillo (arm), which is otherwise degraded by the proteosome pathway (See FIG. 1). Initially identified as a key player in stabilizing cell-cell adherens junctions, β -cat/arm is also known to act as a transcription factor by forming a complex with the LEF/TCF (Lymphoid Enhancer Factor/T Cell Factor) family of HMG-box (High mobility group) transcription factors. Upon Wnt stimulation, stabilized β -cat/arm translocates to the nucleus, wherein together with

2

LEF/TCF transcription factors, it activates downstream target genes [Miller et al. *supra* (1999); Staal et al. *supra* (2000); Nusse. *supra* (1999); Schweizer et al. *Proc Natl Acad Sci USA* 100, 5846-51 (2003)]. Catenin responsive transcription (CRT), which is the activation of transcriptional targets of β -cat, has been shown to regulate many aspects of cell growth, proliferation, differentiation and death. The Wnt/wg pathway can also be activated by inhibiting negative regulators such as GSK-3 β (Glycogen Synthase Kinase-3 β), APC (Adenomatous Polyposis Coli) and Axin that promote β -cat/arm degradation, or by introducing activating mutations in β -cat that render it incapable of interacting with the degradation complex, thus stabilizing its cytosolic pool [Logan et al. *Annu Rev Cell Dev Biol* 20, 781-810 (2004); Nusse et al. *Cell Res* 15, 28-32 (2005)]. Wnt/wg signaling can also activate an alternative "non-canonical" pathway that may lead to PKC (Protein Kinase C) and INK (c-Jun N-terminal Kinase) activation resulting in calcium release and cytoskeletal rearrangements [Miller et al. *supra* (1999)].

At the plasma membrane, Wnt proteins bind to their receptor, belonging to the Frizzled family of proteins and the co-receptor encoded by LDL-related-protein-5, 6 (LRP5, LRP6)/arrow (arr, in *Drosophila*) [Schweizer et al. *BMC Cell Biol* 4, 4 (2003); Tamai et al. *Mol Cell* 13, 149-56 (2004)]. In the absence of the Wnt stimulus, GSK-3 β is known to phosphorylate β -cat/arm, which marks it for ubiquitination and subsequent proteosome-mediated degradation. Activation of the receptor/co-receptor complex upon Wnt binding initiates a signal transduction cascade, which results in phosphorylation and subsequent inactivation of GSK-31324.

Recent evidence has uncovered a new branch in the canonical Wnt/wg pathway whereby β -cat/arm can be stabilized in a GSK-3 β independent fashion suggesting that regulated degradation of β -cat/arm (by GSK-3 β) is not necessary for Wnt/wg signaling [Tolwinski et al. *Dev Cell* 4, 407-18 (2003); Tolwinski et al. *Trends Genet* 20, 177-81 (2004)]. Specifically, upon Wg binding, Arr directly recruits Axin (a scaffold protein which acts as a negative regulator) to the plasma membrane and causes its degradation. As a consequence, Arm no longer binds Axin or the degradation complex, resulting in nuclear accumulation and signaling by β -cat/Arm42.

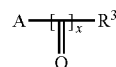
A large number of oxazole and thiazole compounds are commercially available.

In view of the above, a need exists for therapeutic agents, and corresponding pharmaceutical compositions and related methods of treatment that address conditions causally related to aberrant Wnt pathway activity and CRT activity, and it is toward the fulfillment and satisfaction of that need, that the present invention is directed.

SUMMARY OF THE INVENTION

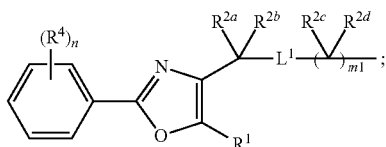
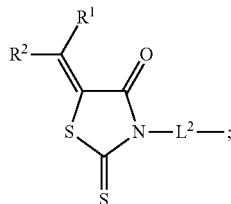
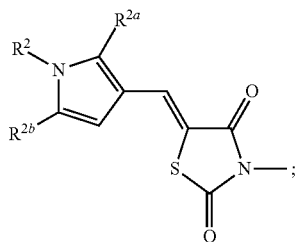
Accordingly, the present invention provides a method for preventing, treating or ameliorating in a mammal a disease or condition that is causally related to the aberrant activity of the Wnt pathway in vivo, which comprises administering to the mammal an effective disease-treating or condition-treating amount of a compound according to formula I:

wherein A is A¹, A² or A³;



I

3

A¹ isA² isA³ isx is 1, when A is A¹ or A²; or x is 0, when A is A³;L¹ is S, SO or SO₂;

m1 is 1, 2 or 3; n is 1, 2, 3, 4 or 5;

L² is substituted or unsubstituted C₁-C₆, alkylene or heteroalkylene;each R¹, R^{2a}, R^{2b}, R^{2c}, and R^{2d} is independently selected from hydrogen, halo, and substituted or unsubstituted C₁-C₆ alkyl;R² is selected from aryl or heteroaryl, unsubstituted or substituted with one or more R⁴;R³ is hydroxy, alkoxy, substituted or unsubstituted amino or cycloheteroalkyl; or when A is A³, R³ is R⁵;

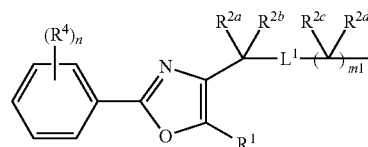
each R⁴ and R^{5a} is independently selected from H, alkyl, substituted alkyl, acyl, substituted acyl, substituted or unsubstituted acylamino, substituted or unsubstituted alkylamino, substituted or unsubstituted alkythio, substituted or unsubstituted alkoxy, alkoxy carbonyl, substituted alkoxy carbonyl, substituted or unsubstituted alkylarylamino, arylalkyloxy, substituted arylalkyloxy, amino, aryl, substituted aryl, arylalkyl, substituted or unsubstituted sulfonyl, substituted or unsubstituted sulfinyl, substituted or unsubstituted sulfanyl, substituted or unsubstituted aminosulfonyl, substituted or unsubstituted arylsulfonyl, azido, carboxy, substituted or unsubstituted carbamoyl, cyano, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloheteroalkyl, substituted or unsubstituted dialkylamino, halo, heteroaryloxy, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroalkyl, hydroxy, nitro, and thiol; and

4

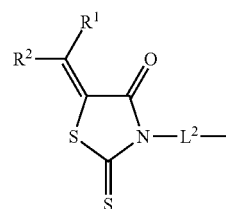
R⁵ is selected from aryl or heteroaryl, unsubstituted or substituted with one or more R^{5a}; or a pharmaceutically acceptable salt, solvate or prodrug thereof;

and stereoisomers, isotopic variants and tautomers thereof.

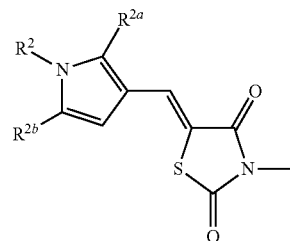
In one particular embodiment, with respect to compounds of formula I, A¹ is



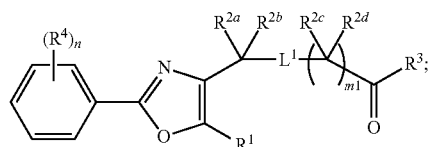
In one particular embodiment, with respect to compounds of formula I, A² is



In one particular embodiment, with respect to compounds of formula I, A³ is



In one particular embodiment, with respect to compounds of formula I, the compound is according to formula IIa:

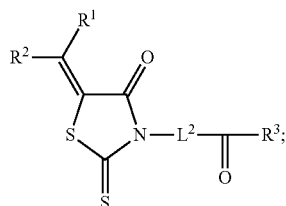


IIa

and wherein L¹, m1, n, R¹, R^{2a}, R^{2b}, R^{2c}, R^{2d}, R³, and R⁴ are as described for formula I.

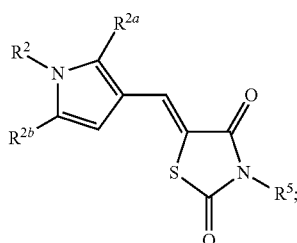
In one particular embodiment, with respect to compounds of formula I, the compound is according to formula IIb:

5



and wherein L^2 , R^1 , R^2 , R^3 , and R^4 are as described for formula I.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formula IIc:



and wherein R^{2a} , R^{2b} , R^2 , R^4 and R^5 are as described for formula I.

In a further aspect, the present invention provides pharmaceutical compositions comprising an oxazole or an thiazole compound of the invention, and a pharmaceutically acceptable carrier, excipient or diluent. In this aspect of the invention, the pharmaceutical composition can comprise one or more of the compounds described herein. Moreover, the compounds of the present invention useful in the pharmaceutical compositions and treatment methods disclosed herein, are all pharmaceutically acceptable as prepared and used.

In a further aspect, this invention provides the compounds of the invention and other agents for use in the treatment of mammals susceptible to or afflicted with a condition from those listed herein, and particularly, such conditions as may be associated with alterations or aberrations in Wnt/wg pathway signaling.

In addition to the methods of treatment set forth above, the present invention extends to the use of any of the compounds of the invention for the preparation of medications that may be administered for such treatments, as well as to such compounds for the treatments disclosed and specified.

A further aspect and object of the invention, is to provide a method of treating a mammal susceptible to or afflicted with a condition from among those listed herein, and particularly, such condition as may be associated with e.g. altered Wnt/wg pathway signaling, by administering to such mammal an effective disease-treating or condition-treating amount of a compound or composition of the invention. Such conditions include, without limitation, a variety of hyperproliferative disorders and cancers, including hepatic, colorectal, breast and skin cancers. Additional support for this aspect of the invention is presented in the fact that most cancers of the skin, intestine, and breast epithelial tissue are a result of increased levels of the activated/signaling pool of β -catenin. A number of birth defects are also associated with

6

IIb

altered Wnt/wg pathway signaling, including debilitating abnormalities of the central nervous system, axial skeleton, limbs, and occasionally other organs.

Other objects and advantages will become apparent to those skilled in the art from a consideration of the ensuing detailed description, which proceeds with reference to the following illustrative drawings.

BRIEF DESCRIPTION OF THE DRAWINGS

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FIG. 1 shows a bar graph depicting the activity of candidate inhibitors on TOP12-LF in Clone 8 cells.

FIG. 2 shows a bar graph depicting the results of genetic epistasis analyses.

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FIG. 3 shows a bar graph depicting the activity of candidate inhibitors on S37A β -catenin mediated TOP12-LF in Clone 8 cells.

IIc

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FIG. 4 shows a bar graph representation of the effect of several inhibitory compounds in mammalian HEK-293 cells.

FIG. 5 shows photomicrographs of Wnt3a transformed C57 mg cell phenotypes and rescue thereof by inhibitory compounds.

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FIG. 6 shows a bar graph of quantitative analyses of Wnt3a transformed C57 mg cell phenotypes and rescue thereof by inhibitory compounds.

FIG. 7 shows Inhibition of Wnt-target accumulation in HCT116 cells.

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FIG. 8 shows Transcription Inhibition of Wnt-targets in HCT116 cells.

FIG. 9 shows C3 & C14 cause G0/G1 arrest.

FIG. 10 shows Quantification of α -PH3 staining in compound treated HCT116 cells.

DETAILED DESCRIPTION OF THE INVENTION

General Introduction

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As indicated above, the Wnt pathway is one of a core set of evolutionarily conserved signaling pathways that regulates many aspects of metazoan development. Misregulation or aberrant regulation of the Wnt pathway can lead to adverse effects as demonstrated by the causal relationship identified between mutations in several components of the pathway and tumorigenesis of the liver, colon, breast and the skin. One of the most important effectors of the Wnt pathway is encoded by β -catenin (β -cat)/armadillo (arm). Induction by Wnt ligands leads to stabilization of cytosolic β -cat, which subsequently translocates into the nucleus to activate target genes that regulate many aspects of cell proliferation, growth, differentiation and death.

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Since Catenin Responsive Transcription (CRT) has been implicated in the genesis of many cancers, this effector step of the pathway provides a good target for developing therapeutics that could modulate Wnt pathway activity, and more particularly, the nuclear activity of β -cat. Notably, the family of compounds disclosed herein are inhibitors that specifically target the activity of the signaling pool of β -catenin.

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DEFINITIONS

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The following terms are intended to have the meanings presented therewith below and are useful in understanding the description and intended scope of the present invention.

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When describing the invention, which may include compounds, pharmaceutical compositions containing such com-

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C₁₀ cycloalkyl), and —C(O)O—(CH₂)_t(4-10 membered heterocycloalkyl), wherein t is an integer from 1 to 4.

‘Substituted Alkoxy-carbonyl’ refers to a radical —C(O)—OR³¹ where R³¹ represents:

C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkylalkyl, or 4-10 membered heterocycloalkylalkyl, each of which is substituted with halo, substituted or unsubstituted amino, or hydroxy; or

C₆-C₁₀ aralkyl, or 5-10 membered heteroarylalkyl, each of which is substituted with unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxyl.

‘Aryloxy-carbonyl’ refers to a radical —C(O)—OR³² where R³² represents an C₆-C₁₀ aryl, as defined herein. Exemplary ‘aryloxy-carbonyl’ groups is —C(O)O—(C₆-C₁₀ aryl).

‘Substituted Aryloxy-carbonyl’ refers to a radical —C(O)—OR³³ where R³³ represents

C₆-C₁₀ aryl, substituted with unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxyl.

‘Heteroaryloxy-carbonyl’ refers to a radical —C(O)—OR³⁴ where R³⁴ represents a 5-10 membered heteroaryl, as defined herein. An exemplary ‘aryloxy-carbonyl’ group is —C(O)O—(5-10 membered heteroaryl).

‘Substituted Heteroaryloxy-carbonyl’ refers to a radical —C(O)—OR³⁵ where R³⁵ represents:

5-10 membered heteroaryl, substituted with unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxyl.

‘Alkoxy-carbonylamino’ refers to the group —NR³⁶C(O)OR³⁷, where R³⁶ is hydrogen, C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkylmethyl, 4-10 membered heterocycloalkyl, aryl, arylalkyl, 5-10 membered heteroaryl or heteroarylalkyl as defined herein, and R³⁷ is C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, C₃-C₁₀ cycloalkylmethyl, 4-10 membered heterocycloalkyl, aryl, arylalkyl, 5-10 membered heteroaryl or heteroarylalkyl as defined herein.

‘Alkyl’ means straight or branched aliphatic hydrocarbon having 1 to 20 carbon atoms. Particular alkyl has 1 to 12 carbon atoms. More particular is lower alkyl which has 1 to 6 carbon atoms. A further particular group has 1 to 4 carbon atoms. Exemplary straight chained groups include methyl, ethyl n-propyl, and n-butyl. Branched means that one or more lower alkyl groups such as methyl, ethyl, propyl or butyl is attached to a linear alkyl chain, exemplary branched chain groups include isopropyl, iso-butyl, t-butyl and isomethyl.

‘Substituted alkyl’ refers to an alkyl group as defined above substituted with one or more of those groups recited in the definition of “substituted” herein, and particularly refers to an alkyl group having 1 or more substituents, for instance from 1 to 5 substituents, and particularly from 1 to 3 substituents, in particular 1 substituent, selected from the group consisting of acyl, acylamino, acyloxy (—O-acyl or —OC(O)R²⁰), alkoxy, alkoxy-carbonyl, alkoxy-carbonylamino (—NR²¹-alkoxy-carbonyl or —NH—C(O)—OR²⁷), amino, substituted amino, aminocarbonyl (carbamoyl or amido or —C(O)—NR²²), aminocarbonylamino (—NR²³—C(O)—NR²²), aminocarbonyloxy (—O—C(O)—NR²²), aminosulfonyl, sulfonylamino, aryl, aryloxy, azido, carboxyl, cyano, cycloalkyl, halogen, hydroxy, heteroaryl, nitro, thiol, —S-alkyl, —S-aryl, —S(O)-alkyl, —S(O)-aryl, —S(O)₂-alkyl, and —S(O)₂-aryl. In a particular embodi-

ment ‘substituted alkyl’ refers to a C₁-C₈ alkyl group substituted with halo, cyano, nitro, trifluoromethyl, trifluoromethoxy, azido, —NR²⁴SO₂R²⁵, —SO₂NR²⁴R²⁵, —C(O)R²⁶, —C(O)OR²⁶, —OC(O)R²⁶, —NR²⁷C(O)R²⁶, —C(O)NR²⁷R²⁸, or —(CR²⁹R³⁰)_mOR³¹; wherein each R²⁴ is independently selected from H, C₁-C₈ alkyl, —(CH₂)_t(C₆-C₁₀ aryl), —(CH₂)_t(5-10 membered heteroaryl), —(CH₂)_t(C₃-C₁₀ cycloalkyl), and —(CH₂)_t(4-10 membered heterocycloalkyl), wherein t is an integer from 0 to 4 and any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxy. Each of R²⁷ and R²⁸ independently represents H or C₁-C₈ alkyl.

‘Alkylene’ refers to divalent saturated alkene radical groups having 1 to 11 carbon atoms and more particularly 1 to 6 carbon atoms which can be straight-chained or branched. This term is exemplified by groups such as methylene (—CH₂—), ethylene (—CH₂CH₂—), the propylene isomers (e.g., —CH₂CH₂CH₂— and —CH(CH₃)CH₂—) and the like.

‘Substituted alkylene’ refers to those groups recited in the definition of “substituted” herein, and particularly refers to an alkylene group having 1 or more substituents, for instance from 1 to 5 substituents, and particularly from 1 to 3 substituents, selected from the group consisting of acyl, acylamino, acyloxy, alkoxy, substituted alkoxy, alkoxy-carbonyl, alkoxy-carbonylamino, amino, substituted amino, aminocarbonyl, amino-carbonylamino, aminocarbonyloxy, aryl, aryloxy, azido, carboxyl, cyano, halogen, hydroxyl, keto, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioketo, thiol, alkyl-S(O)—, aryl-S(O)—, alkyl-S(O)₂— and aryl-S(O)₂—.

‘Alkenyl’ refers to monovalent olefinically unsaturated hydrocarbyl groups preferably having 2 to 11 carbon atoms, particularly, from 2 to 8 carbon atoms, and more particularly, from 2 to 6 carbon atoms, which can be straight-chained or branched and having at least 1 and particularly from 1 to 2 sites of olefinic unsaturation. Particular alkenyl groups include ethenyl (—CH=CH₂), n-propenyl (—CH₂CH=CH₂), isopropenyl (—C(CH₃)=CH₂), vinyl and substituted vinyl, and the like.

‘Substituted alkenyl’ refers to those groups recited in the definition of “substituted” herein, and particularly refers to an alkenyl group having 1 or more substituents, for instance from 1 to 5 substituents, and particularly from 1 to 3 substituents, selected from the group consisting of acyl, acylamino, acyloxy, alkoxy, substituted alkoxy, alkoxy-carbonyl, alkoxy-carbonylamino, amino, substituted amino, aminocarbonyl, aminocarbonylamino, aminocarbonyloxy, aryl, aryloxy, azido, carboxyl, cyano, cycloalkyl, substituted cycloalkyl, halogen, hydroxyl, keto, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioketo, thiol, alkyl-S(O)—, aryl-S(O)—, alkyl-S(O)₂— and aryl-S(O)₂—.

‘Alkenylene’ refers to divalent olefinically unsaturated hydrocarbyl groups particularly having up to about 11 carbon atoms and more particularly 2 to 6 carbon atoms which can be straight-chained or branched and having at least 1 and particularly from 1 to 2 sites of olefinic unsaturation. This term is exemplified by groups such as ethenylene (—CH=CH—), the propenylene isomers (e.g., —CH=CHCH₂— and —C(CH₃)=CH— and —CH=C(CH₃)—) and the like.

‘Alkynyl’ refers to acetylenically or alkynically unsaturated hydrocarbyl groups particularly having 2 to 11 carbon atoms, and more particularly 2 to 6 carbon atoms which can

11

be straight-chained or branched and having at least 1 and particularly from 1 to 2 sites of alkynyl unsaturation. Particularly non-limiting examples of alkynyl groups include acetylenic, ethynyl ($-\text{C}\equiv\text{CH}$), propargyl ($-\text{CH}_2\text{C}\equiv\text{CH}$), and the like.

"Substituted alkynyl" refers to those groups recited in the definition of "substituted" herein, and particularly refers to an alkynyl group having 1 or more substituents, for instance from 1 to 5 substituents, and particularly from 1 to 3 substituents, selected from the group consisting of acyl, acylamino, acyloxy, alkoxy, substituted alkoxy, alkoxycarbonyl, alkoxycarbonylamino, amino, substituted amino, aminocarbonyl, aminocarbonylamino, aminocarbonyloxy, aryl, aryloxy, azido, carboxyl, cyano, cycloalkyl, substituted cycloalkyl, halogen, hydroxyl, keto, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioketo, thiol, alkyl-S(O)—, aryl-S(O)—, alkyl-S(O)₂— and aryl-S(O)₂—.

"Amino" refers to the radical $-\text{NH}_2$.

"Substituted amino" refers to an amino group substituted with one or more of those groups recited in the definition of 'substituted' herein, and particularly refers to the group $-\text{N}(\text{R}^{38})_2$ where each R^{38} is independently selected from:

hydrogen, C_1 - C_8 alkyl, C_6 - C_{10} aryl, 5-10 membered heteroaryl, 4-10 membered heterocycloalkyl, or C_3 - C_{10} cycloalkyl; or

C_1 - C_8 alkyl, substituted with halo or hydroxy; or $-(\text{CH}_2)_t(\text{C}_6\text{-C}_{10} \text{ aryl})$, $-(\text{CH}_2)_t(5\text{-}10 \text{ membered heteroaryl})$, $-(\text{CH}_2)_t(\text{C}_3\text{-C}_{10} \text{ cycloalkyl})$ or $-(\text{CH}_2)_t(4\text{-}10 \text{ membered heterocycloalkyl})$ wherein t is an integer between 0 and 8, each of which is substituted by unsubstituted C_1 - C_4 alkyl, halo, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy; or

both R^{38} groups are joined to form an alkylene group. When both R^{38} groups are hydrogen, $-\text{N}(\text{R}^{38})_2$ is an amino group. Exemplary 'substituted amino' groups are $-\text{NR}^{39}-\text{C}_1\text{-C}_8 \text{ alkyl}$, $-\text{NR}^{39}-(\text{CH}_2)_t(\text{C}_6\text{-C}_{10} \text{ aryl})$, $-\text{NR}^{39}-(\text{CH}_2)_t(5\text{-}10 \text{ membered heteroaryl})$, $-\text{NR}^{39}-(\text{CH}_2)_t(\text{C}_3\text{-C}_{10} \text{ cycloalkyl})$, and $-\text{NR}^{39}-(\text{CH}_2)_t(4\text{-}10 \text{ membered heterocycloalkyl})$, wherein t is an integer from 0 to 4, each R^{39} independently represents H or C_1 - C_8 alkyl; and any alkyl groups present, may themselves be substituted by halo, substituted or unsubstituted amino, or hydroxy; and any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C_1 - C_4 alkyl, halo, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy. For the avoidance of doubt the term "substituted amino" includes the groups alkylamino, substituted alkylamino, alkylarylamino, substituted alkylarylamino, arylamino, substituted arylamino, dialkylamino and substituted dialkylamino as defined below.

"Alkylamino" refers to the group $-\text{NHR}^{40}$, wherein R^{40} is C_1 - C_8 alkyl;

"Substituted Alkylamino" refers to the group $-\text{NHR}^{41}$, wherein R^{41} is C_1 - C_8 alkyl; and the alkyl group is substituted with halo, substituted or unsubstituted amino, hydroxy, C_3 - C_{10} cycloalkyl, 4-10 membered heterocycloalkyl, C_6 - C_{10} aryl, 5-10 membered heteroaryl, aralkyl or heteroaralkyl; and any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C_1 - C_4 alkyl, halo, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy.

"Alkylarylamino" refers to the group $-\text{NR}^{42}\text{R}^{43}$, wherein R^{42} is aryl and R^{43} is C_1 - C_8 alkyl.

12

"Substituted Alkylarylamino" refers to the group $-\text{NR}^{44}\text{R}^{45}$, wherein R^{44} is aryl and R^{45} is C_1 - C_8 alkyl; and the alkyl group is substituted with halo, substituted or unsubstituted amino, hydroxy, C_3 - C_{10} cycloalkyl, 4-10 membered heterocycloalkyl, C_6 - C_{10} aryl, 5-10 membered heteroaryl, aralkyl or heteroaralkyl; and any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C_1 - C_4 alkyl, halo, cyano, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy.

"Arylamino" means a radical $-\text{NHR}^{46}$ where R^{46} is selected from C_6 - C_{10} aryl and 5-10 membered heteroaryl as defined herein.

"Substituted Arylamino" refers to the group $-\text{NHR}^{47}$, wherein R^{47} is independently selected from C_6 - C_{10} aryl and 5-10 membered heteroaryl; and any aryl or heteroaryl groups present, may themselves be substituted by unsubstituted C_1 - C_4 alkyl, halo, cyano, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy.

"Dialkylamino" refers to the group $-\text{NR}^{48}\text{R}^{49}$, wherein each of R^{48} and R^{49} are independently selected from C_1 - C_8 alkyl.

"Substituted Dialkylamino" refers to the group $-\text{NR}^{50}\text{R}^{51}$, wherein each of R^{50} and R^{51} are independently selected from C_1 - C_8 alkyl; and at least one of the alkyl groups is independently substituted with halo, hydroxy, C_3 - C_{10} cycloalkyl, 4-10 membered heterocycloalkyl, C_6 - C_{10} aryl, 5-10 membered heteroaryl, aralkyl or heteroaralkyl; and any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C_1 - C_4 alkyl, halo, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy.

"Diarylamino" refers to the group $-\text{N}^{52}\text{R}^{53}$, wherein each of R^{52} and R^{53} are independently selected from C_6 - C_{10} aryl.

"Aminosulfonyl" or "Sulfonamide" refers to the radical $-\text{S}(\text{O}_2)\text{NH}_2$.

"Substituted aminosulfonyl" or "substituted sulfonamide" refers to a radical such as $-\text{S}(\text{O}_2)\text{N}(\text{R}^{54})_2$ wherein each R^{54} is independently selected from:

H, C_1 - C_8 alkyl, C_3 - C_{10} cycloalkyl, 4-10 membered heterocycloalkyl, C_6 - C_{10} aryl, aralkyl, 5-10 membered heteroaryl, and heteroaralkyl; or

C_1 - C_8 alkyl substituted with halo or hydroxy; or C_3 - C_{10} cycloalkyl, 4-10 membered heterocycloalkyl, C_6 - C_{10} aryl, aralkyl, 5-10 membered heteroaryl, or heteroaralkyl, each of which is substituted by unsubstituted C_1 - C_4 alkyl, halo, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy;

provided that at least one R^{54} is other than H.

Exemplary 'substituted aminosulfonyl' or 'substituted sulfonamide' groups are $-\text{S}(\text{O}_2)\text{N}(\text{R}^{55})-\text{C}_1\text{-C}_8 \text{ alkyl}$, $-\text{S}(\text{O}_2)\text{N}(\text{R}^{55})-(\text{CH}_2)_t(\text{C}_6\text{-C}_{10} \text{ aryl})$, $-\text{S}(\text{O}_2)\text{N}(\text{R}^{55})-(\text{CH}_2)_t(5\text{-}10 \text{ membered heteroaryl})$, $-\text{S}(\text{O}_2)\text{N}(\text{R}^{55})-(\text{CH}_2)_t(\text{C}_3\text{-C}_{10} \text{ cycloalkyl})$, and $-\text{S}(\text{O}_2)\text{N}(\text{R}^{55})-(\text{CH}_2)_t(4\text{-}10 \text{ membered heterocycloalkyl})$, wherein t is an integer from 0 to 4; each R^{55} independently represents H or C_1 - C_8 alkyl; and any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C_1 - C_4 alkyl, halo, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy.

13

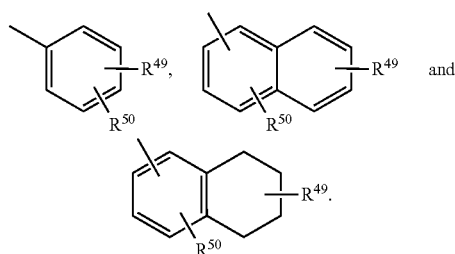
'Aralkyl' or 'arylalkyl' refers to an alkyl group, as defined above, substituted with one or more aryl groups, as defined above. Particular aralkyl or arylalkyl groups are alkyl groups substituted with one aryl group.

'Substituted Aralkyl' or 'substituted arylalkyl' refers to an alkyl group, as defined above, substituted with one or more aryl groups; and at least one of the aryl groups present, may themselves be substituted by unsubstituted C₁-C₄ alkyl, halo, cyano, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxy.

'Aryl' refers to a monovalent aromatic hydrocarbon group derived by the removal of one hydrogen atom from a single carbon atom of a parent aromatic ring system. In particular aryl refers to an aromatic ring structure, mono-cyclic or poly-cyclic that includes from 5 to 12 ring members, more usually 6 to 10. Where the aryl group is a monocyclic ring system it preferentially contains 6 carbon atoms. Typical aryl groups include, but are not limited to, groups derived from aceanthrylene, acenaphthylene, acephenanthrylene, anthracene, azulene, benzene, chrysene, coronene, fluoranthene, fluorene, hexacene, hexaphene, hexalene, as-indacene, s-indacene, indane, indene, naphthalene, octacene, octaphene, octalene, ovalene, penta-2,4-diene, pentacene, pentalene, pentaphene, perylene, phenalene, phenanthrene, picene, pleiadene, pyrene, pyranthrene, rubicene, triphenylene and trinaphthalene. Particularly aryl groups include phenyl, naphthyl, indenyl, and tetrahydronaphthyl.

'Substituted Aryl' refers to an aryl group substituted with one or more of those groups recited in the definition of 'substituted' herein, and particularly refers to an aryl group that may optionally be substituted with 1 or more substituents, for instance from 1 to 5 substituents, particularly 1 to 3 substituents, in particular 1 substituent. Particularly, 'Substituted Aryl' refers to an aryl group substituted with one or more of groups selected from halo, C₁-C₈ alkyl, C₁-C₈ haloalkyl, cyano, hydroxy, C₁-C₈ alkoxy, and amino.

Examples of representative substituted aryls include the following



In these formulae one of R⁵⁶ and R⁵⁷ may be hydrogen and at least one of R⁵⁶ and R⁵⁷ is each independently selected from C₁-C₈ alkyl, C₁-C₈ haloalkyl, 4-10 membered heterocycloalkyl, alkanoyl, C₁-C₈ alkoxy, heteroaryloxy, alkylamino, arylamino, heteroaryl amino, NR⁵⁸COR⁵⁹, NR⁵⁸SOR⁵⁹, NR⁵⁸SO₂R⁵⁹, COOalkyl, COOaryl, CONR⁵⁸OR⁵⁹, CONR⁵⁸OR⁵⁹, NR⁵⁸R⁵⁹, SO₂NR⁵⁸R⁵⁹, S-alkyl, SOalkyl, SO₂alkyl, Saryl, SOaryl, SO₂aryl; or R⁵⁶ and R⁵⁷ may be joined to form a cyclic ring (saturated or unsaturated) from 5 to 8 atoms, optionally containing one or more heteroatoms selected from the group N, O or S. R⁶⁰, and R⁶¹ are independently hydrogen, C₁-C₈ alkyl, C₁-C₄ haloalkyl, C₃-C₁₀ cycloalkyl, 4-10 membered heterocycloalkyl, C₆-C₁₀ aryl, substituted aryl, 5-10 membered heteroaryl.

14

'Fused Aryl' refers to an aryl having two of its ring carbon in common with a second aryl ring or with an aliphatic ring.

'Arylalkyloxy' refers to an —O-alkylaryl radical where alkylaryl is as defined herein.

'Substituted Arylalkyloxy' refers to an —O-alkylaryl radical where alkylaryl is as defined herein; and any aryl groups present, may themselves be substituted by unsubstituted C₁-C₄ alkyl, halo, cyano, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxy.

'Azido' refers to the radical —N₃.

'Carbamoyl or amido' refers to the radical —C(O)NH₂.

'Substituted Carbamoyl or substituted amido' refers to the radical —C(O)N(R⁶²)₂ wherein each R⁶² is independently H, C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, 4-10 membered heterocycloalkyl, C₆-C₁₀ aryl, aralkyl, 5-10 membered heteroaryl, and heteroaralkyl; or

C₁-C₈ alkyl substituted with halo or hydroxy; or

C₃-C₁₀ cycloalkyl, 4-10 membered heterocycloalkyl, C₆-C₁₀ aryl, aralkyl, 5-10 membered heteroaryl, or heteroaralkyl, each of which is substituted by unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxy;

provided that at least one R⁶² is other than H.

Exemplary 'Substituted Carbamoyl' groups are —C(O)NR⁶⁴—C₁-C₈ alkyl, —C(O)NR⁶⁴—(CH₂)_t(C₆-C₁₀ aryl), —C(O)NR⁶⁴—(CH₂)_t(5-10 membered heteroaryl), —C(O)NR⁶⁴—(CH₂)_t(C₃-C₁₀ cycloalkyl), and —C(O)NR⁶⁴—(CH₂)_t(4-10 membered heterocycloalkyl), wherein t is an integer from 0 to 4, each R⁶⁴ independently represents H or C₁-C₈ alkyl and any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxy.

'Carboxy' refers to the radical —C(O)OH.

'Cycloalkyl' refers to cyclic non-aromatic hydrocarbyl groups having from 3 to 10 carbon atoms. Such cycloalkyl groups include, by way of example, single ring structures such as cyclopropyl, cyclobutyl, cyclopentyl, and cyclooctyl.

'Substituted cycloalkyl' refers to a cycloalkyl group as defined above substituted with one or more of those groups recited in the definition of 'substituted' herein, and particularly refers to a cycloalkyl group having 1 or more substituents, for instance from 1 to 5 substituents, and particularly from 1 to 3 substituents, in particular 1 substituent

'Cyano' refers to the radical —CN.

'Halo' or 'halogen' refers to fluoro (F), chloro (Cl), bromo (Br) and iodo (I). Particular halo groups are either fluoro or chloro.

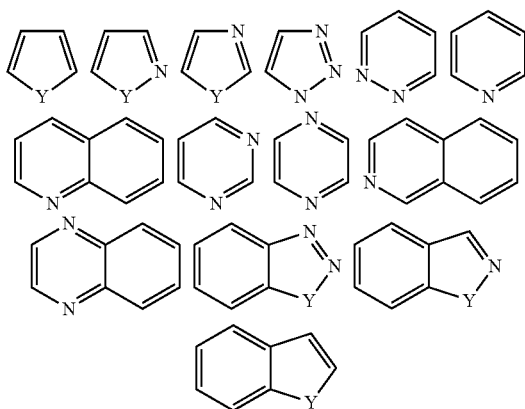
'Hetero' when used to describe a compound or a group present on a compound means that one or more carbon atoms in the compound or group have been replaced by a nitrogen, oxygen, or sulfur heteroatom. Hetero may be applied to any of the hydrocarbyl groups described above such as alkyl, e.g. heteroalkyl, cycloalkyl, e.g. heterocycloalkyl, aryl, e.g. heteroaryl, cycloalkenyl, e.g. cycloheteroalkenyl, and the like having from 1 to 5, and particularly from 1 to 3 heteroatoms.

'Heteroaryl' means an aromatic ring structure, mono-cyclic or polycyclic, that includes one or more heteroatoms and 5 to 12 ring members, more usually 5 to 10 ring

15

members. The heteroaryl group can be, for example, a five membered or six membered monocyclic ring or a bicyclic structure formed from fused five and six membered rings or two fused six membered rings or, by way of a further example, two fused five membered rings. Each ring may contain up to four heteroatoms typically selected from nitrogen, sulphur and oxygen. Typically the heteroaryl ring will contain up to 4 heteroatoms, more typically up to 3 heteroatoms, more usually up to 2, for example a single heteroatom. In one embodiment, the heteroaryl ring contains at least one ring nitrogen atom. The nitrogen atoms in the heteroaryl rings can be basic, as in the case of an imidazole or pyridine, or essentially non-basic as in the case of an indole or pyrrole nitrogen. In general the number of basic nitrogen atoms present in the heteroaryl group, including any amino group substituents of the ring, will be less than five. Examples of five membered monocyclic heteroaryl groups include but are not limited to pyrrole, furan, thiophene, imidazole, furazan, oxazole, oxadiazole, oxatriazole, isoxazole, thiazole, isothiazole, pyrazole, triazole and tetrazole groups. Examples of six membered monocyclic heteroaryl groups include but are not limited to pyridine, pyrazine, pyridazine, pyrimidine and triazine. Particular examples of bicyclic heteroaryl groups containing a five membered ring fused to another five membered ring include but are not limited to imidazothiazole and imidazoimidazole. Particular examples of bicyclic heteroaryl groups containing a six membered ring fused to a five membered ring include but are not limited to benzofuran, benzthiophene, benzimidazole, benzoxazole, isobenzoxazole, benzisoxazole, benzthiazole, benzisothiazole, isobenzofuran, indole, isoindole, isoindolone, indolizine, indoline, isoindoline, purine (e.g., adenine, guanine), indazole, pyrazolopyrimidine, triazolopyrimidine, benzodioxole and pyrazolopyridine groups. Particular examples of bicyclic heteroaryl groups containing two fused six membered rings include but are not limited to quinoline, isoquinoline, chroman, thiochroman, chromene, isochromene, chroman, isochroman, benzodioxan, quinolizine, benzoxazine, benzodiazine, pyridopyridine, quinoxaline, quinazoline, cinnoline, phthalazine, naphthyridine and pteridine groups. Particular heteroaryl groups are those derived from thiophene, pyrrole, benzothiophene, benzofuran, indole, pyridine, quinoline, imidazole, oxazole and pyrazine.

Examples of representative heteroaryls include the following:

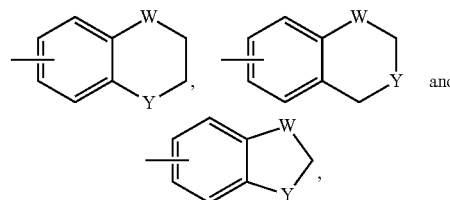


wherein each Y is selected from carbonyl, N, NR⁶⁵, O and S; and R⁶⁵ is independently hydrogen, C₁-C₈ alkyl, C₃-C₁₀

16

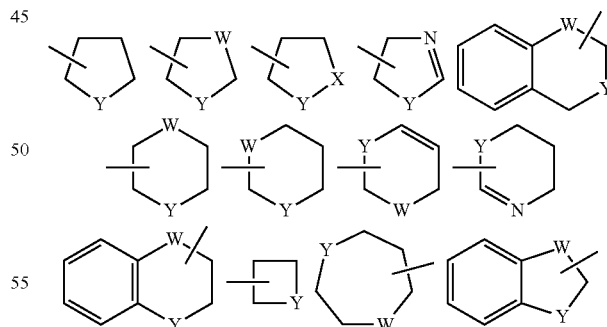
cycloalkyl, 4-10 membered heterocycloalkyl, C₆-C₁₀ aryl, and 5-10 membered heteroaryl.

Examples of representative aryl having hetero atoms containing substitution include the following:



wherein each W is selected from C(R⁶⁶)₂, NR⁶⁶, O and S; and each Y is selected from carbonyl, NR⁶⁶, O and S; and R⁶⁶ is independently hydrogen, C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, 4-10 membered heterocycloalkyl, C₆-C₁₀ aryl, and 5-10 membered heteroaryl.

As used herein, the term 'heterocycloalkyl' refers to a 4-10 membered, stable heterocyclic non-aromatic ring and/or including rings containing one or more heteroatoms independently selected from N, O and S, fused thereto. A fused heterocyclic ring system may include carbocyclic rings and need only include one heterocyclic ring. Examples of heterocyclic rings include, but are not limited to, morpholine, piperidine (e.g. 1-piperidinyl, 2-piperidinyl, 3-piperidinyl and 4-piperidinyl), pyrrolidine (e.g. 1-pyrrolidinyl, 2-pyrrolidinyl and 3-pyrrolidinyl), pyrrolidone, pyran (2H-pyran or 4H-pyran), dihydrothiophene, dihydropyran, dihydrofuran, dihydrothiazole, tetrahydrofuran, tetrahydrothiophene, dioxane, tetrahydropyran (e.g. 4-tetrahydro pyranyl), imidazoline, imidazolidinone, oxazoline, thiazoline, 2-pyrazoline, pyrazolidine, piperazine, and N-alkyl piperazines such as N-methyl piperazine. Further examples include thiomorpholine and its S-oxide and S,S-dioxide (particularly thiomorpholine). Still further examples include azetidine, piperidone, piperazone, and N-alkyl piperidines such as N-methyl piperidine. Particular examples of heterocycloalkyl groups are shown in the following illustrative examples:



wherein each W is selected from CR⁶⁷, C(R⁶⁷)₂, NR⁶⁷, O and S; and each Y is selected from NR⁶⁷, O and S; and R⁶⁷ is independently hydrogen, C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, 4-10 membered heterocycloalkyl, C₆-C₁₀ aryl, 5-10 membered heteroaryl. These heterocycloalkyl rings may be optionally substituted with one or more groups selected from the group consisting of acyl, acylamino, acyloxy, alkoxy, alkoxycarbonyl, alkoxycarbonylamino, amino, substituted amino, aminocarbonyl (carbamoyl or amido), aminocarbo-

17

nylamino, aminosulfonyl, sulfonylamino, aryl, aryloxy, azido, carboxyl, cyano, cycloalkyl, halogen, hydroxy, keto, nitro, thiol, —S-alkyl, —S-aryl, —S(O)-alkyl, —S(O)-aryl, —S(O)₂-alkyl, and —S(O)₂-aryl. Substituting groups include carbonyl or thiocarbonyl which provide, for example, lactam and urea derivatives.

'Hydroxy' refers to the radical —OH.

'Nitro' refers to the radical —NO₂.

'Substituted' refers to a group in which one or more hydrogen atoms are each independently replaced with the same or different substituent(s). Typical substituents may be selected from the group consisting of:

halogen, —R⁶⁸, —O[−], =O, —OR⁶⁸, —SR⁶⁸, —S[−], =S, —NR⁶⁸R⁶⁹, =NR⁶⁸, —CCl₃, —CF₃, —CN, —OCN, —SCN, —NO, —NO₂, =N₂, —N₃, —S(O)₂O[−], —S(O)₂OH, —S(O)₂R⁶⁸, —OS(O)₂O[−], —OS(O)₂R⁶⁸, —P(O)(O[−])₂, —P(O)(OR⁶⁸)(O[−]), —OP(O)(OR⁶⁸)(OR⁶⁹), —C(O)R⁶⁸, —C(S)R⁶⁸, —C(O)OR⁶⁸, —C(O)NR⁶⁸R⁶⁹, —C(O)O[−], —C(S)OR⁶⁸, —NR⁷⁰C(O)NR⁶⁸R⁶⁹, —NR⁷⁰C(S)NR⁶⁸R⁶⁹, —NR⁷⁰C(NR⁷⁰)NR⁶⁸R⁶⁹ and —C(NR⁷⁰)NR⁶⁸R⁶⁹,

wherein each R⁶⁸, R⁶⁹, R⁷⁰ and R⁷¹ are independently:

hydrogen, C₁-C₈ alkyl, C₆-C₁₀ aryl, arylalkyl, C₃-C₁₀ cycloalkyl, 4-10 membered heterocycloalkyl, 5-10 membered heteroaryl, heteroarylalkyl; or

C₁-C₈ alkyl substituted with halo or hydroxy; or

C₆-C₁₀ aryl, 5-10 membered heteroaryl, C₆-C₁₀ cycloalkyl or 4-10 membered heterocycloalkyl each of which is substituted by unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxy.

In a particular embodiment, substituted groups are substituted with one or more substituents, particularly with 1 to 3 substituents, in particular with one substituent group.

In a further particular embodiment the substituent group or groups are selected from halo, cyano, nitro, trifluoromethyl, trifluoromethoxy, azido, —NR⁷²SO₂R⁷³, —SO₂NR⁷³R⁷², —C(O)R⁷³, —C(O)OR⁷³, —OC(O)R⁷³, —NR⁷²C(O)R⁷³, —C(O)NR⁷³R⁷², —NR⁷³R⁷², —(CR⁷²R⁷²)_mOR⁷², wherein, each R⁷³ is independently selected from H, C₁-C₈ alkyl, —(CH₂)_t(C₆-C₁₀ aryl), —(CH₂)_t(5-10 membered heteroaryl), —(CH₂)_t(C₃-C₁₀ cycloalkyl), and —(CH₂)_t(4-10 membered heterocycloalkyl), wherein t is an integer from 0 to 4; and

any alkyl groups present, may themselves be substituted by halo or hydroxy; and

any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxy. Each Rⁿ independently represents H or C₁-C₆alkyl.

'Substituted sulfanyl' refers to the group —SR⁷⁴, wherein R⁷⁴ is selected from:

C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, 4-10 membered heterocycloalkyl, C₆-C₁₀ aryl, aralkyl, 5-10 membered heteroaryl, and heteroalkyl; or

C₁-C₈ alkyl substituted with halo, substituted or unsubstituted amino, or hydroxy; or

C₃-C₁₀ cycloalkyl, 4-10 membered heterocycloalkyl, C₆-C₁₀ aryl, aralkyl, 5-10 membered heteroaryl, or heteroalkyl, each of which is substituted by unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy,

18

unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxy.

Exemplary 'substituted sulfanyl' groups are —S—(C₁-C₈ alkyl) and —S—(C₃-C₁₀ cycloalkyl), —S—(CH₂)_t(C₆-C₁₀ aryl), —S—(CH₂)_t(5-10 membered heteroaryl), —S—(CH₂)_t(C₃-C₁₀ cycloalkyl), and —S—(CH₂)_t(4-10 membered heterocycloalkyl), wherein t is an integer from 0 to 4 and any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxy. The term 'substituted sulfanyl' includes the groups 'alkylsulfanyl' or 'alkylthio', 'substituted alkylthio' or 'substituted alkylsulfanyl', 'cycloalkylsulfanyl' or 'cycloalkylthio', 'substituted cycloalkylsulfanyl' or 'substituted cycloalkylthio', 'arylsulfanyl' or 'arylthio' and 'heteroarylsulfanyl' or 'heteroarylthio' as defined below.

'Alkylthio' or 'Alkylsulfanyl' refers to a radical —SR⁷⁵ where R⁷⁵ is a C₁-C₈ alkyl or group as defined herein. Representative examples include, but are not limited to, methylthio, ethylthio, propylthio and butylthio.

'Substituted Alkylthio' or 'substituted alkylsulfanyl' refers to the group —SR⁷⁶ where R⁷⁶ is a C₁-C₈ alkyl, substituted with halo, substituted or unsubstituted amino, or hydroxy.

'Cycloalkylthio' or 'Cycloalkylsulfanyl' refers to a radical —SR⁷⁷ where R⁷⁷ is a C₃-C₁₀ cycloalkyl or group as defined herein. Representative examples include, but are not limited to, cyclopropylthio, cyclohexylthio, and cyclopentylthio.

'Substituted cycloalkylthio' or 'substituted cycloalkylsulfanyl' refers to the group —SR⁷⁸ where R⁷⁸ is a C₃-C₁₀ cycloalkyl, substituted with halo, substituted or unsubstituted amino, or hydroxy.

'Arylthio' or 'Arylsulfanyl' refers to a radical —SR⁷⁹ where R⁷⁹ is a C₆-C₁₀ aryl group as defined herein.

'Heteroarylthio' or 'Heteroarylsulfanyl' refers to a radical —SR⁸⁰ where R⁸⁰ is a 5-10 membered heteroaryl group as defined herein.

'Substituted sulfinyl' refers to the group —S(O)R⁸¹, wherein R⁸¹ is selected from:

C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, 4-10 membered heterocycloalkyl, C₆-C₁₀ aryl, aralkyl, 5-10 membered heteroaryl, and heteroalkyl; or

C₁-C₈ alkyl substituted with halo, substituted or unsubstituted amino, or hydroxy; or

C₃-C₁₀ cycloalkyl, 4-10 membered heterocycloalkyl, C₆-C₁₀ aryl, aralkyl, 5-10 membered heteroaryl, or heteroalkyl, each of which is substituted by unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxy.

Exemplary 'substituted sulfinyl' groups are —S(O)—(C₁-C₈ alkyl) and —S(O)—(C₃-C₁₀ cycloalkyl), —S(O)—(CH₂)_t(C₆-C₁₀ aryl), —S(O)—(CH₂)_t(5-10 membered heteroaryl), —S(O)—(CH₂)_t(C₃-C₁₀ cycloalkyl), and —S(O)—(CH₂)_t(4-10 membered heterocycloalkyl), wherein t is an integer from 0 to 4 and any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C₁-C₄ alkyl, halo, unsubstituted C₁-C₄ alkoxy, unsubstituted C₁-C₄ haloalkyl, unsubstituted C₁-C₄ hydroxyalkyl, or unsubstituted C₁-C₄ haloalkoxy or hydroxy. The term substituted sulfinyl includes the groups 'alkylsulfinyl', 'substituted alkylsulfi-

nyl', 'cycloalkylsulfinyl', 'substituted cycloalkylsulfinyl', 'arylsulfinyl' and 'heteroarylsulfinyl' as defined herein.

'Alkylsulfinyl' refers to a radical $-\text{S}(\text{O})\text{R}^{82}$ where R^{82} is a C_1 - C_8 alkyl group as defined herein. Representative examples include, but are not limited to, methylsulfinyl, ethylsulfinyl, propylsulfinyl and butylsulfinyl.

'Substituted Alkylsulfinyl' refers to a radical $-\text{S}(\text{O})\text{R}^{83}$ where R^{83} is a C_1 - C_8 alkyl group as defined herein, substituted with halo, substituted or unsubstituted amino, or hydroxy.

'Cycloalkylsulfinyl' refers to a radical $-\text{S}(\text{O})\text{R}^{84}$ where R^{84} is a C_3 - C_{10} cycloalkyl or group as defined herein. Representative examples include, but are not limited to, cyclopropylsulfinyl, cyclohexylsulfinyl, and cyclopentylsulfinyl. Exemplary 'cycloalkylsulfinyl' groups are $\text{S}(\text{O})-\text{C}_3$ - C_{10} cycloalkyl.

'Substituted cycloalkylsulfinyl' refers to the group $-\text{S}(\text{O})\text{R}^{85}$ where R^{85} is a C_3 - C_{10} cycloalkyl, substituted with halo, substituted or unsubstituted amino, or hydroxy.

'Arylsulfinyl' refers to a radical $-\text{S}(\text{O})\text{R}^{86}$ where R^{86} is a C_6 - C_{10} aryl group as defined herein.

'Heteroarylsulfinyl' refers to a radical $-\text{S}(\text{O})\text{R}^{87}$ where R^{87} is a 5-10 membered heteroaryl group as defined herein.

'Substituted sulfonyl' refers to the group $-\text{S}(\text{O})_2\text{R}^{88}$, wherein R^{88} is selected from:

C_1 - C_8 alkyl, C_3 - C_{10} cycloalkyl, 4-10 membered heterocycloalkyl, C_6 - C_{10} aryl, aralkyl, 5-10 membered heteroaryl, and heteroaralkyl; or

C_1 - C_8 alkyl substituted with halo, substituted or unsubstituted amino, or hydroxy; or

C_3 - C_{10} cycloalkyl, 4-10 membered heterocycloalkyl, C_6 - C_{10} aryl, aralkyl, 5-10 membered heteroaryl, or heteroaralkyl, each of which is substituted by unsubstituted C_1 - C_4 alkyl, halo, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy.

Exemplary 'substituted sulfonyl' groups are $-\text{S}(\text{O})_2-(\text{C}_1$ - C_8 alkyl) and $-\text{S}(\text{O})_2-(\text{C}_3$ - C_{10} cycloalkyl), $-\text{S}(\text{O})_2-(\text{CH}_2)_t(\text{C}_6$ - C_{10} aryl), $-\text{S}(\text{O})_2-(\text{CH}_2)_t(5$ -10 membered heteroaryl), $-\text{S}(\text{O})_2-(\text{CH}_2)_t(\text{C}_3$ - C_{10} cycloalkyl), and $-\text{S}(\text{O})_2-(\text{CH}_2)_t(4$ -10 membered heterocycloalkyl), wherein t is an integer from 0 to 4 and any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C_1 - C_4 alkyl, halo, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy. The term substituted sulfonyl includes the groups alkylsulfonyl, substituted alkylsulfonyl, cycloalkylsulfonyl, substituted cycloalkylsulfonyl, arylsulfonyl and heteroarylsulfonyl.

'Alkylsulfonyl' refers to a radical $-\text{S}(\text{O})_2\text{R}^{89}$ where R^{89} is a C_1 - C_8 alkyl group as defined herein. Representative examples include, but are not limited to, methylsulfonyl, ethylsulfonyl, propylsulfonyl and butylsulfonyl.

'Substituted Alkylsulfonyl' refers to a radical $-\text{S}(\text{O})_2\text{R}^{90}$ where R^{90} is a C_1 - C_8 alkyl group as defined herein, substituted with halo, substituted or unsubstituted amino, or hydroxy.

'Cycloalkylsulfonyl' refers to a radical $-\text{S}(\text{O})_2\text{R}^{91}$ where R^{91} is a C_3 - C_{10} cycloalkyl or group as defined herein. Representative examples include, but are not limited to, cyclopropylsulfonyl, cyclohexylsulfonyl, and cyclopentylsulfonyl.

'Substituted cycloalkylsulfonyl' refers to the group $-\text{S}(\text{O})_2\text{R}^{92}$ where R^{92} is a C_3 - C_{10} cycloalkyl, substituted with halo, substituted or unsubstituted amino, or hydroxy.

'Arylsulfonyl' refers to a radical $-\text{S}(\text{O})_2\text{R}^{93}$ where R^{93} is a C_6 - C_{10} aryl group as defined herein.

'Heteroarylsulfonyl' refers to a radical $-\text{S}(\text{O})_2\text{R}^{94}$ where R^{94} is a 5-10 membered heteroaryl group as defined herein.

'Sulfo' or 'sulfonic acid' refers to a radical such as $-\text{SO}_3\text{H}$.

'Substituted sulfo' or 'sulfonic acid ester' refers to the group $-\text{S}(\text{O})_2\text{OR}^{95}$, wherein R^{95} is selected from:

C_1 - C_8 alkyl, C_3 - C_{10} cycloalkyl, 4-10 membered heterocycloalkyl, C_6 - C_{10} aryl, aralkyl, 5-10 membered heteroaryl, and heteroaralkyl; or

C_1 - C_8 alkyl substituted with halo, substituted or unsubstituted amino, or hydroxy; or

C_3 - C_{10} cycloalkyl, 4-10 membered heterocycloalkyl, C_6 - C_{10} aryl, aralkyl, 5-10 membered heteroaryl, or heteroaralkyl, each of which is substituted by unsubstituted C_1 - C_4 alkyl, halo, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy.

Exemplary 'Substituted sulfo' or 'sulfonic acid ester' groups are $-\text{S}(\text{O})_2-\text{O}-(\text{C}_1$ - C_8 alkyl) and $-\text{S}(\text{O})_2-\text{O}-(\text{C}_3$ - C_{10} cycloalkyl), $-\text{S}(\text{O})_2-\text{O}-(\text{CH}_2)_t(\text{C}_6$ - C_{10} aryl), $-\text{S}(\text{O})_2-\text{O}-(\text{CH}_2)_t(5$ -10 membered heteroaryl), $-\text{S}(\text{O})_2-\text{O}-(\text{CH}_2)_t(\text{C}_3$ - C_{10} cycloalkyl), and $-\text{S}(\text{O})_2-\text{O}-(\text{CH}_2)_t(4$ -10 membered heterocycloalkyl), wherein t is an integer from 0 to 4 and any aryl, heteroaryl, cycloalkyl or heterocycloalkyl groups present, may themselves be substituted by unsubstituted C_1 - C_4 alkyl, halo, unsubstituted C_1 - C_4 alkoxy, unsubstituted C_1 - C_4 haloalkyl, unsubstituted C_1 - C_4 hydroxyalkyl, or unsubstituted C_1 - C_4 haloalkoxy or hydroxy.

'Thiol' refers to the group $-\text{SH}$.

'Aminocarbonylamino' refers to the group $-\text{NR}^{96}\text{C}(\text{O})\text{NR}^{96}\text{R}^{96}$ where each R^{96} is independently hydrogen C_1 - C_8 alkyl, C_3 - C_{10} cycloalkyl, 4-10 membered heterocycloalkyl, C_6 - C_{10} aryl, aralkyl, 5-10 membered heteroaryl, and heteroaralkyl, as defined herein; or where two R^{96} groups, when attached to the same N, are joined to form an alkylene group.

'Bicycloaryl' refers to a monovalent aromatic hydrocarbon group derived by the removal of one hydrogen atom from a single carbon atom of a parent bicycloaromatic ring system. Typical bicycloaryl groups include, but are not limited to, groups derived from indane, indene, naphthalene, tetrahydronaphthalene, and the like. Particularly, an aryl group comprises from 8 to 11 carbon atoms.

'Bicycloheteroaryl' refers to a monovalent bicycloheteroaromatic group derived by the removal of one hydrogen atom from a single atom of a parent bicycloheteroaromatic ring system. Typical bicycloheteroaryl groups include, but are not limited to, groups derived from benzofuran, benzimidazole, benzindazole, benzodioxane, chromene, chromane, cinnoline, phthalazine, indole, indoline, indolizine, isobenzofuran, isochromene, isoindole, isoindoline, isoquinoline, benzothiazole, benzoxazole, naphthyridine, benzoxadiazole, pteridine, purine, benzopyran, benzpyrazine, pyridopyrimidine, quinazoline, quinoline, quinolizine, quinoxaline, benzomorphan, tetrahydroisoquinoline, tetrahydroquinoline, and the like. Preferably, the bicycloheteroaryl group is between 9-11 membered bicycloheteroaryl, with 5-10 membered heteroaryl being particularly preferred. Particular bicycloheteroaryl groups are those derived from benzothiophene, benzofuran, benzothiazole, indole, quinoline, isoquinoline, benzimidazole, benzoxazole and benzodioxane.

'Compounds of the present invention', and equivalent expressions, are meant to embrace the compounds as here-

inbefore described, in particular compounds according to any of the formulae herein recited and/or described, which expression includes the prodrugs, the pharmaceutically acceptable salts, and the solvates, e.g., hydrates, where the context so permits. Similarly, reference to intermediates, whether or not they themselves are claimed, is meant to embrace their salts, and solvates, where the context so permits.

'Cycloalkylalkyl' refers to a radical in which a cycloalkyl group is substituted for a hydrogen atom of an alkyl group. Typical cycloalkylalkyl groups include, but are not limited to, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, cyclohexylmethyl, cycloheptylmethyl, cyclooctylmethyl, cyclopropylethyl, cyclobutylethyl, cyclopentylethyl, cyclohexylethyl, cycloheptylethyl, and cyclooctylethyl, and the like.

'Heterocycloalkylalkyl' refers to a radical in which a heterocycloalkyl group is substituted for a hydrogen atom of an alkyl group. Typical heterocycloalkylalkyl groups include, but are not limited to, pyrrolidinylmethyl, piperidinylmethyl, piperazinylmethyl, morpholinylmethyl, pyrrolidinylethyl, piperidinylethyl, piperazinylethyl, morpholinylethyl, and the like.

'Cycloalkenyl' refers to cyclic hydrocarbyl groups having from 3 to 10 carbon atoms and having a single cyclic ring or multiple condensed rings, including fused and bridged ring systems and having at least one and particularly from 1 to 2 sites of olefinic unsaturation. Such cycloalkenyl groups include, by way of example, single ring structures such as cyclohexenyl, cyclopentenyl, cyclopropenyl, and the like.

'Substituted cycloalkenyl' refers to those groups recited in the definition of "substituted" herein, and particularly refers to a cycloalkenyl group having 1 or more substituents, for instance from 1 to 5 substituents, and particularly from 1 to 3 substituents, selected from the group consisting of acyl, acylamino, acyloxy, alkoxy, substituted alkoxy, alkoxycarbonyl, alkoxycarbonylamino, amino, substituted amino, aminocarbonyl, aminocarbonylamino, aminocarbonyloxy, aryl, aryloxy, azido, carboxyl, cyano, cycloalkyl, substituted cycloalkyl, halogen, hydroxyl, keto, nitro, thioalkoxy, substituted thioalkoxy, thioaryloxy, thioketo, thiol, alkyl-S(O)—, aryl-S(O)—, alkyl-S(O)₂— and aryl-S(O)₂—.

'Fused Cycloalkenyl' refers to a cycloalkenyl having two of its ring carbon atoms in common with a second aliphatic or aromatic ring and having its olefinic unsaturation located to impart aromaticity to the cycloalkenyl ring.

'Ethenyl' refers to substituted or unsubstituted $-(C=C)-$.

'Ethylene' refers to substituted or unsubstituted $-(C-C)-$.

'Ethynyl' refers to

'Hydrogen bond donor' group refers to a group containing O—H, or N—H functionality. Examples of 'hydrogen bond donor' groups include —OH, —NH₂, and —NH—R⁹⁷ and wherein R⁹⁷ is alkyl, acyl, cycloalkyl, aryl, or heteroaryl.

'Dihydroxyphosphoryl' refers to the radical —PO(OH)₂.

'Substituted dihydroxyphosphoryl' refers to those groups recited in the definition of "substituted" herein, and particularly refers to a dihydroxyphosphoryl radical wherein one or both of the hydroxyl groups are substituted. Suitable substituents are described in detail below.

'Aminohydroxyphosphoryl' refers to the radical —PO(OH)NH₂.

'Substituted aminohydroxyphosphoryl' refers to those groups recited in the definition of "substituted" herein, and particularly refers to an aminohydroxyphosphoryl wherein the amino group is substituted with one or two substituents.

Suitable substituents are described in detail below. In certain embodiments, the hydroxyl group can also be substituted.

'Nitrogen-Containing Heterocycloalkyl' group means a 4 to 7 membered non-aromatic cyclic group containing at least one nitrogen atom, for example, but without limitation, morpholine, piperidine (e.g. 2-piperidinyl, 3-piperidinyl and 4-piperidinyl), pyrrolidine (e.g. 2-pyrrolidinyl and 3-pyrrolidinyl), azetidine, pyrrolidone, imidazoline, imidazolidinone, 2-pyrazoline, pyrazolidine, piperazine, and N-alkyl piperazines such as N-methyl piperazine. Particular examples include azetidine, piperidone and piperazone.

'Thioketo' refers to the group =S.

One having ordinary skill in the art of organic synthesis will recognize that the maximum number of heteroatoms in a stable, chemically feasible heterocyclic ring, whether it is aromatic or non aromatic, is determined by the size of the ring, the degree of unsaturation and the valence of the heteroatoms. In general, a heterocyclic ring may have one to four heteroatoms so long as the heteroaromatic ring is chemically feasible and stable.

'Pharmaceutically acceptable' means approved or approvable by a regulatory agency of the Federal or a state government or the corresponding agency in countries other than the United States, or that is listed in the U.S. Pharmacopoeia or other generally recognized pharmacopoeia for use in animals, and more particularly, in humans.

'Pharmaceutically acceptable salt' refers to a salt of a compound of the invention that is pharmaceutically acceptable and that possesses the desired pharmacological activity of the parent compound. In particular, such salts are non-toxic may be inorganic or organic acid addition salts and base addition salts. Specifically, such salts include: (1) acid addition salts, formed with inorganic acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, and the like; or formed with organic acids such as acetic acid, propionic acid, hexanoic acid, cyclopentanepropionic acid, glycolic acid, pyruvic acid, lactic acid, malonic acid, succinic acid, malic acid, maleic acid, fumaric acid, tartaric acid, citric acid, benzoic acid, 3-(4-hydroxybenzoyl) benzoic acid, cinnamic acid, mandelic acid, methanesulfonic acid, ethanesulfonic acid, 1,2-ethanedisulfonic acid, 2-hydroxyethanesulfonic acid, benzenesulfonic acid, 4-chlorobenzenesulfonic acid, 2-naphthalenesulfonic acid, 4-toluenesulfonic acid, camphorsulfonic acid, 4-methylbicyclo[2.2.2]-oct-2-ene-1-carboxylic acid, glucoheptonic acid, 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, and the like; or (2) salts formed when an acidic proton present in the parent compound either is replaced by a metal ion, e.g., an alkali metal ion, an alkaline earth ion, or an aluminum ion; or coordinates with an organic base such as ethanolamine, diethanolamine, triethanolamine, N-methylglucamine and the like. Salts further include, by way of example only, sodium, potassium, calcium, magnesium, ammonium, tetraalkylammonium, and the like; and when the compound contains a basic functionality, salts of non toxic organic or inorganic acids, such as hydrochloride, hydrobromide, tartrate, mesylate, acetate, maleate, oxalate and the like. The term "pharmaceutically acceptable cation" refers to an acceptable cationic counterion of an acidic functional group. Such cations are exemplified by sodium, potassium, calcium, magnesium, ammonium, tetraalkylammonium cations, and the like.

'Pharmaceutically acceptable vehicle' refers to a diluent, adjuvant, excipient or carrier with which a compound of the invention is administered.

'Prodrugs' refers to compounds, including derivatives of the compounds of the invention, which have cleavable groups and become by solvolysis or under physiological conditions the compounds of the invention which are pharmaceutically active in vivo. Such examples include, but are not limited to, choline ester derivatives and the like, N-alkylmorpholine esters and the like.

'Solvate' refers to forms of the compound that are associated with a solvent, usually by a solvolysis reaction. This physical association includes hydrogen bonding. Conventional solvents include water, ethanol, acetic acid and the like. The compounds of the invention may be prepared e.g. in crystalline form and may be solvated or hydrated. Suitable solvates include pharmaceutically acceptable solvates, such as hydrates, and further include both stoichiometric solvates and non-stoichiometric solvates. In certain instances the solvate will be capable of isolation, for example when one or more solvent molecules are incorporated in the crystal lattice of the crystalline solid. 'Solvate' encompasses both solution-phase and isolable solvates. Representative solvates include hydrates, ethanolates and methanolates.

'Subject' includes humans. The terms 'human', 'patient' and 'subject' are used interchangeably herein.

'Therapeutically effective amount' means the amount of a compound that, when administered to a subject for treating a disease, is sufficient to effect such treatment for the disease. The "therapeutically effective amount" can vary depending on the compound, the disease and its severity, and the age, weight, etc., of the subject to be treated.

'Preventing' or 'prevention' refers to a reduction in risk of acquiring or developing a disease or disorder (i.e., causing at least one of the clinical symptoms of the disease not to develop in a subject that may be exposed to a disease-causing agent, or predisposed to the disease in advance of disease onset).

The term 'prophylaxis' is related to 'prevention', and refers to a measure or procedure the purpose of which is to prevent, rather than to treat or cure a disease. Non-limiting examples of prophylactic measures may include the administration of vaccines; the administration of low molecular weight heparin to hospital patients at risk for thrombosis due, for example, to immobilization; and the administration of an anti-malarial agent such as chloroquine, in advance of a visit to a geographical region where malaria is endemic or the risk of contracting malaria is high.

'Treating' or 'treatment' of any disease or disorder refers, in one embodiment, to ameliorating the disease or disorder (i.e., arresting the disease or reducing the manifestation, extent or severity of at least one of the clinical symptoms thereof). In another embodiment 'treating' or 'treatment' refers to ameliorating at least one physical parameter, which may not be discernible by the subject. In yet another embodiment, 'treating' or 'treatment' refers to modulating the disease or disorder, either physically, (e.g., stabilization of a discernible symptom), physiologically, (e.g., stabilization of a physical parameter), or both. In a further embodiment, "treating" or "treatment" relates to slowing the progression of the disease.

'Compounds of the present invention', and equivalent expressions, are meant to embrace compounds of the Formula(e) as hereinbefore described, which expression includes the prodrugs, the pharmaceutically acceptable salts, and the solvates, e.g., hydrates, where the context so permits. Similarly, reference to intermediates, whether or not they themselves are claimed, is meant to embrace their salts, and solvates, where the context so permits.

When ranges are referred to herein, for example but without limitation, C₁-C₈ alkyl, the citation of a range should be considered a representation of each member of said range.

Other derivatives of the compounds of this invention have activity in both their acid and acid derivative forms, but in the acid sensitive form often offers advantages of solubility, tissue compatibility, or delayed release in the mammalian organism (see, Bundgard, H., Design of Prodrugs, pp. 7-9, 21-24, Elsevier, Amsterdam 1985). Prodrugs include acid derivatives well known to practitioners of the art, such as, for example, esters prepared by reaction of the parent acid with a suitable alcohol, or amides prepared by reaction of the parent acid compound with a substituted or unsubstituted amine, or acid anhydrides, or mixed anhydrides. Simple aliphatic or aromatic esters, amides and anhydrides derived from acidic groups pendant on the compounds of this invention are particular prodrugs. In some cases it is desirable to prepare double ester type prodrugs such as (acyloxy) alkyl esters or ((alkoxycarbonyl)oxy)alkylesters. Particularly the C₁ to C₈ alkyl, C₂-C₈ alkenyl, aryl, C₇-C₁₂ substituted aryl, and C₇-C₁₂ arylalkyl esters of the compounds of the invention.

As used herein, the term 'isotopic variant' refers to a compound that contains unnatural proportions of isotopes at one or more of the atoms that constitute such compound. For example, an 'isotopic variant' of a compound can contain one or more non-radioactive isotopes, such as for example, deuterium (²H or D), carbon-13 (¹³C), nitrogen-15 (¹⁵N), or the like. It will be understood that, in a compound where such isotopic substitution is made, the following atoms, where present, may vary, so that for example, any hydrogen may be ²H/D, any carbon may be ¹³C, or any nitrogen may be ¹⁵N, and that the presence and placement of such atoms may be determined within the skill of the art. Likewise, the invention may include the preparation of isotopic variants with radioisotopes, in the instance for example, where the resulting compounds may be used for drug and/or substrate tissue distribution studies. The radioactive isotopes tritium, i.e. ³H, and carbon-14, i.e. ¹⁴C, are particularly useful for this purpose in view of their ease of incorporation and ready means of detection. Further, compounds may be prepared that are substituted with positron emitting isotopes, such as ¹¹C, ¹⁸F, ¹⁵O and ¹³N, and would be useful in Positron Emission Topography (PET) studies for examining substrate receptor occupancy.

All isotopic variants of the compounds provided herein, radioactive or not, are intended to be encompassed within the scope of the invention.

It is also to be understood that compounds that have the same molecular formula but differ in the nature or sequence of bonding of their atoms or the arrangement of their atoms in space are termed 'isomers'. Isomers that differ in the arrangement of their atoms in space are termed 'stereoisomers'.

Stereoisomers that are not mirror images of one another are termed 'diastereomers' and those that are non-superimposable mirror images of each other are termed 'enantiomers'. When a compound has an asymmetric center, for example, it is bonded to four different groups, a pair of enantiomers is possible. An enantiomer can be characterized by the absolute configuration of its asymmetric center and is described by the R- and S-sequencing rules of Cahn and Prelog, or by the manner in which the molecule rotates the plane of polarized light and designated as dextrorotatory or levorotatory (i.e., as (+) or (-)-isomers respectively). A chiral compound can exist as either individual enantiomer or

25

as a mixture thereof. A mixture containing equal proportions of the enantiomers is called a 'racemic mixture'.

'Tautomers' refer to compounds that are interchangeable forms of a particular compound structure, and that vary in the displacement of hydrogen atoms and electrons. Thus, two structures may be in equilibrium through the movement of π electrons and an atom (usually H). For example, enols and ketones are tautomers because they are rapidly inter-converted by treatment with either acid or base. Another example of tautomerism is the aci- and nitro- forms of phenylnitromethane, that are likewise formed by treatment with acid or base.

Tautomeric forms may be relevant to the attainment of the optimal chemical reactivity and biological activity of a compound of interest.

As used herein a pure enantiomeric compound is substantially free from other enantiomers or stereoisomers of the compound (i.e., in enantiomeric excess). In other words, an "S" form of the compound is substantially free from the "R" form of the compound and is, thus, in enantiomeric excess of the "R" form. The term "enantiomerically pure" or "pure enantiomer" denotes that the compound comprises more than 75% by weight, more than 80% by weight, more than 85% by weight, more than 90% by weight, more than 91% by weight, more than 92% by weight, more than 93% by weight, more than 94% by weight, more than 95% by weight, more than 96% by weight, more than 97% by weight, more than 98% by weight, more than 98.5% by weight, more than 99% by weight, more than 99.2% by weight, more than 99.5% by weight, more than 99.6% by weight, more than 99.7% by weight, more than 99.8% by weight or more than 99.9% by weight, of the enantiomer. In certain embodiments, the weights are based upon total weight of all enantiomers or stereoisomers of the compound.

As used herein and unless otherwise indicated, the term "enantiomerically pure R-compound" refers to at least about 80% by weight R-compound and at most about 20% by weight S-compound, at least about 90% by weight R-compound and at most about 10% by weight S-compound, at least about 95% by weight R-compound and at most about 5% by weight S-compound, at least about 99% by weight R-compound and at most about 1% by weight S-compound, at least about 99.9% by weight R-compound or at most about 0.1% by weight S-compound. In certain embodiments, the weights are based upon total weight of compound.

As used herein and unless otherwise indicated, the term "enantiomerically pure S-compound" or "S-compound" refers to at least about 80% by weight S-compound and at most about 20% by weight R-compound, at least about 90% by weight S-compound and at most about 10% by weight R-compound, at least about 95% by weight S-compound and at most about 5% by weight R-compound, at least about 99% by weight S-compound and at most about 1% by weight R-compound or at least about 99.9% by weight S-compound and at most about 0.1% by weight R-compound. In certain embodiments, the weights are based upon total weight of compound.

In the compositions provided herein, an enantiomerically pure compound or a pharmaceutically acceptable salt, solvate, hydrate or prodrug thereof can be present with other active or inactive ingredients. For example, a pharmaceutical composition comprising enantiomerically pure R-compound can comprise, for example, about 90% excipient and about 10% enantiomerically pure R-compound. In certain

26

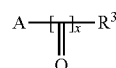
embodiments, the enantiomerically pure R-compound in such compositions can, for example, comprise, at least about 95% by weight R-compound and at most about 5% by weight S-compound, by total weight of the compound. For example, a pharmaceutical composition comprising enantiomerically pure S-compound can comprise, for example, about 90% excipient and about 10% enantiomerically pure S-compound. In certain embodiments, the enantiomerically pure S-compound in such compositions can, for example, comprise, at least about 95% by weight S-compound and at most about 5% by weight R-compound, by total weight of the compound. In certain embodiments, the active ingredient can be formulated with little or no excipient or carrier.

The compounds of this invention may possess one or more asymmetric centers; such compounds can therefore be produced as individual (R)- or (S)-stereoisomers or as mixtures thereof.

Unless indicated otherwise, the description or naming of a particular compound in the specification and claims is intended to include both individual enantiomers and mixtures, racemic or otherwise, thereof. The methods for the determination of stereochemistry and the separation of stereoisomers are well-known in the art.

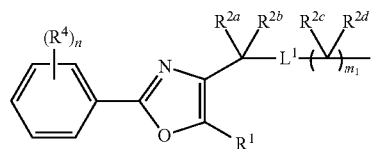
The Compounds

The present invention provides a method for preventing, treating or ameliorating in a mammal a disease or condition that is causally related to the aberrant activity of the Wnt signaling pathway in vivo, which comprises administering to the mammal an effective disease-treating or condition-treating amount of a compound according to formula I:

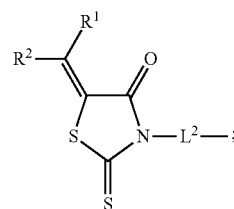


I

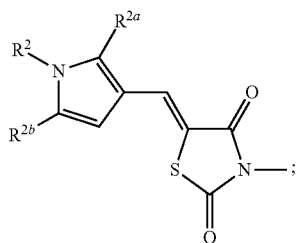
wherein A is A¹, A² or A³;



A¹ is



27

A² isA³ isx is 1, when A is A¹ or A²; or x is 0, when A is A³;L¹ is S, SO or SO₂;

m1 is 1, 2 or 3; n is 1, 2, 3, 4 or 5;

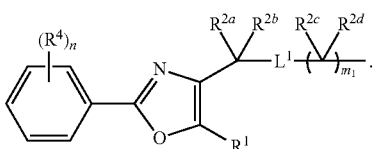
L² is substituted or unsubstituted C₁-C₇ alkylene or heteroalkylene;each R¹, R^{2a}, R^{2b}, R^{2c}, and R^{2d} is independently selected from hydrogen, halo, and substituted or unsubstituted C₁-C₆ alkyl;R² is selected from aryl or heteroaryl, unsubstituted or substituted with one or more R⁴;R³ is hydroxy, alkoxy, substituted or unsubstituted amino or cycloheteroalkyl; or when A is A³, R³ is R⁵;

each R⁴ and R^{5a} is independently selected from H, alkyl, substituted alkyl, acyl, substituted acyl, substituted or unsubstituted acylamino, substituted or unsubstituted alkylamino, substituted or unsubstituted alkythio, substituted or unsubstituted alkoxy, alkoxy carbonyl, substituted alkoxy carbonyl, substituted or unsubstituted alkylarylamino, arylalkyloxy, substituted arylalkyloxy, amino, aryl, substituted aryl, arylalkyl, substituted or unsubstituted sulfonyl, substituted or unsubstituted sulfinyl, substituted or unsubstituted sulfanyl, substituted or unsubstituted aminosulfonyl, substituted or unsubstituted arylsulfonyl, azido, carboxy, substituted or unsubstituted carbamoyl, cyano, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloheteroalkyl, substituted or unsubstituted dialkylamino, halo, heteroaryloxy, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroalkyl, hydroxy, nitro, and thiol; and

R⁵ is selected from aryl or heteroaryl, unsubstituted or substituted with one or more R^{5a}; or a pharmaceutically acceptable salt, solvate or prodrug thereof;

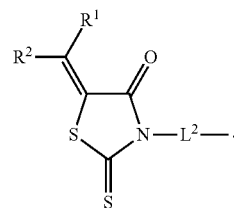
and stereoisomers, isotopic variants and tautomers thereof.

In one particular embodiment, with respect to compounds of formula I, A¹ is

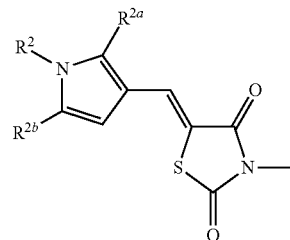


In one particular embodiment, with respect to compounds of formula I, A² is

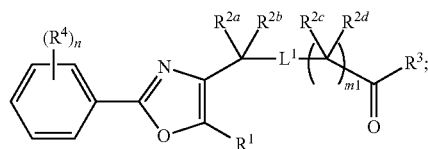
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In one particular embodiment, with respect to compounds of formula I, A³ is

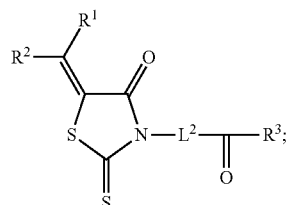


In one particular embodiment, with respect to compounds of formula I, the compound is according to formula IIa:



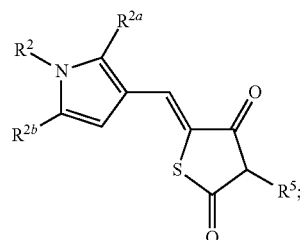
and wherein L¹, m1, n, R¹, R^{2a}, R^{2b}, R^{2c}, R^{2d}, R², R³, and R⁴ are as described for formula I.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formula IIb:



and wherein L², R¹, R², R³, and R⁴ are as described for formula I.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formula IIc:



and wherein R^{2a}, R^{2b}, R², R⁴, and R⁵ are as described for formula.

29

In one particular embodiment, with respect to compounds of formula IIa; L^1 is S.

In one particular embodiment, with respect to compounds of formula IIa; L^1 is SO or SO_2 .

In one particular embodiment, with respect to compounds of formula IIa or IIc; each of R^{2a} and R^{2b} is H.

In one particular embodiment, with respect to compounds of formula IIa or IIc; one of R^{2a} and R^{2b} is independently Me and the other is H.

In one particular embodiment, with respect to compounds of formula Ia or IIc; each of R^{2a} and R^{2b} is Me.

In one particular embodiment with respect to compounds of formula IIa; the subscript m1 is 1 or 2; and each of R^{2c} and R^{2d} is H.

In one particular embodiment, with respect to compounds of formula IIa; the subscript m1 is 1 or 2; and each of R^{2b} and R^{2d} is independently Me and the other is H.

In one particular embodiment, with respect to compounds of formula IIa; the subscript m1 is 1 or 2; and each of R^{2c} and R^{2d} is Me.

In one particular embodiment, with respect to compounds of formula IIa; L^1 is S; the subscript m1 is 1; and each of R^{2a} , R^{2b} , R^2 and R^{2d} is H.

In one particular embodiment, with respect to compounds of formula IIb; L^2 is $-CH_2-$, $-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-$, or $-CH_2-CH_2-CH_2-CH_2-$.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formula IIc.

In one particular embodiment, with respect to compounds of formula IIb or IIc, R^2 is phenyl, unsubstituted or substituted with one or more R^4 .

In one particular embodiment, with respect to compounds of formula IIb or IIc, R^2 is heteroaryl, unsubstituted or substituted with one or more R^4 .

In one particular embodiment, with respect to compounds of formula IIb or IIc, R^2 is pyridyl, furanyl, thiophenyl, or pyrrolidinyl, unsubstituted or substituted with one or more R^4 .

In one particular embodiment, with respect to compounds of formula IIc, R^5 is phenyl, unsubstituted or substituted with one or more R^4 .

In one particular embodiment, with respect to compounds of formula IIc, R^5 is heteroaryl, unsubstituted or substituted with one or more R^4 .

In one particular embodiment, with respect to compounds of formula IIc, R^5 is pyridyl, furanyl, thiophenyl, or pyrrolidinyl, unsubstituted or substituted with one or more R^4 .

In one particular embodiment, with respect to compounds of formula IIa or IIb; R^1 is H or substituted or unsubstituted C_1-C_6 alkyl.

In one particular embodiment, with respect to compounds of formula IIa or IIb; R^1 is halo.

In one particular embodiment, with respect to compounds of formula IIa or IIb; R^1 is Me.

In one particular embodiment, with respect to compounds of formula IIa or IIb; R^3 is OH.

In one particular embodiment, with respect to compounds of formula IIa or IIb; R^3 is alkoxy.

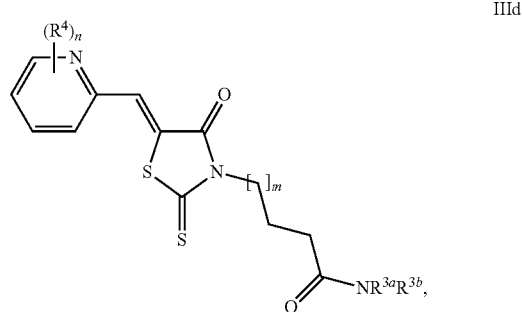
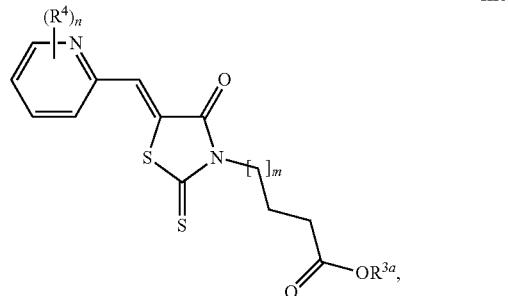
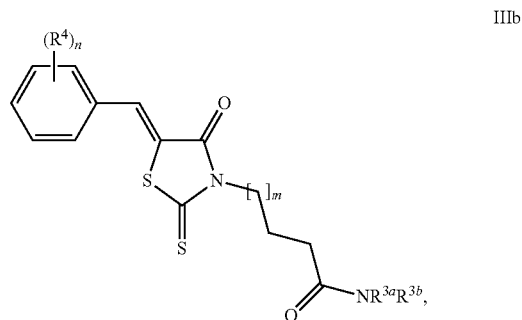
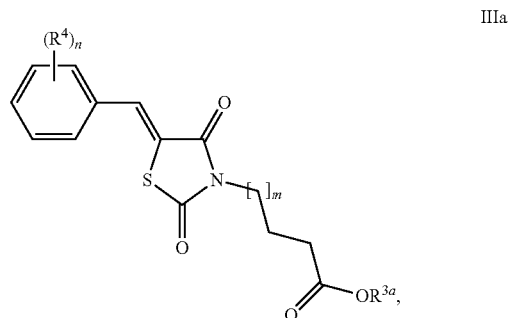
In one particular embodiment, with respect to compounds of formula IIa or IIb; R^3 is substituted or unsubstituted amino.

In one particular embodiment, with respect to compounds of formula IIa or IIb; R^3 is $NR^{3a}R^{3b}$; and each R^{3a} and R^{3b} is independently selected from H, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl, substi-

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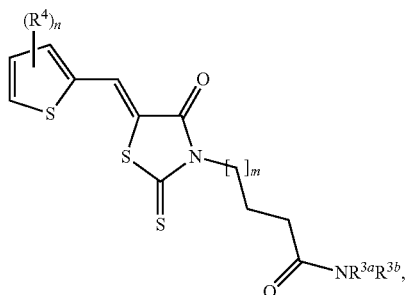
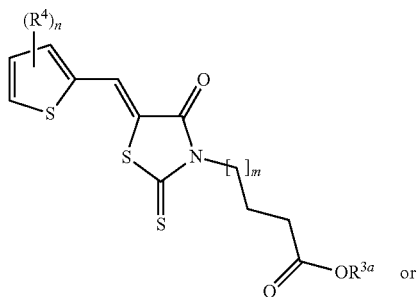
tuted or unsubstituted aryl, and substituted or unsubstituted heteroaryl; or R^{3a} and R^{3b} join together to form a cycloheteroalkyl heteroaryl ring.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formulae IIIa, IIIb, IIIc, IIId, IIIe, or IIIf:



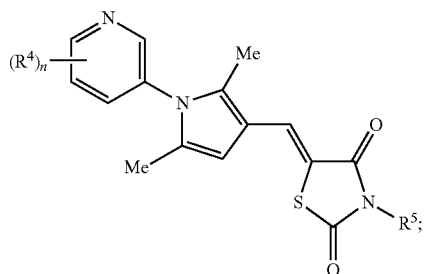
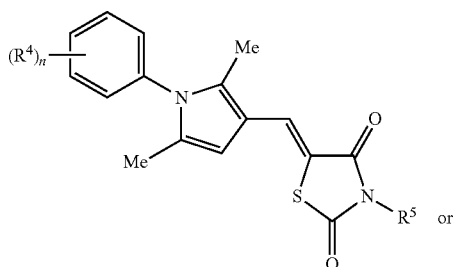
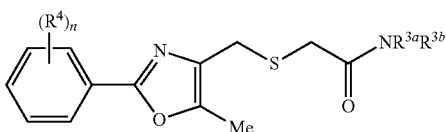
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-continued



wherein n and R^4 are as described for formula I; R^{3a} and R^{3b} are as described above; and m is 0 or 1.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formula IVa, IVb, or IVc:



wherein n , R^4 , and R^5 as described for formula I; and R^{3a} and R^{3b} as described above.

In one particular embodiment, with respect to compounds of formula IIa-IVc, each of R^4 is H.

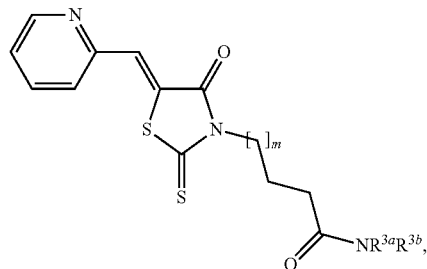
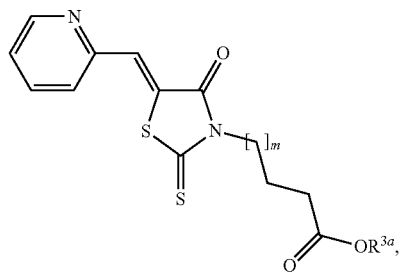
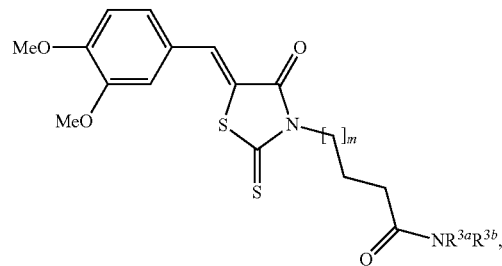
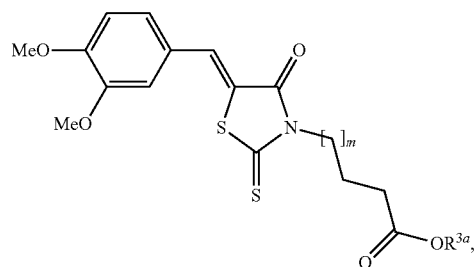
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In one particular embodiment, with respect to compounds of formula IIa-IVc, n , when present, is 1; and R^4 is alkyl, alkoxy, haloalkyl, or halo.

In one particular embodiment, with respect to compounds of formula IIa-IVc, n , when present, is 1 or 2; and R^4 is Me, Et, i-Pr, OMe, OEt, O-i-Pr, Cl, or F.

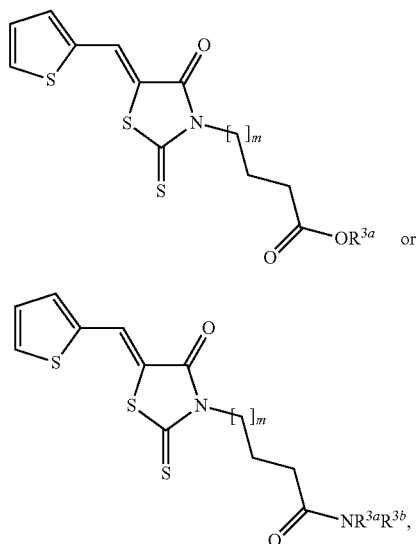
In one particular embodiment, with respect to compounds of formula IIa-IVc, n , when present, is 1 or 2; and R^4 is Me, OMe, SMe, or Et.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formulae Va, Vb, Vc, Vd, Ve or Vf:



33

-continued



wherein R^{3a} and R^{3b} are as described above; and m is 0 or 1.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf, R^{3a} is H.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf, R^{3a} is substituted or unsubstituted alkyl.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf, R^{3a} is substituted or unsubstituted benzyl.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf, R^{3a} is substituted or unsubstituted phenethyl.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf, R^{3a} is substituted or unsubstituted cycloalkyl.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf, R^{3a} is cyclopropyl.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf; R^{3b} is substituted or unsubstituted heteroaryl.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf; R^{3b} is substituted or unsubstituted heterocycloalkyl.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf; and each of R^{3a} and R^{3b} is H.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf; one of R^{3a} and R^{3b} is substituted or unsubstituted alkyl and the other is H.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf; one of R^{3a} and R^{3b} is substituted or unsubstituted benzyl and the other is H.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf; one of R^{3a} and R^{3b} is substituted or unsubstituted phenethyl and the other is H.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf; one of R^{3a} and R^{3b} is substituted or unsubstituted cycloalkyl and the other is H.

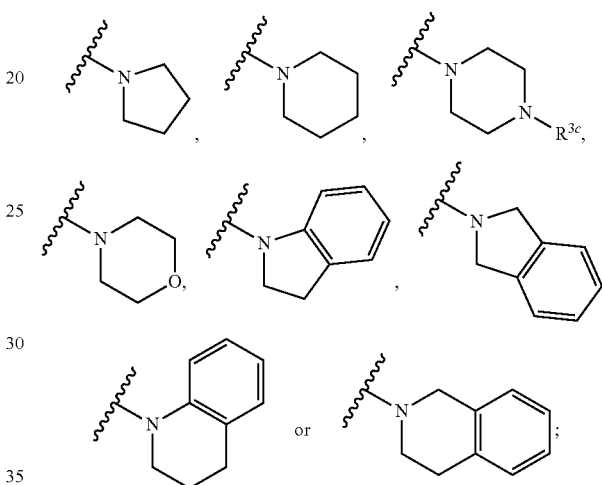
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In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf; one of R^{3a} and R^{3b} is substituted or unsubstituted cyclopropyl and the other is H.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf; one of R^{3a} and R^{3b} is substituted or unsubstituted cyclopentyl or cyclobutyl and the other is H.

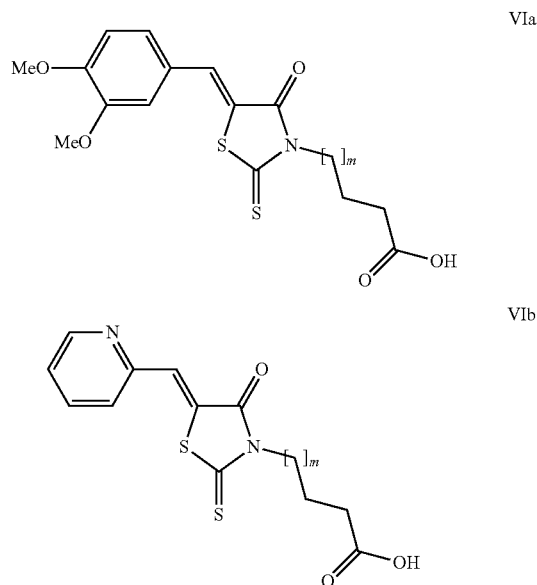
In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf; R^{3a} and R^{3b} join together to form a cycloheteroalkyl heteroaryl ring.

In one particular embodiment, with respect to compounds of formula IIIb, IIId, IIIf, IVa, Vb, Vd, or Vf; $NR^{3a}R^{3b}$ is:



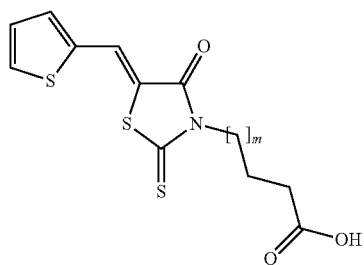
and wherein R^{3c} is H or alkyl.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formula VIa, VIb, or VIc:



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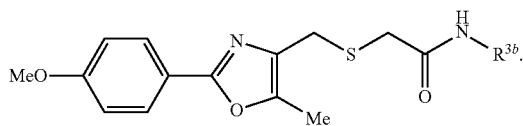
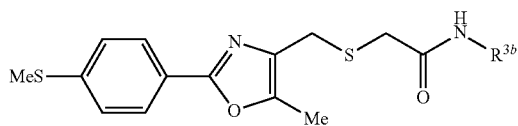
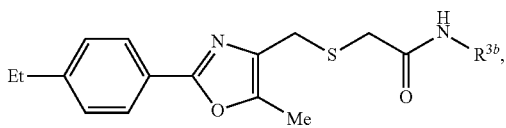
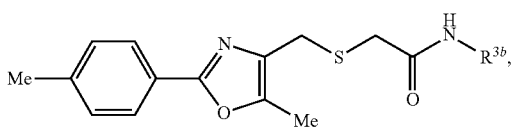


and m is 0 or 1.

In one particular embodiment, with respect to compounds of formula IIIa-VIc, m, when present, is 0.

In one particular embodiment, with respect to compounds of formula IIIa-VIc, m, when present, is 1.

In one particular embodiment, with respect to compounds of formula IIIa-VIc, the compound is according to formula VIIa, VIIb, VIIc or VIId:



wherein R^{3b} is as described above.

In one particular embodiment, with respect to compounds of formula VIIa, VIIb, VIIc or VIId; R^{3b} is substituted or unsubstituted cycloalkyl, phenyl, benzyl, or phenethyl.

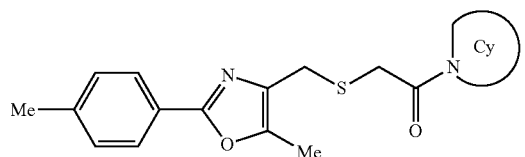
In one particular embodiment, with respect to compounds of formula VIIa, VIIb, VIIc or VIId; R^{3b} is substituted or unsubstituted heteroaryl, or heterocycloalkyl.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formula VIIIa, VIIIb, VIIIc, or VIId:

36

VIc

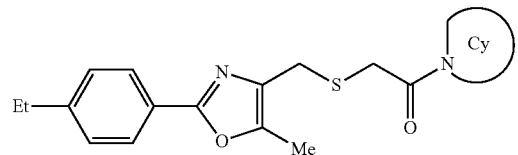
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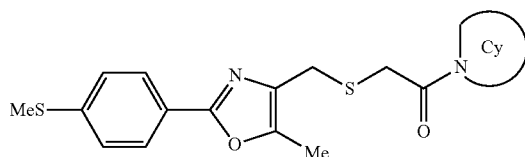
VIIIb

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VIIIc

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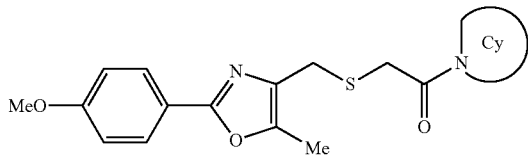


VIId

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VIIa

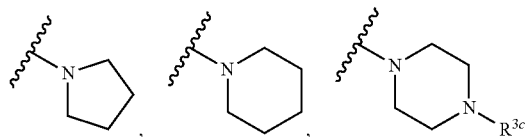
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VIIb

wherein Cy is

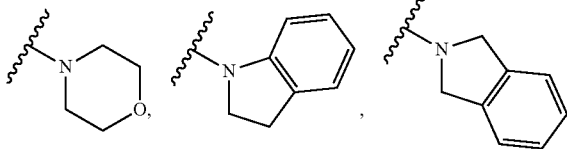
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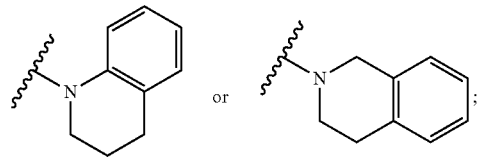
VIIc

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VIId

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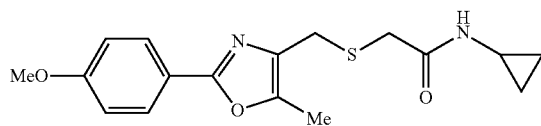
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and wherein R^{3c} is H or alkyl.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formula IXa, IXb, IXc or IXd:

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IXa

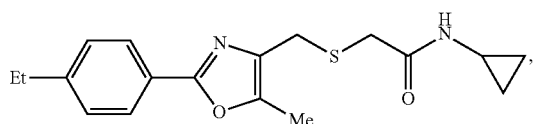


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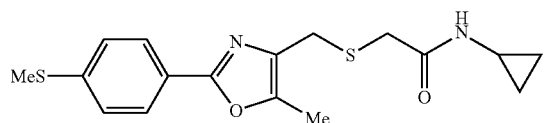
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IXb



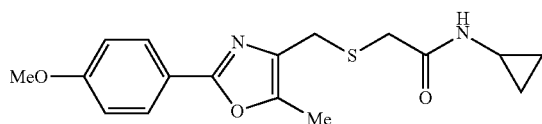
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IXc



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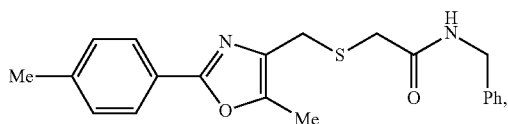
IXd



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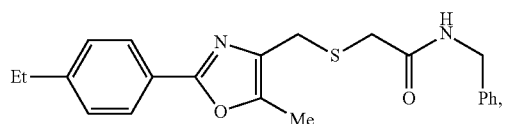
In one particular embodiment, with respect to compounds of formula I, the compound is according to formula Xa, Xb, Xc or Xd:

Xa



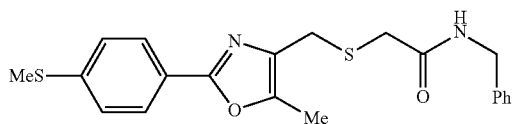
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Xb



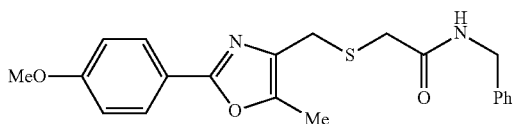
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Xc



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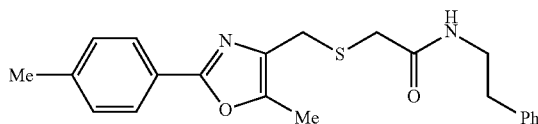
Xd



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In one particular embodiment, with respect to compounds of formula I, the compound is according to formula XIa, XIb, XIc or XId:

XIa



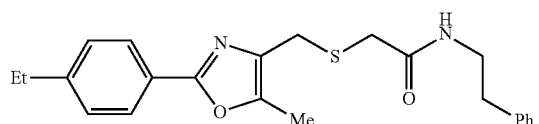
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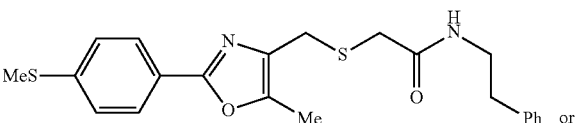
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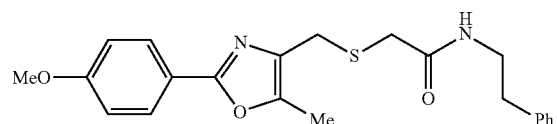
XIb



XIc

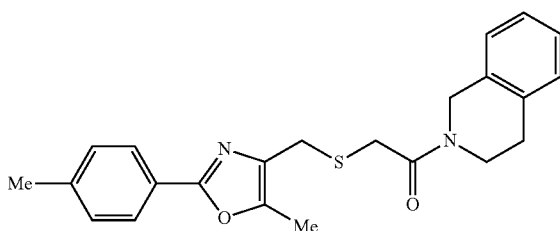


XId



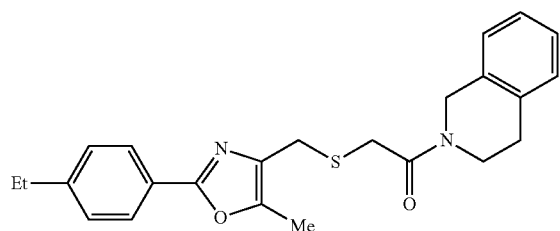
In one particular embodiment, with respect to compounds of formula I, the compound is according to formula XIIa, XIIb, XIIc or XIIId:

XIIa



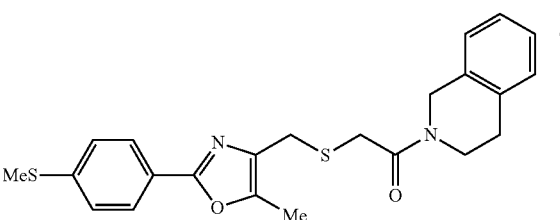
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XIIb



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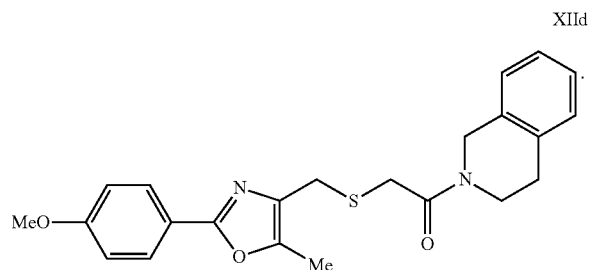
XIIc



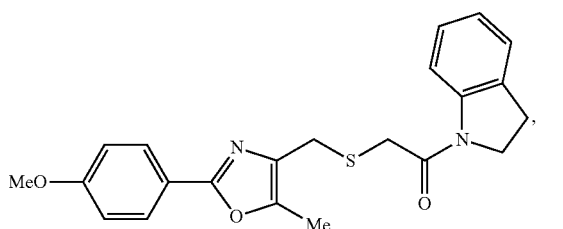
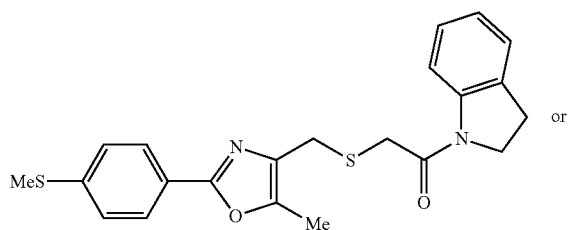
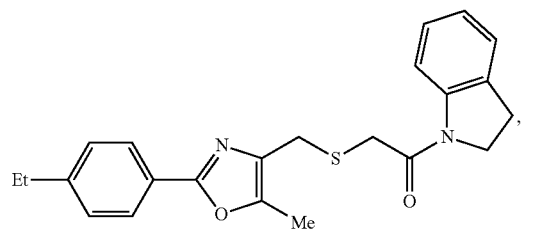
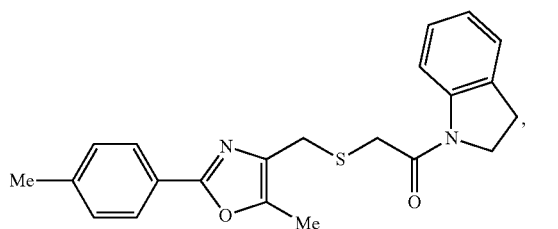
or

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-continued



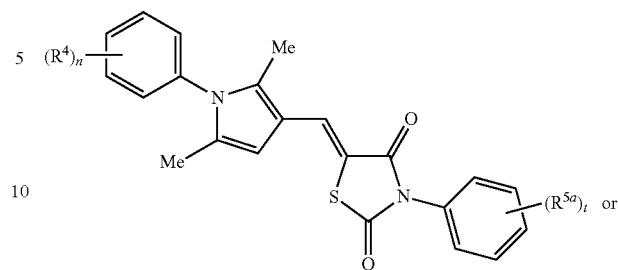
In one particular embodiment, with respect to compounds of formula I, the compound is according to formula XIIIa, XIIIb, XIIIc or XIId:



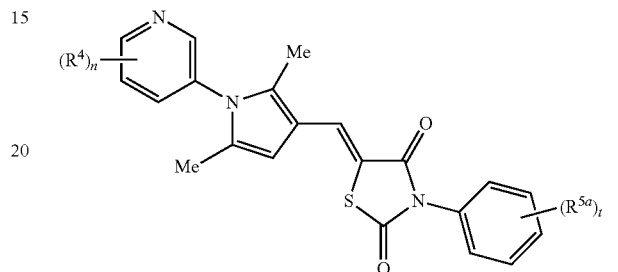
In one particular embodiment, with respect to compounds of formula I, the compound is according to formula XIVa, or XIVb:

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XIVa



XIVb



wherein each R^4 and R^{5a} is independently selected from alkyl, alkoxy, haloalkyl, halo, hydroxy, carboxy, carbalkoxy, or nitro; and each n and t is independently 0, 1 or 2.

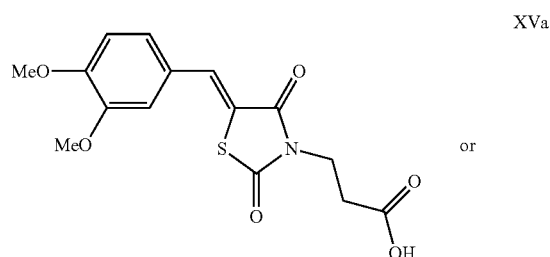
In one particular embodiment, with respect to compounds of formula XIVa, or XIVb, each R^4 is H.

In one particular embodiment, with respect to compounds of formula XIVa, or XIVb, n is 1 or 2; and each R^4 is independently Me, Et, i-Pr, OMe, OEt, O-i-Pr, Cl, or F.

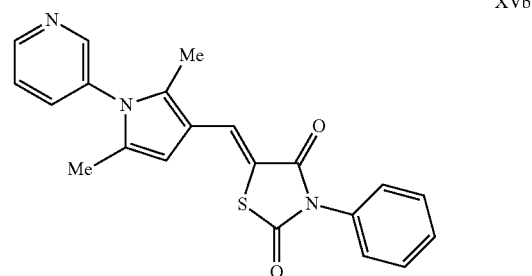
In one particular embodiment, with respect to compounds of formula XIVa, or XIVb, each R^{5a} is H.

In one particular embodiment, with respect to compounds of formula XIVa, or XIVb, t is 1 or 2; and each R^{5a} is independently Me, Et, i-Pr, OMe, OEt, O-i-Pr, Cl, or F.

In one particular embodiment, with respect to compounds of formula I, the compound is according to formula XVa or XVb:



or



In one particular embodiment, with respect to compounds of formula I, the compound is selected from Table 1.

In one particular embodiment, with respect to compounds of formula I, the compound is selected from Table 2.

In one particular embodiment, with respect to compounds of formula I, the compound is selected from Table 3.

In one particular embodiment, with respect to compounds of formula I, the compound is selected from Table 4.

In one particular embodiment, with respect to compounds of formula I, the compound is selected from Table 5.

In one particular embodiment, with respect to compounds of formula I, the compound is selected from Table 6.

In one particular embodiment, with respect to compounds of formula I, the compound is selected from Table 7.

In one particular embodiment, with respect to compounds of formula I, the compound is selected from Table 8.

In one particular embodiment, with respect to compounds of formula I, the compound is selected from Table 9.

In one particular embodiment, with respect to compounds of formula I, the compound is selected from Table 10.

In one particular embodiment, with respect to compounds of formula I, the compound is selected from Table 11.

In certain aspects, the present invention provides prodrugs and derivatives of the compounds according to the formulae above. Prodrugs are derivatives of the compounds of the invention, which have metabolically cleavable groups and become by solvolysis or under physiological conditions the compounds of the invention, which are pharmaceutically active, *in vivo*. Such examples include, but are not limited to, choline ester derivatives and the like, N-alkylmorpholine esters and the like.

Other derivatives of the compounds of this invention have activity in both their acid and acid derivative forms, but the acid sensitive form often offers advantages of solubility, tissue compatibility, or delayed release in the mammalian organism (see, Bundgard, H., *Design of Prodrugs*, pp. 7-9, 21-24, Elsevier, Amsterdam 1985). Prodrugs include acid derivatives well known to practitioners of the art, such as, for example, esters prepared by reaction of the parent acid with a suitable alcohol, or amides prepared by reaction of the parent acid compound with a substituted or unsubstituted amine, or acid anhydrides, or mixed anhydrides. Simple aliphatic or aromatic esters, amides and anhydrides derived from acidic groups pendant on the compounds of this invention are preferred prodrugs. In some cases it is desirable to prepare double ester type prodrugs such as (acyloxy) alkyl esters or ((alkoxycarbonyl)oxy)alkylesters. Preferred are the C₁ to C₈ alkyl, C₂-C₈ alkenyl, aryl, C₇-C₁₂ substituted aryl, and C₇-C₁₂ arylalkyl esters of the compounds of the invention.

Pharmaceutical Compositions

When employed as pharmaceuticals, the compounds of this invention are typically administered in the form of a pharmaceutical composition. Such compositions can be prepared in a manner well known in the pharmaceutical art and comprise at least one active compound.

Generally, the compounds of this invention are administered in a pharmaceutically effective amount. The amount of the compound actually administered will typically be determined by a physician, in the light of the relevant circumstances, including the condition to be treated, the chosen route of administration, the actual compound-administered, the age, weight, and response of the individual patient, the severity of the patient's symptoms, and the like.

The pharmaceutical compositions of this invention can be administered by a variety of routes including oral, rectal, transdermal, subcutaneous, intravenous, intramuscular, and intranasal. Depending on the intended route of delivery, the compounds of this invention are preferably formulated as either injectable or oral compositions or as salves, as lotions or as patches all for transdermal administration.

The compositions for oral administration can take the form of bulk liquid solutions or suspensions, or bulk powders. More commonly, however, the compositions are presented in unit dosage forms to facilitate accurate dosing. The term "unit dosage forms" refers to physically discrete units suitable as unitary dosages for human subjects and other mammals, each unit containing a predetermined quantity of active material calculated to produce the desired therapeutic effect, in association with a suitable pharmaceutical excipient. Typical unit dosage forms include prefilled, premeasured ampules or syringes of the liquid compositions or pills, tablets, capsules or the like in the case of solid compositions. In such compositions, the furansulfonic acid compound is usually a minor component (from about 0.1 to about 50% by weight or preferably from about 1 to about 40% by weight) with the remainder being various vehicles or carriers and processing aids helpful for forming the desired dosing form.

Liquid forms suitable for oral administration may include a suitable aqueous or nonaqueous vehicle with buffers, suspending and dispensing agents, colorants, flavors and the like.

Solid forms may include, for example, any of the following ingredients, or compounds of a similar nature: a binder such as microcrystalline cellulose, gum tragacanth or gelatin; an excipient such as starch or lactose, a disintegrating agent such as alginic acid, Primogel, or corn starch; a lubricant such as magnesium stearate; a glidant such as colloidal silicon dioxide; a sweetening agent such as sucrose or saccharin; or a flavoring agent such as peppermint, methyl salicylate, or orange flavoring.

Injectable compositions are typically based upon injectable sterile saline or phosphate-buffered saline or other injectable carriers known in the art. As before, the active compound in such compositions is typically a minor component, often being from about 0.05 to 10% by weight with the remainder being the injectable carrier and the like.

Transdermal compositions are typically formulated as a topical ointment or cream containing the active ingredient(s), generally in an amount ranging from about 0.01 to about 20% by weight, preferably from about 0.1 to about 20% by weight, preferably from about 0.1 to about 10% by weight, and more preferably from about 0.5 to about 15% by weight. When formulated as a ointment, the active ingredients will typically be combined with either a paraffinic or a water-miscible ointment base. Alternatively, the active ingredients may be formulated in a cream with, for example an oil-in-water cream base. Such transdermal formulations are well-known in the art and generally include additional ingredients to enhance the dermal penetration of stability of the active ingredients or the formulation. All such known transdermal formulations and ingredients are included within the scope of this invention.

The compounds of this invention can also be administered by a transdermal device. Accordingly, transdermal administration can be accomplished using a patch either of the reservoir or porous membrane type, or of a solid matrix variety.

The above-described components for orally administrable, injectable or topically administrable compositions are merely representative. Other materials as well as processing

techniques and the like are set forth in Part 8 of *Remington's Pharmaceutical Sciences*, 17th edition, 1985, Mack Publishing Company, Easton, Pa., which is incorporated herein by reference.

The compounds of this invention can also be administered in sustained release forms or from sustained release drug delivery systems. A description of representative sustained release materials can be found in *Remington's Pharmaceutical Sciences*.

The following formulation examples illustrate representative pharmaceutical compositions that may be prepared in accordance with this invention. The present invention, however, is not limited to the following pharmaceutical compositions.

Formulation 1—Tablets

A compound of the invention may be admixed as a dry powder with a dry gelatin binder in an approximate 1:2 weight ratio. A minor amount of magnesium stearate is added as a lubricant. The mixture is formed into 240-270 mg tablets (80-90 mg of active amide compound per tablet) in a tablet press.

Formulation 2—Capsules

A compound of the invention may be admixed as a dry powder with a starch diluent in an approximate 1:1 weight ratio. The mixture is filled into 250 mg capsules (125 mg of active amide compound per capsule).

Formulation 3—Liquid

A compound of the invention (125 mg), sucrose (1.75 g) and xanthan gum (4 mg) may be blended, passed through a No. 10 mesh U.S. sieve, and then mixed with a previously made solution of microcrystalline cellulose and sodium carboxymethyl cellulose (11:89, 50 mg) in water. Sodium benzoate (10 mg), flavor, and color would then be diluted with water and added with stirring. Sufficient water is then added to produce a total volume of 5 mL.

Formulation 4—Tablets

A compound of the invention may be admixed as a dry powder with a dry gelatin binder in an approximate 1:2 weight ratio. A minor amount of magnesium stearate is added as a lubricant. The mixture is formed into 450-900 mg tablets (150-300 mg of active amide compound) in a tablet press.

Formulation 5—Injection

A compound of the invention may be dissolved or suspended in a buffered sterile saline injectable aqueous medium to a concentration of approximately 5 mg/mL.

Formulation 6—Topical

Stearyl alcohol (250 g) and a white petrolatum (250 g) may be melted at about 75° C. and then a mixture of a compound of the invention (50 g) methylparaben (0.25 g), propylparaben (0.15 g), sodium lauryl sulfate (10 g), and propylene glycol (120 g) dissolved in water (about 370 g) is added and the resulting mixture is stirred until it congeals.

Methods of Treatment

The present compounds are used as therapeutic agents for the treatment of conditions in mammals that are causally

related or attributable to aberrant activity of the Wnt/wg signaling pathway. Accordingly, the compounds and pharmaceutical compositions of this invention find use as therapeutics for preventing and/or treating a variety of cancers and hyperproliferative conditions in mammals, including humans. Thus, and as stated earlier, the present invention includes within its scope, and extends to, the recited methods of treatment, as well as to the compounds for use in such methods, and for the preparation of medicaments useful for such methods.

In a method of treatment aspect, this invention provides a method of treating a mammal susceptible to or afflicted with a condition associated with cancer and/or a hyperproliferative disorder, which method comprises administering an effective amount of one or more of the pharmaceutical compositions just described.

In yet another method of treatment aspect, this invention provides a method of treating a mammal susceptible to or afflicted with a condition that gives rise to increased cellular proliferation or a transformed phenotype, or that relates to dysregulation of Wnt/wg signaling. The present oxazoles and thiazoles have use as anti-proliferative agents that reduce proliferative levels (potentially to normal levels for a particular cell type), and/or anti-transformed phenotype agents that restore, at least in part, normal phenotypic properties of a particular cell type. Accordingly, the present oxazoles and thiazoles have use for the treatment of cancers and hyperproliferative disorders relating to aberrant Wnt/wg signaling.

In additional method of treatment aspects, this invention provides methods of treating a mammal susceptible to or afflicted with a cancer causally related or attributable to aberrant activity of the Wnt/wg signaling pathway. Such cancers include, without limitation, those of the liver, colon, rectum, breast and skin. Such methods comprise administering an effective condition-treating or condition-preventing amount of one or more of the pharmaceutical compositions just described.

As a further aspect of the invention there is provided the present compounds for use as a pharmaceutical especially in the treatment or prevention of the aforementioned conditions and diseases. Also provided herein is the use of the present compounds in the manufacture of a medicament for the treatment or prevention of one of the aforementioned conditions and diseases.

Injection dose levels range from about 0.1 mg/kg/hour to at least 10 mg/kg/hour, all for from about 1 to about 120 hours and especially 24 to 96 hours. A preloading bolus of from about 0.1 mg/kg to about 10 mg/kg or more may also be administered to achieve adequate steady state levels. The maximum total dose is not expected to exceed about 2 g/day for a 40 to 80 kg human patient.

For the prevention and/or treatment of long-term conditions, such as psoriasis, the regimen for treatment usually stretches over many months or years so oral dosing is preferred for patient convenience and tolerance. Psoriasis, for example, has been linked to Wnt signaling. Several basic and clinical studies using patient samples revealed an increase in nuclear β -catenin staining in many psoriatic samples. It has been suggested that a sustained low-level increase in Wnt/ β -catenin signaling could be responsible for skin psoriatic lesions. With oral dosing, one to five and especially two to four and typically three oral doses per day are representative regimens. Using these dosing patterns, each dose provides from about 0.01 to about 20 mg/kg of the

45

compound of the invention, with preferred doses each providing from about 0.1 to about 10 mg/kg and especially about 1 to about 5 mg/kg.

Transdermal doses are generally selected to provide similar or lower blood levels than are achieved using injection doses.

When used to prevent the onset of a hyperproliferative condition, the compounds of this invention will be administered to a patient at risk for developing the condition, typically on the advice and under the supervision of a physician, at the dosage levels described above. Patients at risk for developing a particular condition generally include those that have a family history of the condition, or those who have been identified by genetic testing or screening to be particularly susceptible to developing the condition.

The compounds of this invention can be administered as the sole active agent or they can be administered in combination with other agents, including other compounds that demonstrate the same or a similar therapeutic activity, and that are determined to be safe and efficacious for such combined administration.

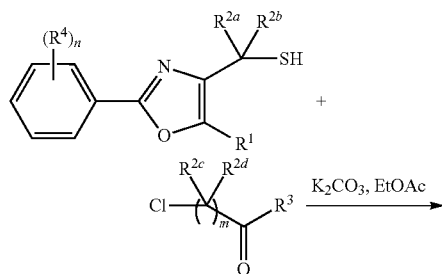
General Synthetic Procedures

The compounds of this invention may be purchased from various commercial sources or can be prepared from readily available starting materials using the following general methods and procedures. It will be appreciated that where typical or preferred process conditions (i.e., reaction temperatures, times, mole ratios of reactants, solvents, pressures, etc.) are given, other process conditions can also be used unless otherwise stated. Optimum reaction conditions may vary with the particular reactants or solvent used, but such conditions can be determined by one skilled in the art by routine optimization procedures.

Additionally, as will be apparent to those skilled in the art, conventional protecting groups may be necessary to prevent certain functional groups from undergoing undesired reactions. The choice of a suitable protecting group for a particular functional group as well as suitable conditions for protection and deprotection are well known in the art. For example, numerous protecting groups, and their introduction and removal, are described in T. W. Greene and P. G. M. Wuts, *Protecting Groups in Organic Synthesis*, Second Edition, Wiley, New York, 1991, and references cited therein.

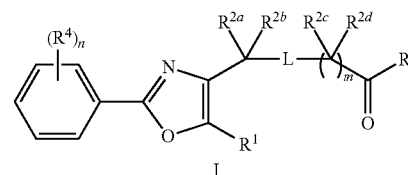
The following schemes are presented with details as to the preparation of representative compounds that have been listed hereinabove. The compounds of the invention may be prepared from known or commercially available starting materials and reagents by one skilled in the art of organic synthesis.

Representative Scheme 1

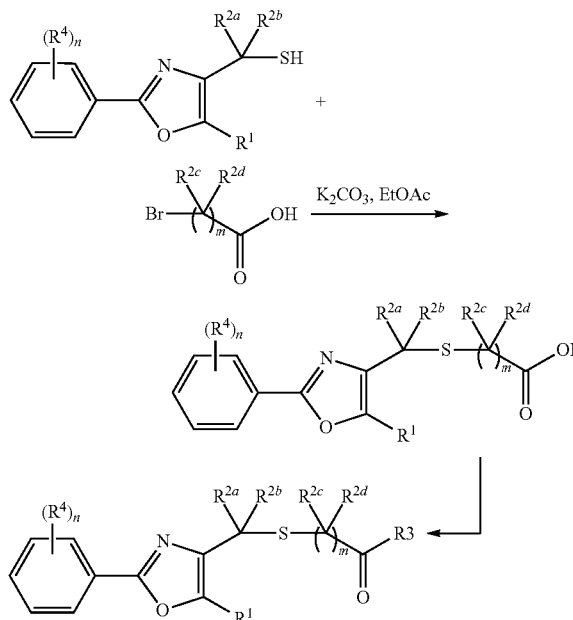


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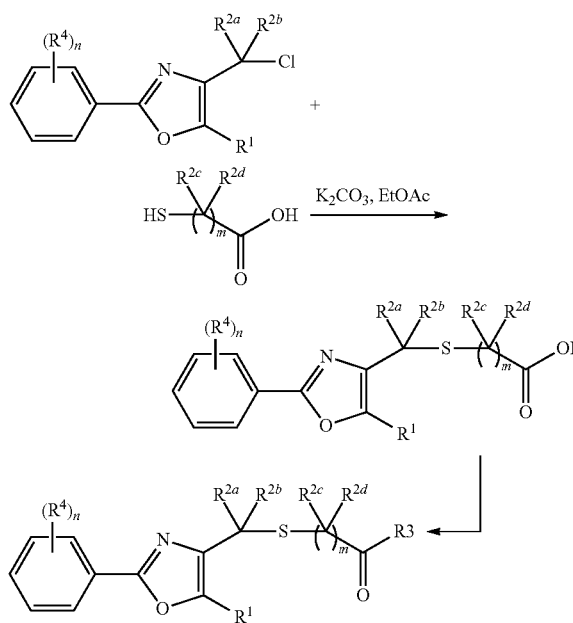
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Representative Scheme 2



Representative Scheme 3



Protocols/Methods for In Vitro Testing of Candidate Compounds

The present inventors employed a novel methodology that integrates a "sensitized" chemical genetic high-throughput screen (HTS) with RNA-interference (RNAi) screening technology in order to identify specific small molecule inhibitors of the Wnt pathway in *Drosophila* cells. As described herein, *Drosophila* Clone 8 cell-based assay systems developed by the present inventors to investigate the Wnt/wg pathway [DasGupta et al. Science 308, 826-33 (2005)] were used in a small molecule chemical genetic screen to identify specific inhibitors of the pathway. These cell-based assays, which are described in detail below, utilize a Wnt-responsive luciferase reporter dTF12, the activity of which can be determined using immunofluorescence-based visual detection means. The present inventors used the small-molecule library available from the Institute of Chemistry and Cellular Biology (ICCB-Longwood) at Harvard Medical School, Boston, for the screen.

More particularly, the method for testing and identifying compounds useful in the present invention begins with the activation of the signaling pathway by the introduction of dsRNAs specific for Axin, which is the scaffold protein that negatively regulates β -cat by promoting its GSK-3 β -mediated degradation. The resultant activation of the Wnt signaling pathway is then detected by assessing the activity of the Wnt-responsive luciferase reporter gene in the cell-based assay system. Thereafter, candidate compounds are added to the cell-based assay system to assess their effect on the strongly induced Wg-reporter-gene (TOPFlash) activity that results from the dsRNA-mediated knockdown of Axin. This protocol significantly increases the specificity of the small-molecule inhibitors for CRT and serves to identify molecules that regulate Wnt signaling activity downstream of the Axin-mediated degradation complex. Although not wishing to be bound by theory, the prediction is that the candidate compounds act on the "activated" or stable pool of β -cat and potentially prevent its interaction with known components of the transcriptional-activator complex (such as pangolin (pan)/dTcf, pygopus (pygo), legless (lgs) or Bcl9, p300/CBP), or other proteins that may function to regulate the activity of stabilized cytosolic β -cat.

Methods and Materials

Primary Small Molecule Screen for the Wingless Signaling Pathway in *Drosophila* Clone 8 Cells

Day 1 (PM):

Set up transfection with Wg-reporter (dTF12), Normalization vector (PolIII-RL) and dsRNA against DAXin (dsRNA is specific towards *Drosophila* Axin and lacks any predicted off-targets).

1. Add 40,000 *Drosophila* Clone 8 cells (in 40 μ L) in 384-well plate (white solid bottom, Corning Costar) using the multidrop.

2. Add 204 of Transfection mix in each well of a 384-well plate (Corning Costar) using the multidrop.

Transfection Mix:

TOP12x-Luc (DNA)=25 ng (0.25 μ L, of DNA @ 0.1 μ g/ μ L)

PolIII-RLuc (DNA)=25 ng (0.25 μ L of DNA @ 0.1 μ g/ μ L)

dsRNA to DAXin=100 ng (5 μ L of dsRNA @ 20 ng/ μ L)

Buffer EC=13.5 μ L

Enhancer=0.8 μ L,

Effectene=0.25 μ L

Total volume=20 μ L

Incubate at 25° C. for 4 days to ensure complete knockdown of Axin.

Day 5 (PM):

Add small molecule library (Cybio Robot). Incubate 18 hrs.

Day 6 (AM):

Assay luminescence from the samples using the "Dual-Glo" luciferase kit (Promega Inc.).

Specifically, aspirate supernatant and add 204 media+20 μ L luciferase buffer using the multidrop. Read Firefly Luciferase activity on the En Vision (Perkin Elmer plate reader). Add 20 μ L of Stop&Glo using the multidrop. Read Renilla luciferase activity on the En Vision (Perkin Elmer plate reader).

Epistasis Analysis:

Epistasis Analysis was conducted in a 96 well format following the protocol as described for the Primary Screen (above), except that, 80,000 Clone 8 cells were used per well. Small Molecule Compounds were used at a final concentration of 2.5 ng/ μ L.

Reporter Assay in Mammalian HEK 293 cells:

HEK 293 cells were transfected with 50 ng each of the Wnt-responsive STF16 luciferase reporter and pCMV-RL normalization reporter using the Lipofectamine LTX (Invitrogen Inc.) in a 96 well plate format.

Transfection Mix Per well

STF16-FLuc (DNA): 50 ng (0.54 of DNA @ 0.1 μ g/ μ L)

CMV-RLuc (DNA): 50 ng (0.5 μ L of DNA @ 0.1 μ g/ μ L)

Lipofectamine-LTX: 0.25 μ L

Serum Free Medium: 20 μ L

Cells were cultured in DMEM/10% FBS at 37° C. for 2 days following which, they were induced with Wnt3a conditioned media for 1 day and then treated with small molecule compounds to a final concentration of 2.5 ng/ μ L for approximately 18 hours. Luciferase reporter activity was then measured using the Dual-Glo system (Promega Inc.) on the Envision Plate Reader. Normalized luciferase activity in response to treatment with candidate small molecule compounds was compared to that obtained from cells treated with DMSO.

C57mg transformation Assay: The transformation assay was carried out in a 96 well format. C57 mg cells were cultured in DMEM/10% FBS supplemented with purified Wnt3a protein (R&D Systems) to a final concentration of 100 ng/ μ L. Small molecule compounds dissolved in DMSO were added to a final concentration of 10 ng/ μ L and 0.01% DMSO. Following incubation at 37° C. for 5 days, cells were fixed with 4% Formaldehyde in 1 \times PBS at RT for 30 min and washed subsequently with 1 \times PBS at room temperature (RT) for 5 minutes (\times 3). Cells were then permeabilized in Blocking buffer (0.1% Triton-X/1 \times PBS/5% Normal Goat Serum) at RT for 20 min, subsequent to which, cells were incubated with anti- β -cat at RT for 1 hour (diluted to 1:1000 in blocking buffer). Subsequently, cells were washed with 1 \times PBS at RT for 10 minutes (\times 3) and then incubated with secondary antibody and Alexa-Fluor 488 conjugated phalloidin in Blocking buffer at RT for 1 hour. Following a brief wash in 1 \times PBS, cells were imaged in PBS buffer using the Array-Scan imaging system.

Molecular validation of C57 mg transformation assay was performed by qPCR analysis of the Wnt-target gene, WISP1. First strand cDNA was prepared from C57 mg cells treated as above using Cells-to-cDNA kit (Ambion, Inc.) as directed by the manufacturer. Equal amounts of cDNA were used for qPCR analysis using primers specific for WISP1 and GAPDH (the endogenous control). Comparison of amplification kinetics of WISP1 from samples treated with compounds to those treated with DMSO (ddCt method) was used

to study changes in Wnt-directed transcriptional activity in response to treatment with candidate small molecule compounds.

Unless otherwise indicated, all experiments described herein that call for supplemental Wnt3a utilize Wnt3a conditioned media prepared by harvesting media from L-cells stably transfected with a Wnt3a coding construct (available from ATCC #CRL-2647). The cells are cultured in DMEM containing 10% fetal bovine serum (FBS). The medium, harvested from adherent cells cultured to about 80% confluency over 4 days, is purified through a 0.2 μ m filter and stored at 4° C. over several months without an appreciable loss in activity [Willert et al. *Nature* 423, 448-52 (2003)].

Results

The Wnt signaling pathway was induced by the introduction of dsRNAs specific for Axin into Clone 8 cells comprising the Wg-responsive luciferase reporter-gene (dTF12). As described herein, Axin is a scaffold protein that negatively regulates Arm/ β -cat by promoting its degradation. Thereafter, a selected set of a small molecule library was added to the Clone 8 cell-based assay system to assess the effect of individual compounds on (Axin dsRNA-mediated) activated CRT by monitoring the activity of the Wg-responsive luciferase reporter-gene (dTF12). The primary screen identified molecules that have a statistically significant effect on the activity of the dTF12-luciferase reporter gene, wherein a minimum of a 2.5-fold change in reporter activity was considered "significant" as a cut-off for hit-picking compounds for secondary screens. As shown in FIG. 1, addition of these compounds to the cells strongly repressed dTF12-reporter activity (>70-90%). Six of the strongest inhibitors are identified herein and, as indicated, share significant structural similarities suggesting that they constitute a family of compounds (i.e., a subset of oxazoles and thiazoles) that regulate a common aspect of the Wnt-pathway activity by potentially binding to the same target protein.

Epistatic Analyses:

Small molecule inhibitors identified in the primary screen may modulate Wnt signaling by affecting intermolecular interactions at any point downstream of Axin in the signaling cascade. Given that the oncogenic character of β -cat and therefore the Wnt pathway itself is caused by aberrant CRT (Park et al. *Cancer Res* 59, 4257-60 (1999); Lin et al. *Proc Natl Acad Sci USA* 97, 4262-6 (2000)), a major focus of the present invention is to study those compounds which affect Wnt-responsiveness by regulating the transcriptional complex involved in CRT. The use of dsRNAs targeted to specific components of the Wnt pathway elucidates the level at which the compounds exert their inhibitory effect on the Wnt/Wg signaling pathway. This objective can be achieved by activating the Wnt pathway in Clone 8 cells using dsRNAs targeting other known negative regulators of the Wnt pathway, such as Slimb/ β TrCP and SkpA, and assaying the effect of the compounds on the dTF12 reporter activity in these cells. Each of the aforementioned biomolecules functions to negatively regulate Wnt signaling downstream of Axin, so these analyses further delineate the stage in the Wnt pathway wherein the compound in question exerts its effect. The results of this experimental approach are presented in FIG. 2.

To gain further evidence that the compounds exert their inhibitory effect in the nucleus, they have been tested in Clone 8 cells transfected with a construct coding for a degradation resistant form of β -cat, S37A β -cat [Orford et al. *J Biol Chem* 272, 24735-8 (1997)]. This mutant form of β -cat bears a Serine to Alanine mutation, thus rendering it

refractory to GSK3 β mediated phosphorylation and hence proteasome degradation. An inhibitory effect of the compounds on the activity of S37A β -cat thus provides further proof that the compounds exert their effect on Wnt responsiveness at the level of CRT. The concentration of the compounds for all of the above assays is kept constant at 2.5 ng/ μ l, which is the same as that used for the primary screen. As shown in FIG. 3, most of the compounds exert an inhibitory effect on Wnt signaling on the transcriptional level. Data depicted in FIG. 3 show that a majority of the compounds inhibit S37A-mediated reporter activity, thus lending further support to the notion that these putative inhibitors do indeed function by abrogating the activity of stabilized β -cat in the nucleus.

Reproducibility of Inhibitory Effect of Small Molecules in Mammalian Cells:

In order to confirm and corroborate the activity of CRT inhibitor compounds in a mammalian context, the present inventors have tested a subset of the inhibitors identified in the context of established mammalian cell lines. To this end, the present inventors have optimized culture conditions for screening for Wnt signaling modulators in mammalian HEK 293 cells in a 96-well plate format. Briefly, HEK 293 cells were transfected with pSTF16-LF along with the normalization reporter, pCMV-RL and the effect of the compounds on reporter activity in such cells was determined by quantifying the luminescence from the luciferase reporter gene as described in Dasgupta et al. [supra (2005)]. As shown in FIG. 6, the present inventors have been able to recapitulate the inhibitory effect of several candidate inhibitors in these cells using the Wnt responsive luciferase reporter, STF16-LF.

In that Wnt signaling has been shown to have a profound influence on both cell fate and cell proliferation in various developmental and pathogenic contexts [Clevers. *Cell* 127, 469-80 (2006)], the present inventors have begun to investigate the activity of a subset of the CRT inhibitors identified in the primary screen in the context of other available Wnt responsive cell lines. Such cell lines can be used to ascertain further the inhibitory activity of the putative small molecule inhibitors in a phenotypic context. Such Wnt responsive cell-specific phenotypes include an assessment of transformation of the C57 mg mammary epithelial cell line, neural differentiation capacity of G-Olig2 ES cells, E-cadherin expression in the HT-29 colon cancer cell line, and Wnt induced invasive capacity of the MCF-7 breast adenocarcinoma cell line.

The C57 mg cell line, which was isolated from mouse mammary epithelial tissue [Wong et al. *Mol Cell Biol* 14, 6278-86 (1994)], has previously been shown to undergo transformation when cultured in Wnt-conditioned media. Transformation of the cell line is evidenced by pronounced changes in morphology, typified by formation of chord-like bundles of cells or foci-forming colonies that break off and float in the media [Wong et al. supra, 1994]. This Wnt responsive phenotype provides a mammalian assay in which to evaluate the inhibitory effect of the small molecule inhibitors identified in the primary screen. Briefly, cells are cultured in Wnt3a conditioned media in the presence or absence of a small molecule inhibitor and morphological analysis conducted using automated microscopy.

The present inventors have established a phenotypic assay using the Wnt-responsive C57 mg mouse mammary epithelial cell line to ascertain the validity of the inhibitory compounds identified in the primary screen. Specifically, addition of Wnt3a conditioned media or purified Wnt3a protein results in cellular transformation, manifested by a

pronounced change from an epithelial-cell like morphology to those resembling spindle shaped cells with chord like bundles. Addition of candidate small molecule compounds to such cells in the presence of Wnt3a results in significant inhibition of the transformation phenotype. The Array-Scan imaging system (Cellomics Inc.) is used to image such phenotypic changes in a 96-well plate format so as to gain a quantitative estimate of the degree of the inhibitory effect of the compounds on Wnt3a induced transformation in C57 mg cells. Quantitative analysis of the transformation phenotype is measured by the degree of actin fiber alignment (defined as anisotropy), which is expressed as the standard deviation (SD) of the angles projected by the actin fibers relative to the normal; low SD numbers reflect an increase in Wnt-responsive transformation. This approach allows for objective inferences on the cellular effects of the candidate inhibitors. See FIG. 5.

As depicted in FIG. 5, compounds 10 and 14 show a significant inhibition of Wnt3a induced C57 mg transformation, whereas compounds 1, 5, 8, 11, 12, 13, 18 and 22 show a partial reduction in the degree of transformation. It should be noted that the degree of inhibitory effect of the compounds on Wnt-induced phenotypes may vary with different cellular types. For example, compounds 10 and 14 are poor inhibitors of TOP12-LF activity in HEK-293 cells (see FIG. 4), and yet seem to be potent inhibitors of Wnt3a-induced transformation in C57 mg cells. This could perhaps be due to their effect on the interaction of β -cat with different transcriptional co-factors in the nucleus that drive transcription of different targets. However to further validate the efficacy of candidate compounds in inhibiting Wnt-induced C57 mg transformation, the present inventors monitored changes in the expression of WISP1 mRNA by qRT-PCR. WISP1 is the key β -catenin target responsible for C57 mg transformation in response to Wnt signaling [Xu et al. Genes Dev. 14, 585-95 (2000)]. Reduction in the level of WISP1 mRNA correlates highly with the observed phenotypic rescue in response to Wnt exposure (FIG. 6).

The HT-29 colon cancer cell line has been shown to undergo β -cat/TCF dependent Epithelial Mesenchymal Transition (EMT) which can be monitored by changes in both morphology and downregulation of E-cadherin expression levels and upregulation of vimentin [Yang et al. Cell 127, 139-55 (2006)]. The HT-29 cell line, therefore, provides a model system for analysis of the candidate small molecule inhibitors in the context of a transformed colon cancer cell. Accordingly, the present inventors will treat HT-29 cells with candidate small molecules and assay E-cadherin and vimentin levels by western blotting as well as immunohistochemistry using commercially available antibodies. Furthermore, morphological analysis by compound differential contrast (DIC) microscopy will also be used to determine the effect of the compounds in inhibiting β -cat dependent EMT.

The MCF-7 breast cancer cell line exhibits a pronounced invasive capacity in response to Wnt signaling [Yook et al. Nat Cell Biol 8, 1398-406 (2006)]. To utilize this cell line to assess the activity of Wnt inhibitor compounds identified, MCF-7 cells can be transduced with recombinant retroviral vectors coding for Wnt3a or β -cat-S33Y, a constitutively active form of β -cat [as described in Yook et al. supra, (2006)]. The retroviral vectors will be prepared from pPGS- β -cateninS33Y- or pPGS-Wnt3a-transfected 293 packaging cells. MCF-7 cells transduced with these retroviral vectors can be loaded onto the upper chamber of Matrigel (prepared in serum-free DMEM culture media) containing Transwells, which are subsequently cultured in complete media with

inhibitory compounds or DMSO. The cultures will be incubated at 37° C. in a humidified chamber for 24-72 hrs. Following incubation of the cell-loaded Matrigel, non-invasive cells are scraped off and the invaded cells counted by simple light microscopy by fixing and staining with Trypan Blue [Valster et al. Methods 37, 208-15 (2005)]. Results derived from this assay will provide insights into the use of compounds as inhibitors of the metastatic potential of malignant cells in general and malignant breast cancer cells in particular.

G-Olig2 ES cells (available from ATCC) contain a GFP insertion in the gene for Olig 2, a neural lineage specific transcription factor. Neural differentiation, therefore, results in the upregulation of GFP-positive cells. Neural differentiation of G-Olig2 ES cells can be induced by treating these cells with synthetic Retinoic Acid (RA) following the appearance of Embryoid bodies in culture. It has previously been shown that Wnt signaling inhibits neural differentiation of ES cells [Bouhon et al. Brain Res Bull 68, 62-75 (2005)]. To assay the inhibitory effect of the candidate compounds, the present inventors will culture the above ES cells in Wnt3a conditioned media containing RA and individual compounds and determine the number of GFP positive cells by Flow Cytometry. The inhibitory effect on Wnt signaling will be reflected by a reduction in the number of GFP positive differentiated cells in cultures treated with DMSO+RA as compared to those treated with compound+RA.

Although the present Example is directed to screening in the context of an "activated" Wnt pathway, it will be appreciated that other components of the pathway that promote Wnt signaling can be targeted for RNAi mediated ablation and the result of such an approach would be an "inhibited" Wnt pathway. In either event, the cellular milieu of an "activated" or an "inhibited" Wnt pathway can be used as a genetic background in which to perform small molecule/compound chemical screens directed to the identification of small molecules/compounds such as those of the present invention, that modulate the activity of a specific component of a signaling pathway.

Example 2

Protocols/Methods for In Vitro and In Vivo Testing

Preliminary in vivo tests to assay the efficacy of the compounds will be performed in the zebrafish, *Danio rerio*, wherein increased Wnt signaling during zebrafish embryonic development results in axial specification defects and loss of anterior fates. This is commonly manifested by loss of or reduced eye-structures. To test the effectiveness of the compounds in inhibiting Wnt-signaling in a whole organismal context, one-cell embryos will be injected with synthetic Wnt8 mRNA and cultured in the presence of DMSO or individual compounds. Inhibitory activity of the compounds will be assayed by quantifying the penetrance of the Wnt8 induced phenotype.

Upon successful in vivo validation of the compounds in an animal model system, their efficacy will be further tested in the clinically relevant mouse model system, viz. the APC_{min} mouse. Loss of APC function results in an increase in the level of signaling competent β -catenin, which has been shown to be the causative factor in the induction of colon cancer in the above mouse model. Such mice will be administered candidate compounds and assayed for the regression of tumors resulting from increased Wnt signaling

53

in the APC_{min} mouse. Standardized protocols for tail-vein and/or tissue injections will be used.

Example 3

The colon carcinoma cell line, HCT-116 offers a pathologically-relevant system to examine the effects of candidate Wnt-inhibitors. HCT-116 cells bear a deletion of the S45 residue in β -cat, making it refractory to phosphorylation and degradation, thereby resulting in constitutive CRT. Wnt targets such as CycD1 and c-myc are thus overexpressed in this cell-type.

In order to test the inhibitory effect of candidate compounds on the transcription of endogenous Wnt/ β -cat target genes in HCT116 cells, lysates were prepared from cells that were either treated with candidate small molecules or DMSO control. As shown in FIG. 7, the protein levels of CycD1 and c-myc were markedly reduced upon the addition of increasing concentrations of candidate compounds. qRT-PCR assays for the CycD1 and c-myc locus confirmed that the changes in their protein level reflected a change in their mRNA transcription (FIG. 8), further corroborating the effect of the candidate small molecules at the level of modulating CRT. Taken together, our analyses suggest a common theme of CRT-inhibition by these candidate compounds in a wide variety of Wnt-responsive heterologous cell types, thus making them ideal lead compounds for drug development for Wnt/CRT-related human disease. Finally, as predicted for the inhibition of target genes involved in cell cycle and cell proliferation, flow cytometry analyses of HCT116 cells treated with candidate compounds showed a G0/G1 arrest of the cell cycle (FIG. 9). Cell cycle arrest of compound treated HCT116 cells was further confirmed by the reduced number of phosphorylated Histone3 (PH3) positive cells, when cultured in the presence of candidate compounds (FIG. 10).

C3: Oxazole

C5: Thiazole

54

Example 4

Additional Protocols

HCT116 cells were obtained from ATCC (CCL-247) and cultured in McCoy's 5A medium supplemented with 10% Fetal Bovine Serum (FBS) at 37° C. with 5% CO₂. Target accumulation validations were performed by qPCR following treatment with the lead compounds. Briefly, cells were treated specified concentrations of compounds for 1 day, and lysed in 50 μ l of Cell Lysis Buffer (Ambion #AM8723) at 75° C./10'. First-strand cDNA was prepared using High-Capacity Reverse Transcription Kit (Applied Biosystems #4368814) as per manufacturer's instructions. Real-time qPCR was carried out for CycD1, c-Myc and GAPDH2 (endogenous control) using pre-validated gene-specific primer pairs from Qiagen and the SYBr green PCR master mix from Applied Biosystems. Data analysis was performed using the MxPro-Mx3005P system from Stratagene using the ddCt method.

Flow Cytometry analysis was performed on HCT116 cells treated with candidate compounds for 16 hrs per standard protocols. Briefly, compound treated cells were harvested and washed in 1 \times PBS followed by fixation in 70% Ethanol at 4° C. for 16 hrs. Cells were then washed in 1 \times PBS and treated with RNase at 37° C. for 30'. Following extensive washes in 1 \times PBS, cellular DNA was stained with 500 μ g/ml of Propidium Iodide at room temperature for 10'. Cells were washed again in 1 \times PBS and analysed by flow cytometry on a FACScalibur machine (Beckson Dickinson) at the NYU flow cytometry core facility.

Example 5

Exemplary Compounds of the Invention

The following compounds, as exemplified in Tables 1-10, have been purchased, or can be purchased, or can be prepared according to the synthetic schemes described herein, or can be prepared according to the synthetic methods known to one skilled in the art.

TABLE 1

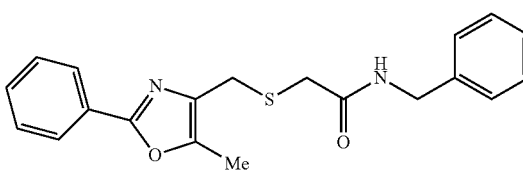
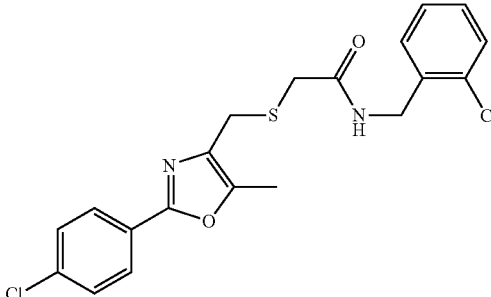
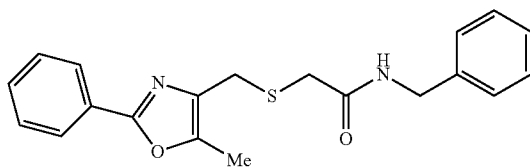
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
Ila-1		421.35
		

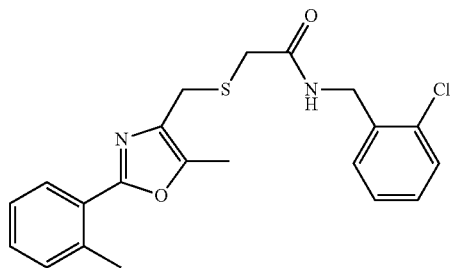
TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
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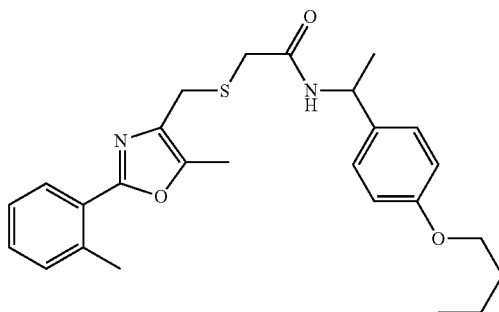


ID	Structure	MW
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IIa-2		400.93
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IIa-3		452.62
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IIa-4		466.65
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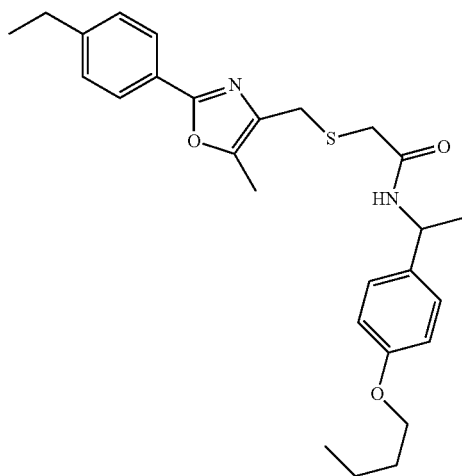


TABLE 1-continued

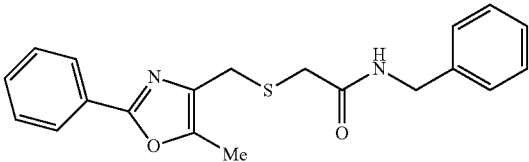
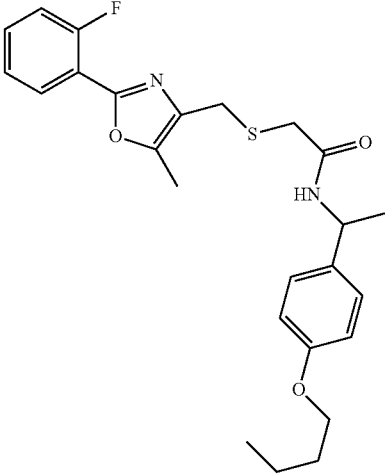
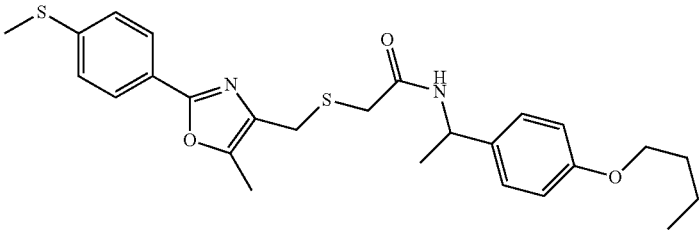
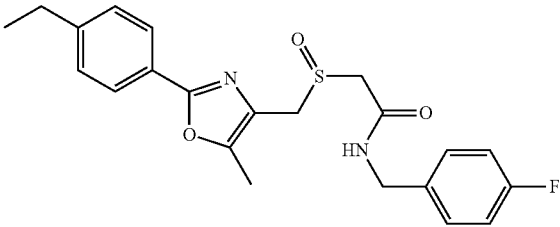
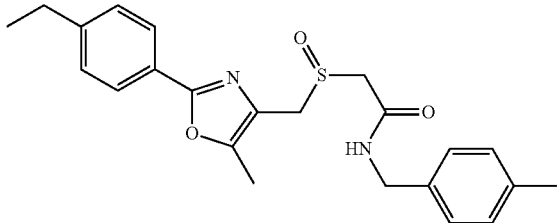
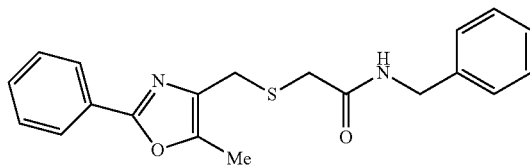
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-5		456.58
IIa-6		484.68
IIa-7		414.50
IIa-8		410.54

TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-9		430.96
IIa-10		430.96
IIa-11		396.51
IIa-12		440.52

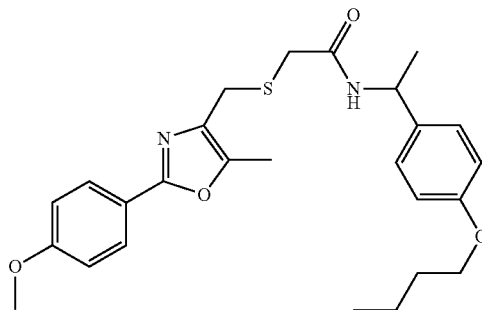
TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
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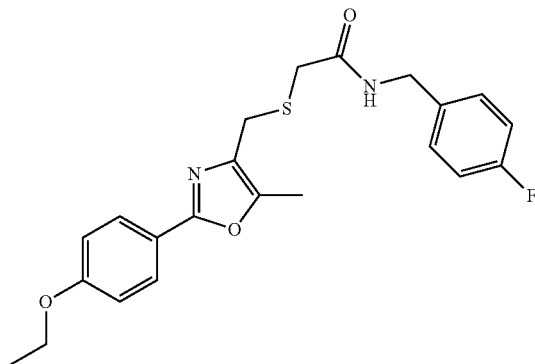


ID	Structure	MW
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IIa-13		468.62
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IIa-14		414.50
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IIa-15		396.51
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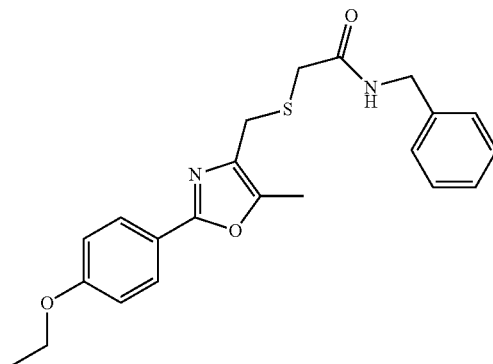


TABLE 1-continued

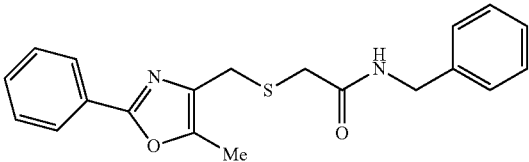
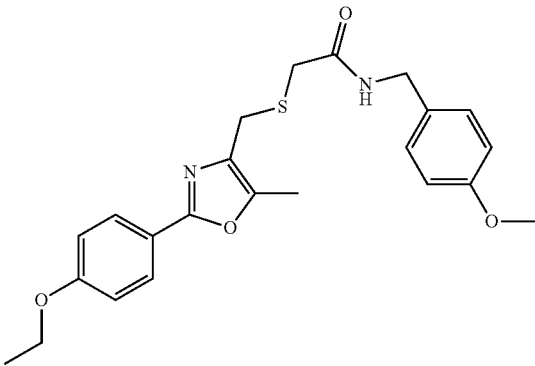
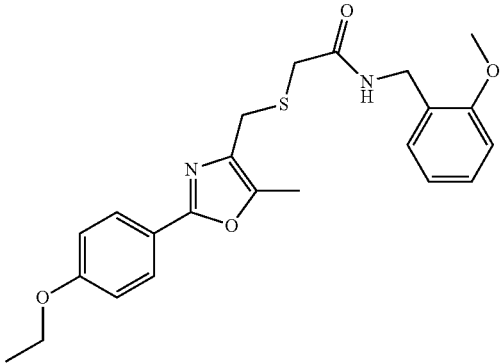
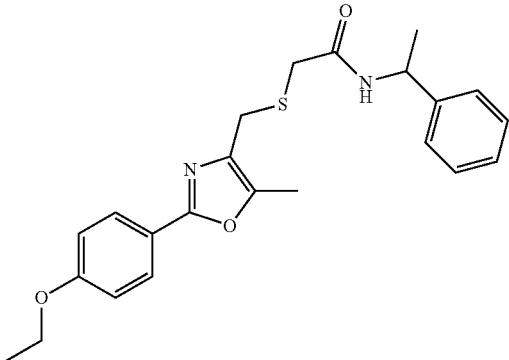
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-16		426.54
IIa-17		426.54
IIa-18		410.54

TABLE 1-continued

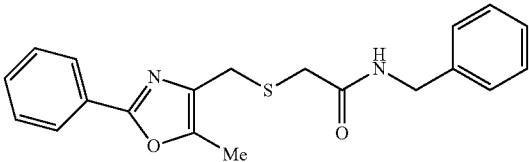
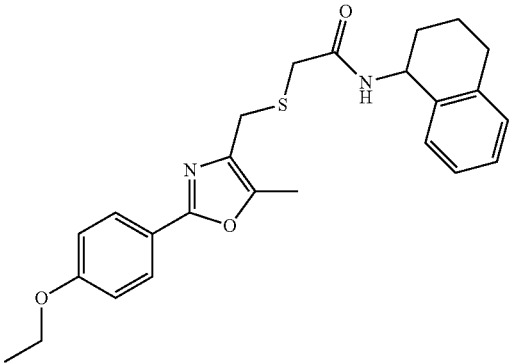
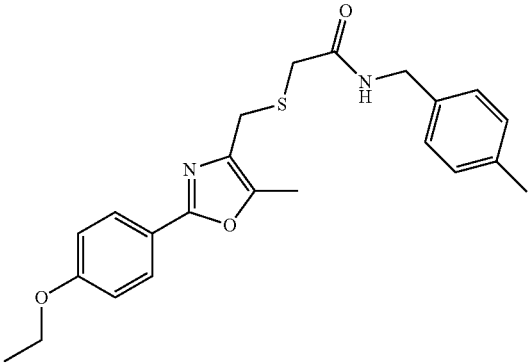
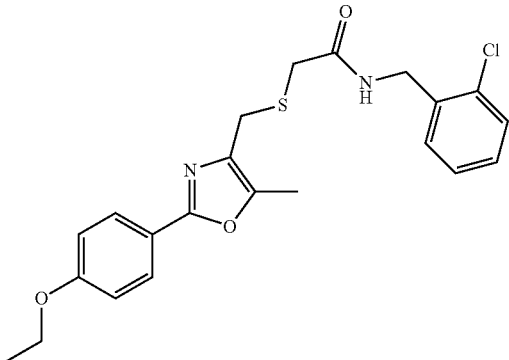
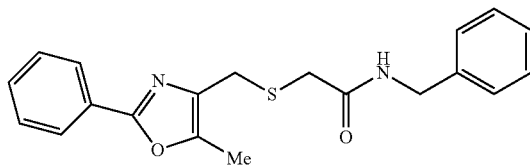
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-19		436.58
IIa-20		410.54
IIa-21		430.96

TABLE 1-continued

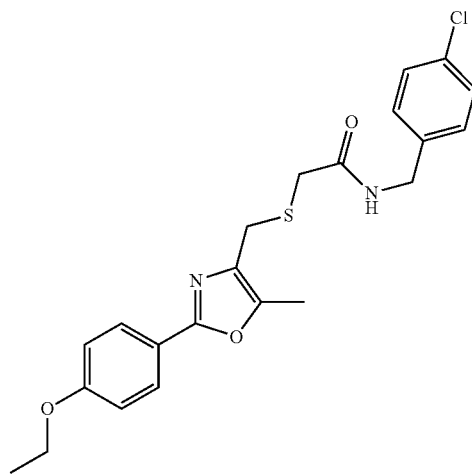
Oxazole amides (R ³ = NH-benzyl)		
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ID	Structure	MW
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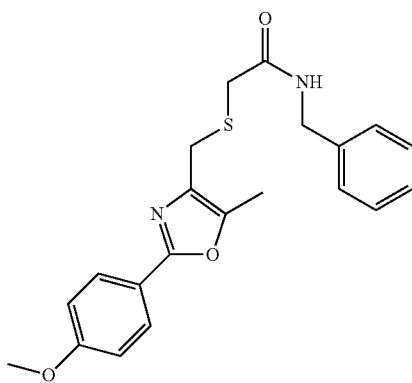
IIa-22

430.96



IIa-23

382.49



IIa-24

416.93

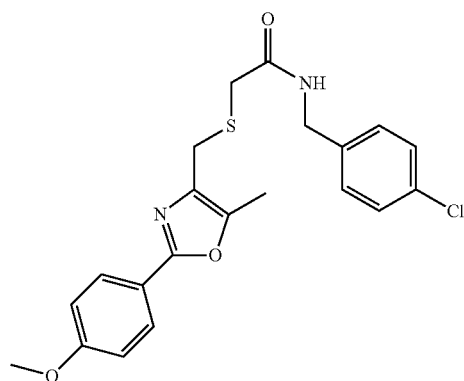
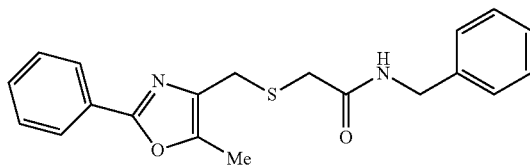
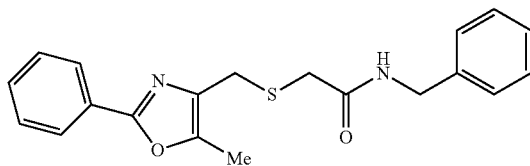


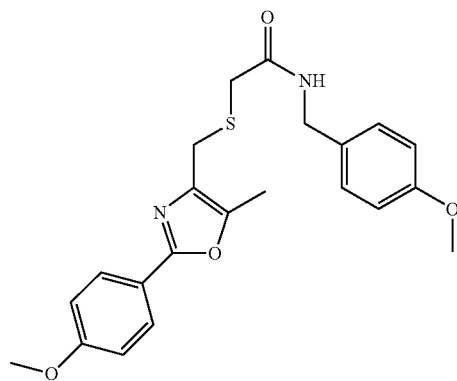
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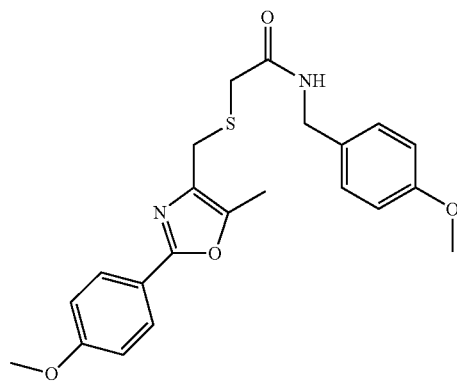
Oxazole amides (R ³ = NH-benzyl)		
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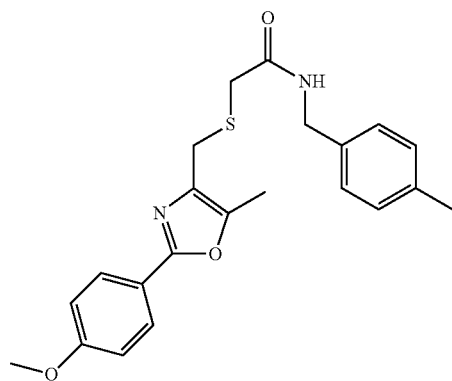


ID	Structure	MW
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IIa-25		412.51
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IIa-26		396.51
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IIa-27		426.50
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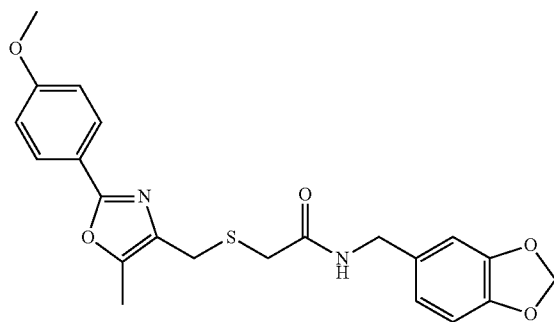
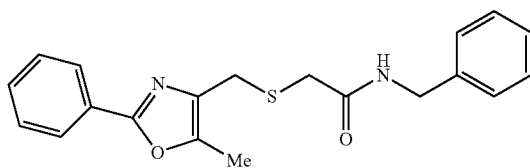
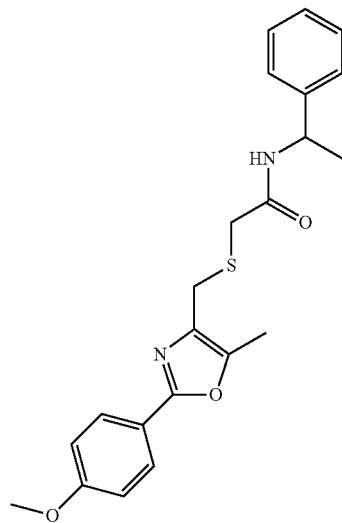


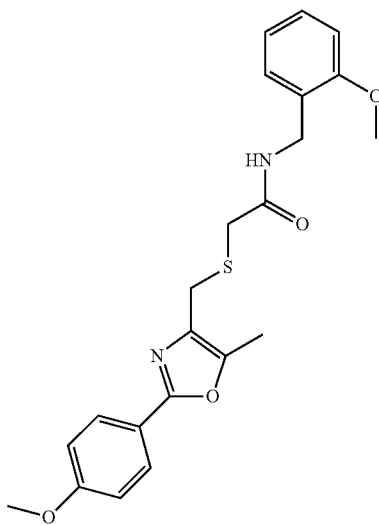
TABLE 1-continued

Oxazole amides (R³ = NH-benzyl)

ID	Structure	MW
IIa-28		396.51



IIa-29		412.51
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IIa-30		422.55
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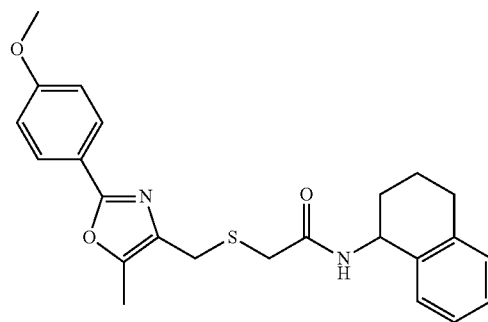
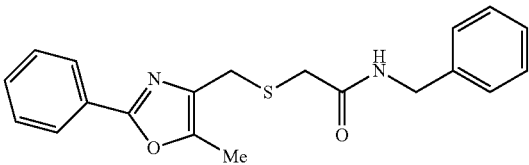
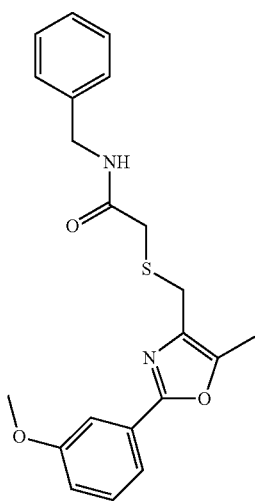


TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW

IIa-31

382.49



IIa-32

416.93

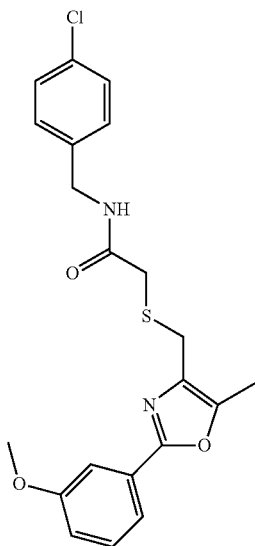
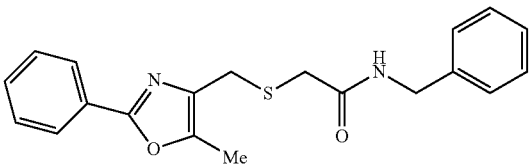
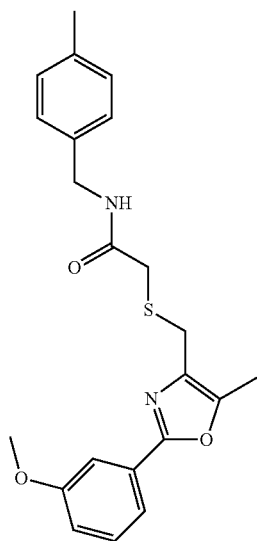


TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW

IIa-33

396.51



IIa-34

412.51

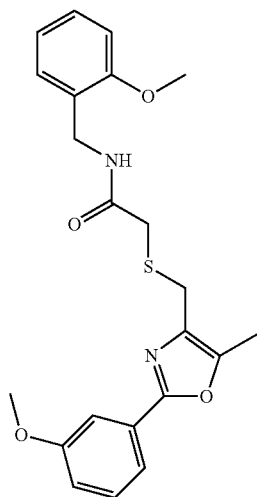


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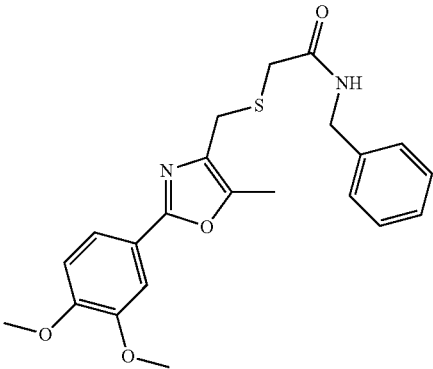
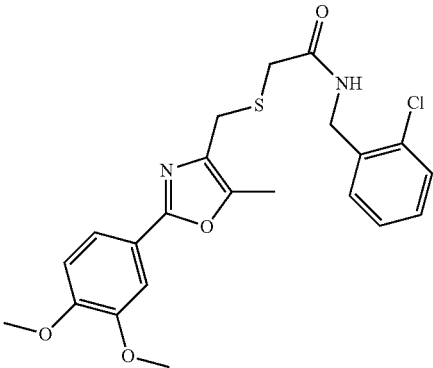
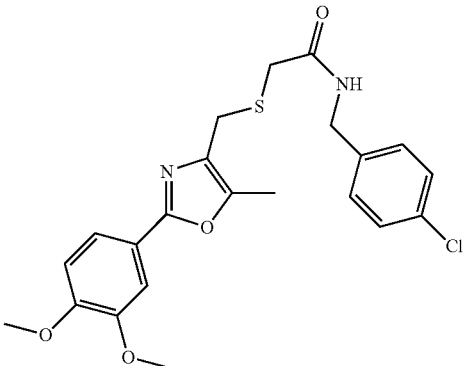
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-35		412.51
IIa-36		446.96
IIa-37		446.96

TABLE 1-continued

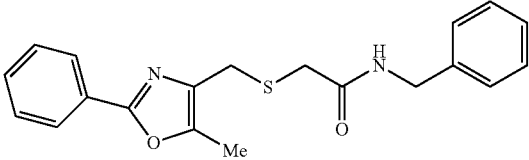
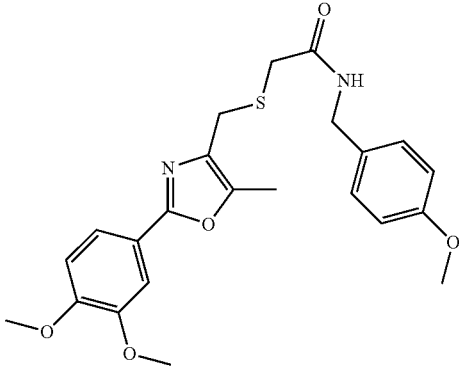
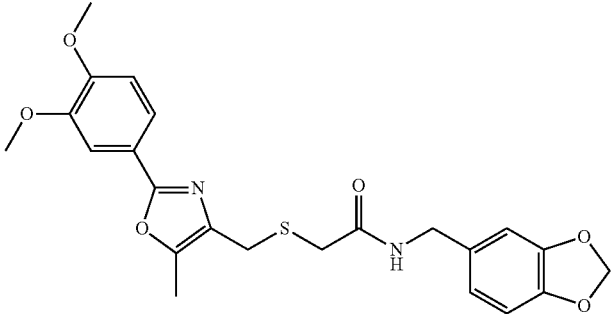
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
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IIa-39		456.52
IIa-40		426.54

TABLE 1-continued

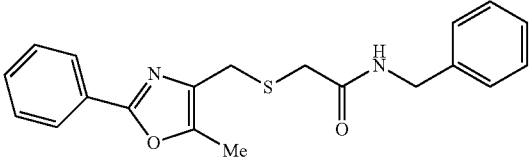
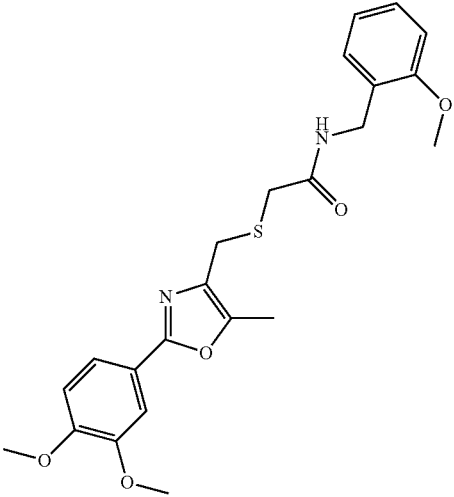
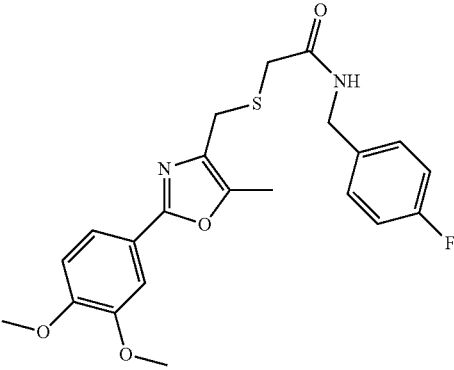
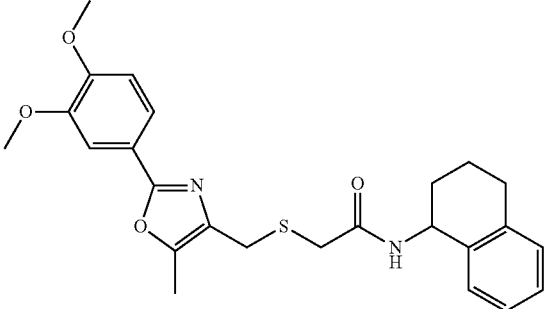
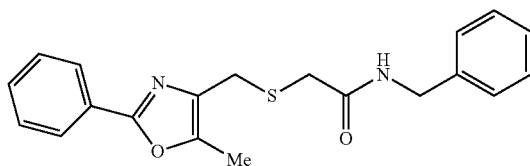
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
		
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IIa-42		430.50
IIa-43		452.58

TABLE 1-continued

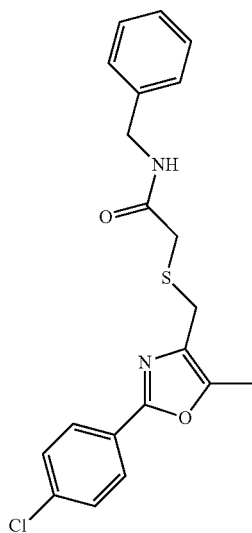
Oxazole amides (R ³ = NH-benzyl)		
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ID	Structure	MW
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IIa-44

386.90



IIa-45

421.35

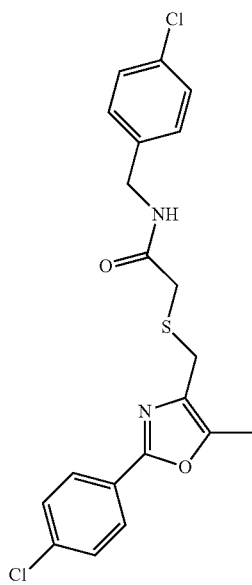
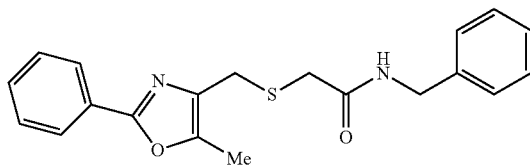


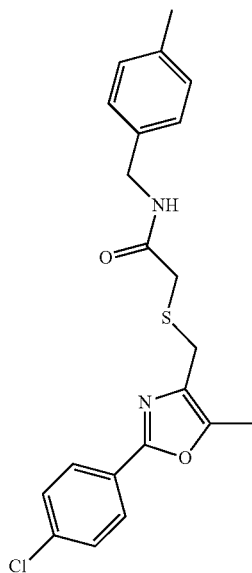
TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
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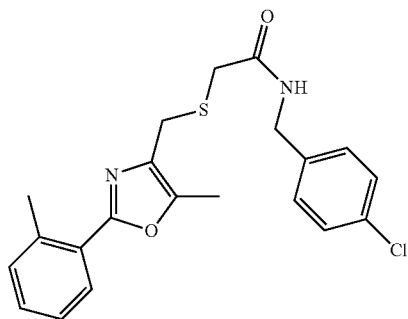


ID	Structure	MW
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IIa-46		400.93
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IIa-47		400.93
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IIa-48		396.51
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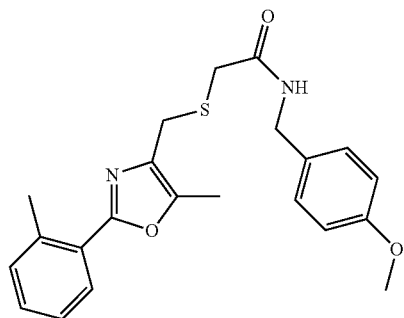


TABLE 1-continued

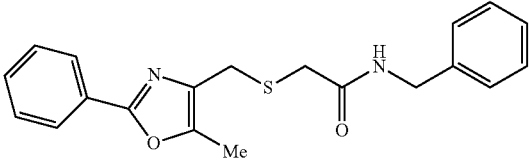
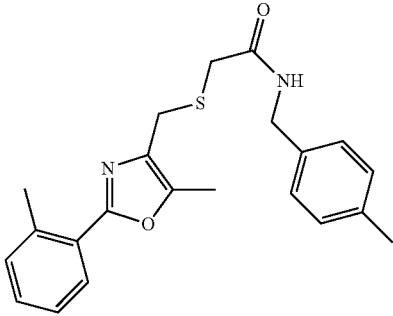
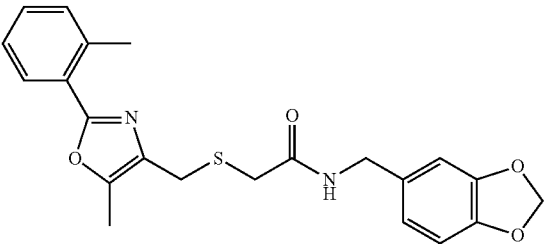
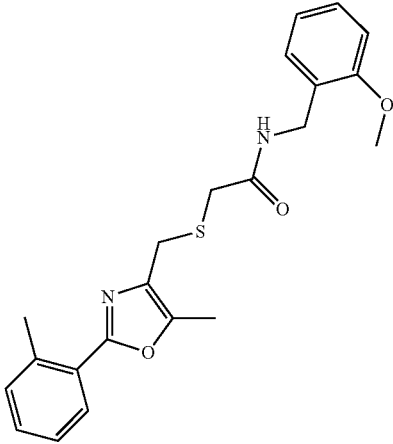
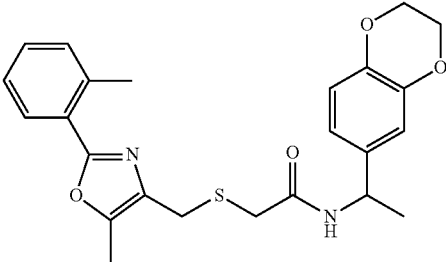
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
		
IIa-49		380.51
IIa-50		410.50
IIa-51		396.51
IIa-52		438.55

TABLE 1-continued

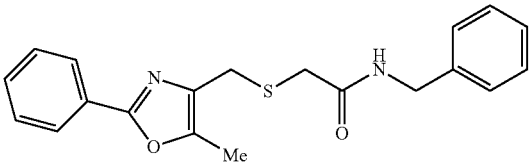
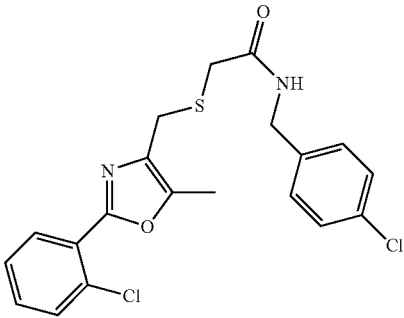
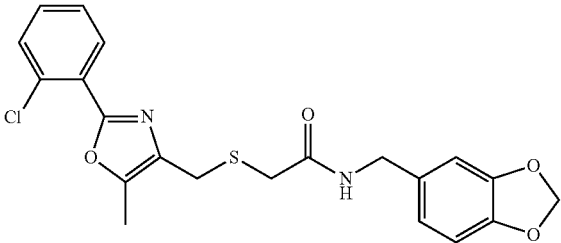
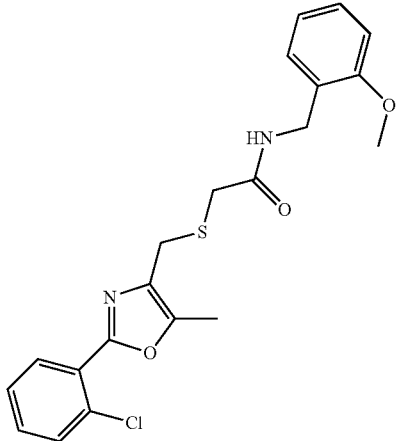
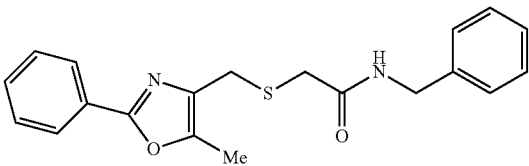
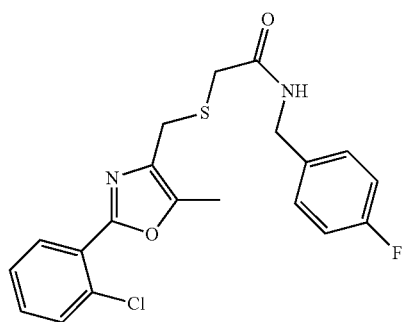
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-53		421.35
IIa-54		400.93
IIa-55		430.91
IIa-56		416.93

TABLE 1-continued

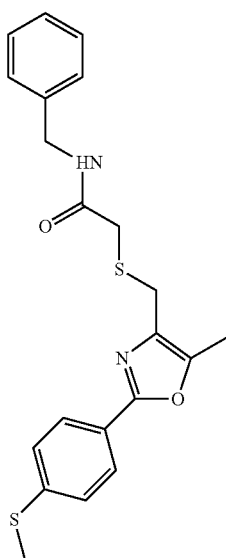
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW

IIa-57



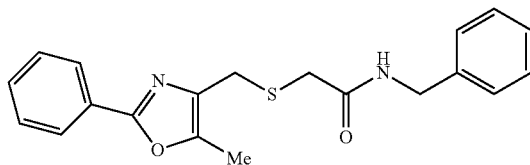
404.89

IIa-58



398.55

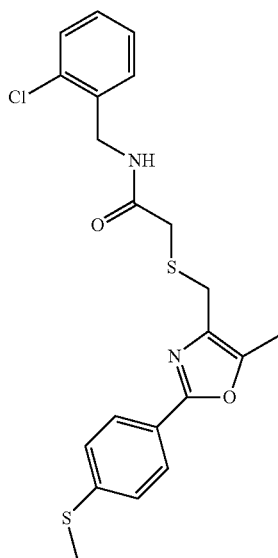
TABLE 1-continued

Oxazole amides (R³ = NH-benzyl)

ID	Structure	MW
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IIa-59

432.99



IIa-60

432.99

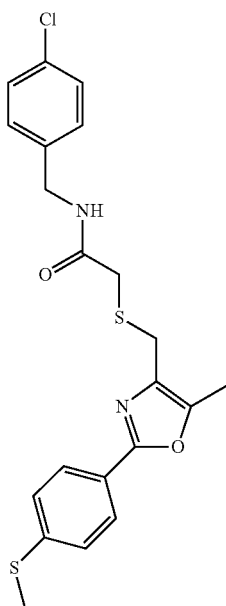


TABLE 1-continued

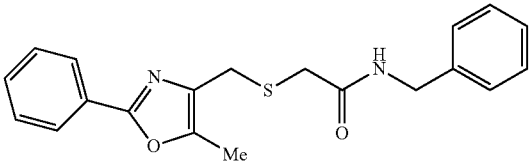
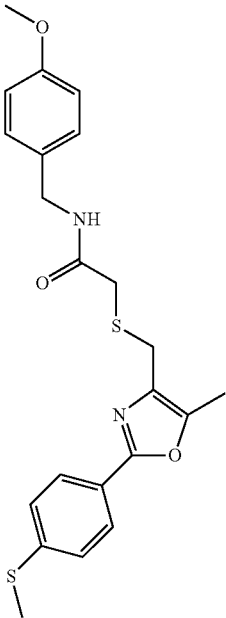
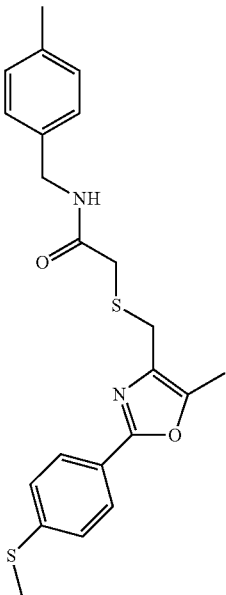
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-61		428.58
IIa-62		412.58

TABLE 1-continued

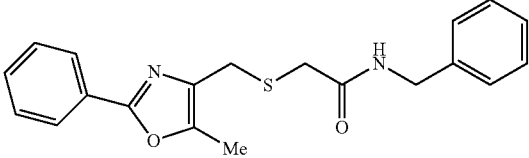
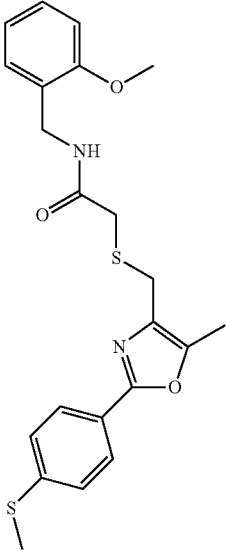
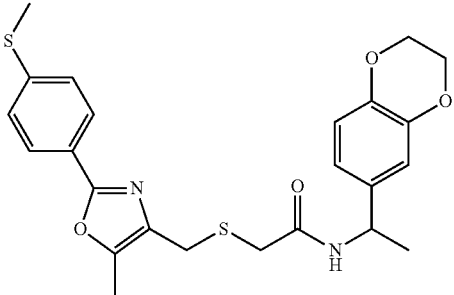
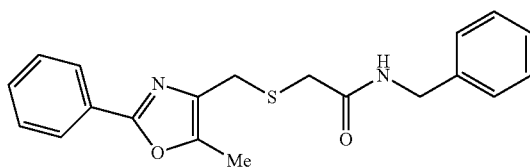
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-63		442.56
IIa-64		428.58
IIa-65		470.61

TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
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ID	Structure	MW
IIa-66		380.51

IIa-67		414.96
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IIa-68		414.96
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TABLE 1-continued

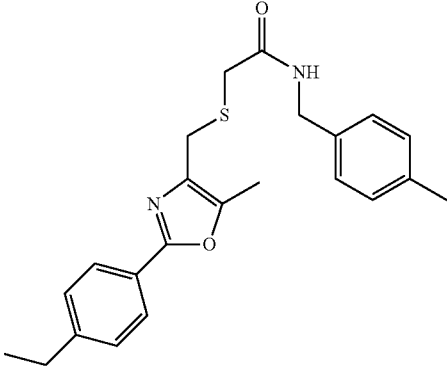
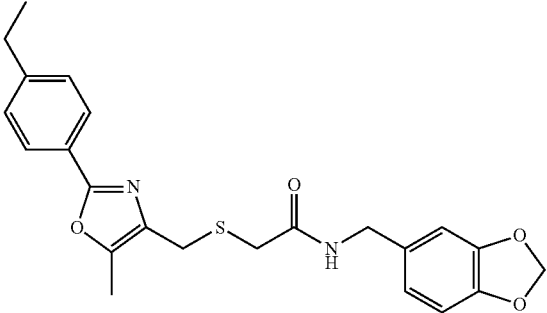
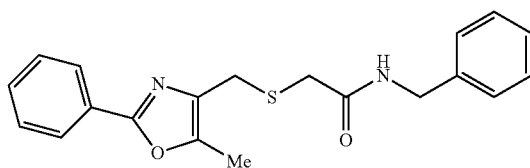
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-69		
IIa-69		410.54
IIa-70		394.54
IIa-71		424.52

TABLE 1-continued

Oxazole amides (R³ = NH-benzyl)

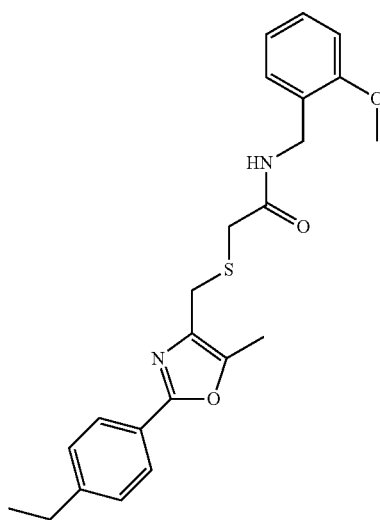


ID

Structure

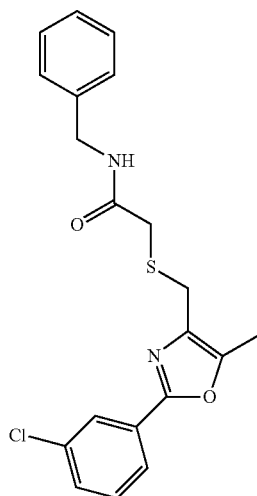
MW

IIa-72



410.54

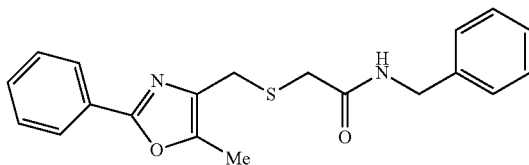
IIa-73



386.90

TABLE 1-continued

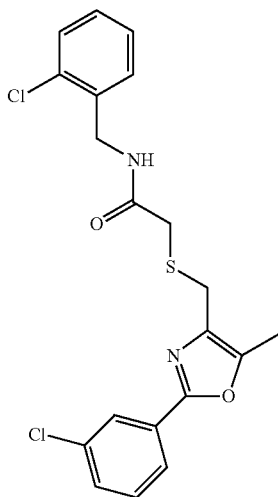
Oxazole amides (R ³ = NH-benzyl)		
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ID	Structure	MW
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IIa-74

421.35



IIa-75

421.35

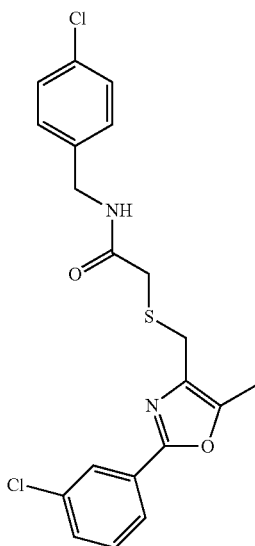
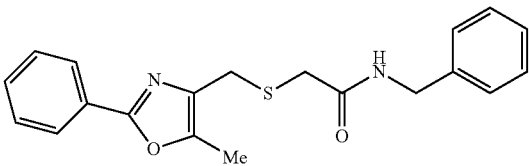
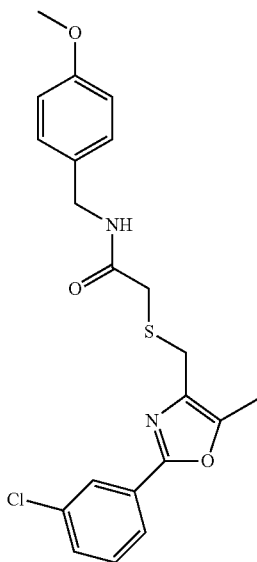


TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW

IIa-76

416.93



IIa-77

404.89

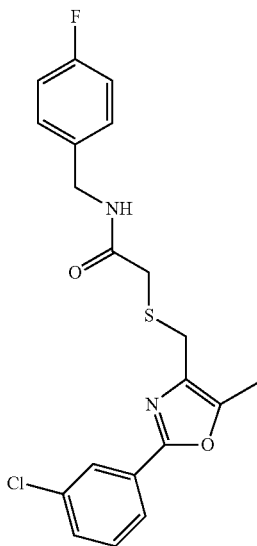


TABLE 1-continued

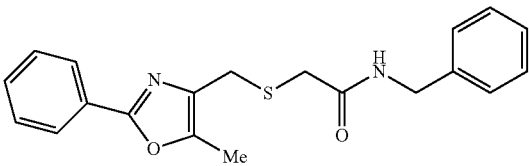
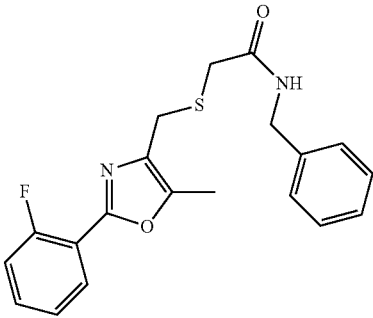
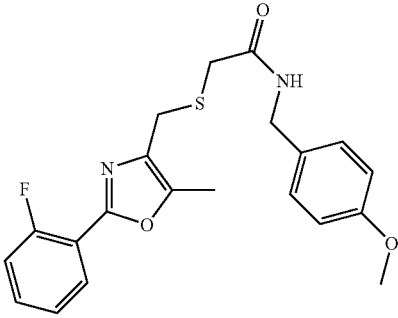
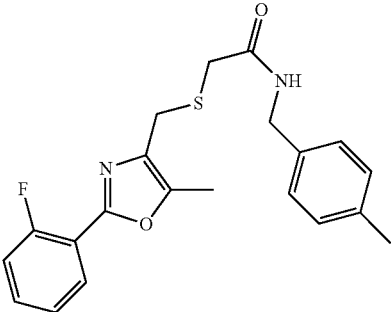
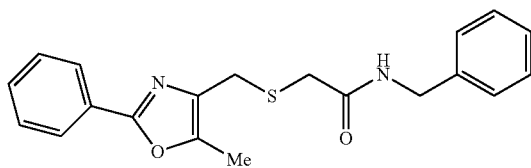
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-78		370.45
IIa-79		404.89
IIa-80		400.48
IIa-81		384.48

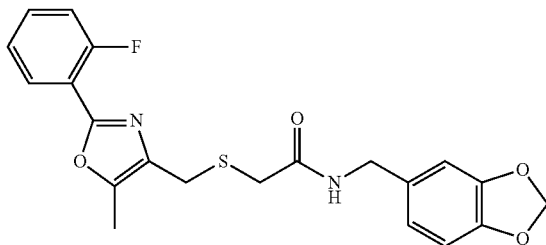
TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
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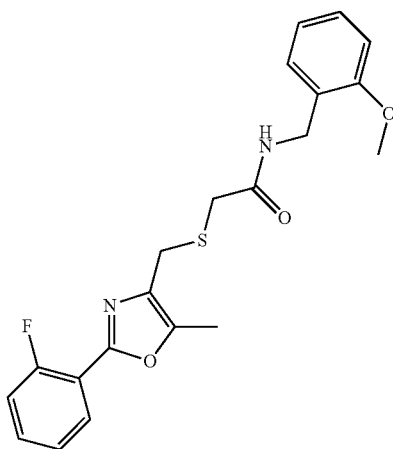


ID	Structure	MW
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IIa-82 414.46



IIa-83 400.48



IIa-84 366.49

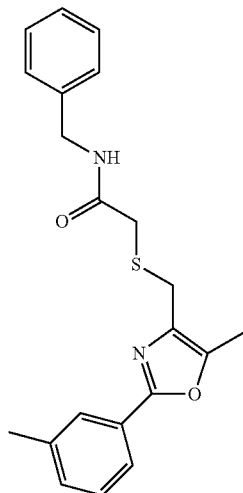
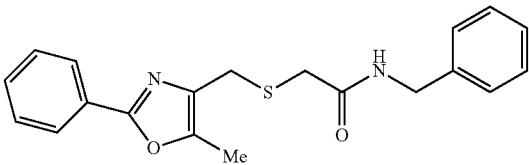
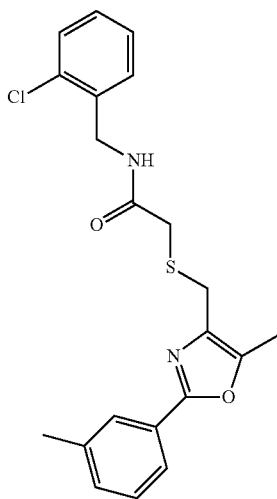


TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW

IIa-85

400.93



IIa-86

400.93

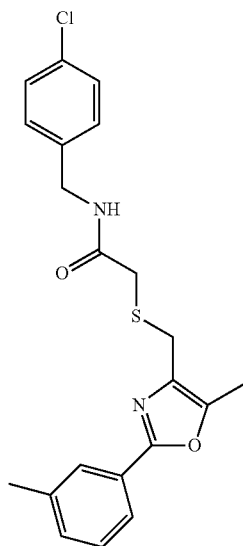
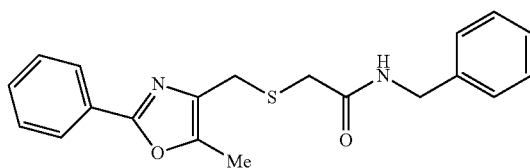


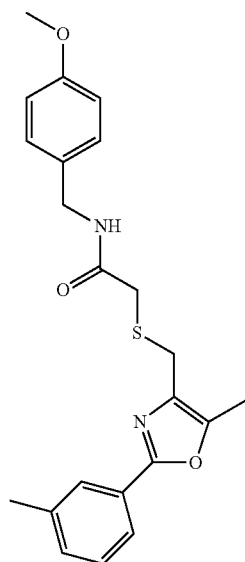
TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
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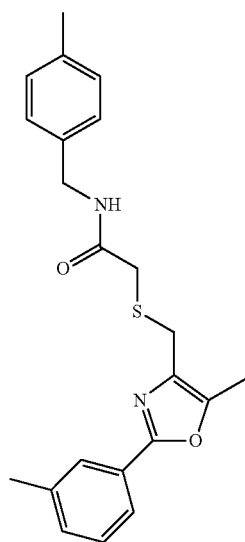
ID	Structure	MW
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IIa-87



396.51

IIa-88



380.51

TABLE 1-continued

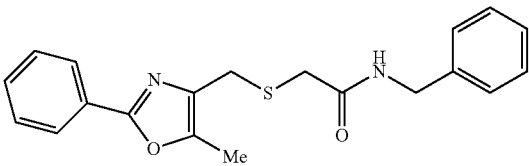
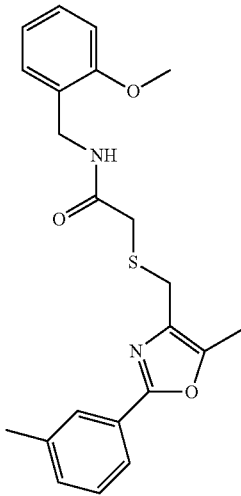
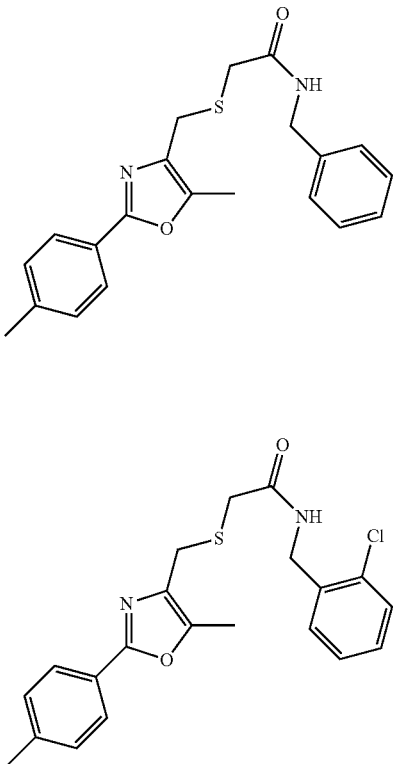
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-89		396.51
IIa-90		366.49
IIa-91		400.93

TABLE 1-continued

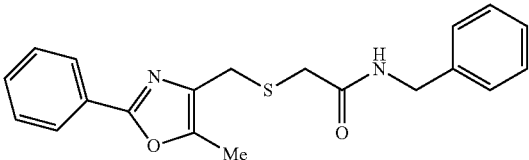
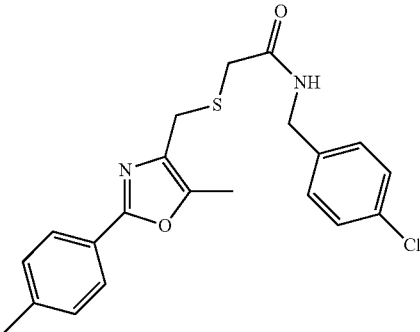
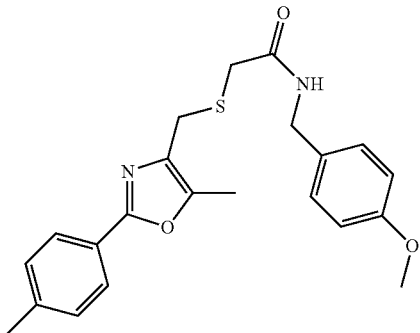
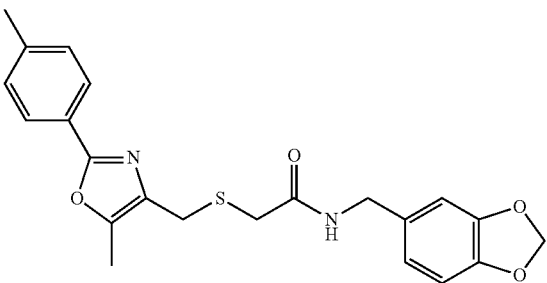
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-92		400.93
IIa-93		396.51
IIa-94		380.51
IIa-95		410.50

TABLE 1-continued

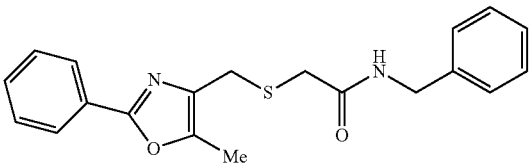
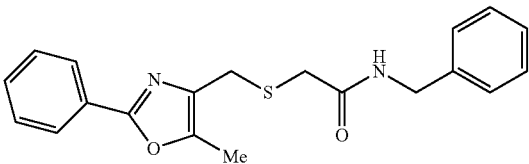
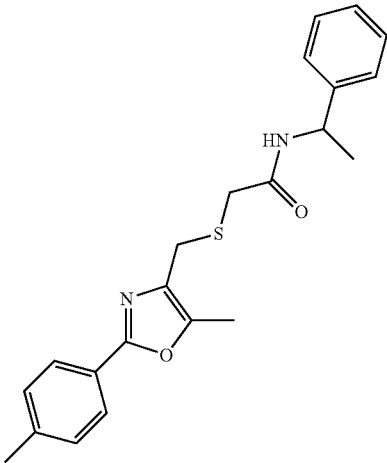
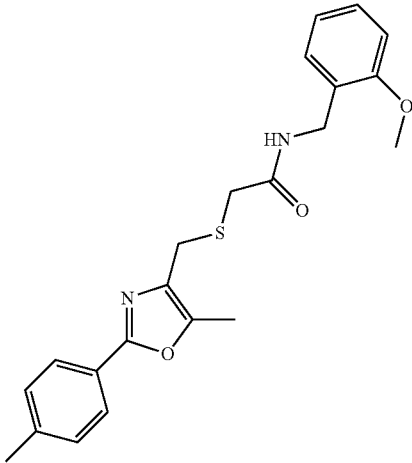
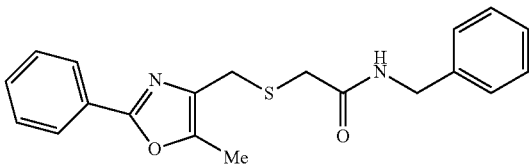
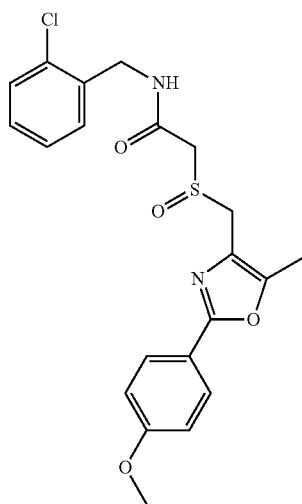
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-96		380.51
IIa-97		396.51
IIa-98		398.48

TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW

IIa-99

432.93



IIa-100

432.93

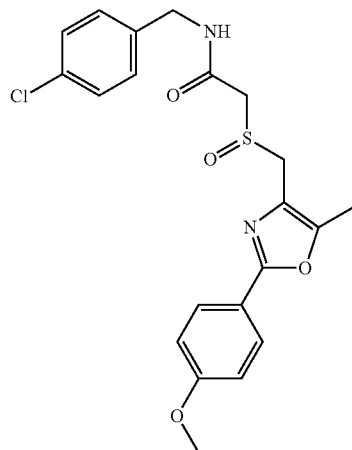
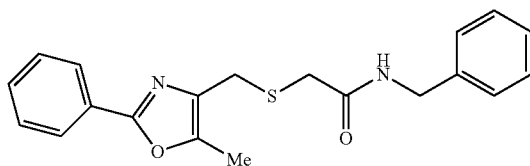


TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
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ID	Structure	MW
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IIa-101		428.51
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IIa-102		412.51
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IIa-103		442.49
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TABLE 1-continued

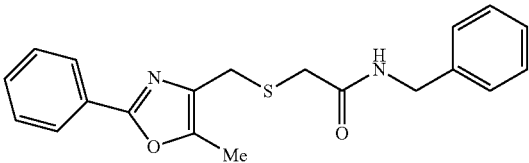
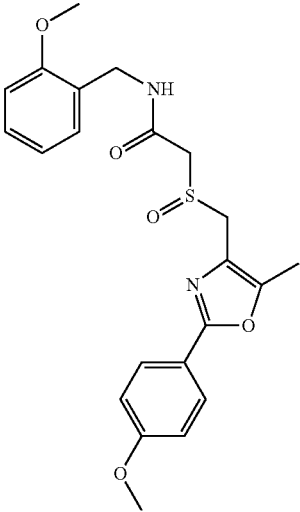
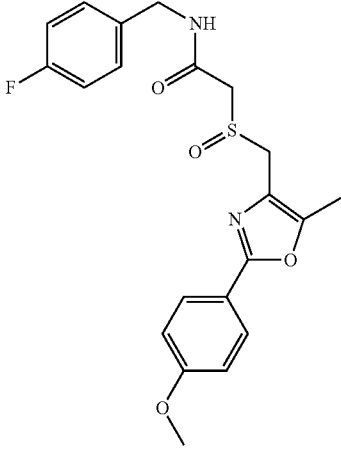
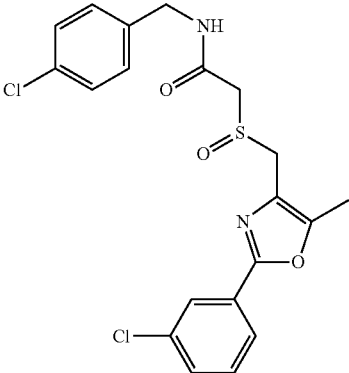
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-104		428.51
IIa-105		416.47
IIa-106		437.35

TABLE 1-continued

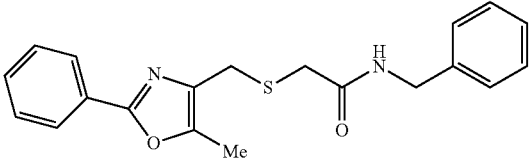
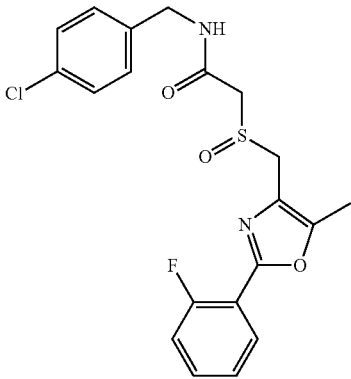
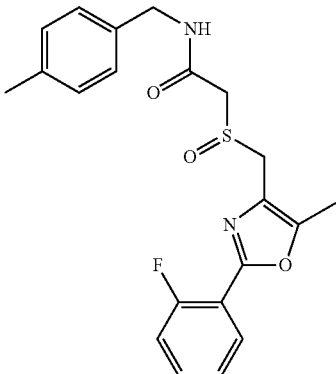
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-107		386.45
IIa-108		420.89
IIa-109		400.48

TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-110		430.46
IIa-111		404.44
IIa-112		382.49

TABLE 1-continued

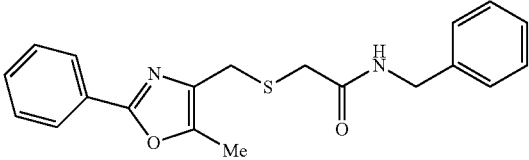
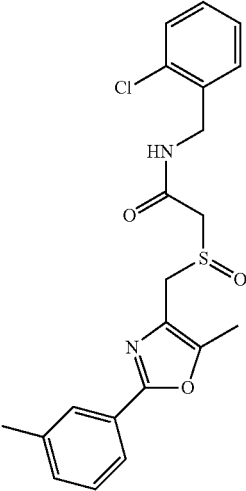
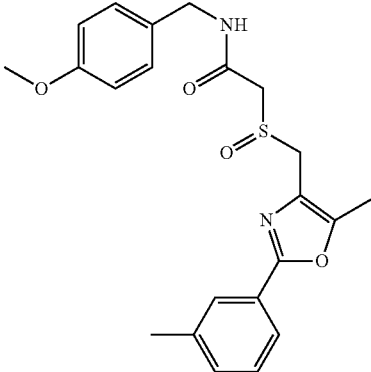
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-113		416.93
IIa-114		416.93
IIa-115		412.51

TABLE 1-continued

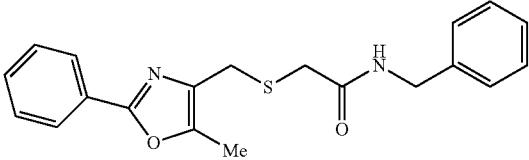
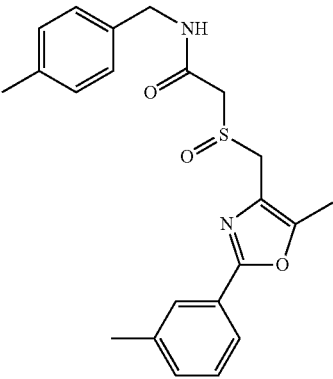
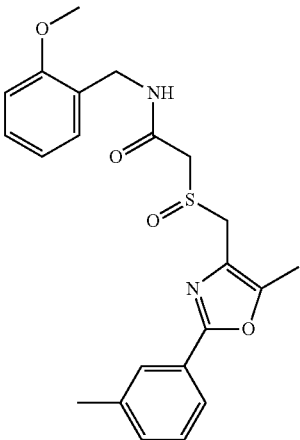
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-116		396.51
IIa-117		396.51
IIa-118		412.51

TABLE 1-continued

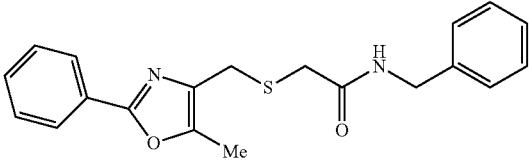
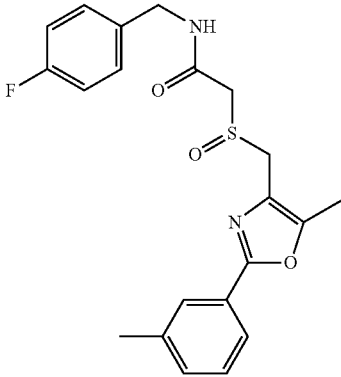
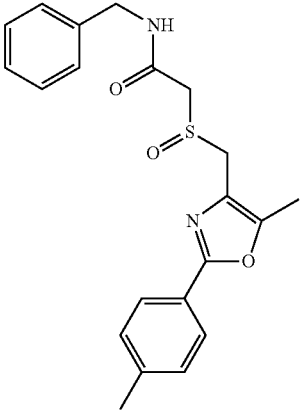
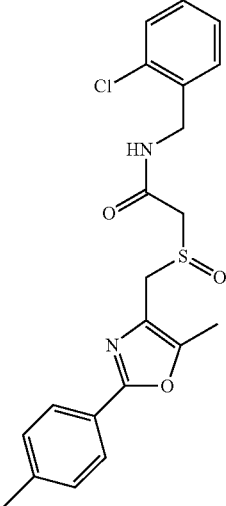
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
Ila-119		
Ila-119		400.48
Ila-120		382.49
Ila-121		416.93

TABLE 1-continued

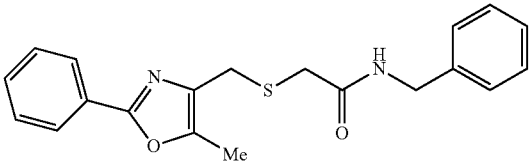
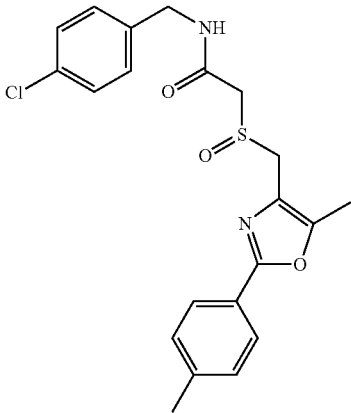
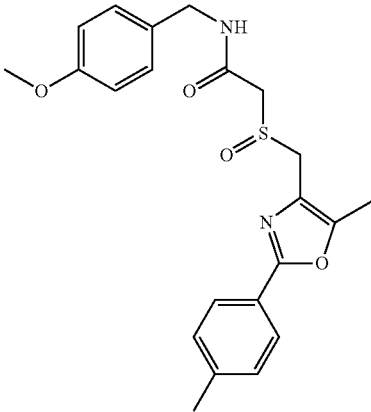
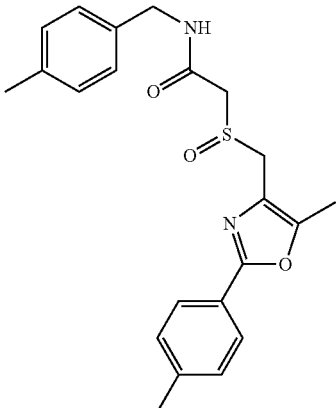
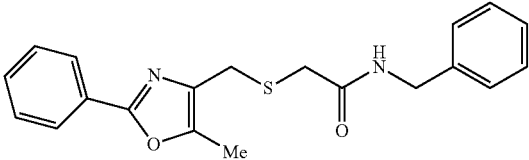
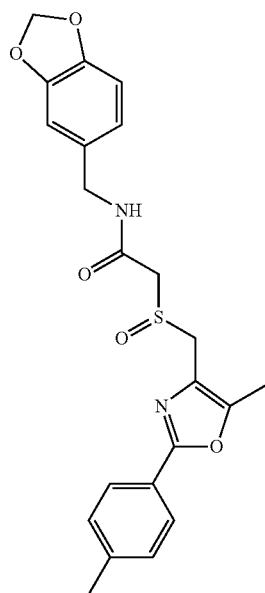
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-122		416.93
IIa-123		412.51
IIa-124		396.51

TABLE 1-continued

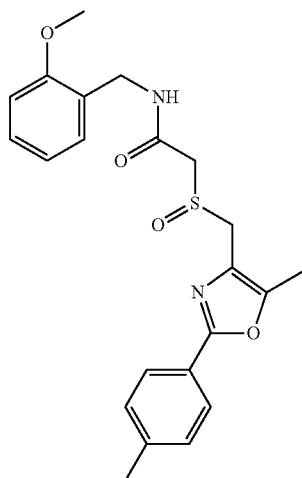
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW

IIa-125



426.50

IIa-126



412.51

TABLE 1-continued

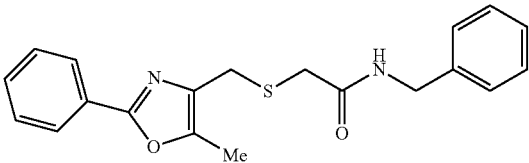
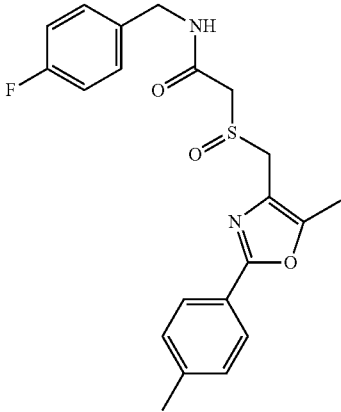
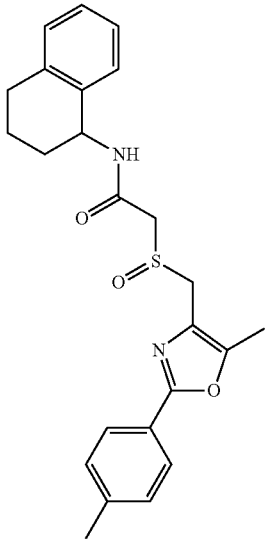
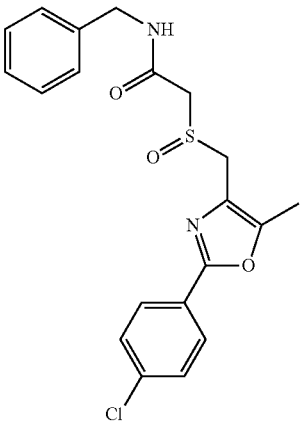
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-127		400.48
IIa-128		422.55
IIa-129		402.90

TABLE 1-continued

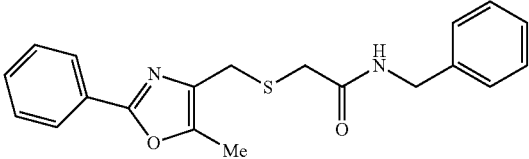
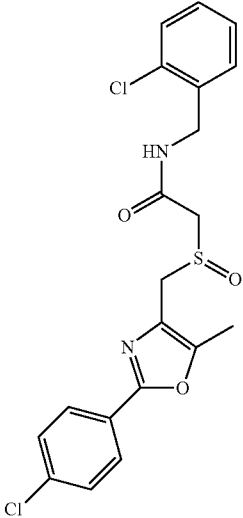
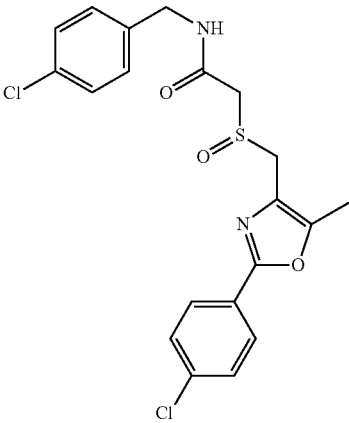
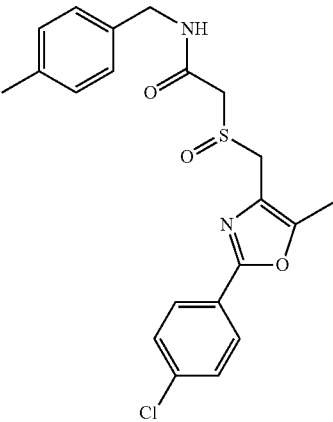
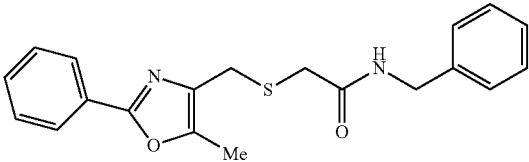
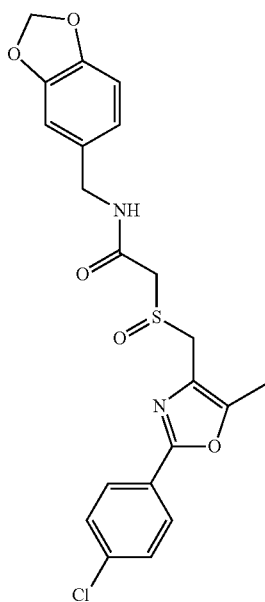
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
		
IIa-130		437.35
IIa-131		437.35
IIa-132		416.93

TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW

IIa-133

446.91



IIa-134

416.93

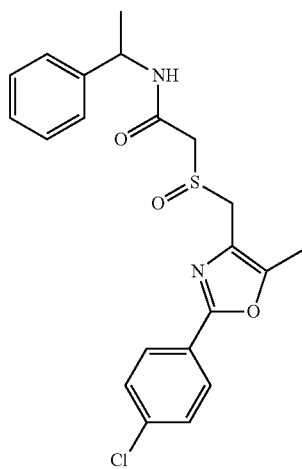


TABLE 1-continued

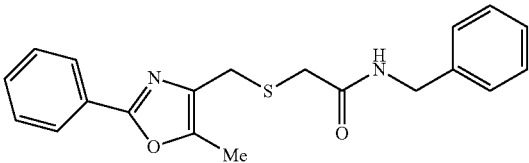
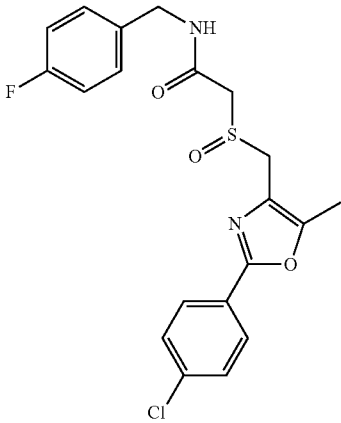
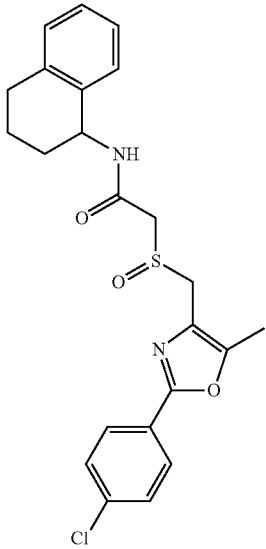
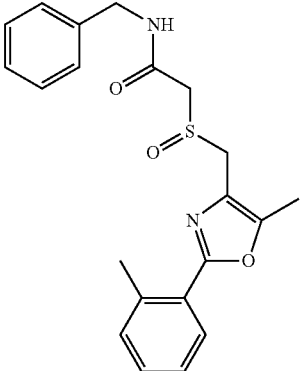
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-135		420.89
IIa-136		442.97
IIa-137		382.49

TABLE 1-continued

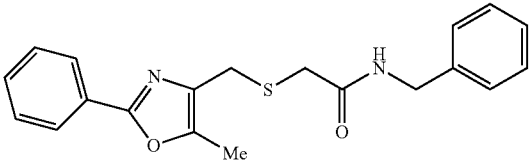
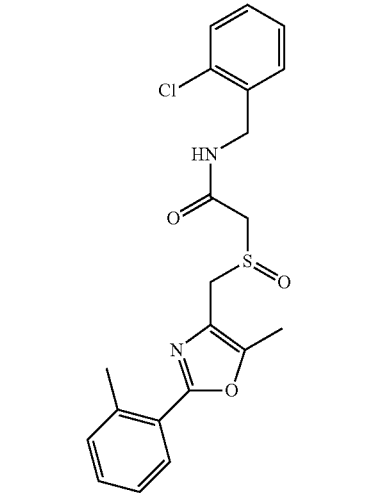
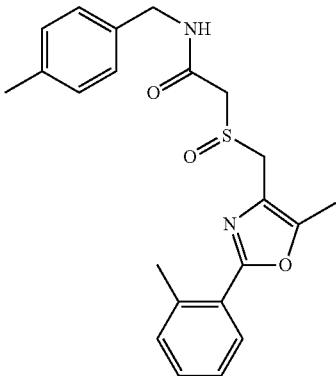
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-138		416.93
IIa-139		412.51
IIa-140		396.51

TABLE 1-continued

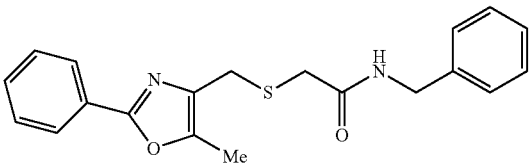
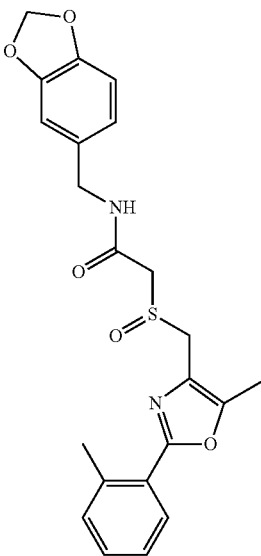
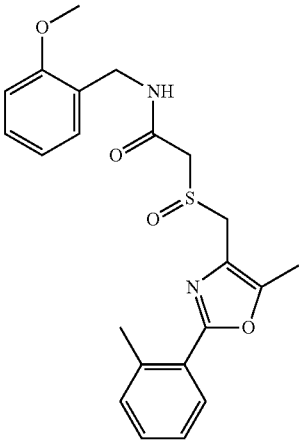
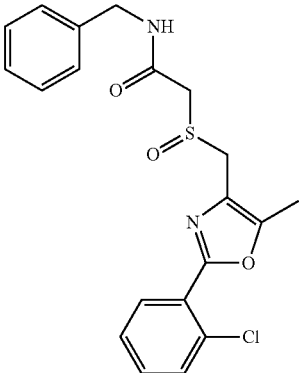
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-141		426.50
IIa-142		412.51
IIa-143		402.90

TABLE 1-continued

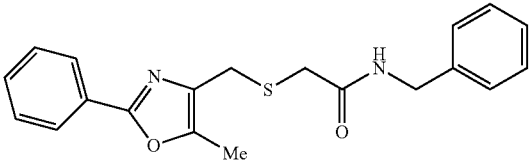
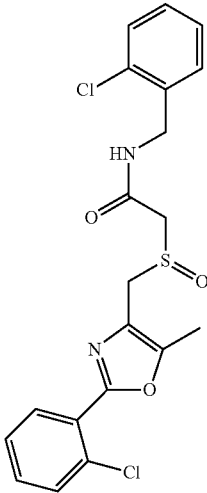
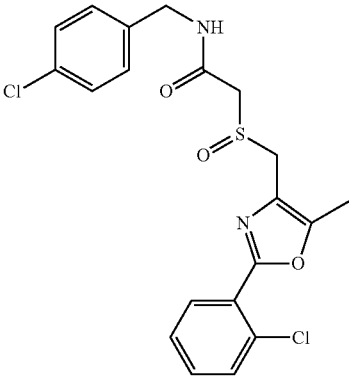
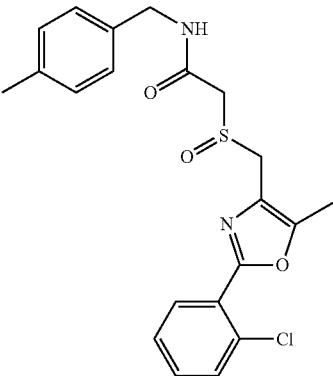
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-144		
IIa-144		437.35
IIa-145		437.35
IIa-146		416.93

TABLE 1-continued

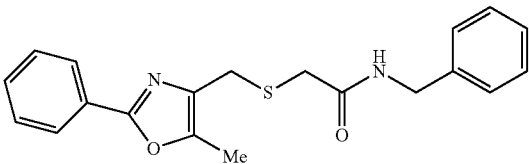
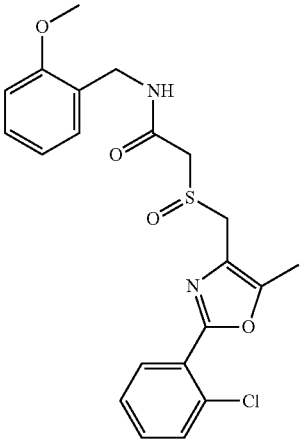
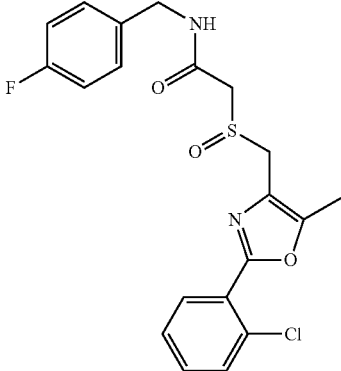
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-147		446.91
IIa-148		432.93
IIa-149		420.89

TABLE 1-continued

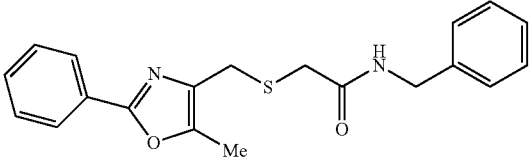
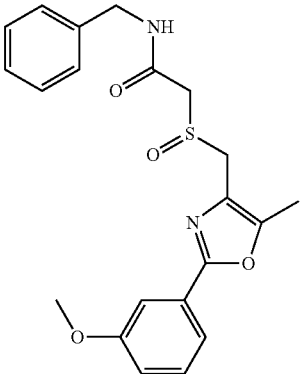
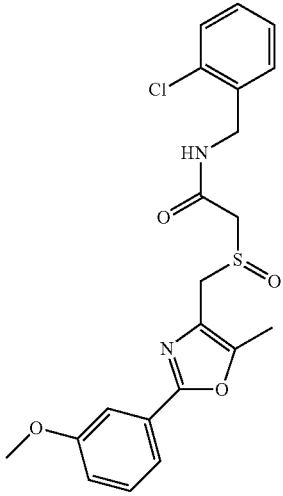
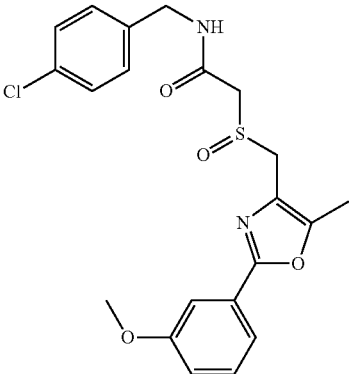
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
		
IIa-150		398.48
IIa-151		432.93
IIa-152		432.93

TABLE 1-continued

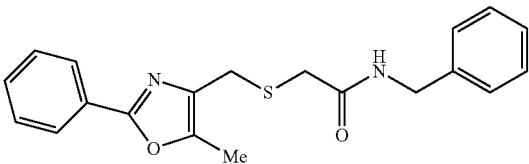
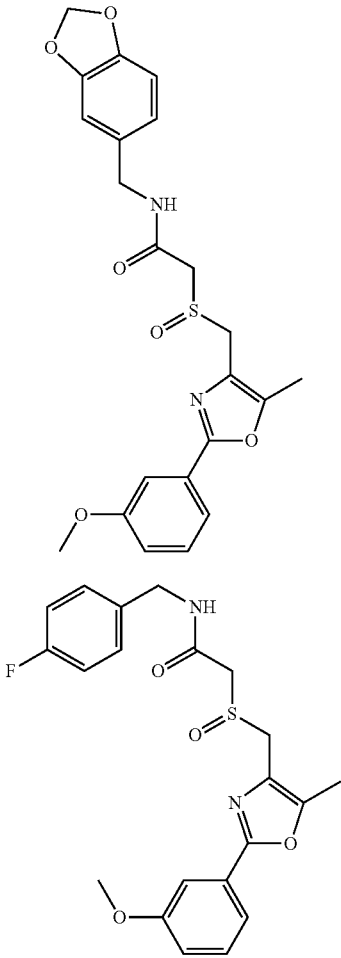
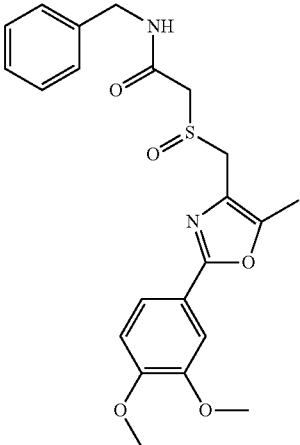
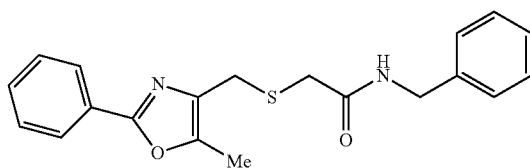
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-153		442.49
IIa-154		416.47
IIa-155		428.51

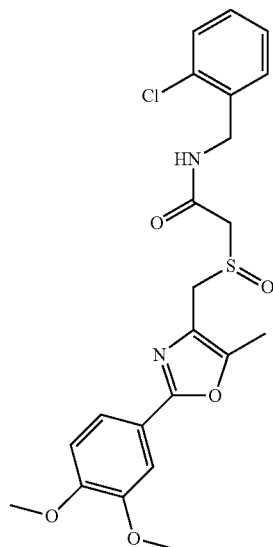
TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
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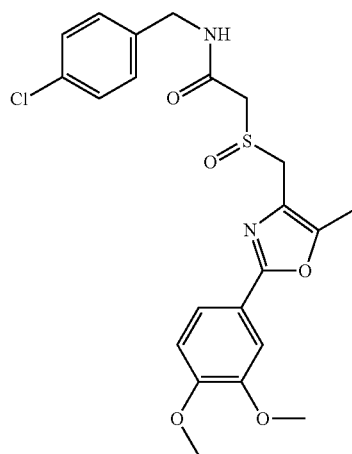
ID	Structure	MW
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IIa-156



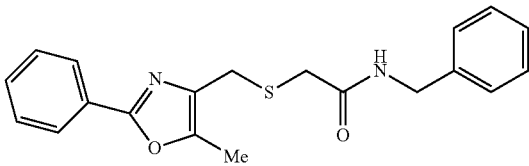
462.96

IIa-157

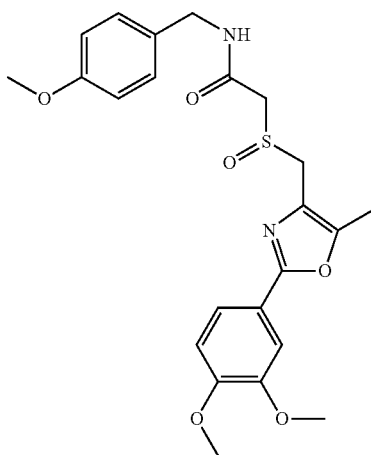


462.96

TABLE 1-continued

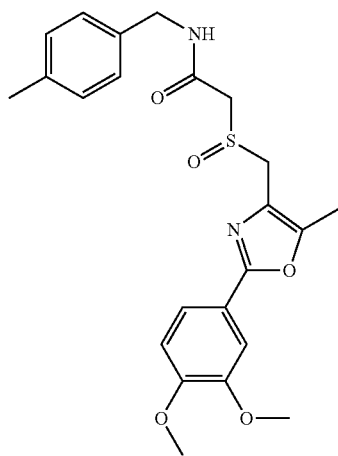
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW

IIa-158



458.54

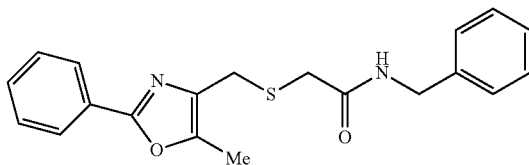
IIa-159



442.54

TABLE 1-continued

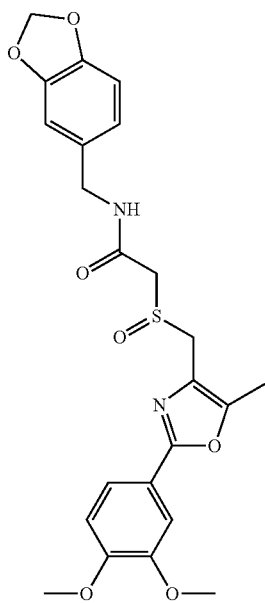
Oxazole amides (R ³ = NH-benzyl)		
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ID	Structure	MW
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IIa-160

472.52



IIa-161

442.54

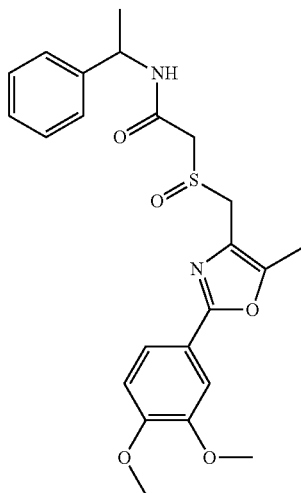
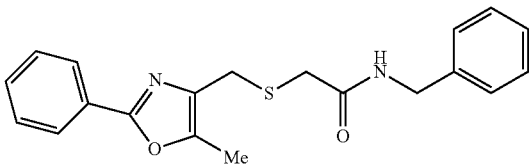
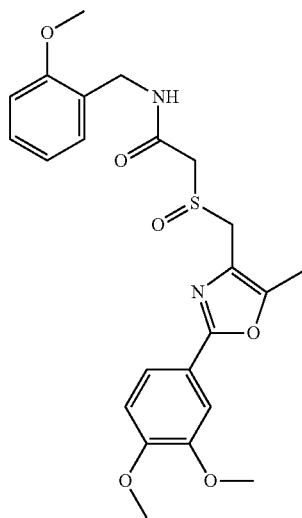


TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW

IIa-162

458.54



IIa-163

446.50

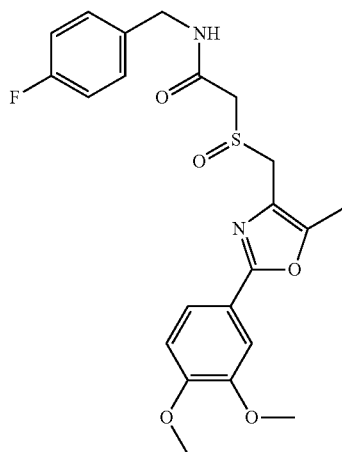


TABLE 1-continued

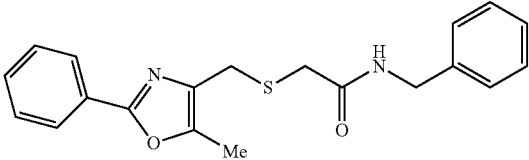
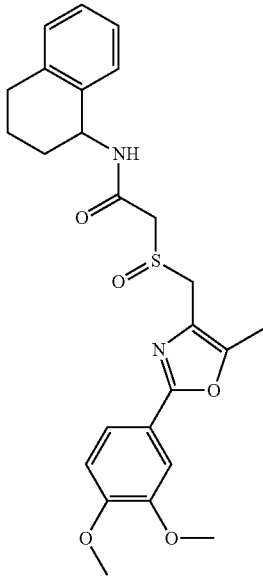
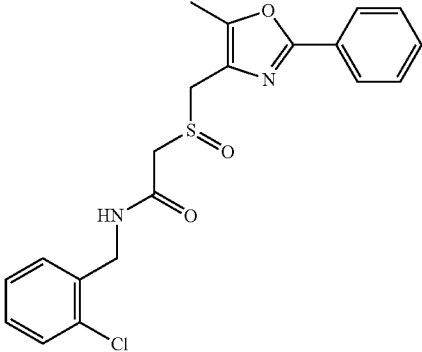
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-164		468.58
IIa-165		368.46
IIa-166		402.90

TABLE 1-continued

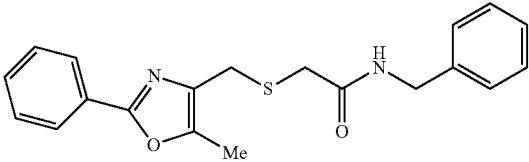
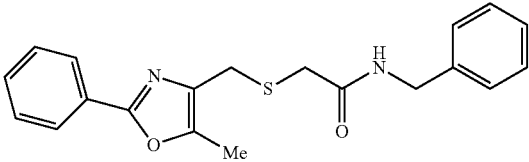
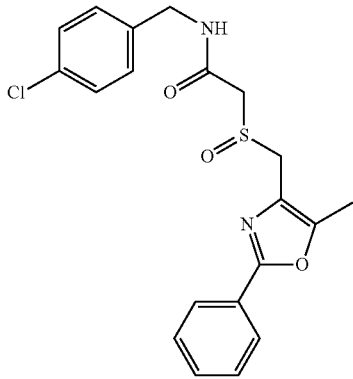
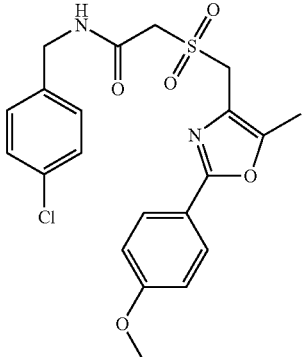
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-167		
IIa-167		402.90
IIa-168		398.48
IIa-169		448.93

TABLE 1-continued

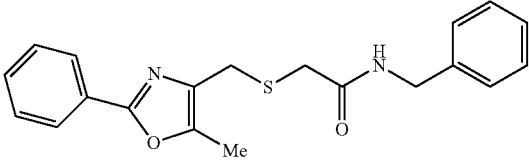
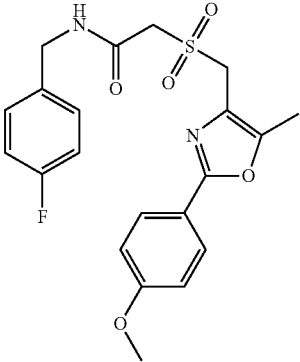
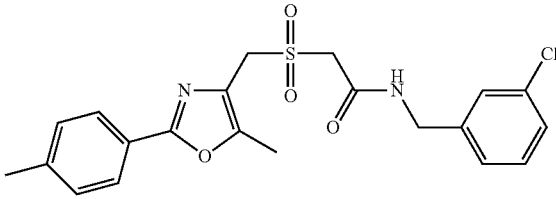
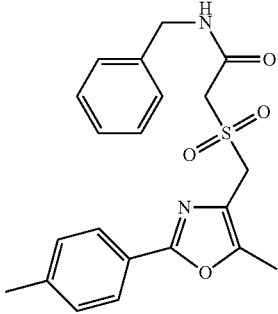
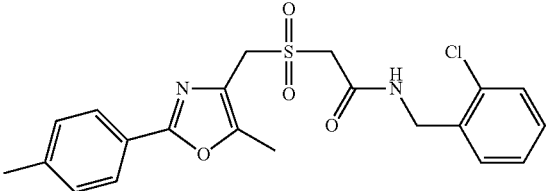
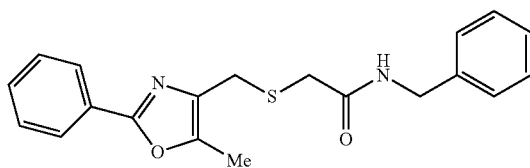
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
		
IIa-170		432.47
IIa-171		432.93
IIa-172		398.48
IIa-173		432.93

TABLE 1-continued

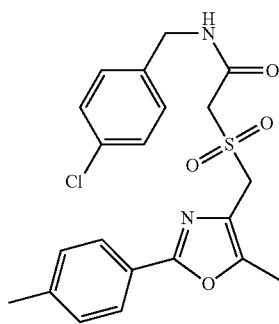
Oxazole amides (R ³ = NH-benzyl)		
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ID	Structure	MW
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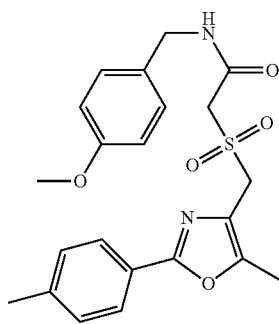
IIa-174

432.93



IIa-175

428.51



IIa-176

412.51

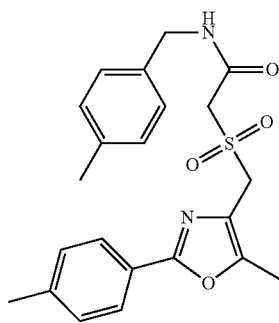
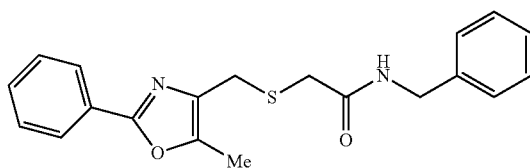


TABLE 1-continued

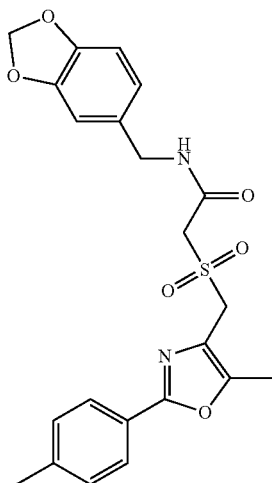
Oxazole amides (R ³ = NH-benzyl)		
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ID	Structure	MW
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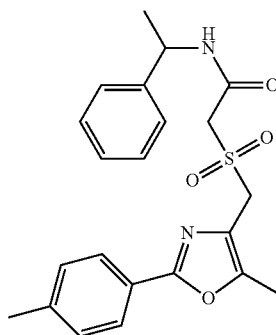
IIa-177

442.49



IIa-178

412.51



IIa-179

438.55

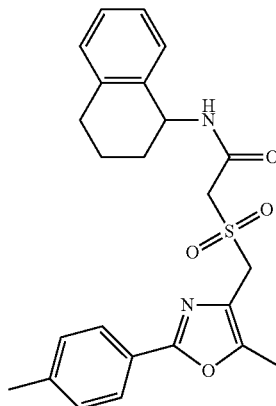


TABLE 1-continued

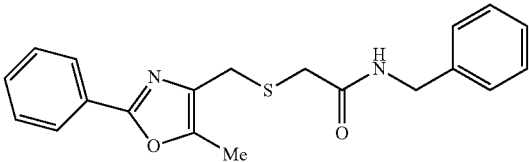
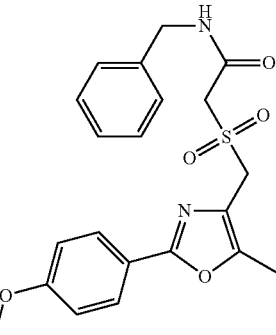
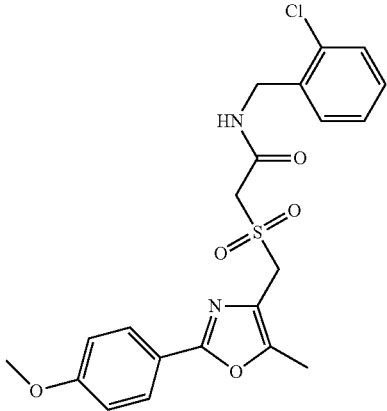
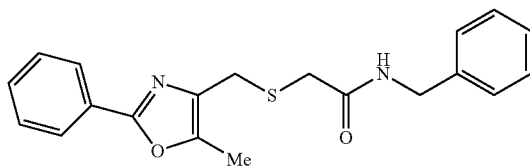
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-180		470.55
IIa-181		414.48
IIa-182		448.93

TABLE 1-continued

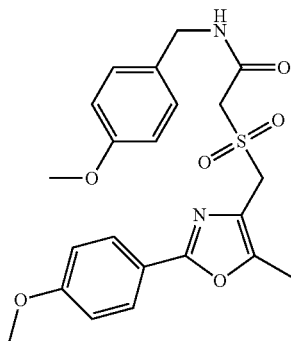
Oxazole amides (R ³ = NH-benzyl)		
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ID	Structure	MW
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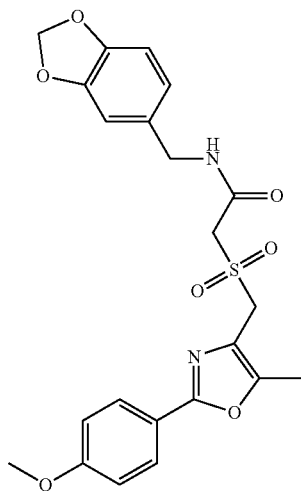
IIa-183

444.51



IIa-184

458.49



IIa-185

444.51

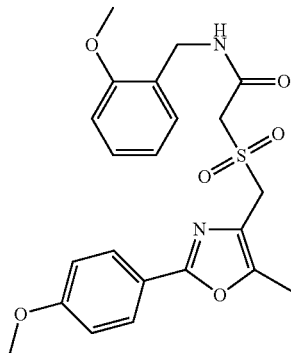
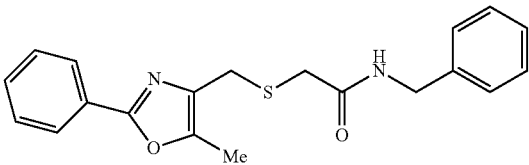
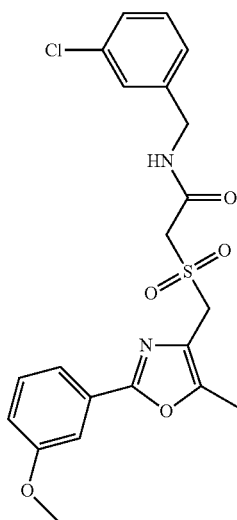


TABLE 1-continued

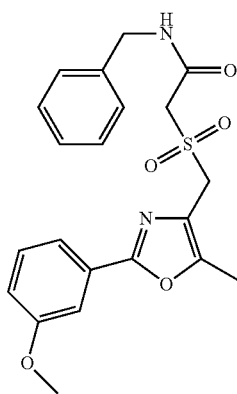
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW

IIa-186



448.93

IIa-187



414.48

TABLE 1-continued

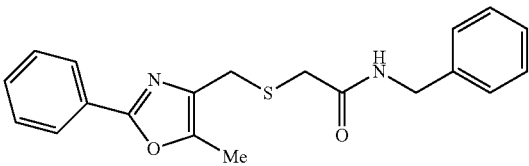
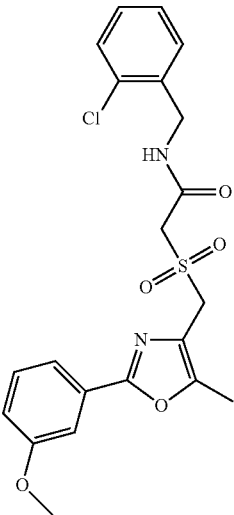
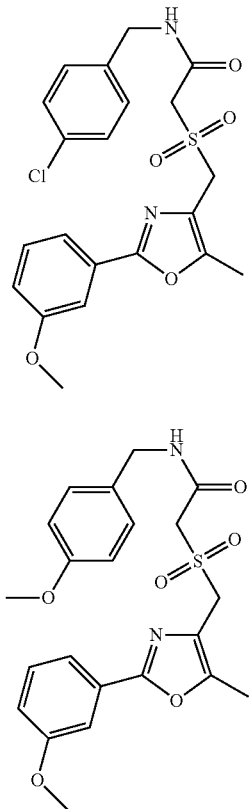
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-188		448.93
IIa-189		448.93
IIa-190		444.51

TABLE 1-continued

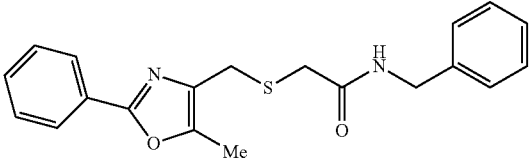
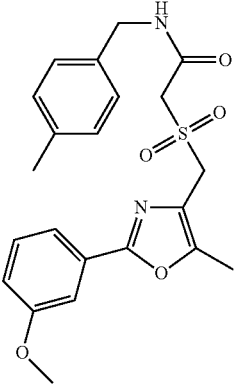
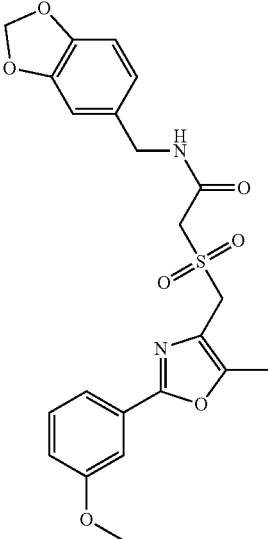
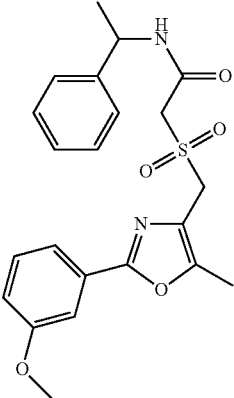
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-191		428.51
IIa-192		458.49
IIa-193		428.51

TABLE 1-continued

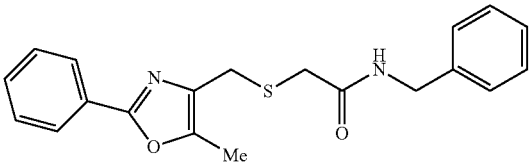
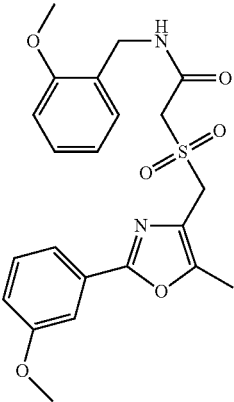
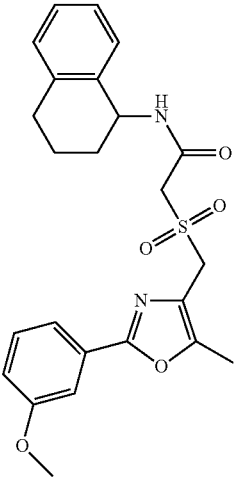
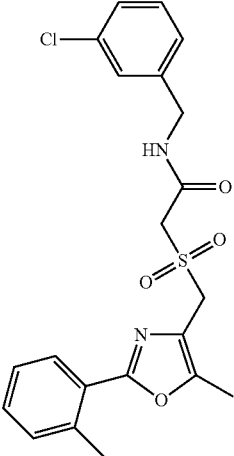
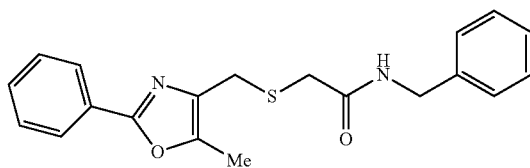
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-194		444.51
IIa-195		454.55
IIa-196		432.93

TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
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ID	Structure	MW
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IIa-197	<chem>CC1=CC=C(C=C1)c2nc(CSCCNC(=O)CC3=CC=CC=C3)c(O2)C</chem>	398.48
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IIa-198	<chem>CC1=CC=C(C=C1)c2nc(CSCCNC(=O)CC3=CC=C(Cl)C=C3)c(O2)C</chem>	432.93
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IIa-199	<chem>CC1=CC=C(C=C1)c2nc(CSCCNC(=O)CC3=CC=C(Cl)C=C3)c(O2)C</chem>	432.93
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TABLE 1-continued

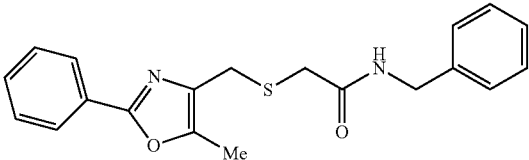
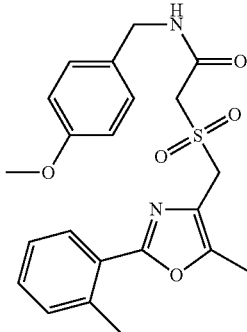
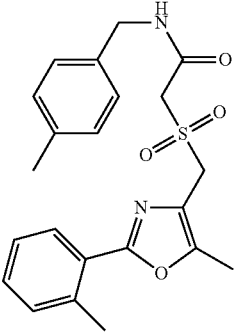
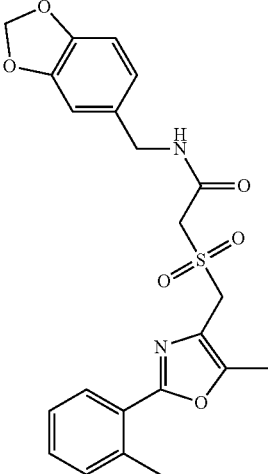
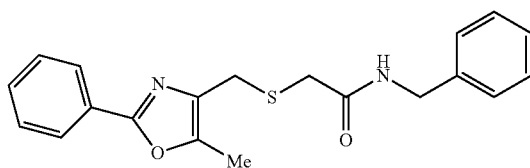
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-200		428.51
IIa-201		412.51
IIa-202		442.49

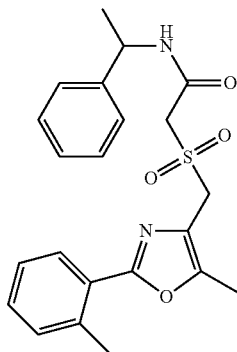
TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
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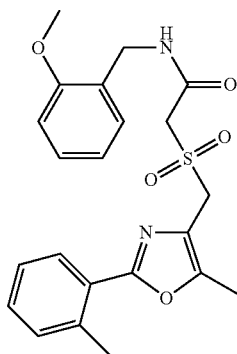
ID	Structure	MW
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IIa-203



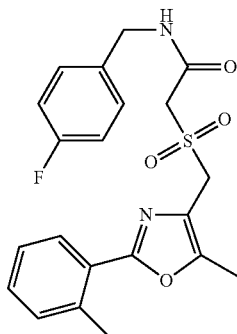
412.51

IIa-204



428.51

IIa-205



416.47

TABLE 1-continued

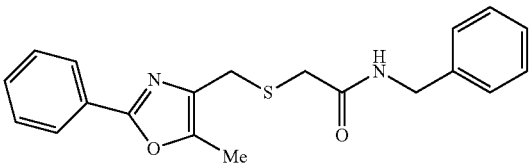
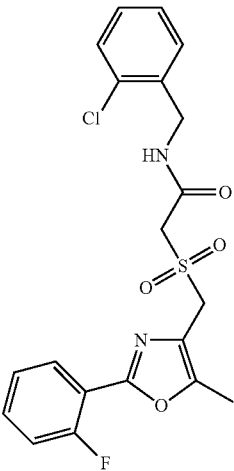
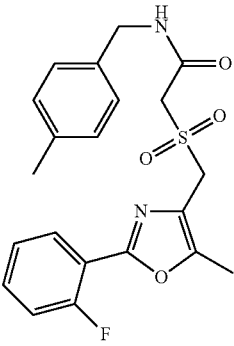
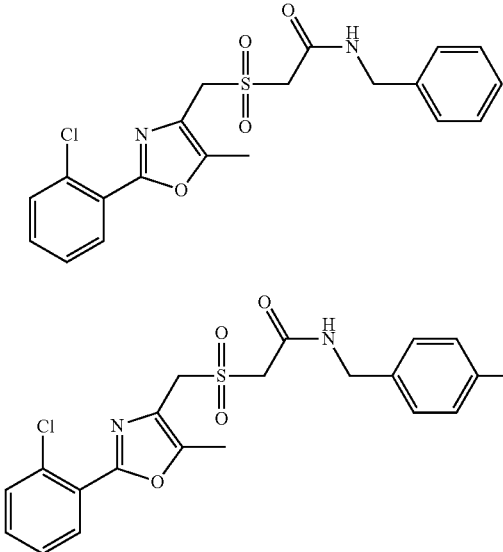
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-206		436.89
IIa-207		416.47
IIa-208		418.90
IIa-209		436.89

TABLE 1-continued

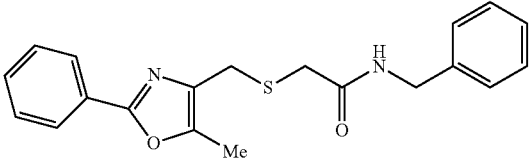
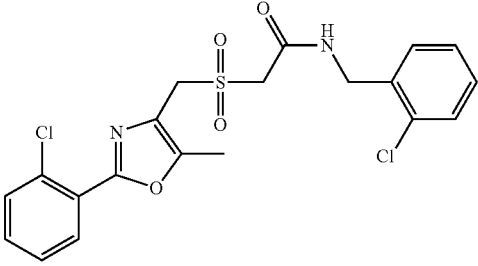
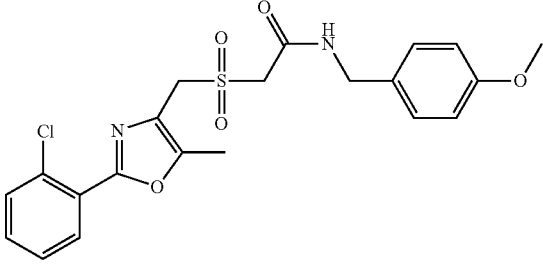
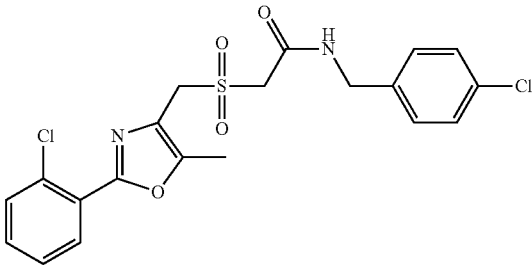
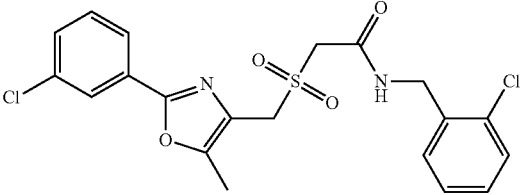
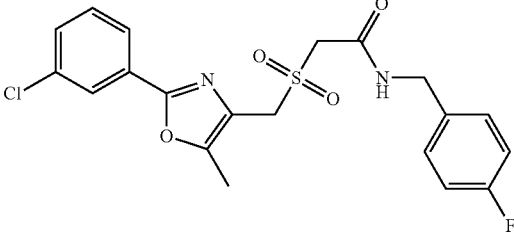
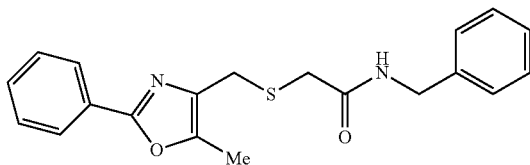
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
		
IIa-210		453.35
IIa-211		448.93
IIa-212		453.35
IIa-213		453.35
IIa-214		436.89

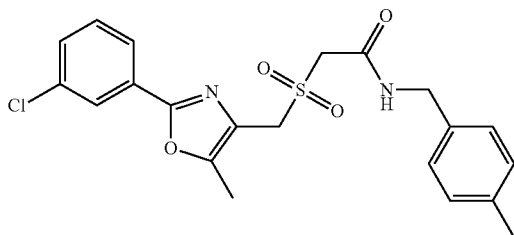
TABLE 1-continued

Oxazole amides (R ³ = NH-benzyl)		
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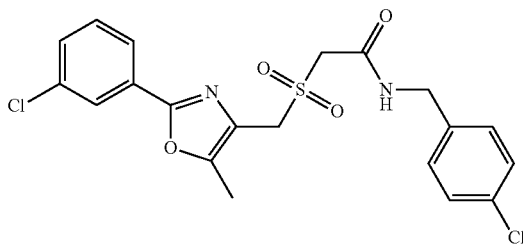


ID	Structure	MW
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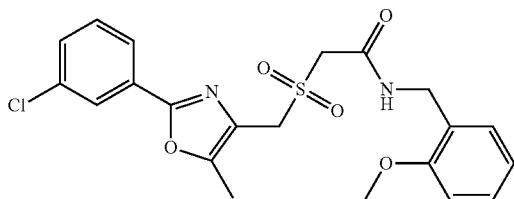
IIa-215		432.93
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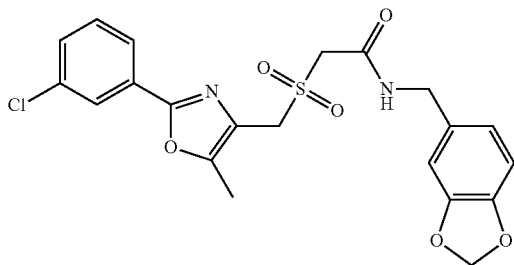
IIa-216		453.35
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IIa-217		448.93
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IIa-218		462.91
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IIa-219		418.90
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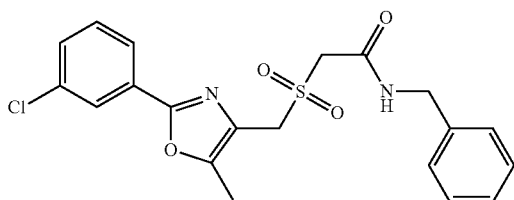


TABLE 1-continued

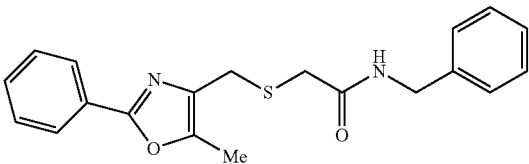
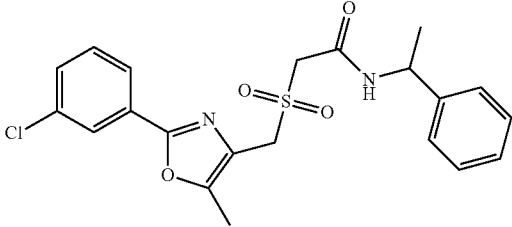
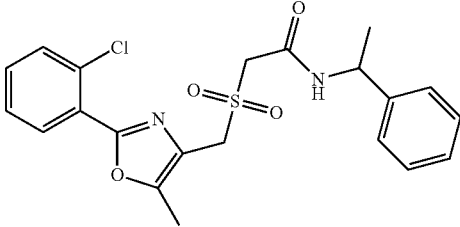
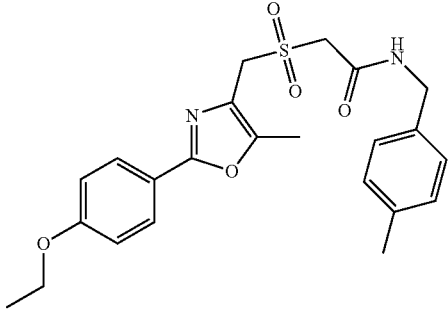
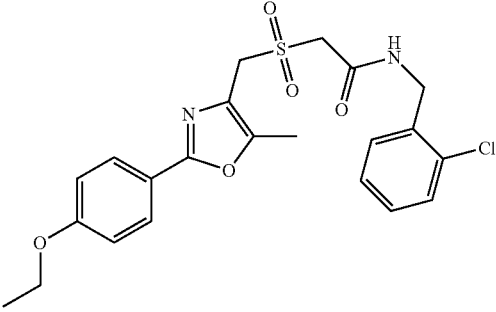
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-220		432.93
IIa-221		448.93
IIa-222		432.93
IIa-223		442.54
IIa-224		462.96

TABLE 1-continued

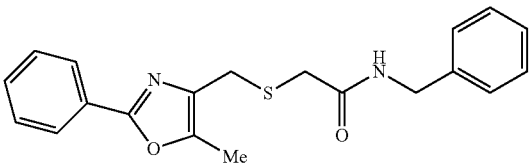
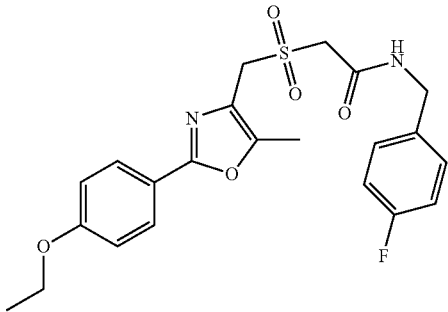
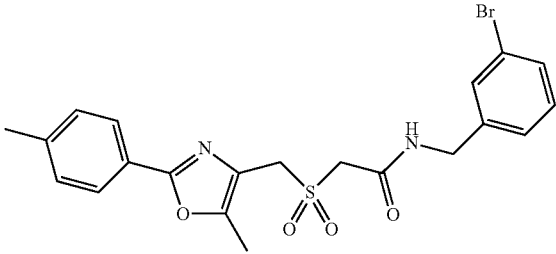
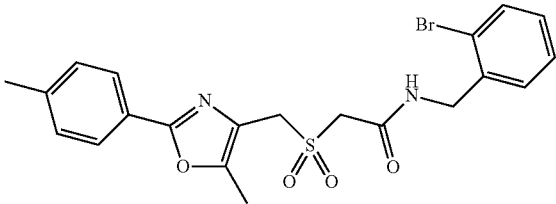
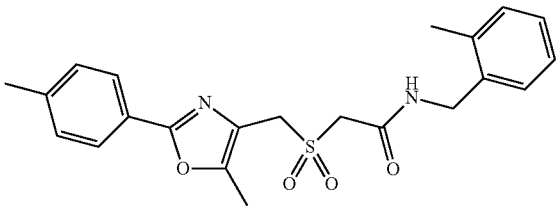
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-225		446.50
IIa-226		458.54
IIa-227		477.38
IIa-228		477.38
IIa-229		412.51

TABLE 1-continued

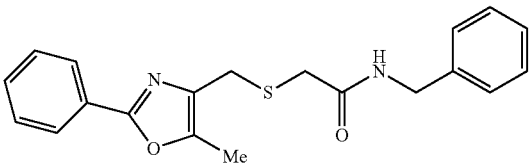
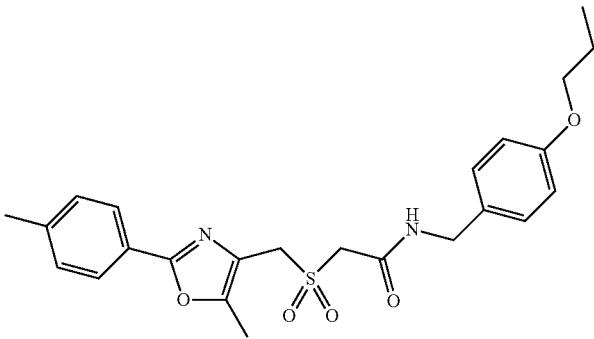
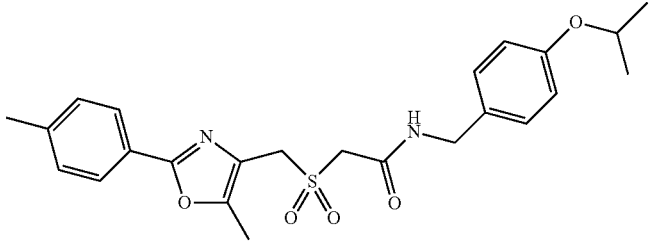
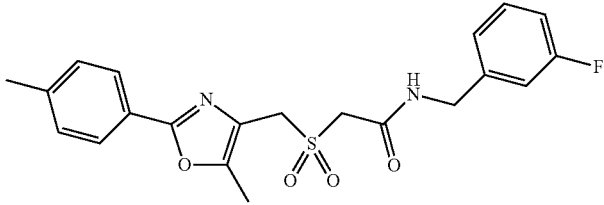
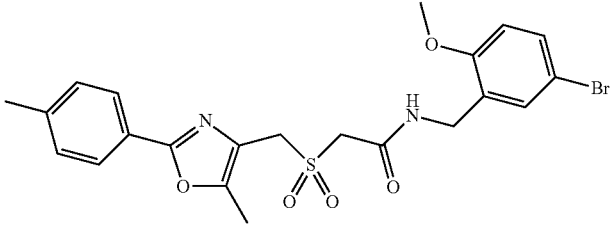
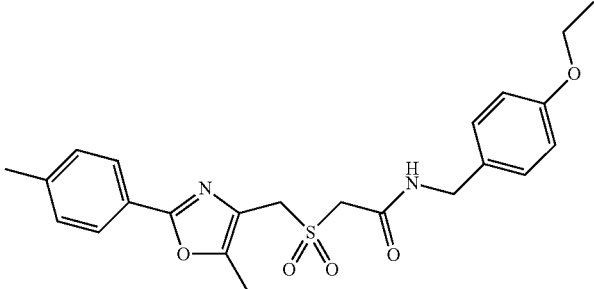
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-230		456.57
IIa-231		456.57
IIa-232		456.57
IIa-233		416.47
IIa-234		507.41
IIa-235		442.54

TABLE 1-continued

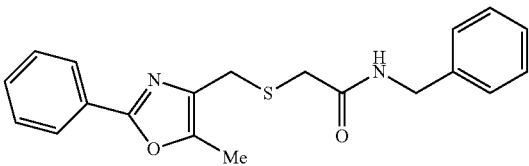
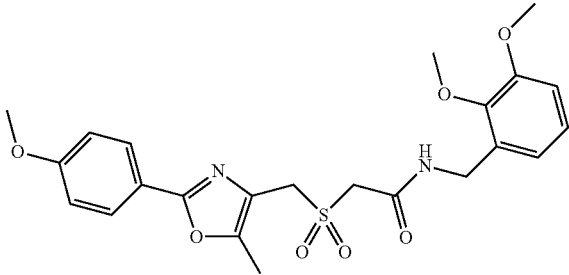
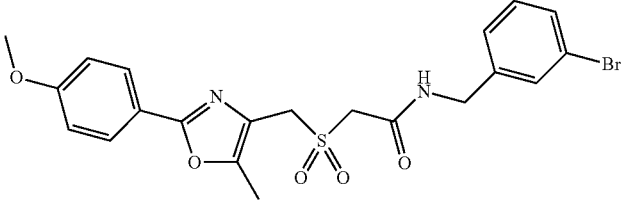
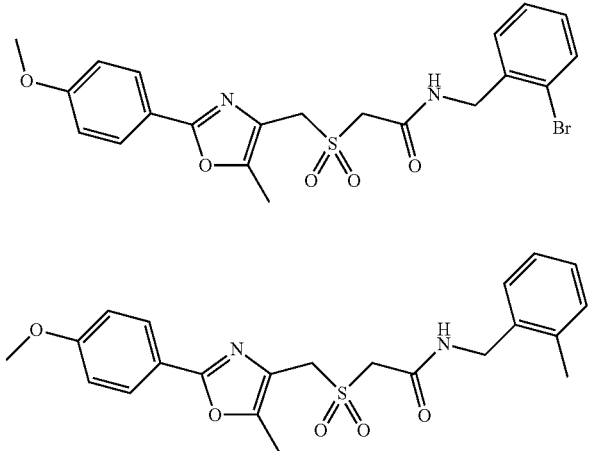
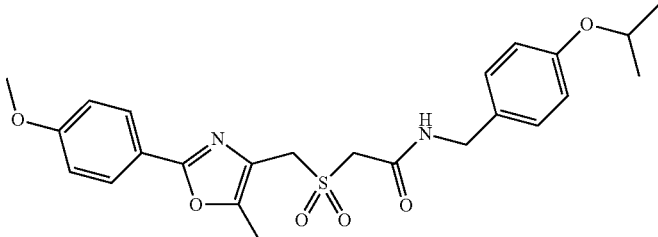
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-235		474.54
IIa-236		493.38
IIa-237		493.38
IIa-238		428.51
IIa-239		472.56

TABLE 1-continued

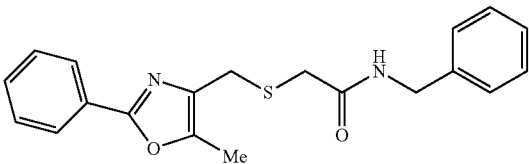
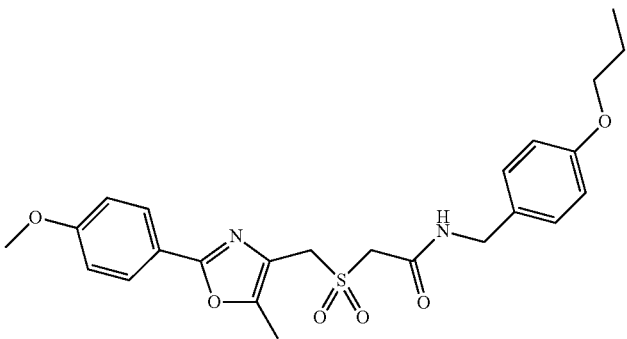
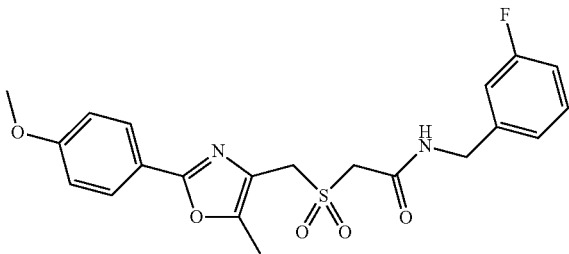
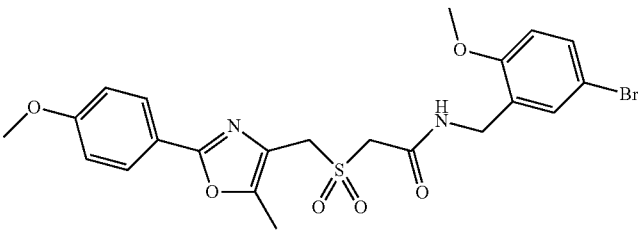
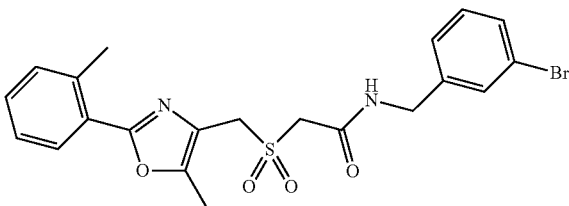
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-240		472.56
IIa-241		432.47
IIa-242		523.41
IIa-243		458.54
IIa-244		477.38

TABLE 1-continued

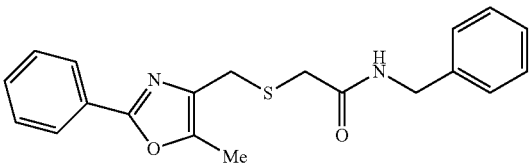
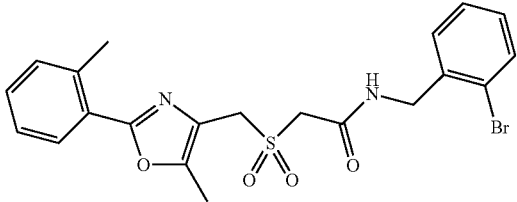
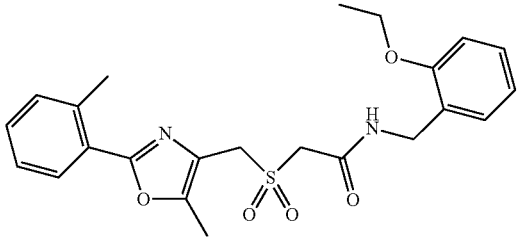
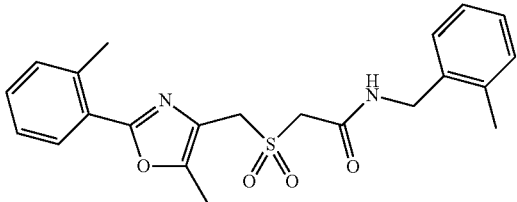
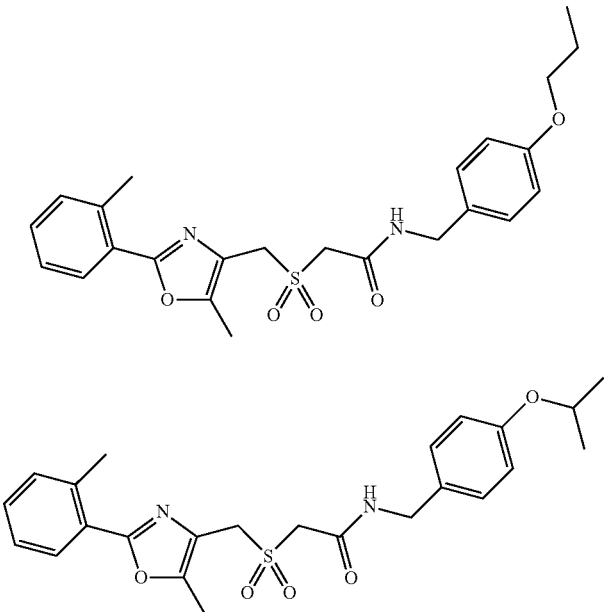
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-245		477.38
IIa-246		442.54
IIa-247		412.51
IIa-248		456.57
IIa-249		456.57

TABLE 1-continued

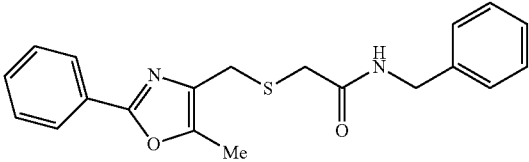
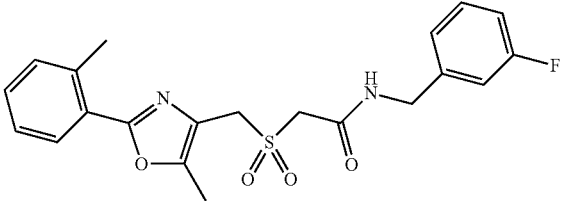
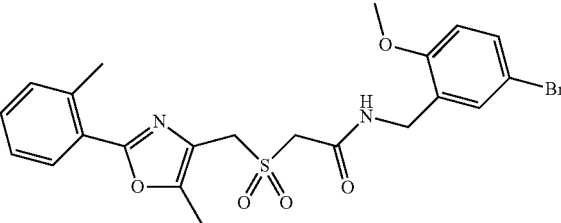
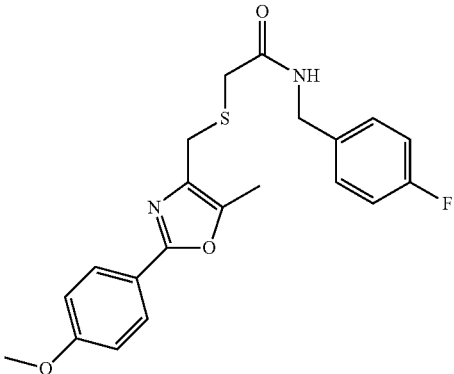
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-250		416.47
IIa-251		507.41
IIa-252		442.54
IIa-253		400.48

TABLE 1-continued

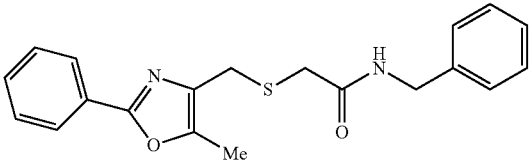
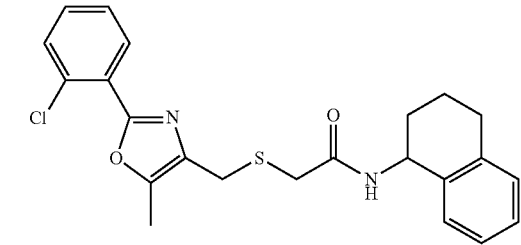
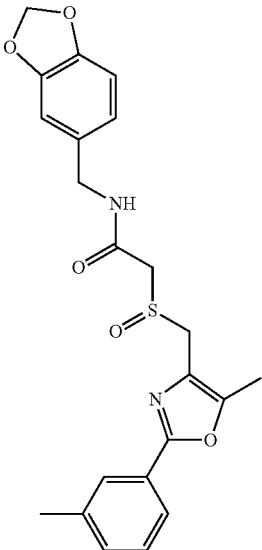
Oxazole amides (R ³ = NH-benzyl)		
ID	Structure	MW
IIa-254		426.97
IIa-255		410.50
IIa-256		426.50

TABLE 1-continued

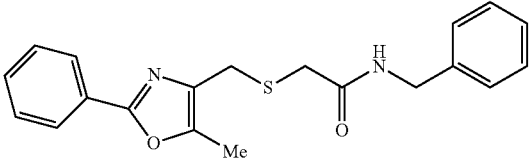
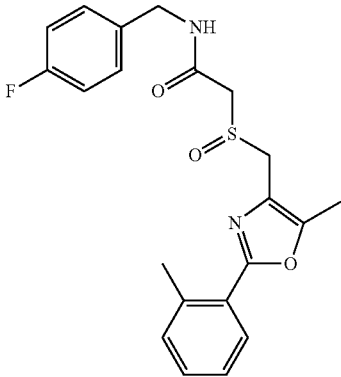
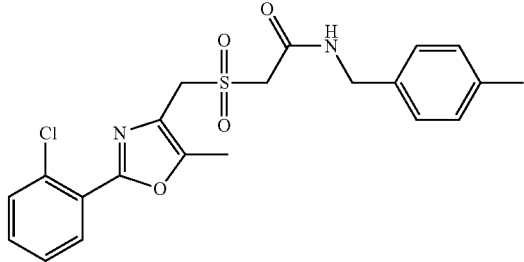
Oxazole amides (R ³ = NH-benzyl)		
		
ID	Structure	MW
IIa-257		400.48
IIa-258		432.93

TABLE 2

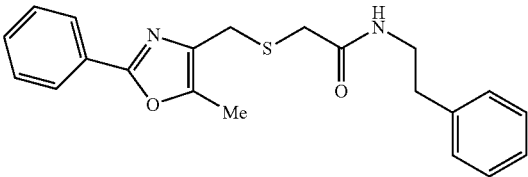
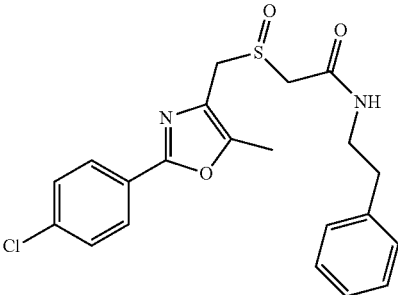
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-301		416.93

TABLE 2-continued

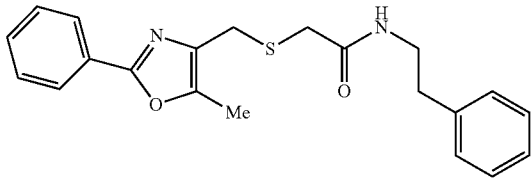
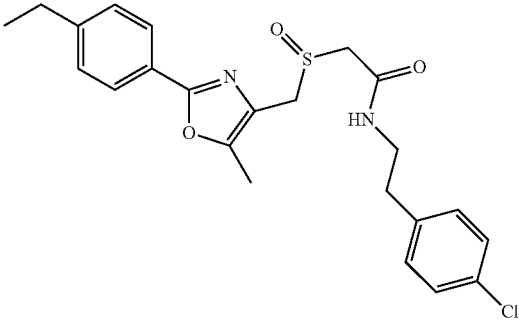
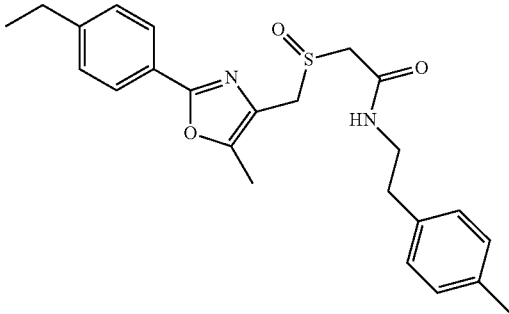
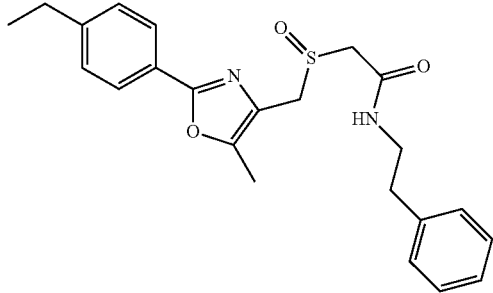
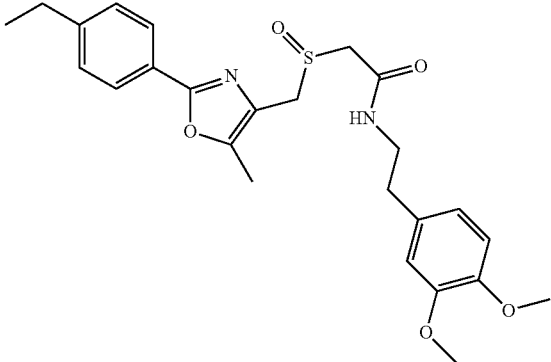
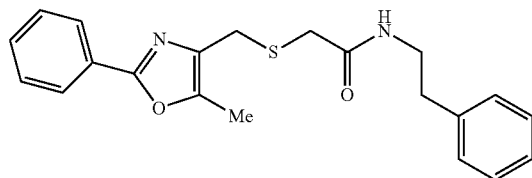
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-302		444.98
IIa-303		424.57
IIa-304		410.54
IIa-305		470.59

TABLE 2-continued

Oxazole amides (R ³ = NH-phenethyl)		
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ID	Structure	MW
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IIa-306		410.54
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IIa-307		424.57
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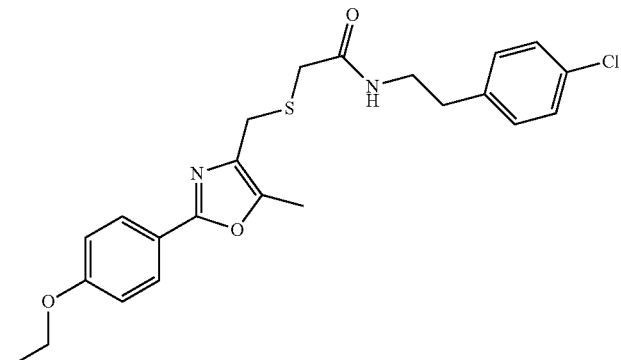
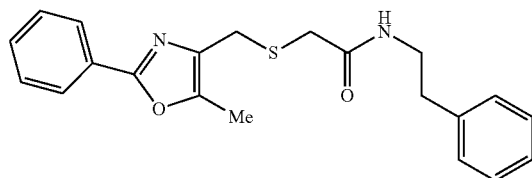
IIa-308		444.98
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TABLE 2-continued

Oxazole amides ($R^3 = \text{NH-phenethyl}$)



ID	Structure	MW
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IIa-309		498.65
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IIa-310		456.57
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IIa-311		442.60
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TABLE 2-continued

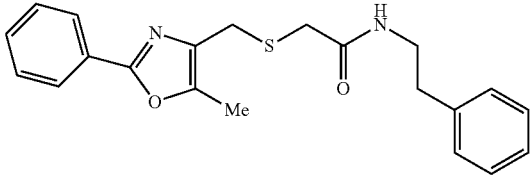
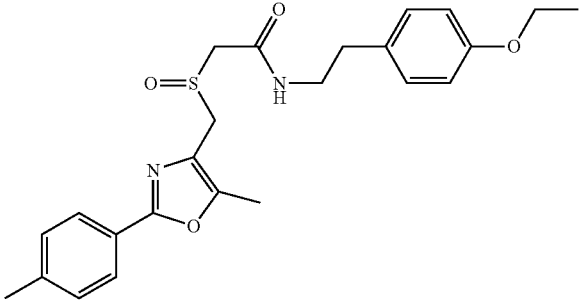
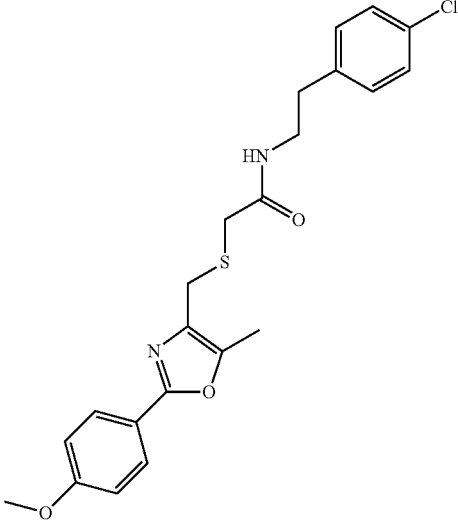
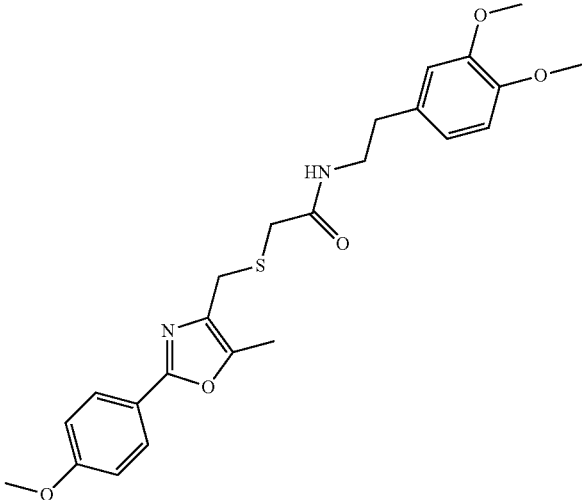
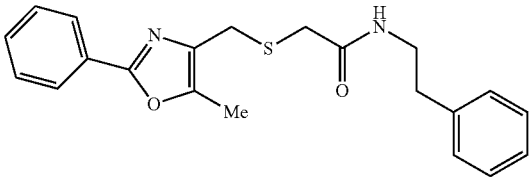
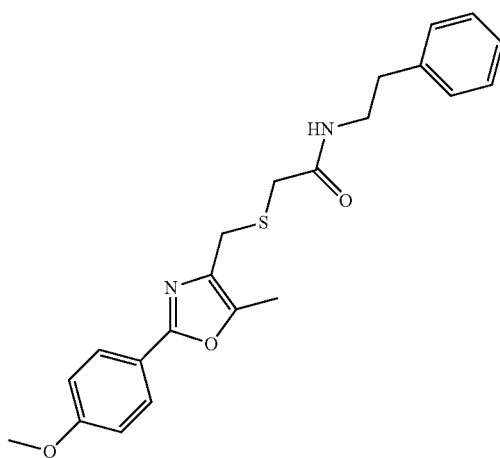
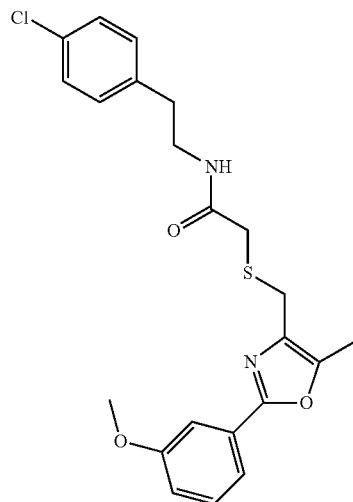
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-312		440.57
IIa-313		430.96
IIa-314		456.57

TABLE 2-continued

Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW

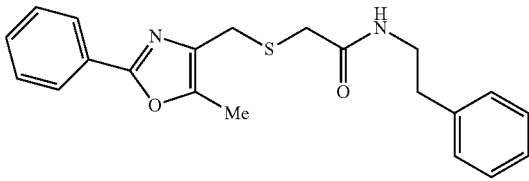
IIa-
315

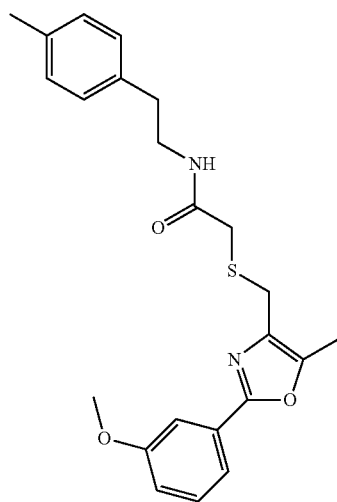
396.51

IIa-
316

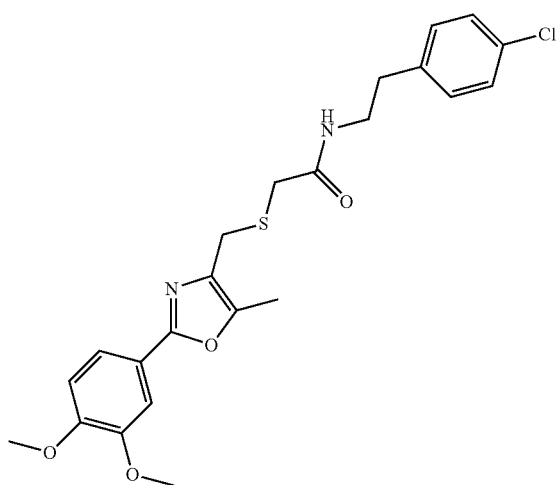
430.96

TABLE 2-continued

Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW

IIa-
317

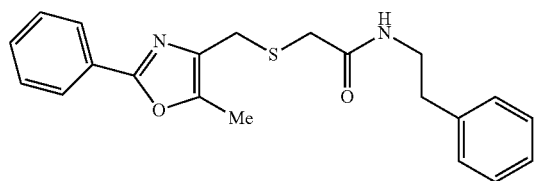
410.54

IIa-
318

460.98

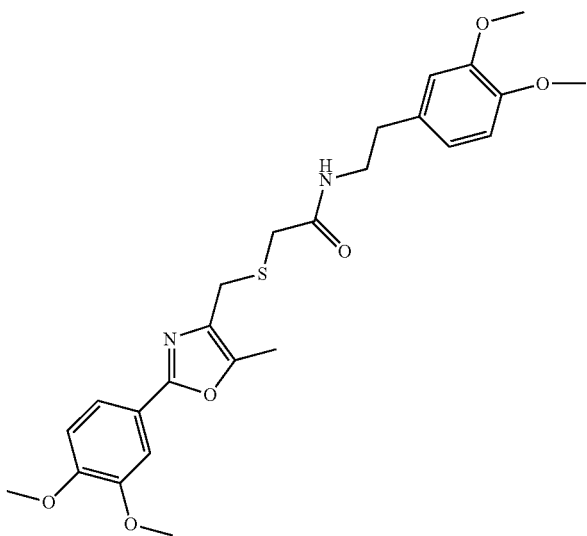
TABLE 2-continued

Oxazole amides ($R^3 = \text{NH-phenethyl}$)



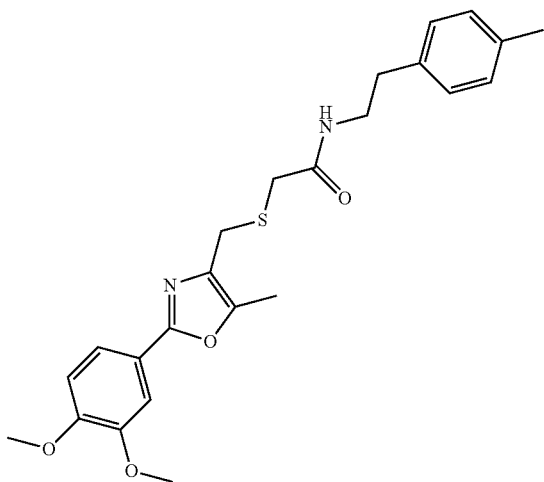
ID	Structure	MW
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IIa-
319



486.59

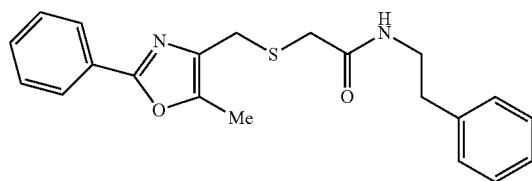
IIa-
320



440.57

TABLE 2-continued

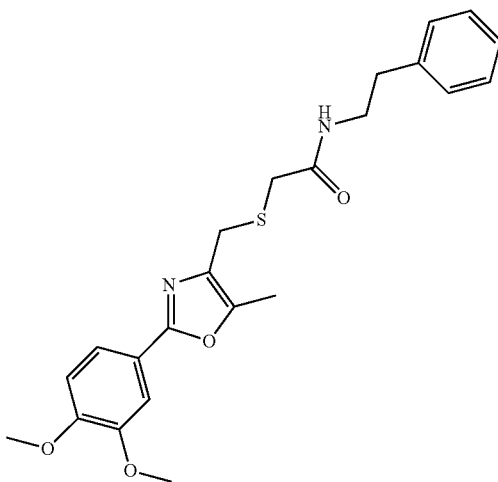
Oxazole amides (R³ = NH-phenethyl)



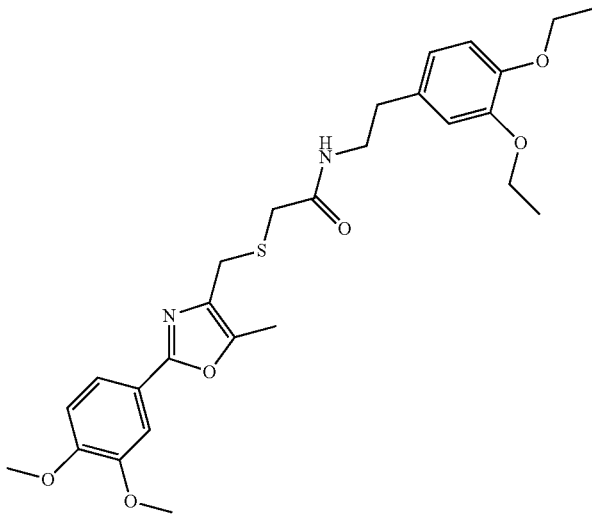
ID

Structure

MW

IIa-
321

426.54

IIa-
322

514.65

TABLE 2-continued

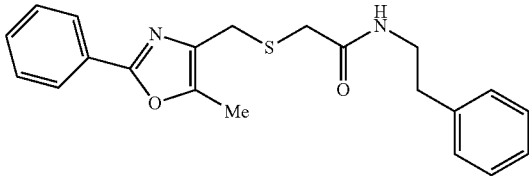
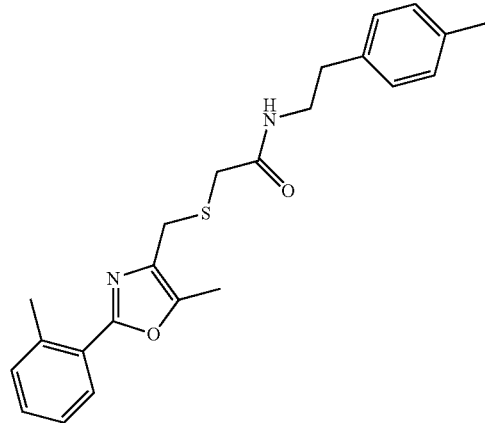
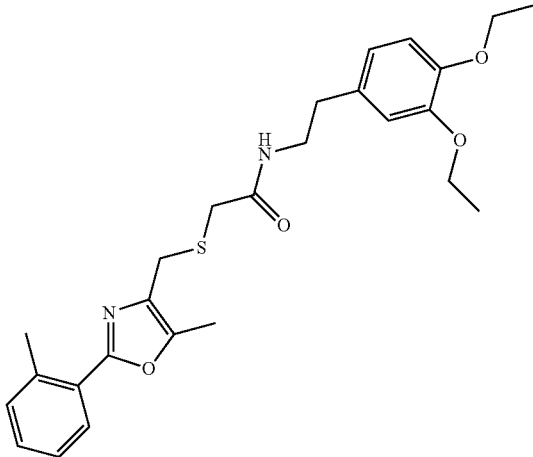
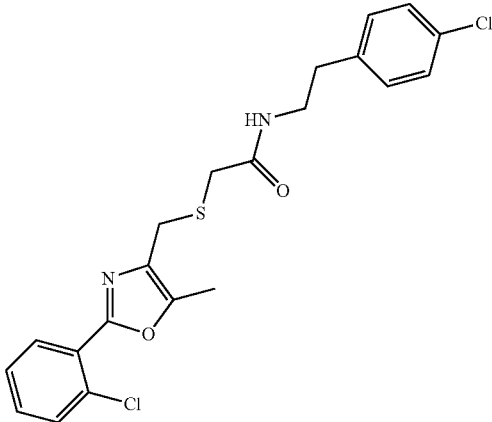
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-323		394.54
IIa-324		468.62
IIa-325		435.38

TABLE 2-continued

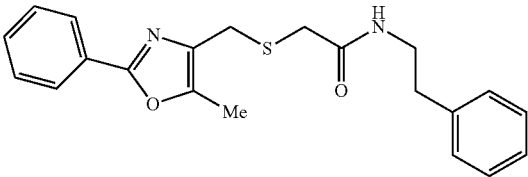
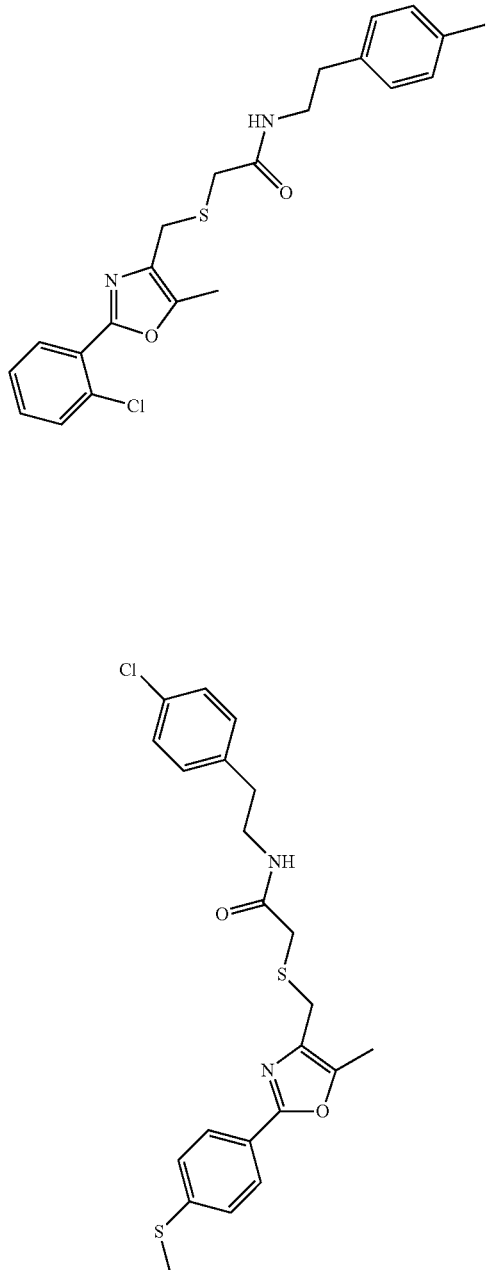
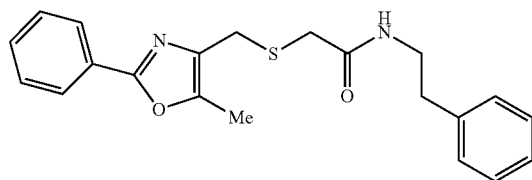
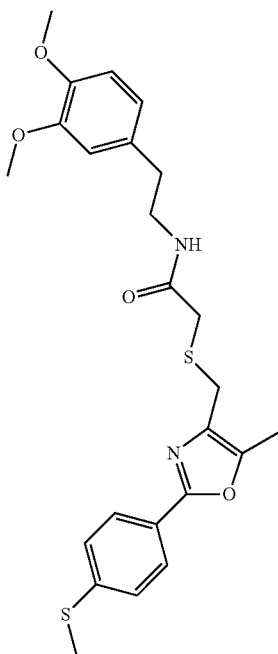
Oxazole amides (R ³ = NH-phenethyl)		
ID	Structure	MW
IIa-326		414.96
IIa-327		447.02

TABLE 2-continued

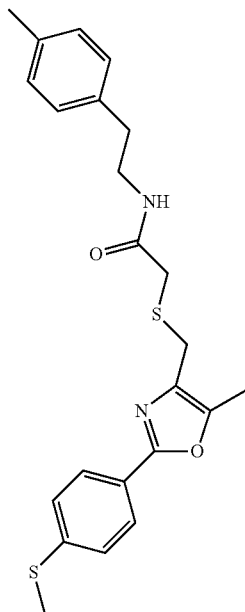
Oxazole amides (R ³ = NH-phenethyl)		
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ID	Structure	MW
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IIa-
328

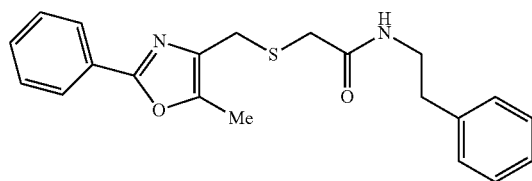
472.63

IIa-
329

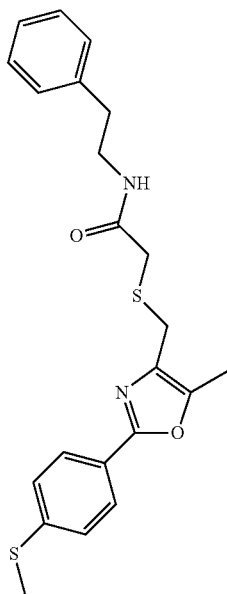
426.60

TABLE 2-continued

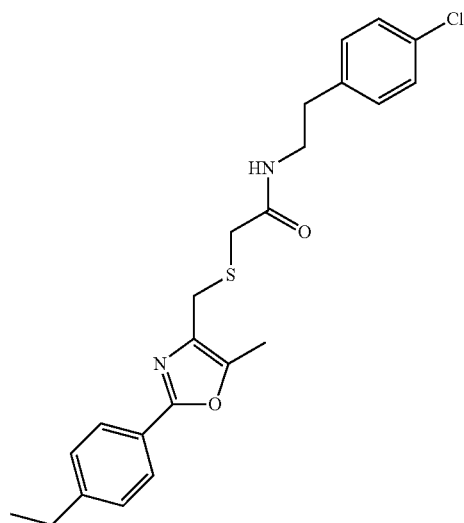
Oxazole amides (R ³ = NH-phenethyl)		
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ID	Structure	MW
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IIa-
330

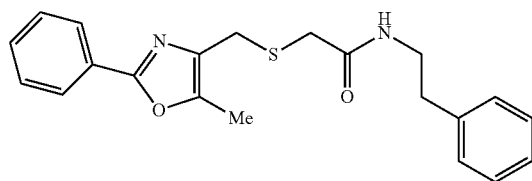
412.58

IIa-
331

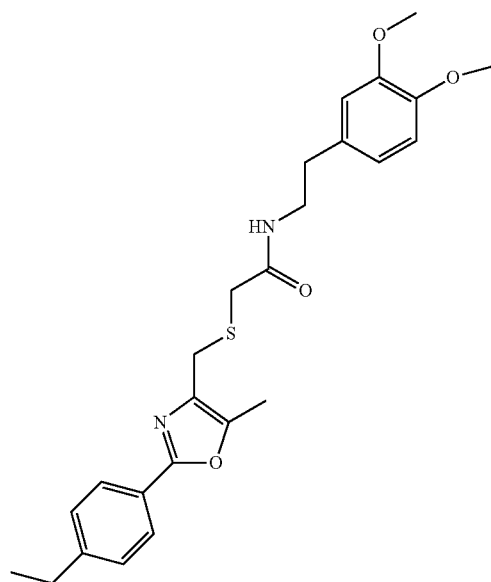
428.98

TABLE 2-continued

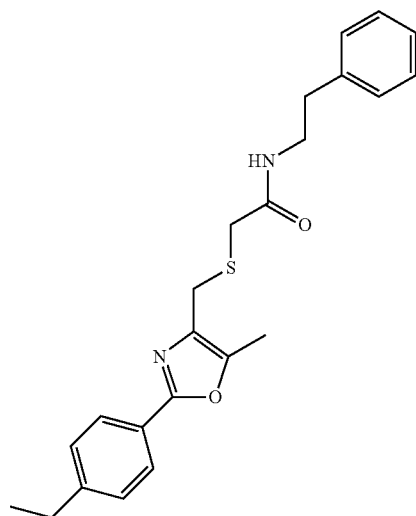
Oxazole amides ($R^3 = \text{NH-phenethyl}$)



ID	Structure	MW
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IIa-
332

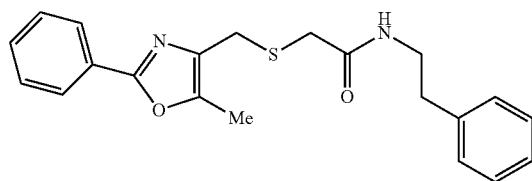
454.59

IIa-
333

394.54

TABLE 2-continued

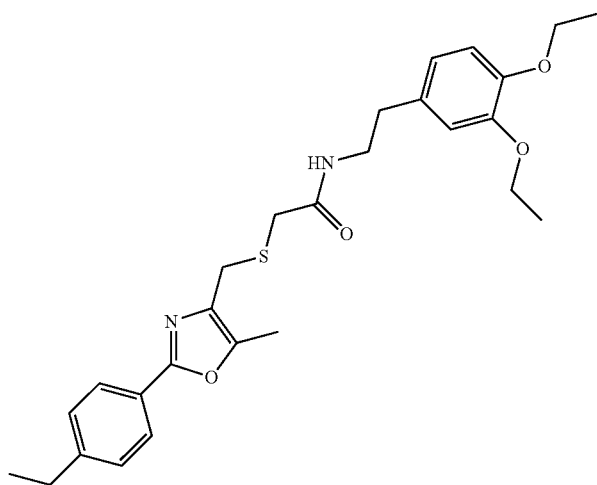
Oxazole amides ($R^3 = \text{NH-phenethyl}$)



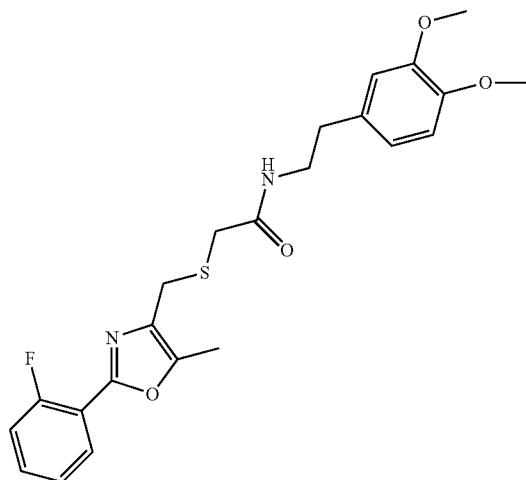
ID

Structure

MW

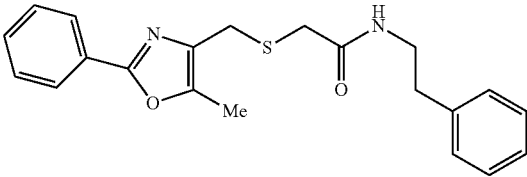
IIa-
334

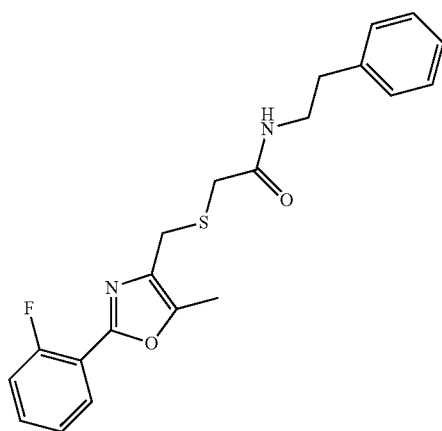
482.65

IIa-
335

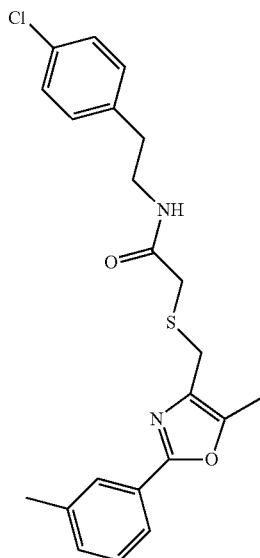
444.53

TABLE 2-continued

Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW

IIa-
336

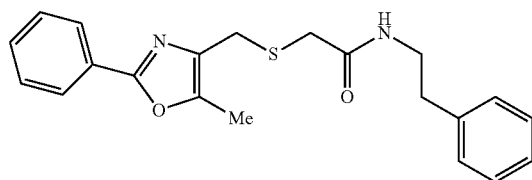
384.48

IIa-
337

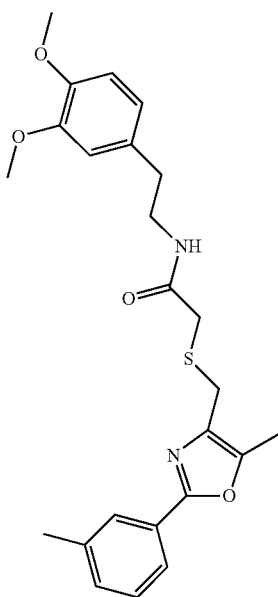
414.96

TABLE 2-continued

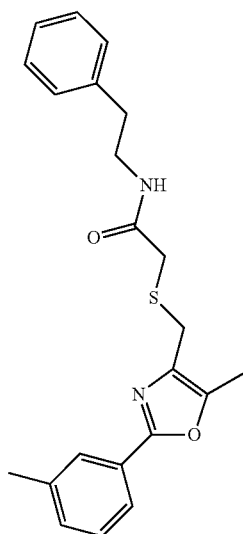
Oxazole amides ($R^3 = \text{NH-phenethyl}$)



ID	Structure	MW
----	-----------	----

IIa-
338

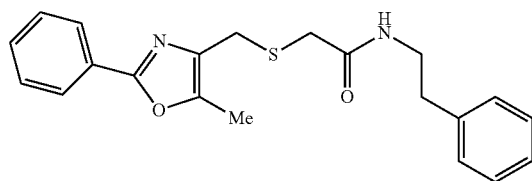
440.57

IIa-
339

380.51

TABLE 2-continued

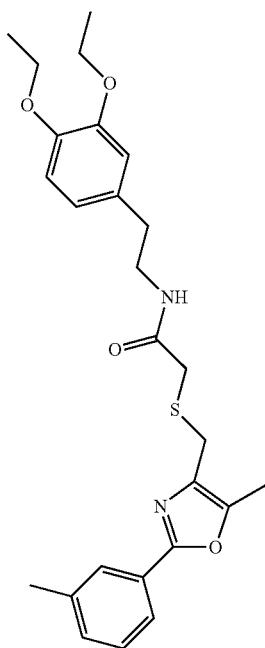
Oxazole amides ($R^3 = \text{NH-phenethyl}$)



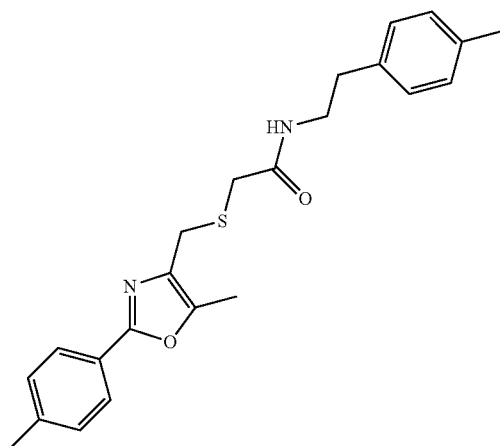
ID

Structure

MW

IIa-
340

468.62

IIa-
341

394.54

TABLE 2-continued

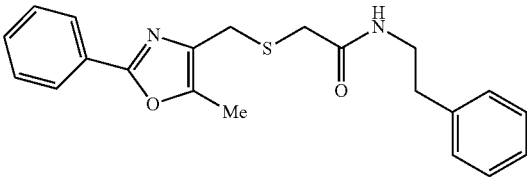
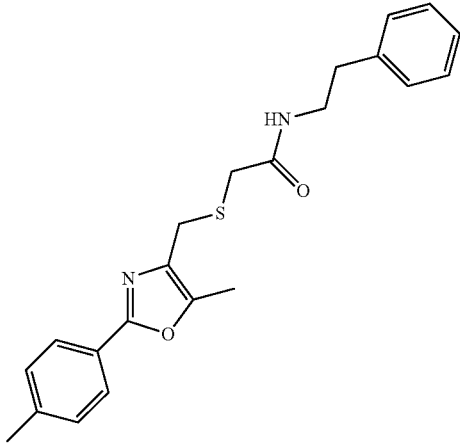
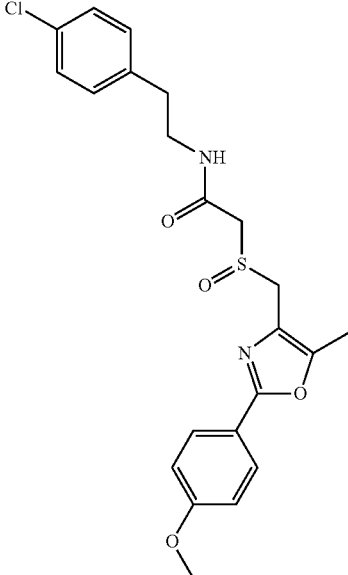
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-342		380.51
IIa-343		446.96

TABLE 2-continued

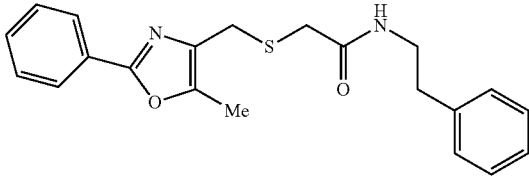
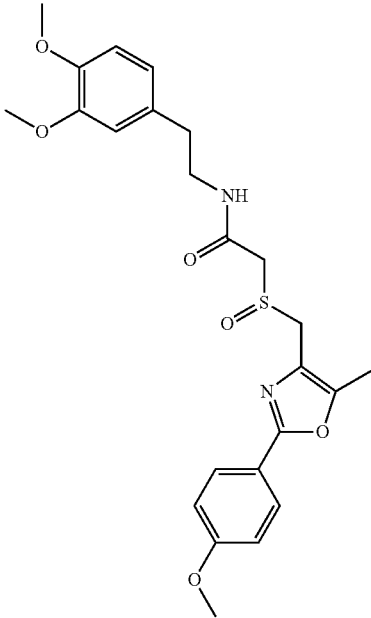
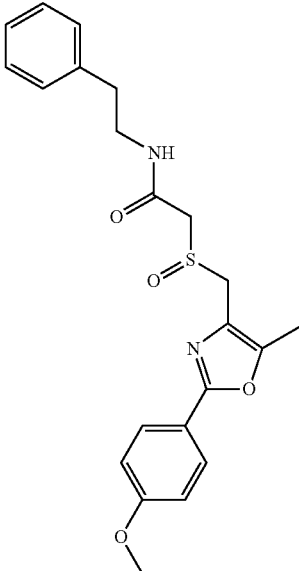
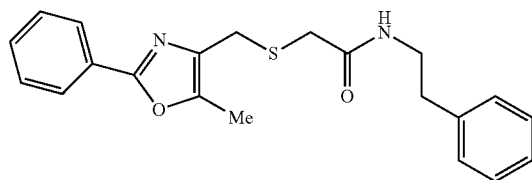
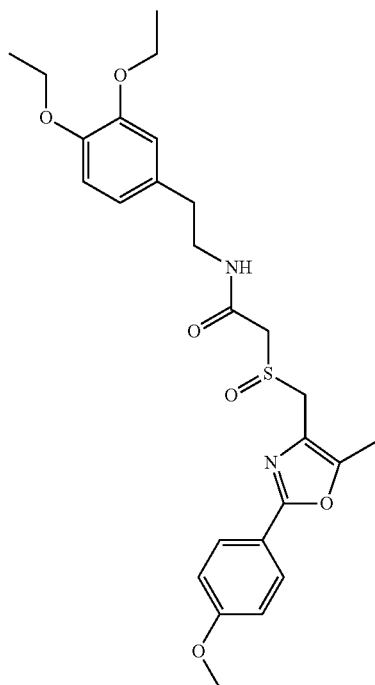
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-344		472.56
IIa-345		412.51

TABLE 2-continued

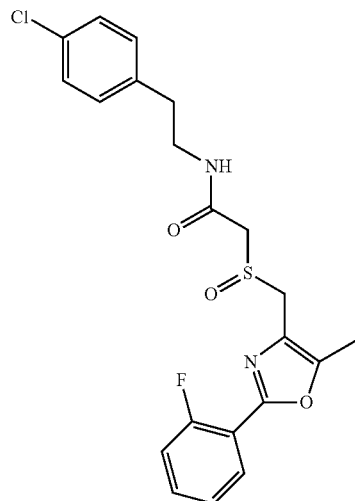
Oxazole amides (R ³ = NH-phenethyl)		
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ID	Structure	MW
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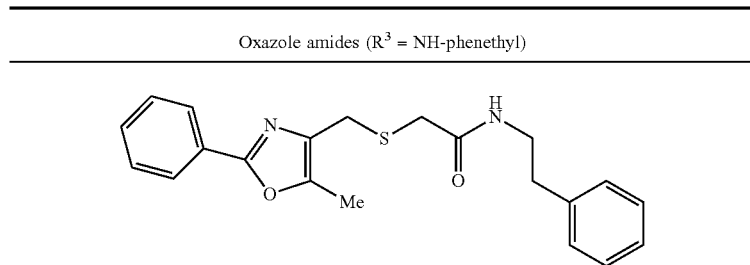
IIa-
346

500.62

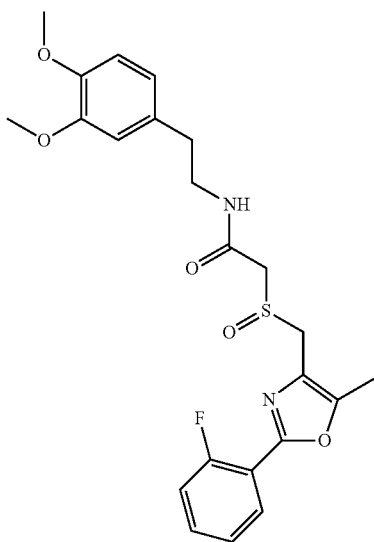
IIa-
347

434.92

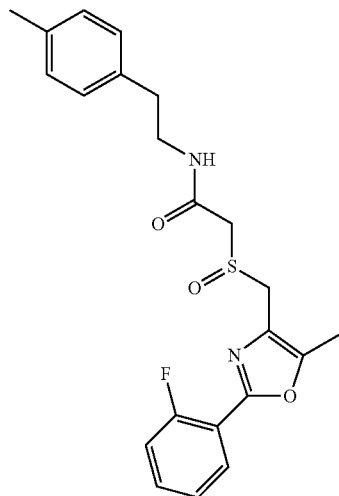
TABLE 2-continued



ID	Structure	MW
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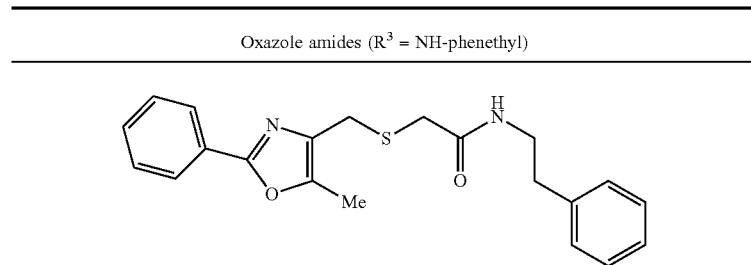
IIa-
348

460.53

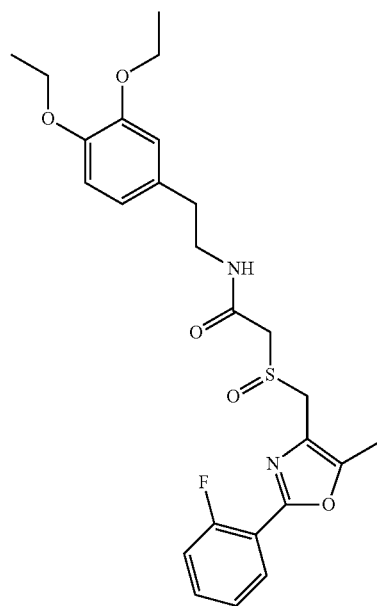
IIa-
349

414.50

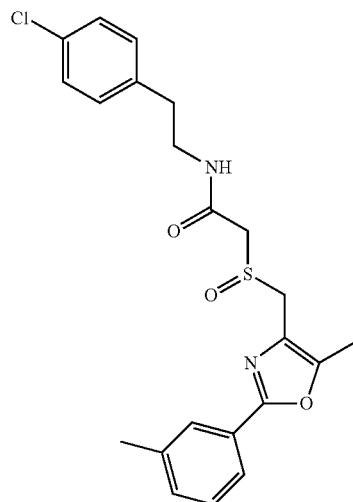
TABLE 2-continued



ID	Structure	MW
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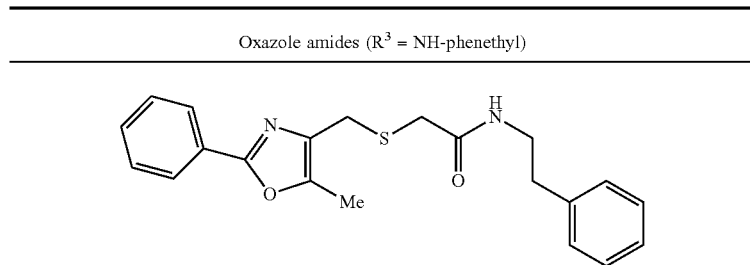
IIa-
350

488.58

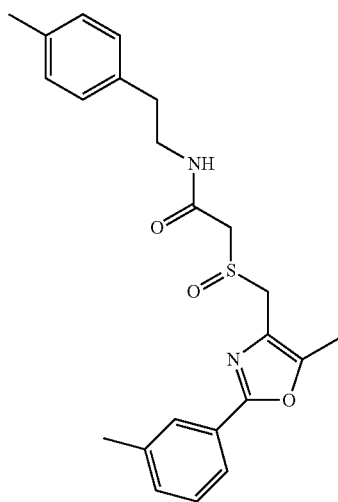
IIa-
351

430.96

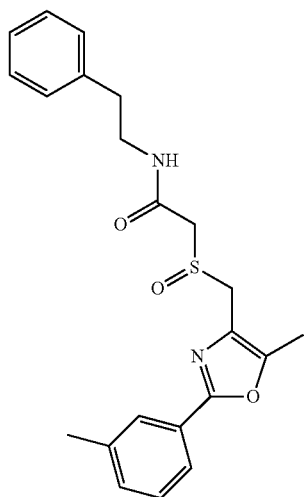
TABLE 2-continued



ID	Structure	MW
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IIa-
352

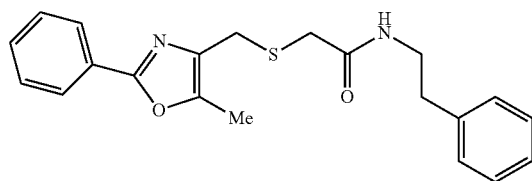
410.54

IIa-
353

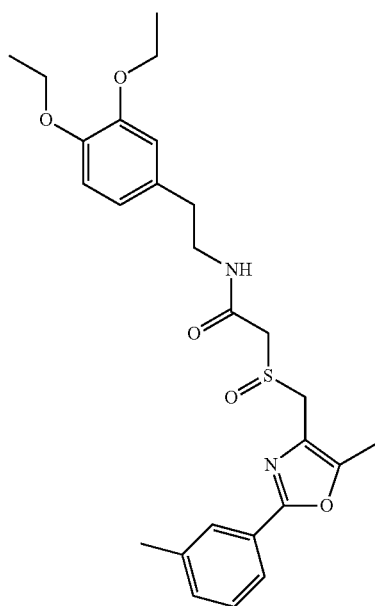
396.51

TABLE 2-continued

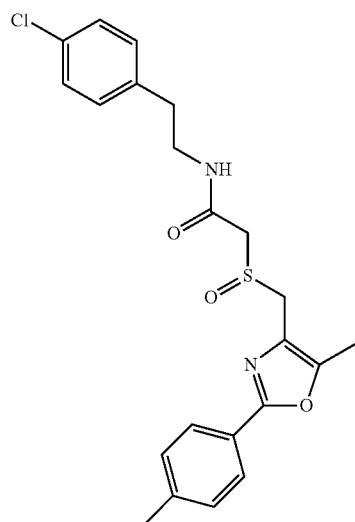
Oxazole amides ($R^3 = \text{NH-phenethyl}$)



ID	Structure	MW
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IIa-
354

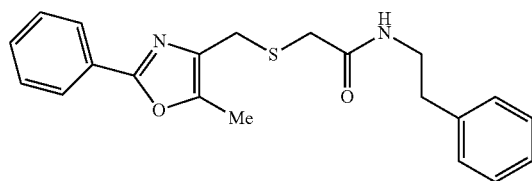
484.62

IIa-
355

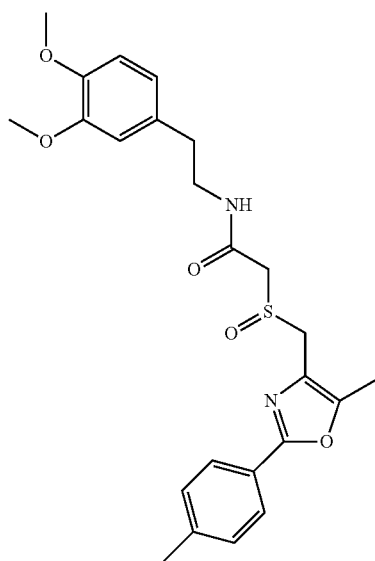
430.96

TABLE 2-continued

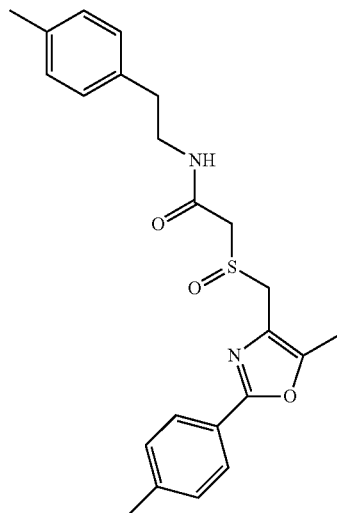
Oxazole amides ($R^3 = \text{NH-phenethyl}$)



ID	Structure	MW
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IIa-
356

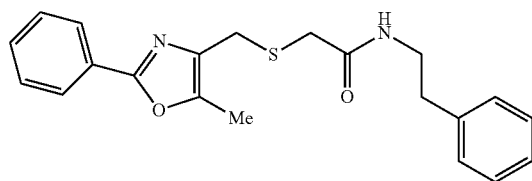
456.57

IIa-
357

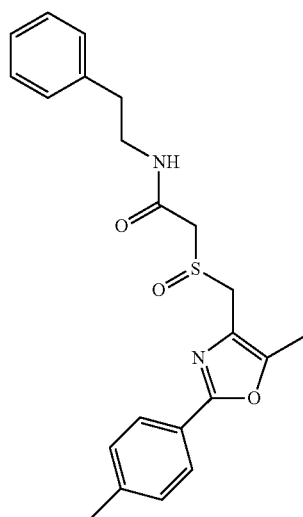
410.54

TABLE 2-continued

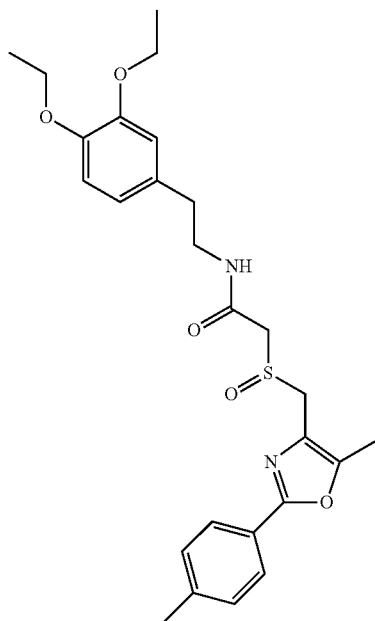
Oxazole amides ($R^3 = \text{NH-phenethyl}$)



ID	Structure	MW
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IIa-
358

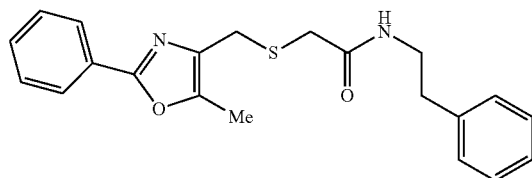
396.51

IIa-
359

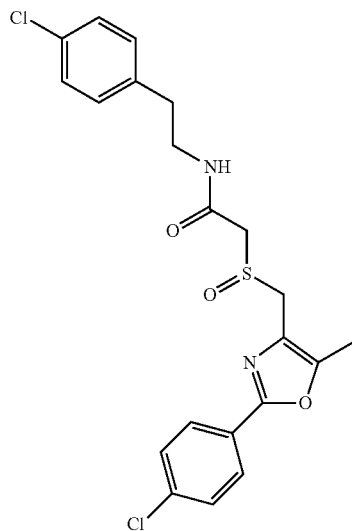
484.62

TABLE 2-continued

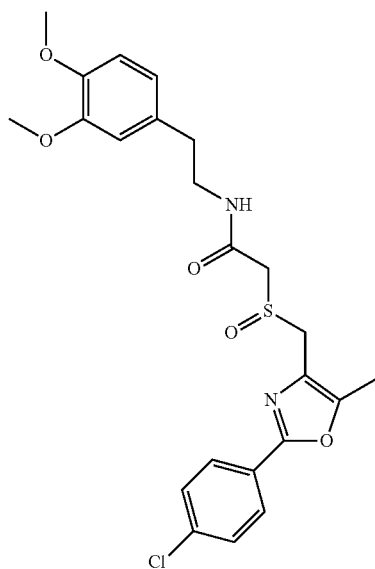
Oxazole amides (R ³ = NH-phenethyl)		
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ID	Structure	MW
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IIa-
360

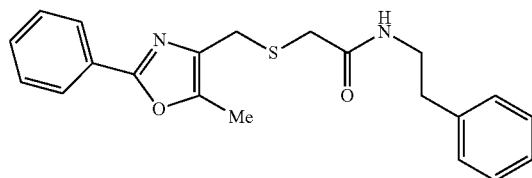
451.38

IIa-
361

476.98

TABLE 2-continued

Oxazole amides ($R^3 = \text{NH-phenethyl}$)



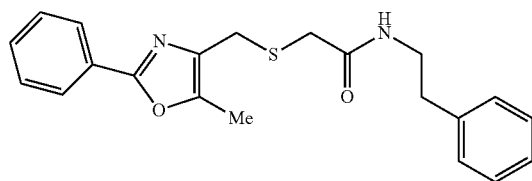
ID	Structure	MW
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IIa-362		430.96
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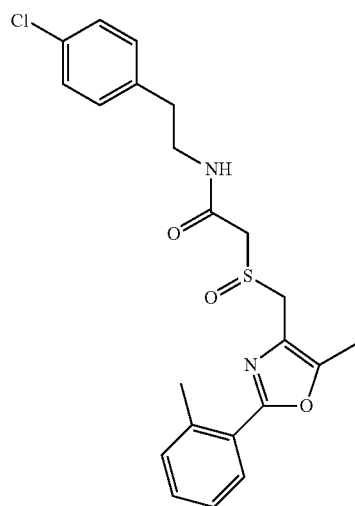
IIa-363		505.04
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TABLE 2-continued

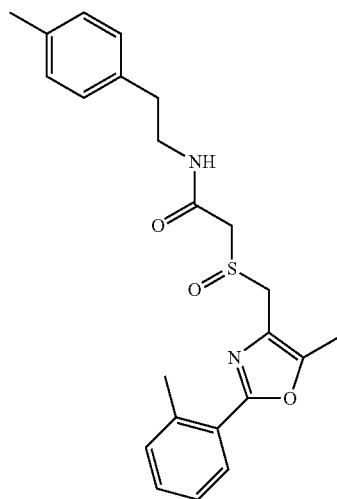
Oxazole amides (R³ = NH-phenethyl)



ID	Structure	MW
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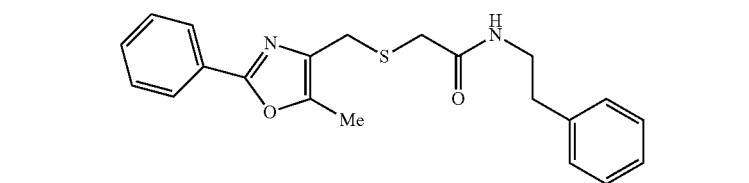
IIa-
364

430.96

IIa-
365

410.54

TABLE 2-continued

Oxazole amides ($R^3 = \text{NH-phenethyl}$)

ID	Structure	MW
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IIa-366		396.51
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IIa-367		484.62
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TABLE 2-continued

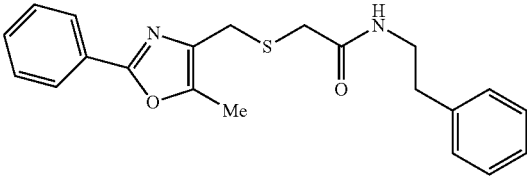
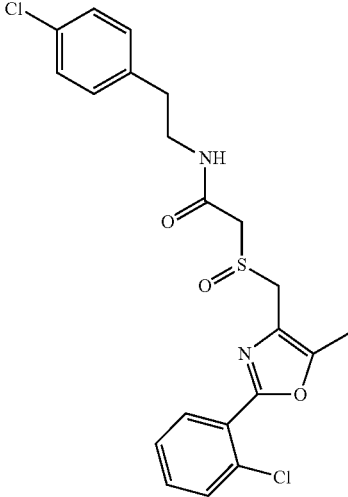
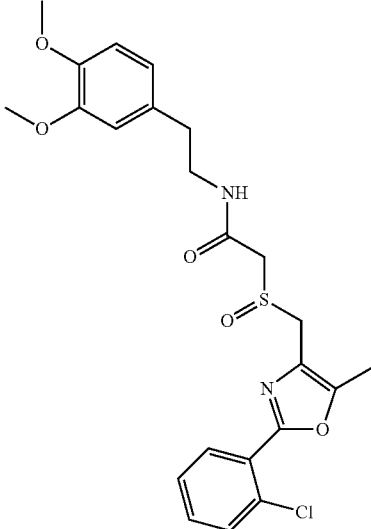
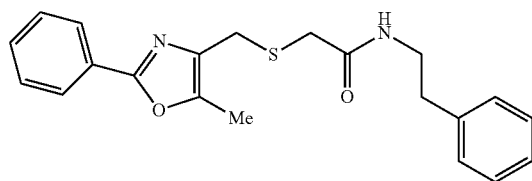
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-368		451.38
IIa-369		476.98

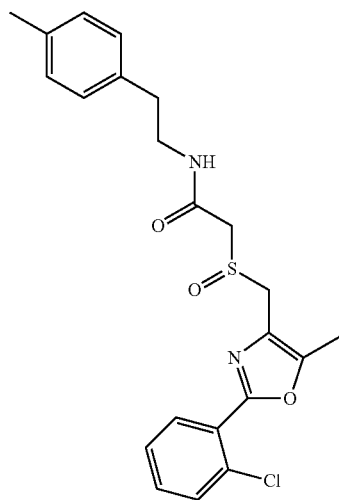
TABLE 2-continued

Oxazole amides ($R^3 = \text{NH-phenethyl}$)



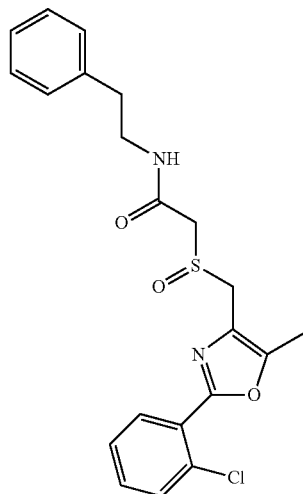
ID	Structure	MW
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IIa-
370



430.96

IIa-
371



416.93

TABLE 2-continued

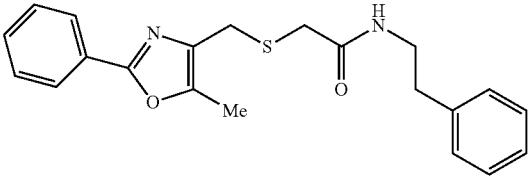
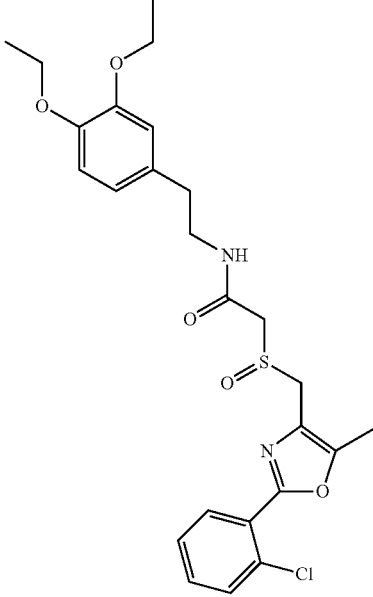
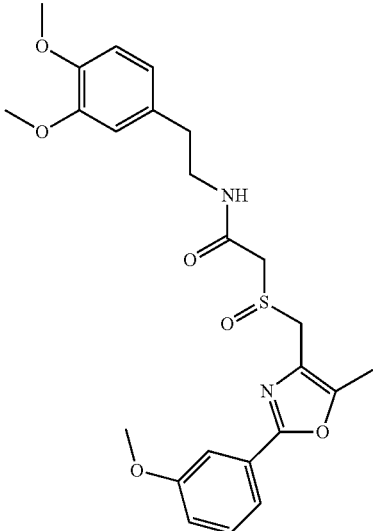
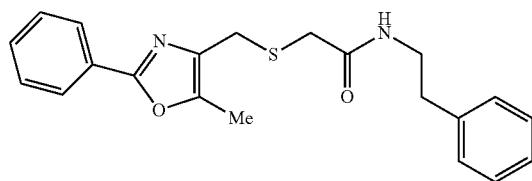
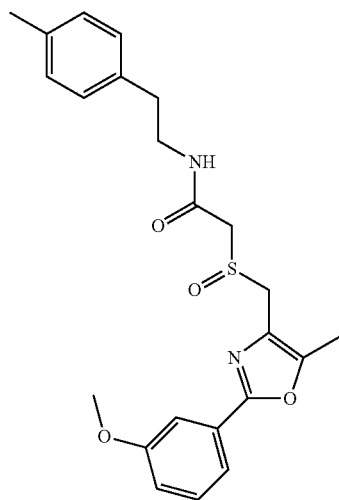
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-372		505.04
IIa-373		472.56

TABLE 2-continued

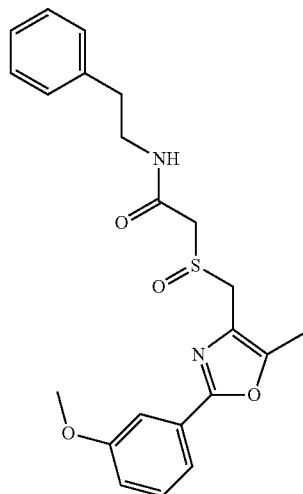
Oxazole amides ($R^3 = \text{NH-phenethyl}$)



ID	Structure	MW
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IIa-
374

426.54

IIa-
375

412.51

TABLE 2-continued

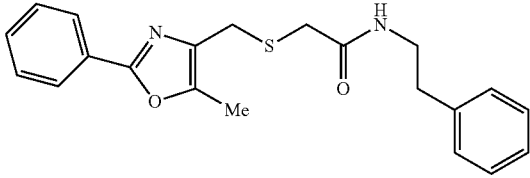
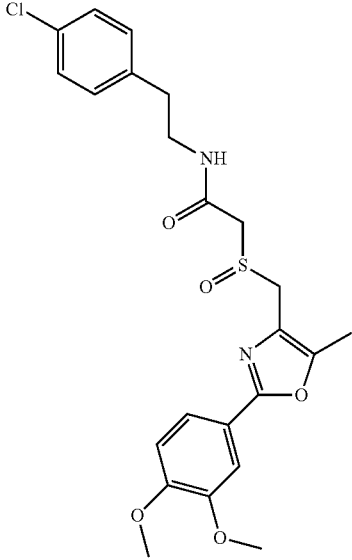
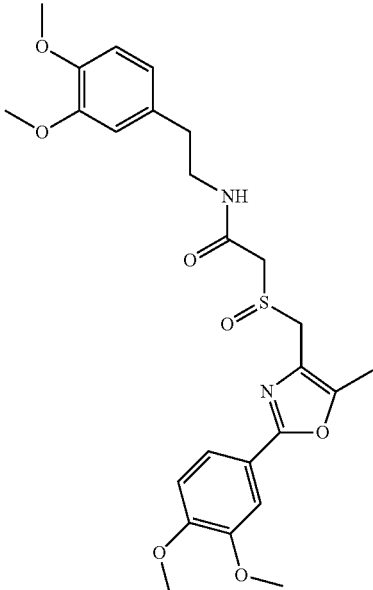
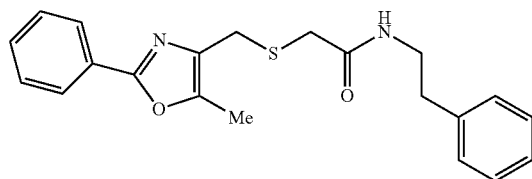
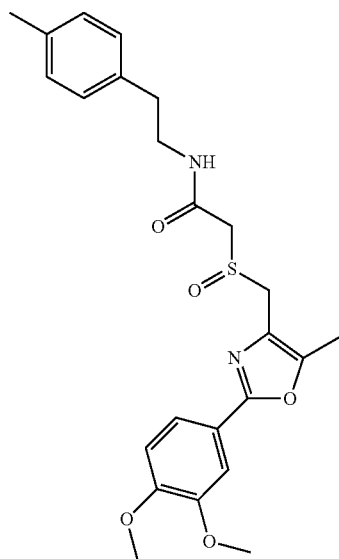
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-376		476.98
IIa-377		502.59

TABLE 2-continued

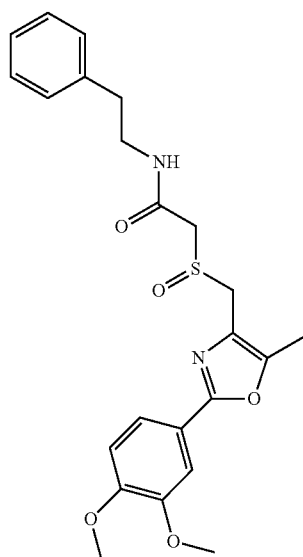
Oxazole amides (R ³ = NH-phenethyl)		
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ID	Structure	MW
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IIa-
378

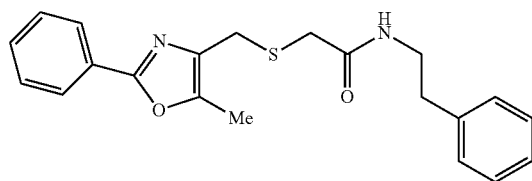
456.57

IIa-
379

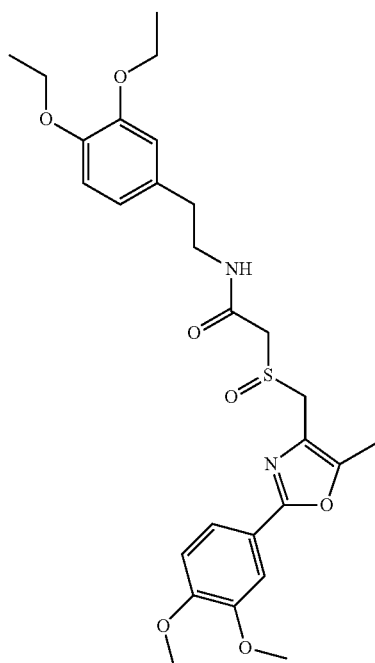
442.54

TABLE 2-continued

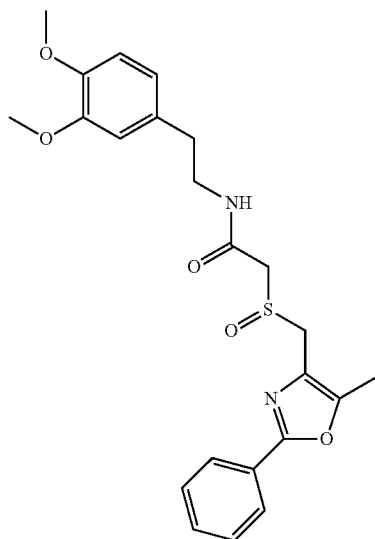
Oxazole amides ($R^3 = \text{NH-phenethyl}$)



ID	Structure	MW
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IIa-
380

530.65

IIa-
381

442.54

TABLE 2-continued

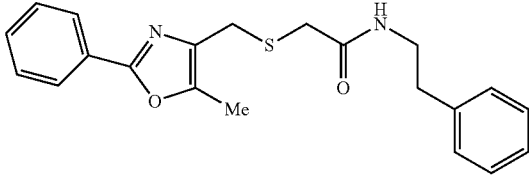
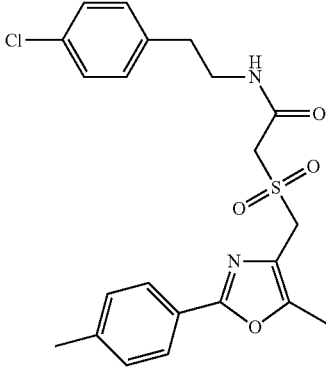
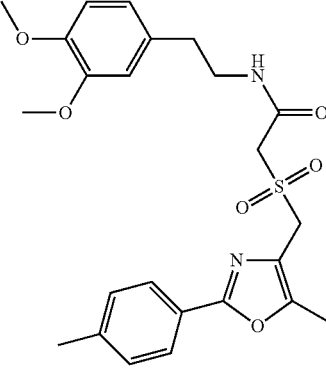
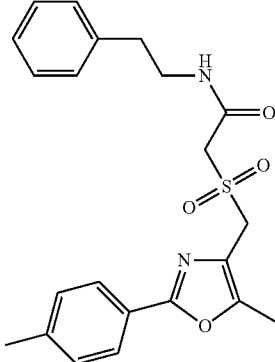
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-382		446.96
IIa-383		472.56
IIa-384		412.51

TABLE 2-continued

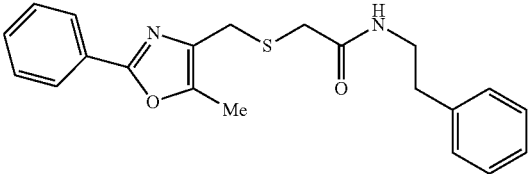
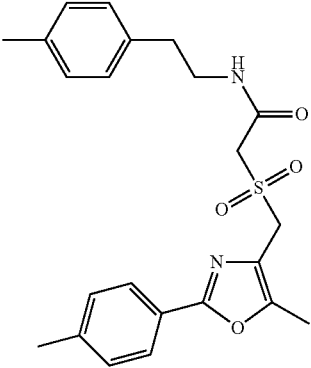
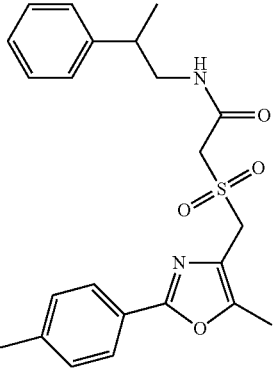
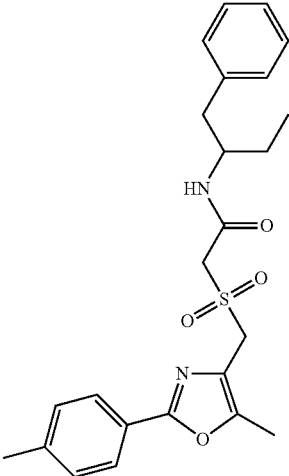
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-385		426.54
IIa-386		426.54
IIa-387		440.57

TABLE 2-continued

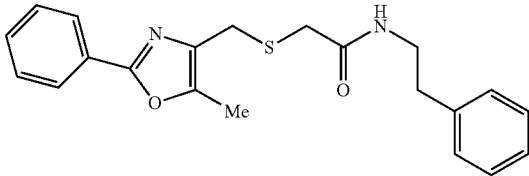
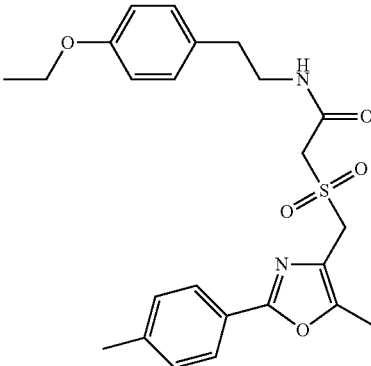
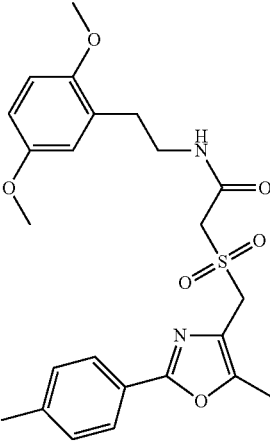
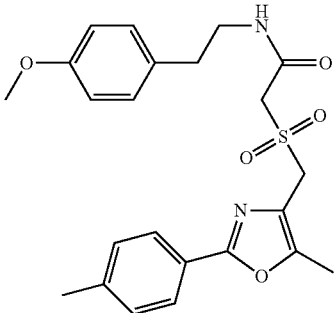
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-388		456.57
IIa-389		472.56
IIa-390		458.60

TABLE 2-continued

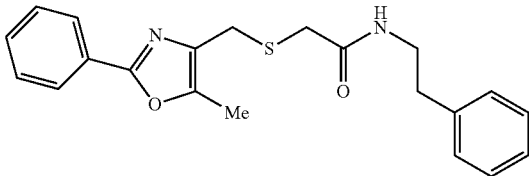
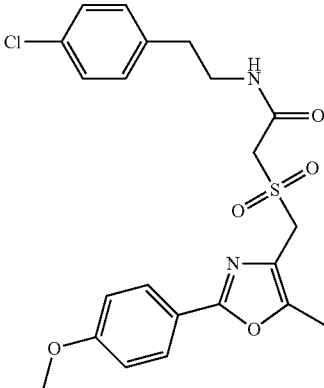
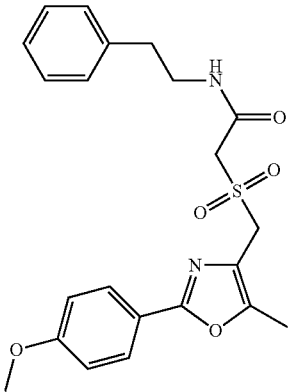
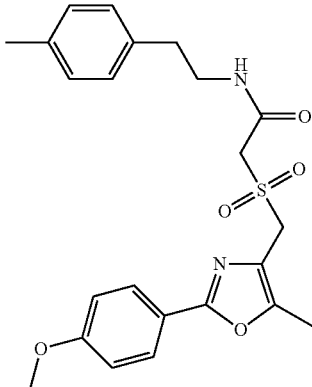
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-391		462.96
IIa-392		428.51
IIa-393		442.54

TABLE 2-continued

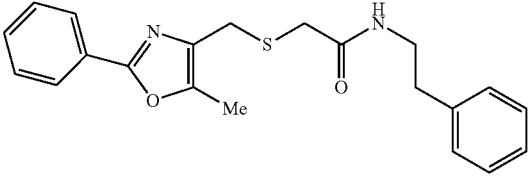
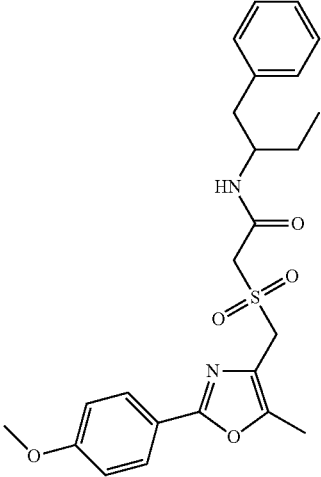
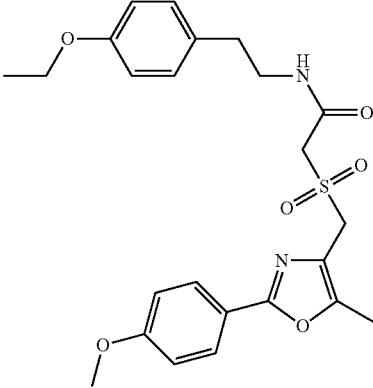
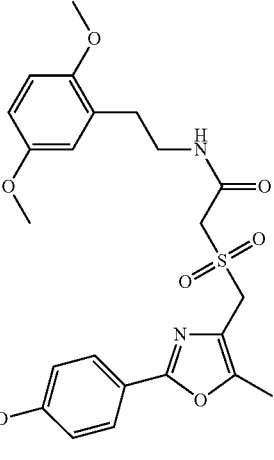
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-394		456.57
IIa-395		472.56
IIa-396		488.56

TABLE 2-continued

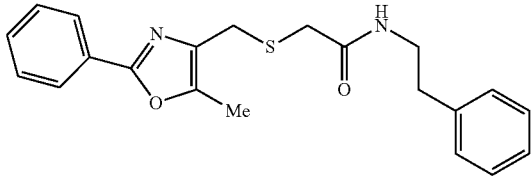
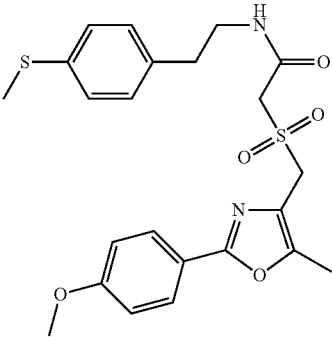
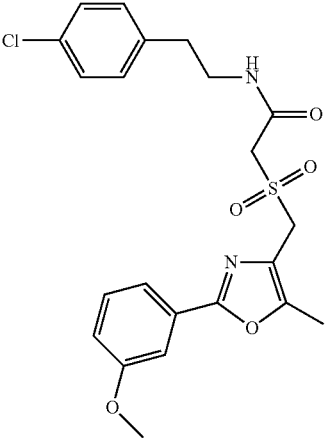
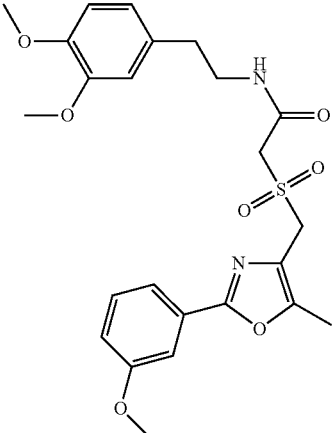
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-397		474.60
IIa-398		462.96
IIa-399		488.56

TABLE 2-continued

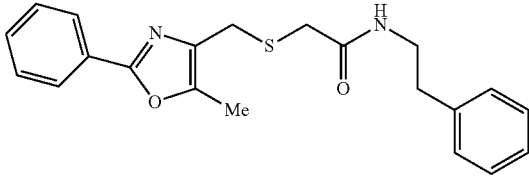
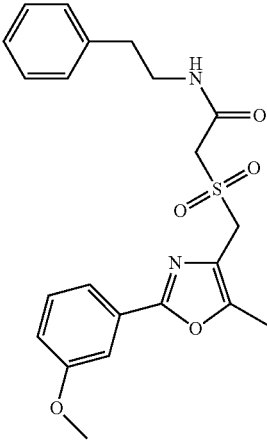
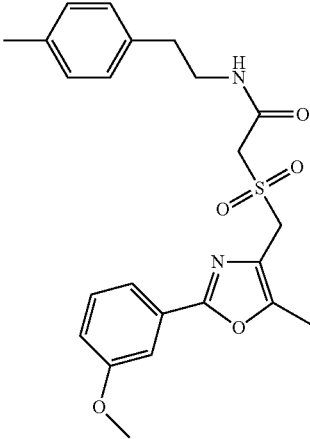
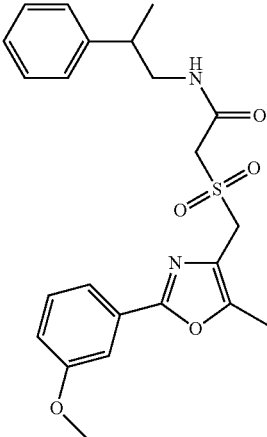
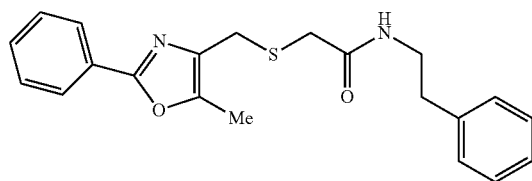
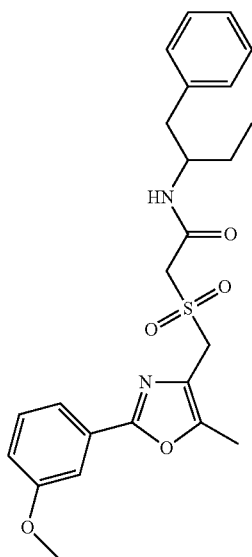
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-400		428.51
IIa-401		442.54
IIa-402		442.54

TABLE 2-continued

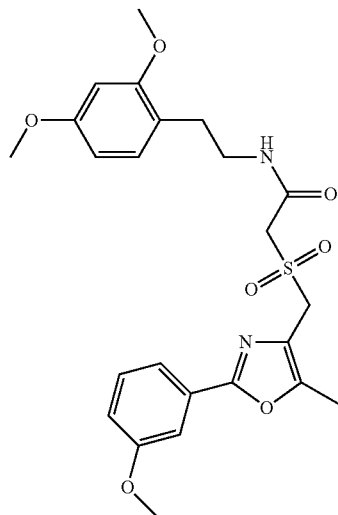
Oxazole amides (R³ = NH-phenethyl)



ID	Structure	MW
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IIa-
403

456.57

IIa-
404

488.56

TABLE 2-continued

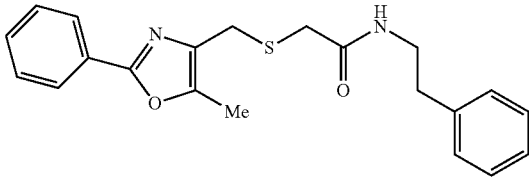
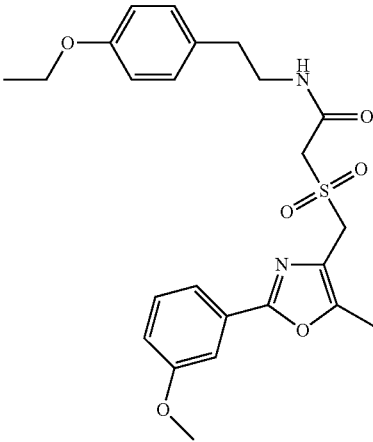
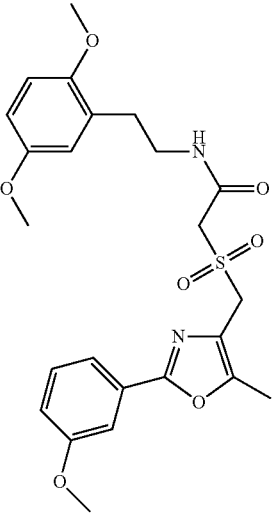
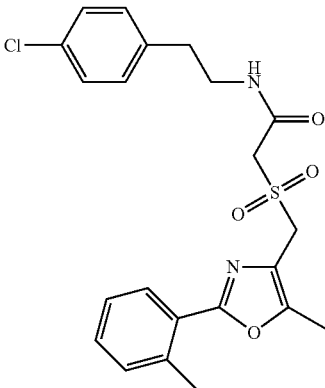
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-405		472.56
IIa-406		488.56
IIa-407		446.96

TABLE 2-continued

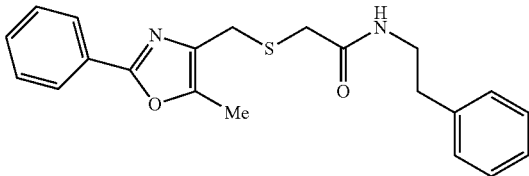
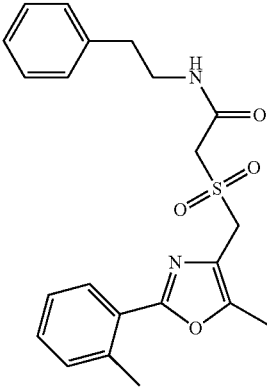
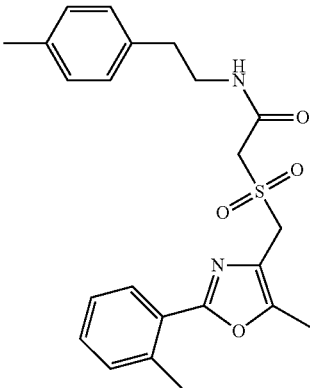
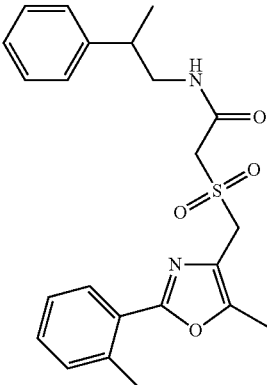
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-408		412.51
IIa-409		426.54
IIa-410		426.54

TABLE 2-continued

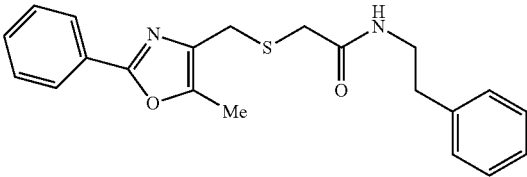
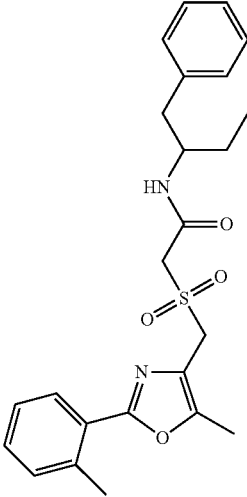
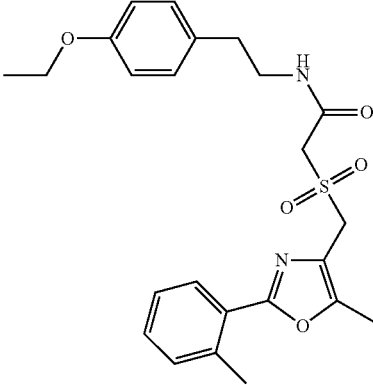
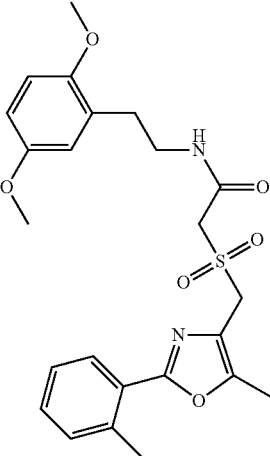
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-411		440.57
IIa-412		456.57
IIa-413		472.56

TABLE 2-continued

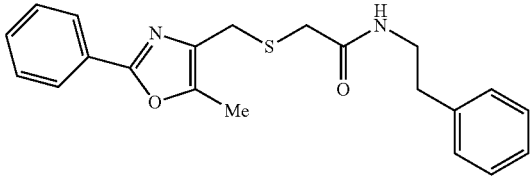
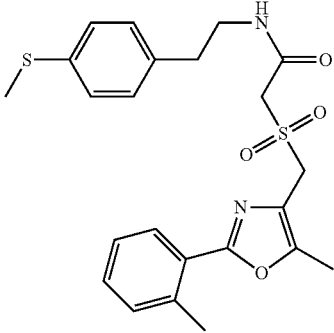
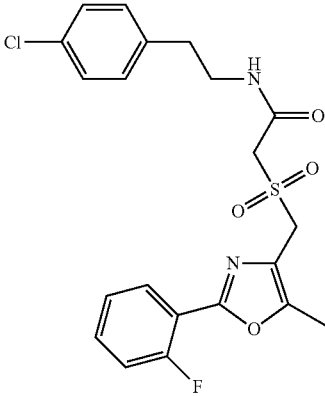
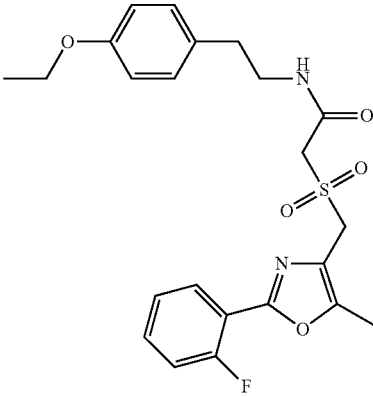
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-414		458.60
IIa-415		450.92
IIa-416		460.53

TABLE 2-continued

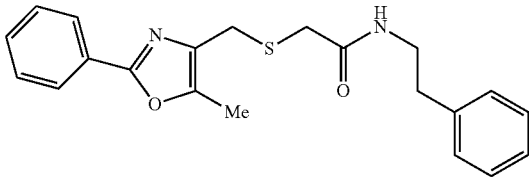
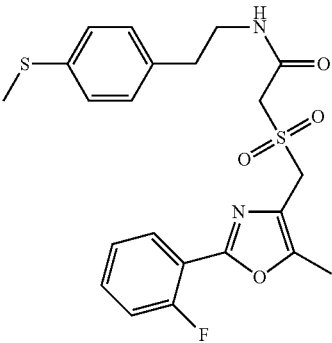
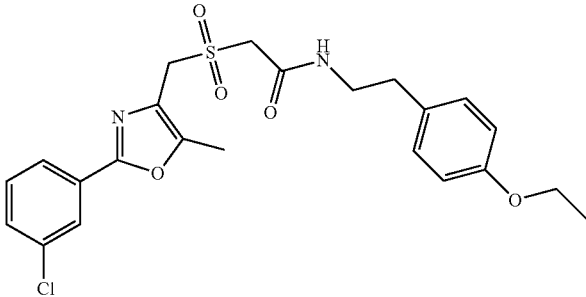
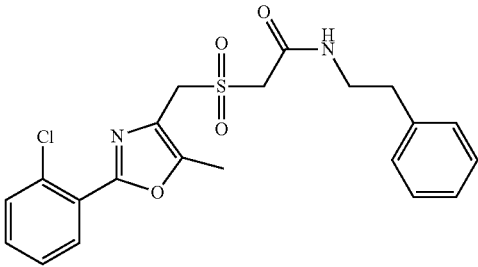
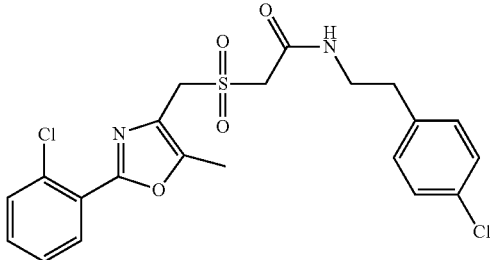
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-417		462.57
IIa-418		476.98
IIa-419		432.93
IIa-420		467.37

TABLE 2-continued

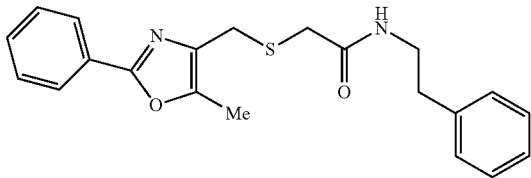
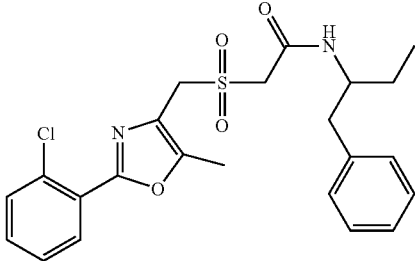
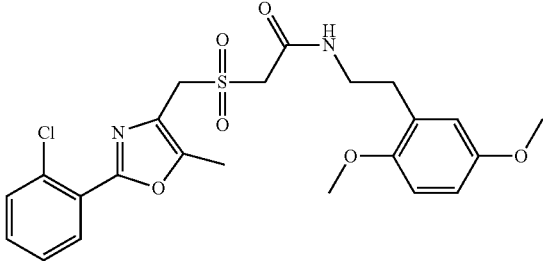
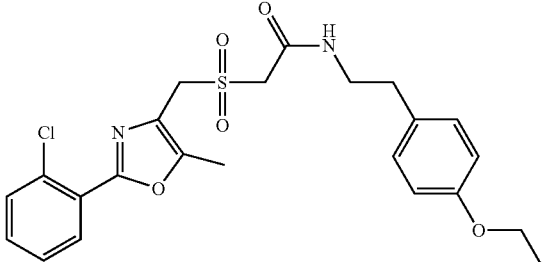
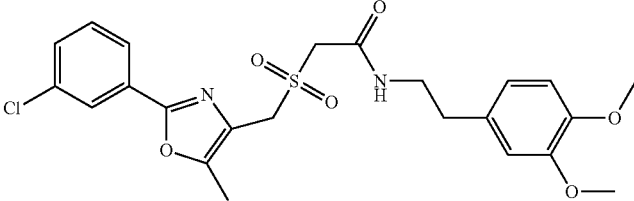
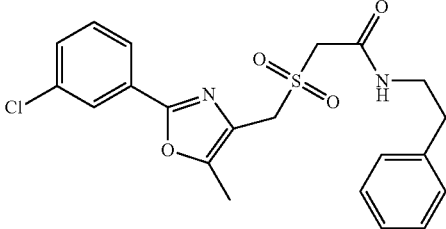
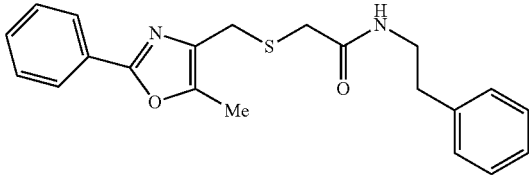
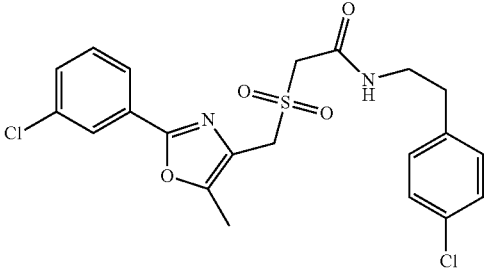
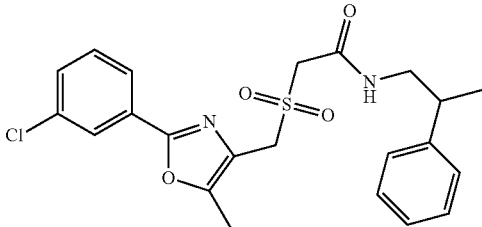
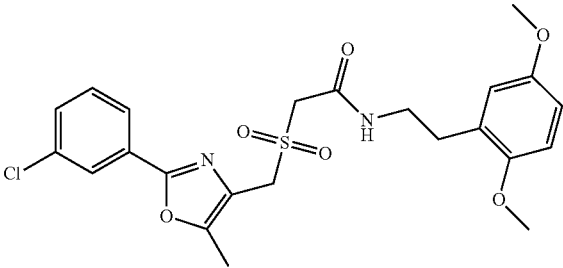
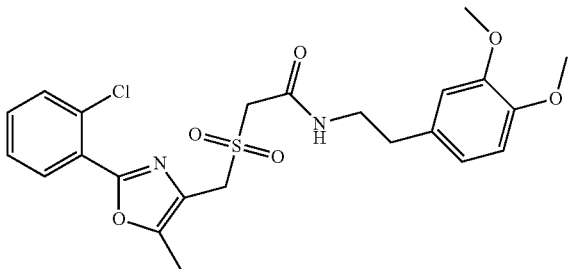
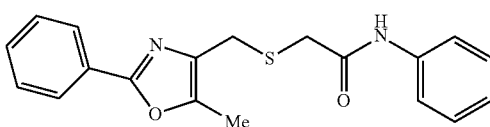
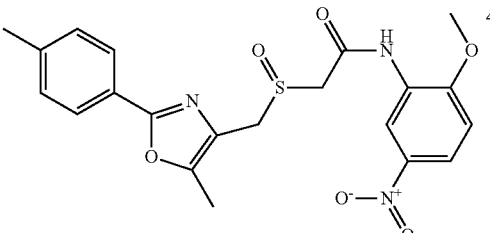
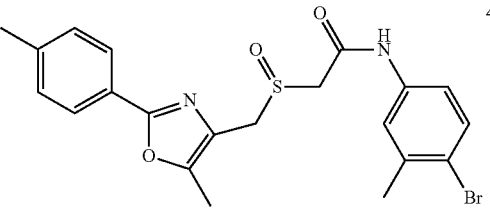
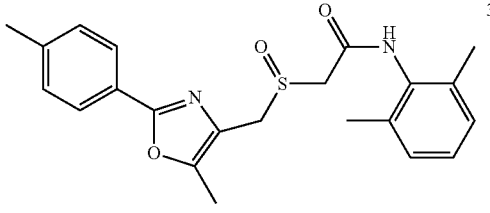
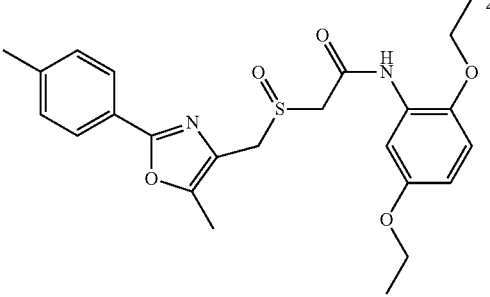
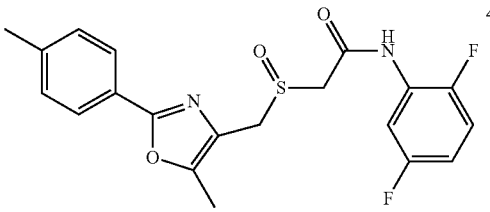
Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-421		460.98
IIa-422		492.98
IIa-423		476.98
IIa-424		492.98
IIa-425		432.93

TABLE 2-continued

Oxazole amides (R ³ = NH-phenethyl)		
		
ID	Structure	MW
IIa-426		467.37
IIa-427		446.96
IIa-428		492.98
IIa-429		492.98

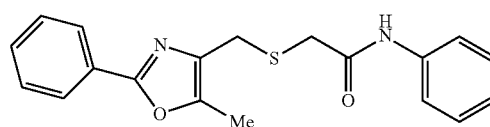
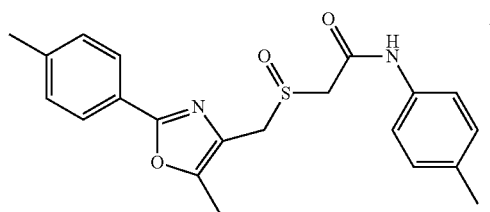
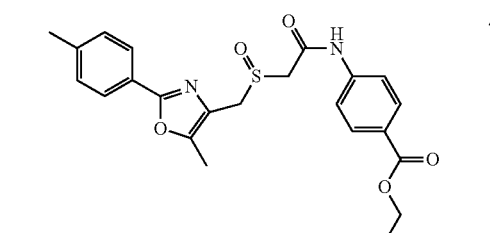
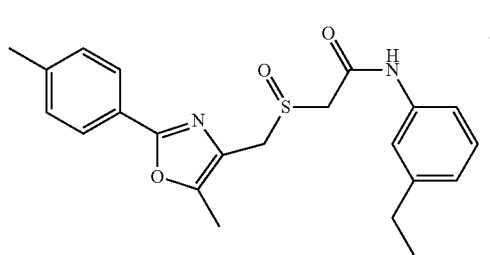
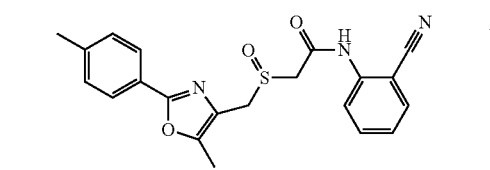
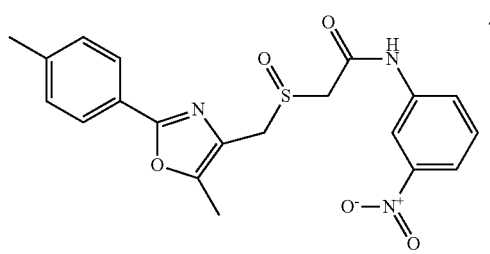
327

TABLE 3

Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW
		
IIa-501		443.48
IIa-502		461.38
IIa-503		396.51
IIa-504		456.57
IIa-505		404.44

328

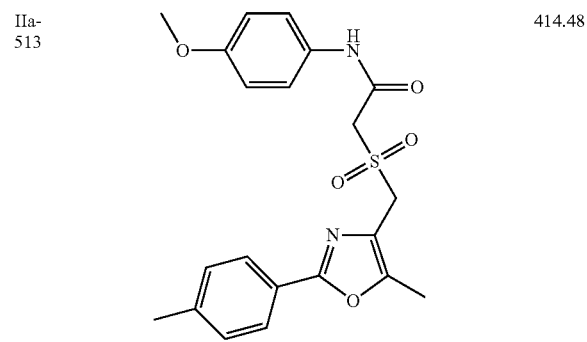
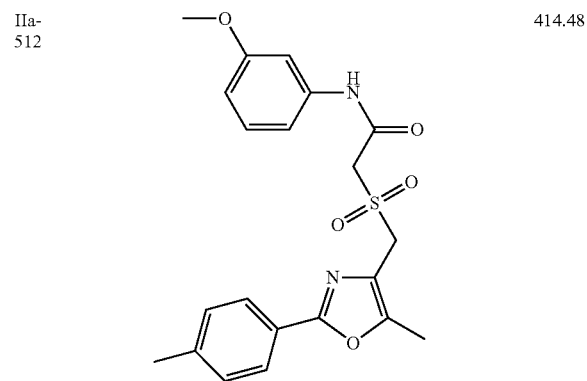
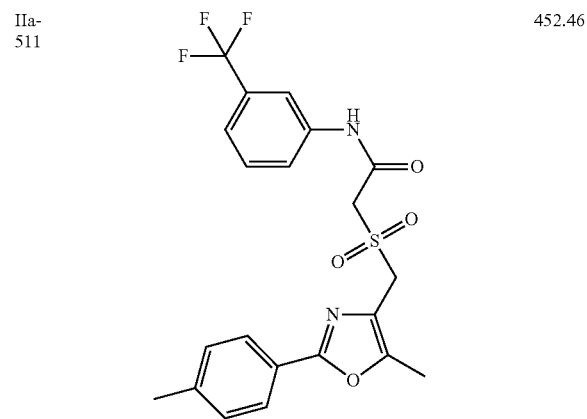
TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW
		
IIa-506		382.49
IIa-507		440.52
IIa-508		396.51
IIa-509		393.47
IIa-510		413.46

329

TABLE 3-continued

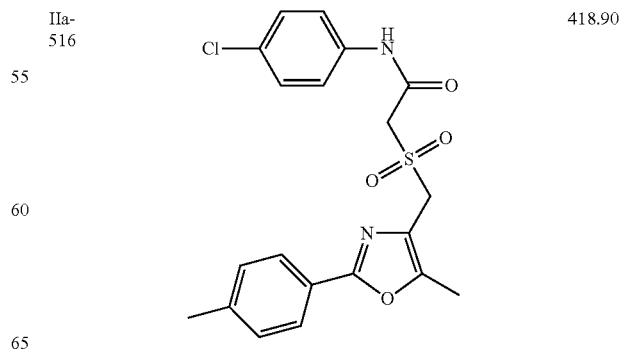
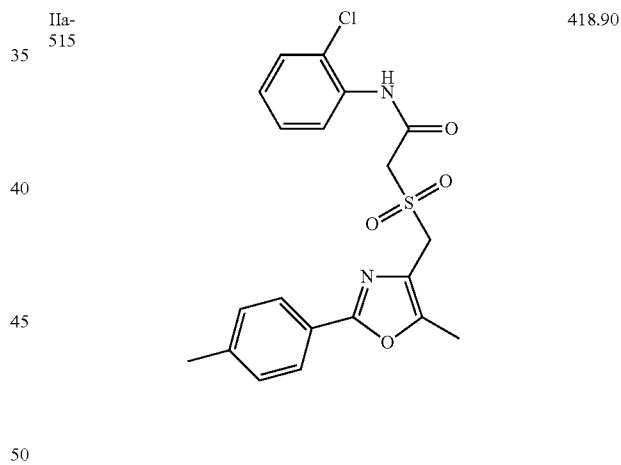
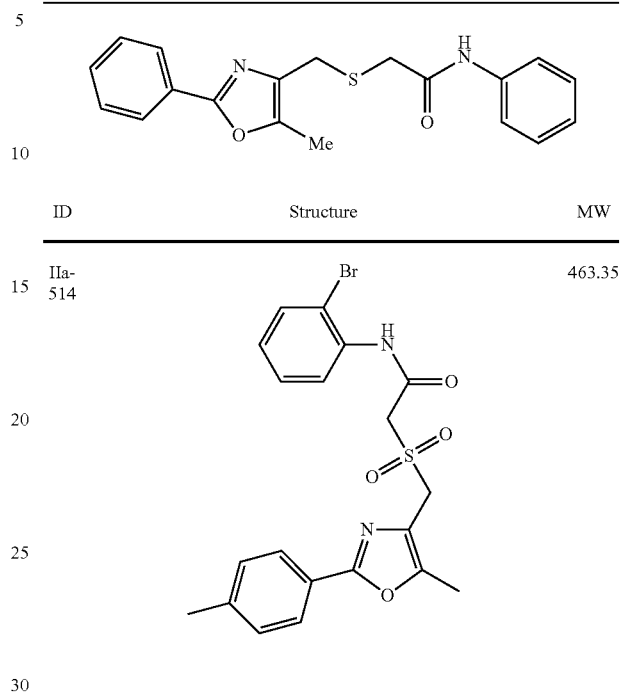
Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW



330

TABLE 3-continued

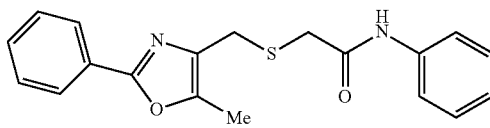
Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW

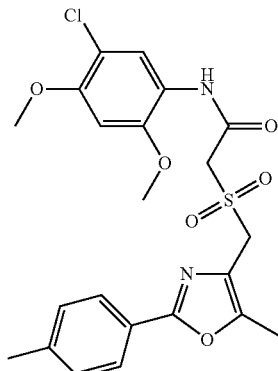


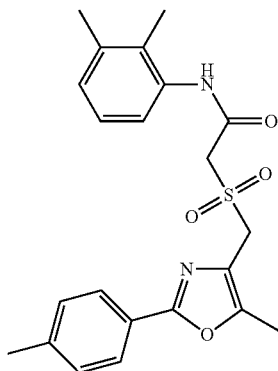
331

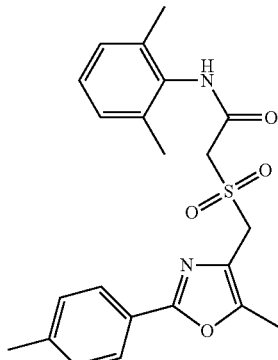
TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
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ID	Structure	MW

IIa-517		478.96
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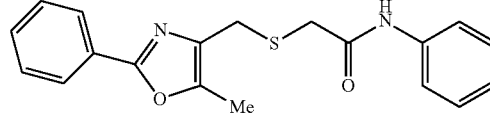
IIa-518		412.51
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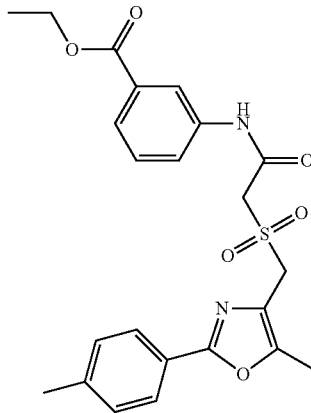
IIa-519		412.51
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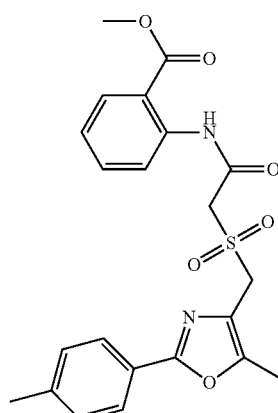
332

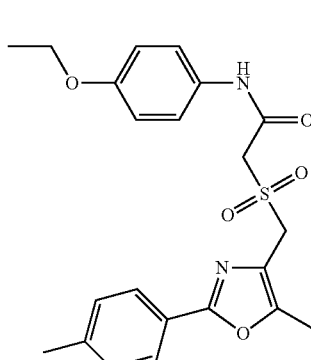
TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
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ID	Structure	MW

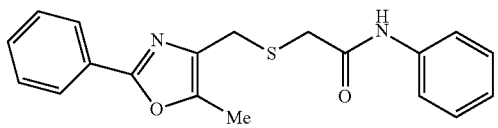
IIa-520		456.52
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IIa-521		442.49
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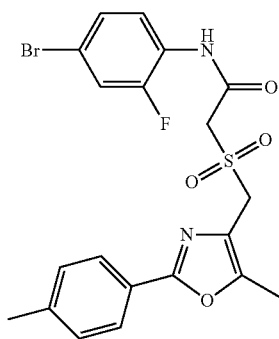
IIa-522		428.51
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333

TABLE 3-continued

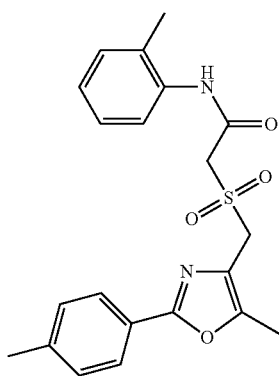
Oxazole amides (R ³ = NH-Phenyl)		
		
ID	Structure	MW

IIa-523



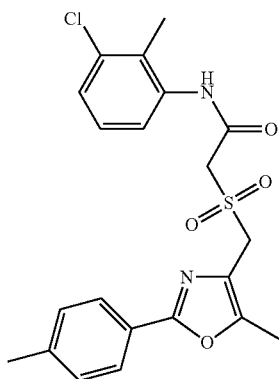
481.34

IIa-524



398.48

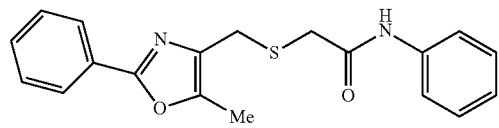
IIa-525



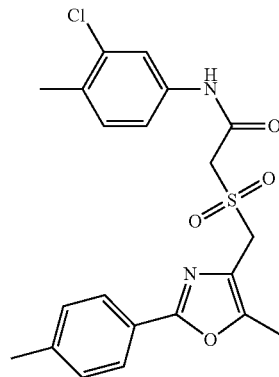
432.93

334

TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
		
ID	Structure	MW

IIa-526



432.93

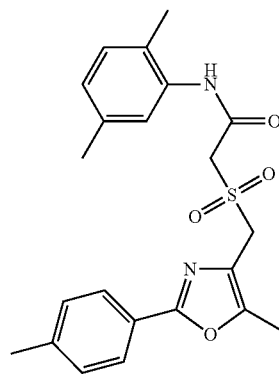
15

20

25

30

IIa-527



412.51

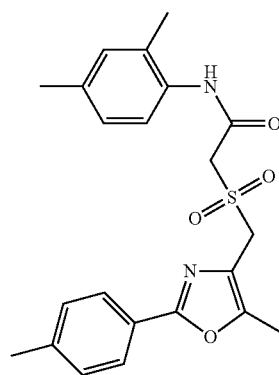
35

40

45

50

IIa-528



412.51

55

60

65

335

TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW

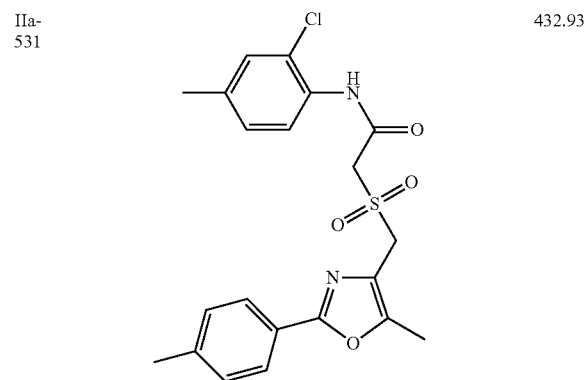
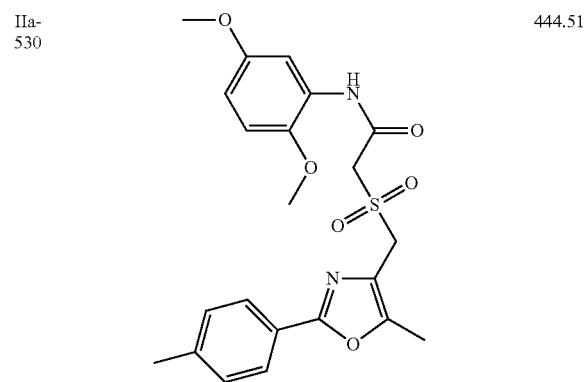
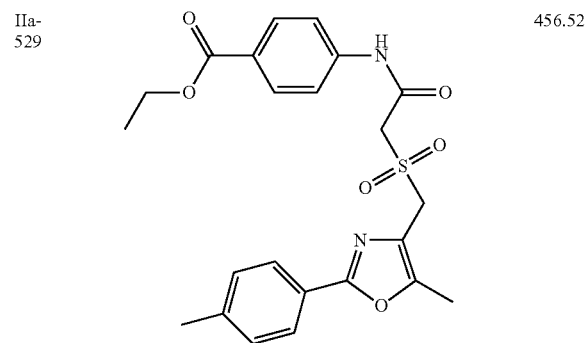
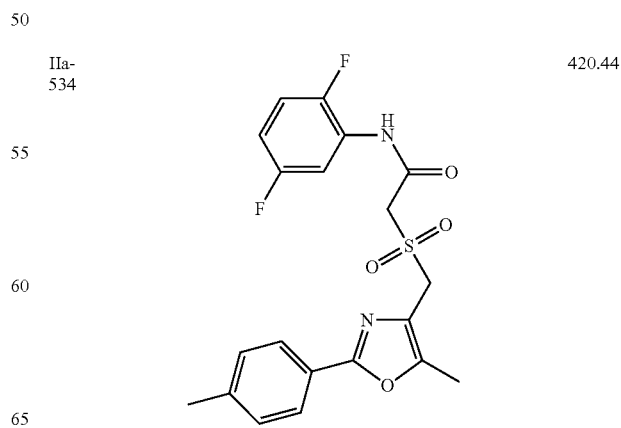
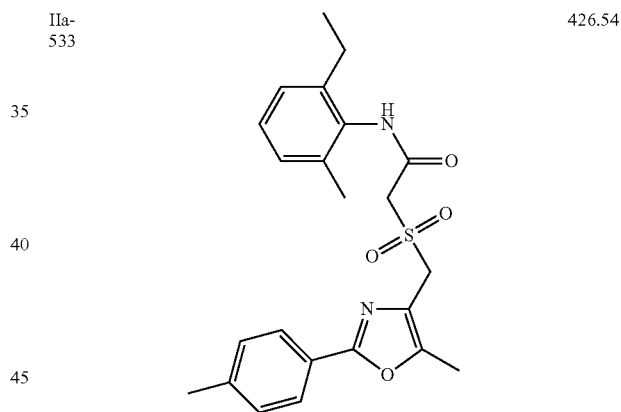
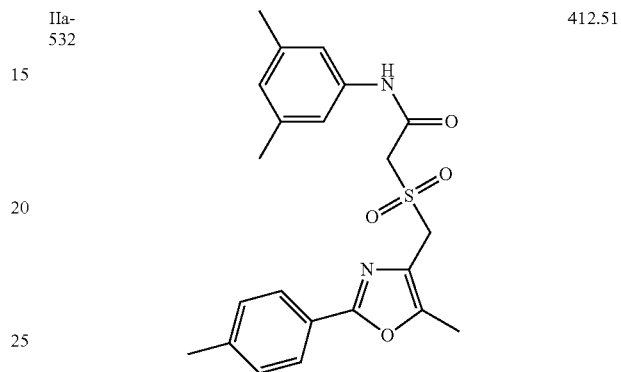
**336**

TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW

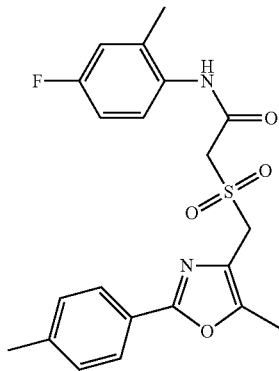


337

TABLE 3-continued

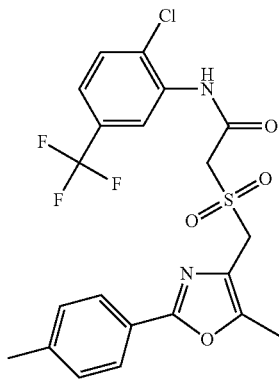
Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW

IIa-535



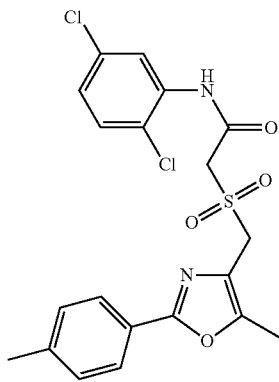
416.47

IIa-536



486.90

IIa-537



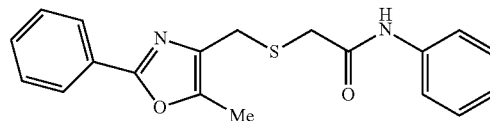
453.35

338

TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW

5



10

IIa-538

434.90

15

20

25

30

IIa-539

442.49

35

40

45

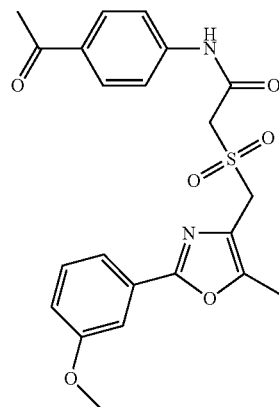
IIa-540

442.49

55

60

65



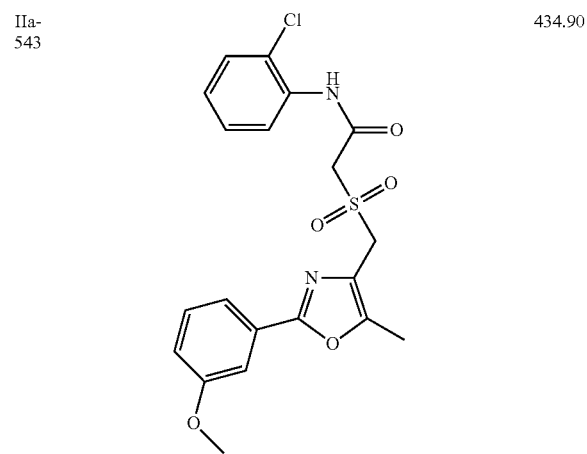
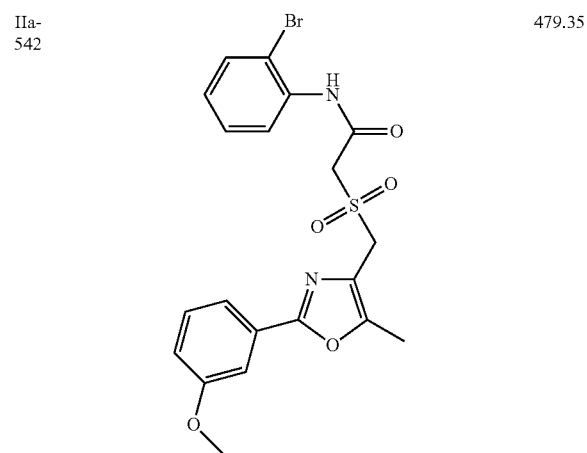
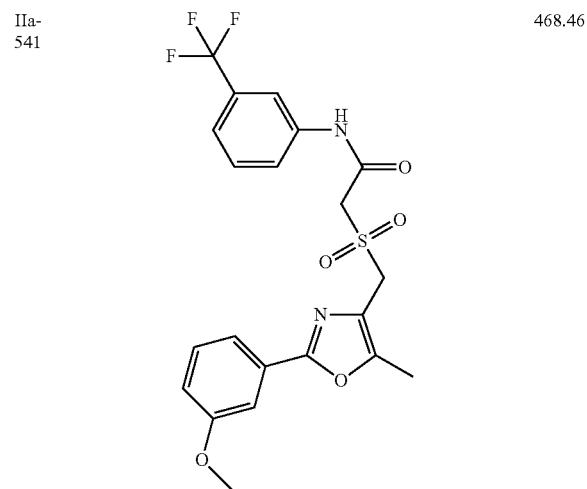
339

TABLE 3-continued

Oxazole amides ($R^3 = \text{NH-Phenyl}$)

ID	Structure	MW
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IIa-541		468.46
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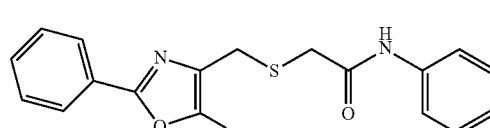


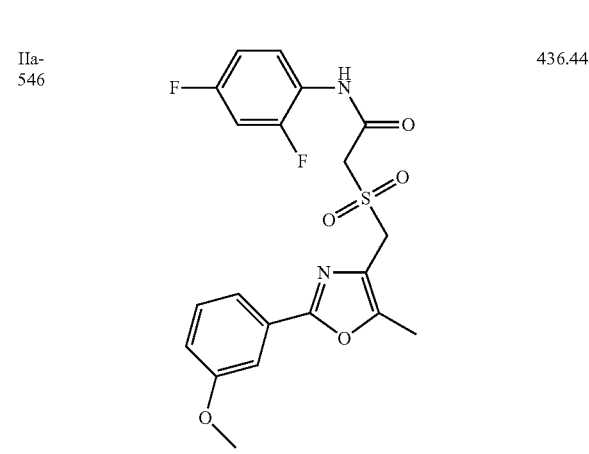
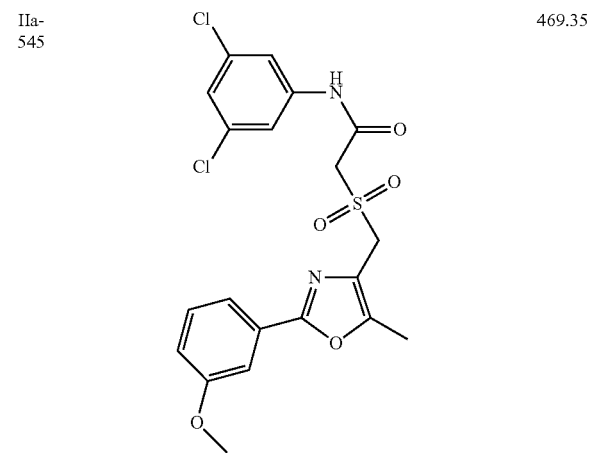
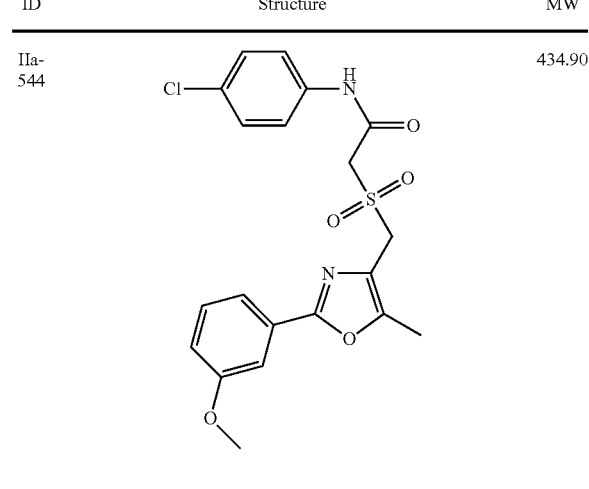
340

TABLE 3-continued

Oxazole amides ($R^3 = \text{NH-Phenyl}$)

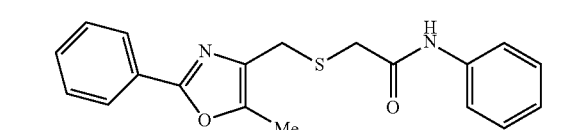
ID	Structure	MW
----	-----------	----

IIa-545		434.90
---------	--	--------



341

TABLE 3-continued

Oxazole amides ($R^3 = \text{NH-Phenyl}$)

ID	Structure	MW
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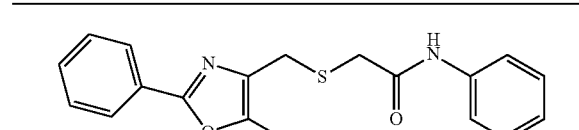
IIa-547		428.51
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IIa-548		428.51
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IIa-549		472.52
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342

TABLE 3-continued

Oxazole amides ($R^3 = \text{NH-Phenyl}$)

ID	Structure	MW
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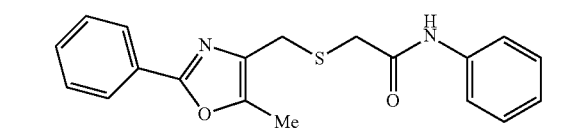
IIa-550		458.49
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IIa-551		497.34
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IIa-552		414.48
---------	--	--------

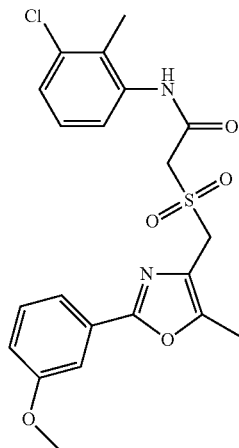
343

TABLE 3-continued

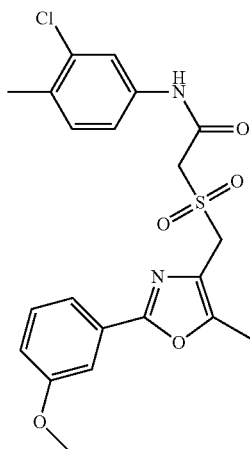
Oxazole amides (R³ = NH-Phenyl)

ID	Structure	MW
----	-----------	----

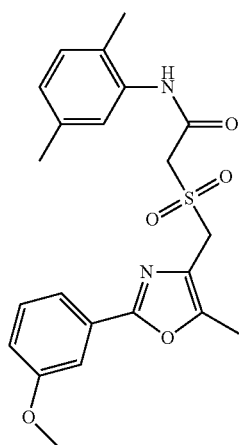
IIa-553		448.93
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IIa-554		448.93
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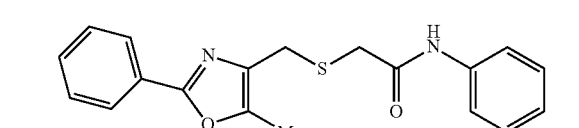


IIa-555		428.51
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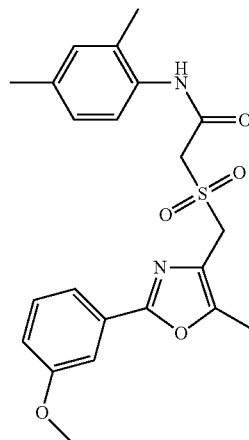
344

TABLE 3-continued

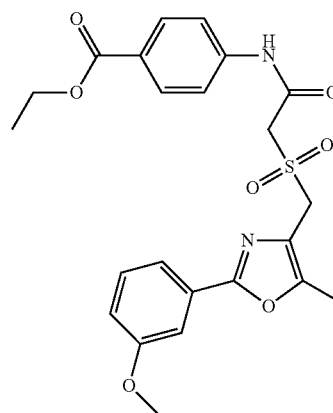
Oxazole amides (R³ = NH-Phenyl)

ID	Structure	MW
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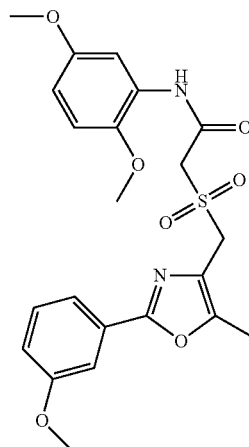
IIa-556		428.51
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IIa-557		472.52
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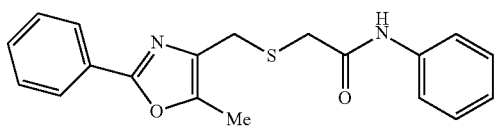
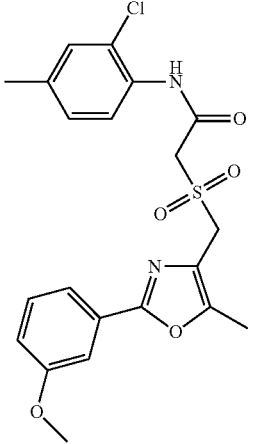
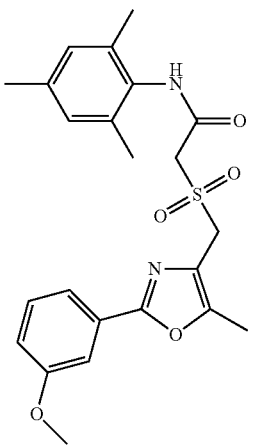
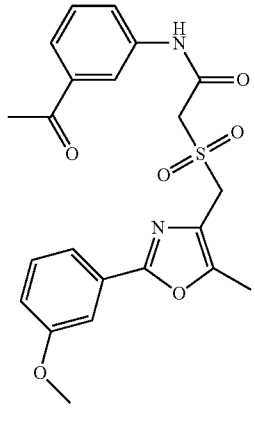
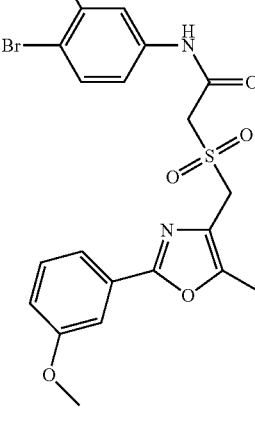
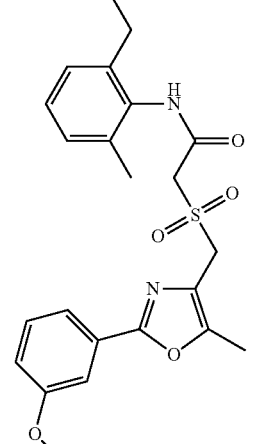
IIa-558		460.51
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345

TABLE 3-continued

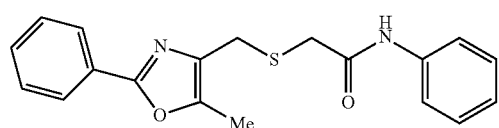
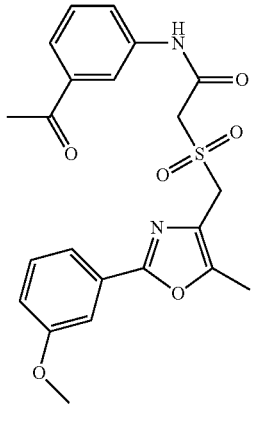
Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW

IIa-559		448.93
		
		
IIa-562		442.54
IIa-563		493.38
IIa-564		442.54

346

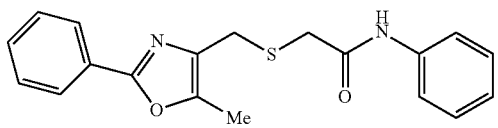
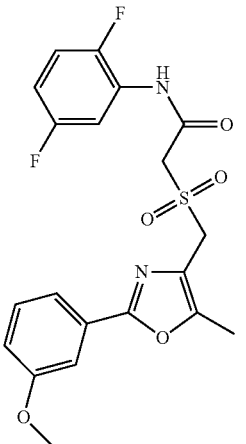
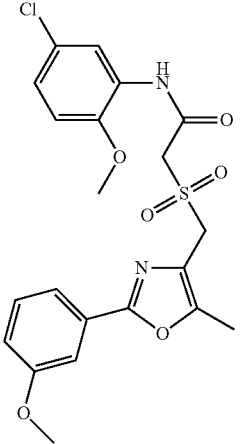
TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW

5		
10		
15		442.49
20		
25		
30	IIa-563	493.38
35		
40		
45		
50	IIa-564	442.54
55		
60		
65		

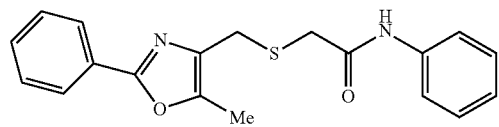
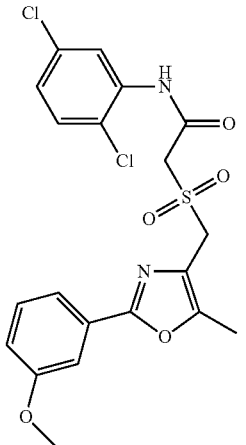
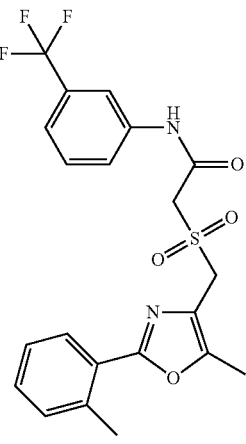
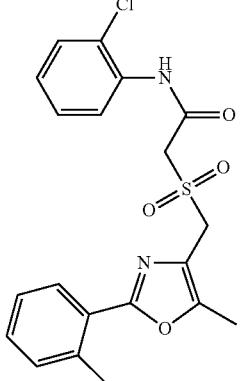
347

TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW
IIa-565		436.44
IIa-566		464.93
IIa-567		432.47

348

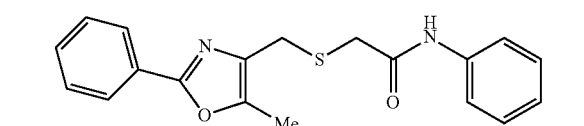
TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW
5		
15		469.35
35		452.46
55		418.90

349

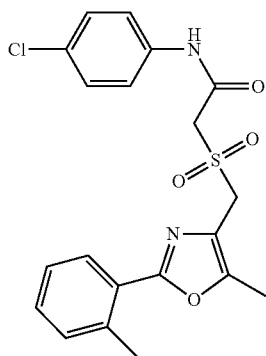
TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
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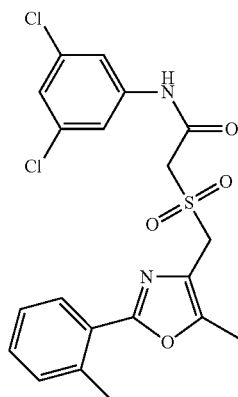


ID	Structure	MW
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IIa-571		418.90
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IIa-572		453.35
---------	--	--------



IIa-573		412.51
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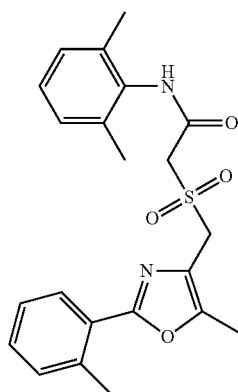
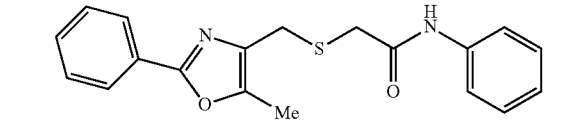
**350**

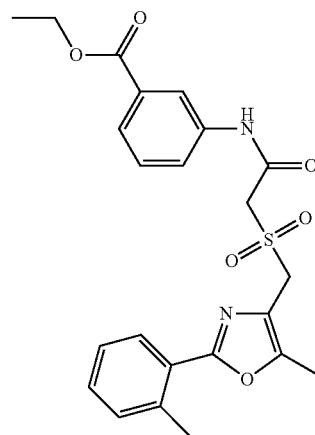
TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
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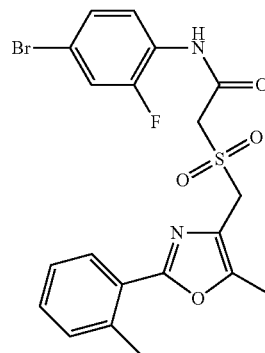


ID	Structure	MW
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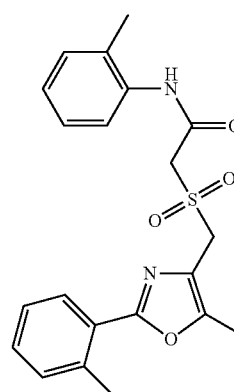
IIa-574		456.52
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IIa-575		481.34
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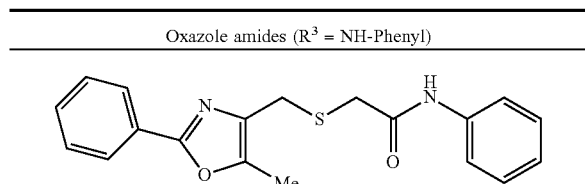


IIa-576		398.48
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351

TABLE 3-continued



ID	Structure	MW
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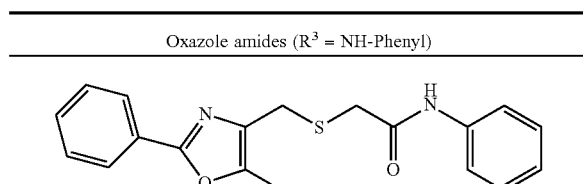
IIa-577		432.93
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IIa-578		412.51
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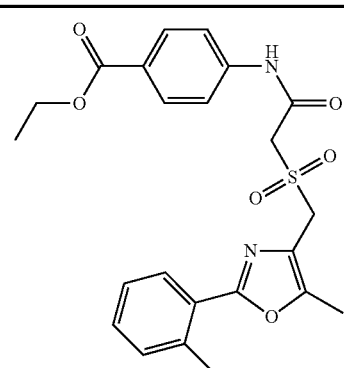
IIa-579		412.51
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352

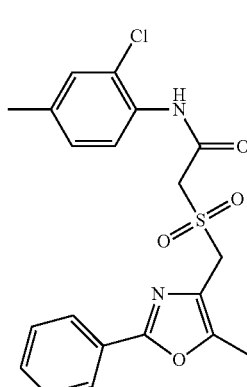
TABLE 3-continued



ID	Structure	MW
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IIa-580		456.52
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IIa-581		444.51
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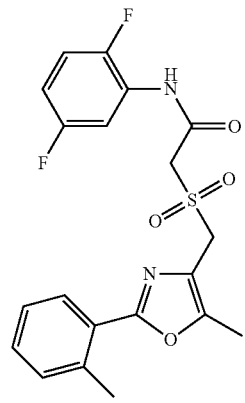
IIa-582		432.92
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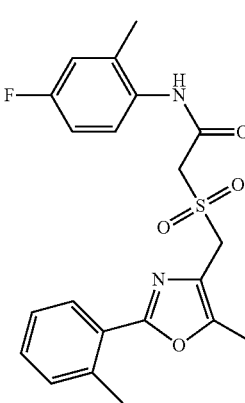
353

TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW

IIa-583		412.51
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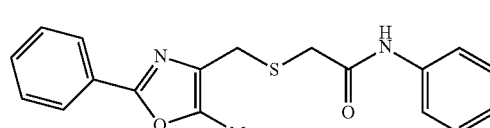
IIa-584		420.44
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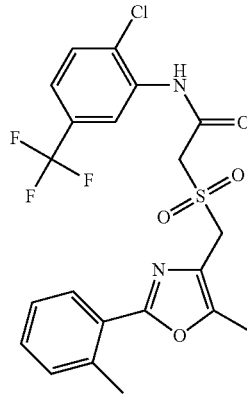
IIa-585		416.47
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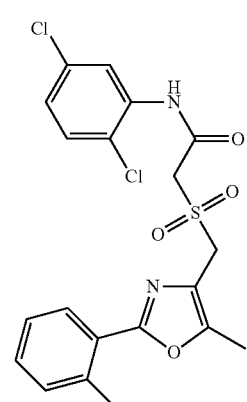
354

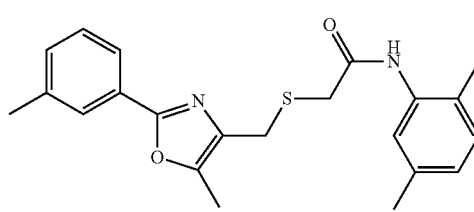
TABLE 3-continued

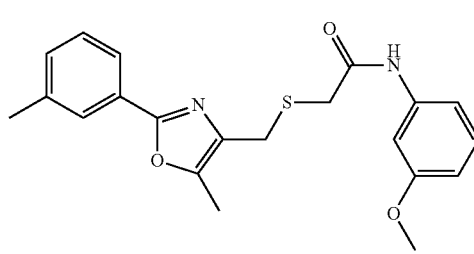
Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW

5		
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IIa-586		486.90
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IIa-587		453.35
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IIa-588		380.51
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IIa-589		382.49
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355

TABLE 3-continued

Oxazole amides (R ³ = NH-Phenyl)		
ID	Structure	MW
IIa-590		421.35
IIa-591		380.51
IIa-592		431.35

TABLE 4

Oxazole amides (R ³ = NH-C ₃ -C ₇ -cycloalkyl)		
ID	Structure	MW
IIa-601		402.56

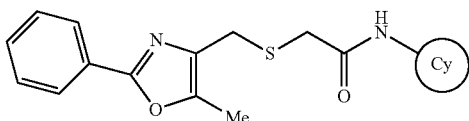
356

TABLE 4-continued

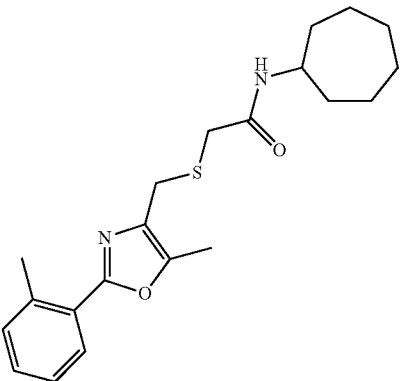
Oxazole amides (R ³ = NH-C ₃ -C ₇ -cycloalkyl)		
ID	Structure	MW
IIa-602		388.53
IIa-603		388.53
IIa-604		418.56

357

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)		
		
ID	Structure	MW

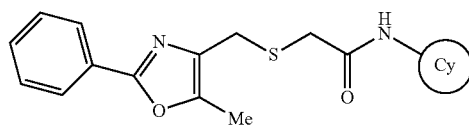
IIa-605		392.95
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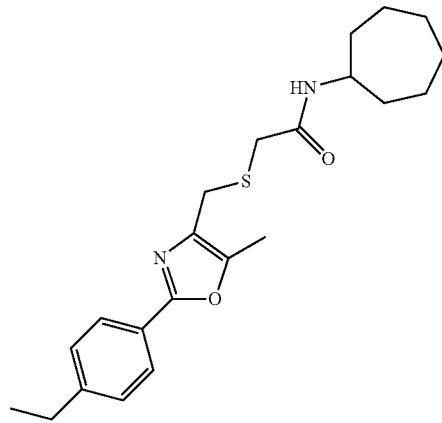
IIa-606		372.53
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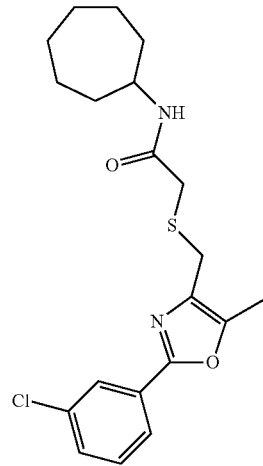
IIa-607		404.60
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358

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)		
		
ID	Structure	MW

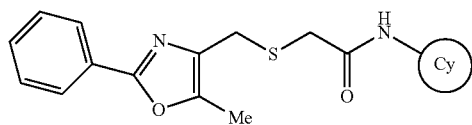
IIa-608		386.56
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IIa-609		392.95
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IIa-610		376.50
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359

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)

ID	Structure	MW
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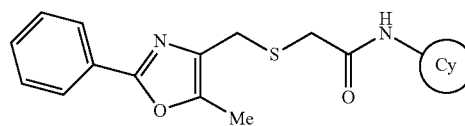
IIa-611		372.53
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IIa-612		404.53
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IIa-613		388.53
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360

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)

ID	Structure	MW
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IIa-614		408.95
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IIa-615		388.53
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IIa-616		374.51
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361

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)

ID	Structure	MW
IIa-617		404.53
IIa-618		420.53
IIa-619		420.53
IIa-620		416.59

362

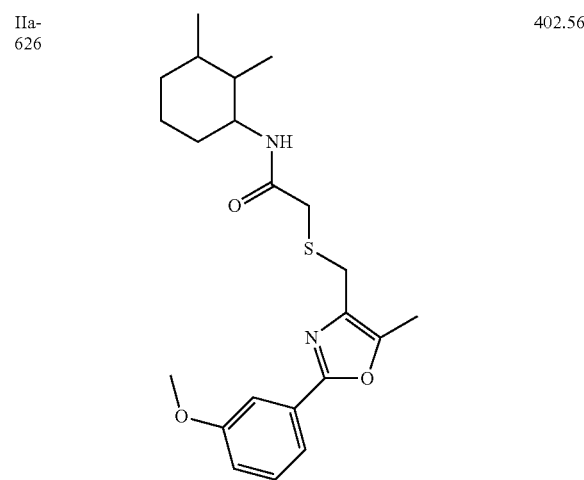
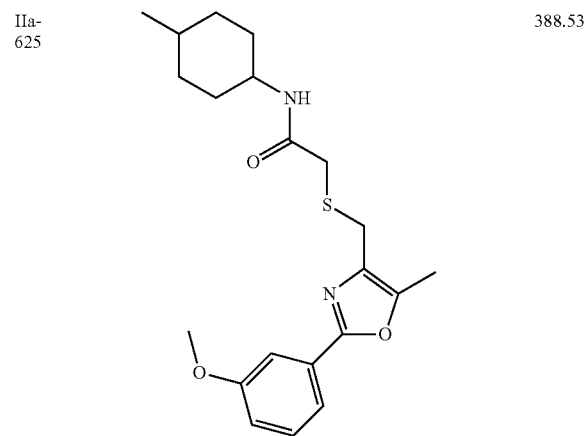
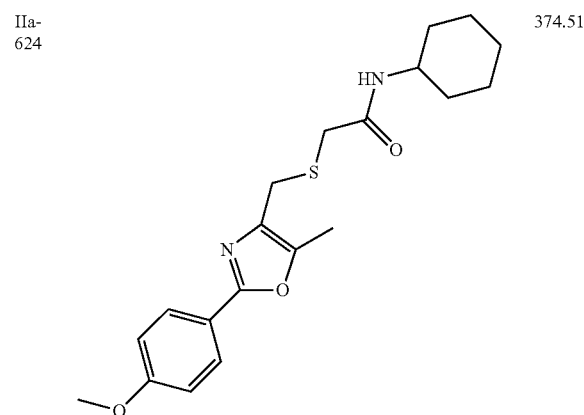
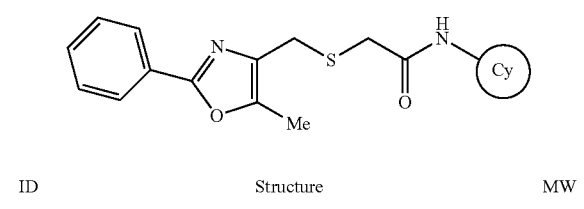
TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)

ID	Structure	MW
IIa-621		402.56
IIa-622		416.59
IIa-623		402.56

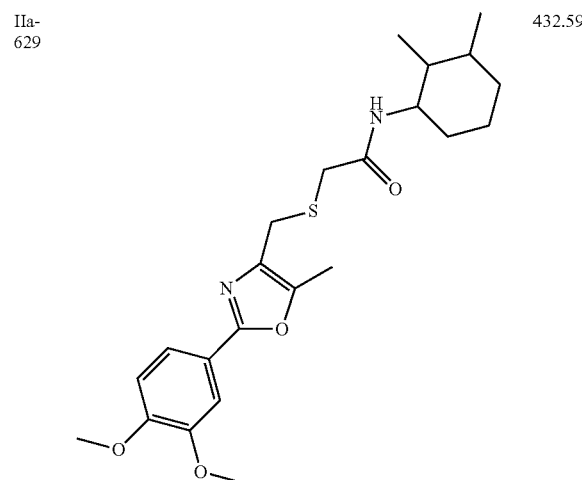
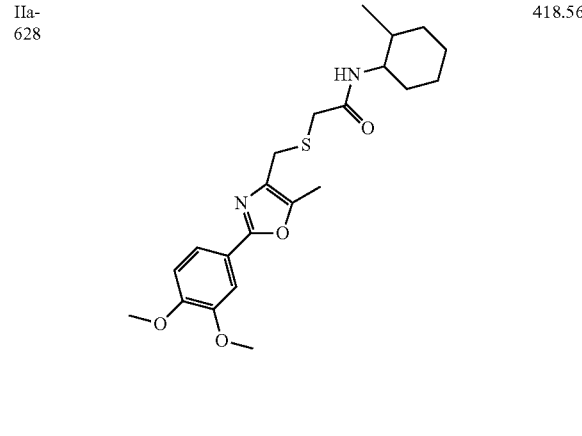
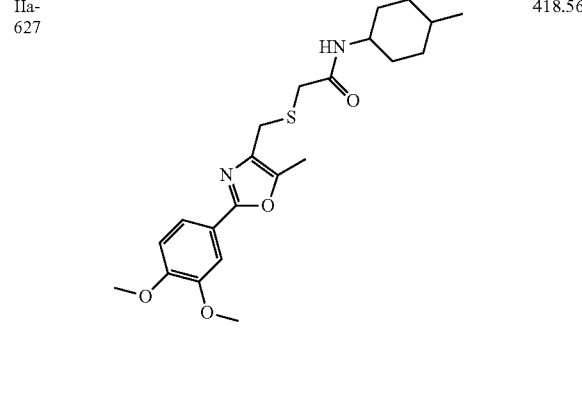
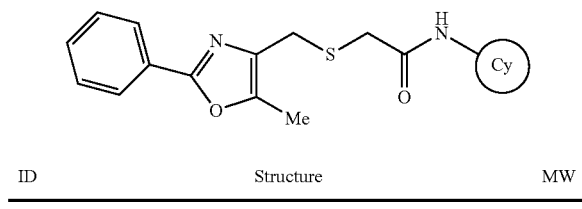
363

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)

364

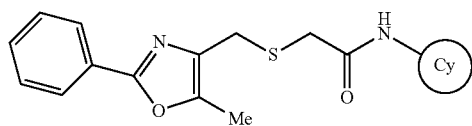
TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)

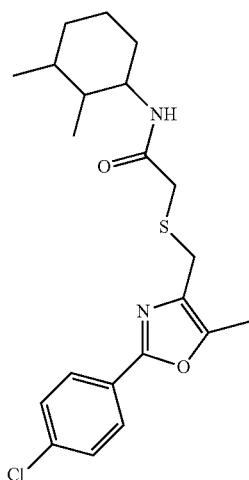
365

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)		
ID	Structure	MW

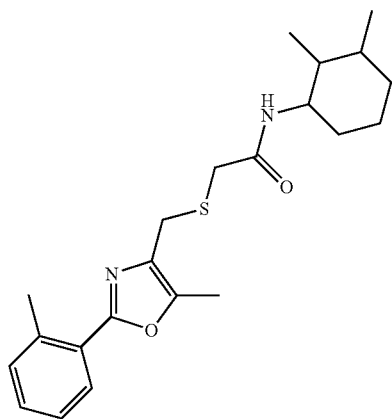


IIa-630



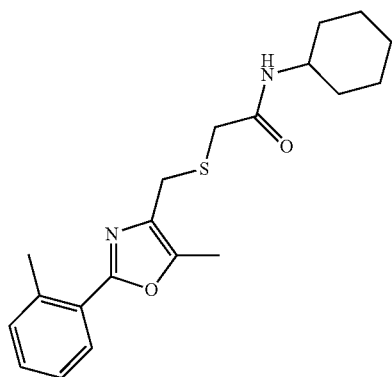
406.98

IIa-631



386.56

IIa-632

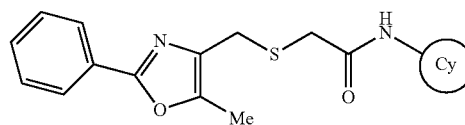


358.51

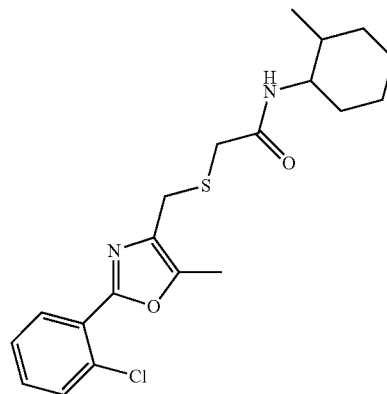
366

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)		
ID	Structure	MW

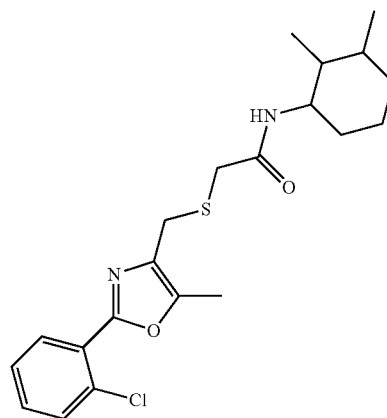


IIa-633



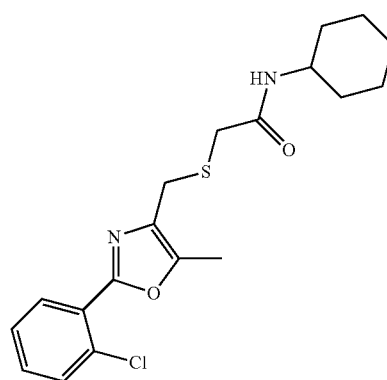
392.95

IIa-634



406.98

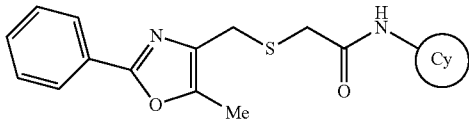
IIa-635



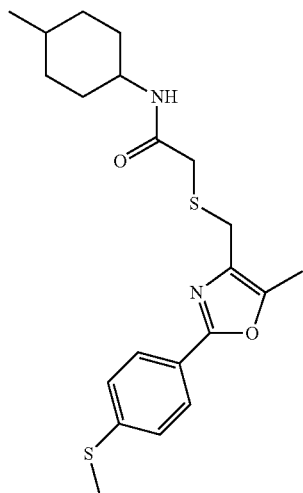
378.92

367

TABLE 4-continued

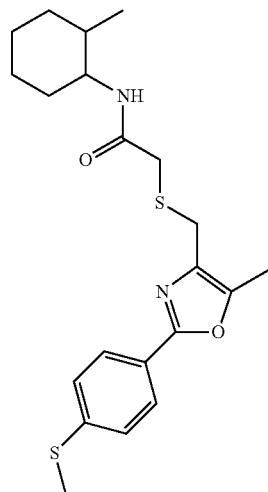
Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
		
ID	Structure	MW

IIa-636



404.60

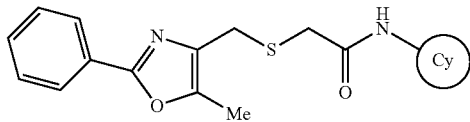
IIa-637



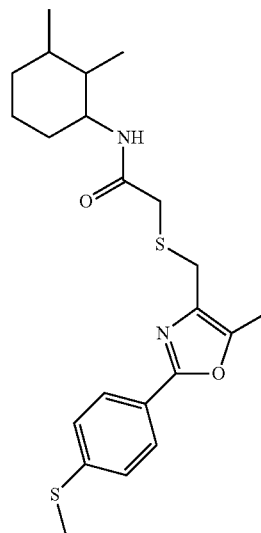
404.60

368

TABLE 4-continued

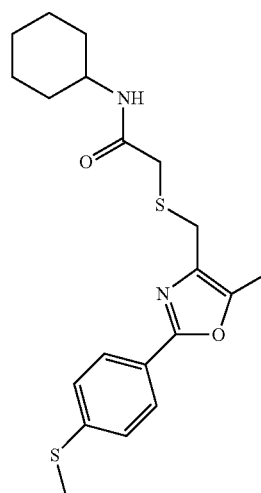
Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
		
ID	Structure	MW

IIa-638



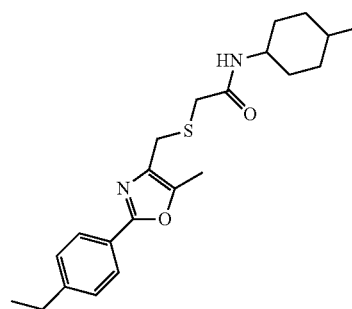
418.62

IIa-639



390.57

IIa-640

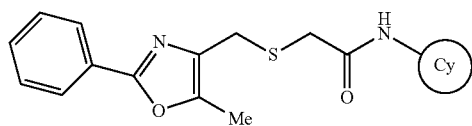


386.56

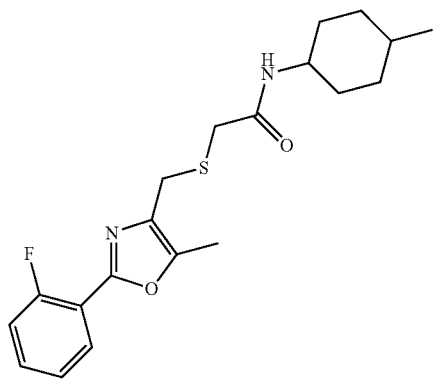
369

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)		
ID	Structure	MW

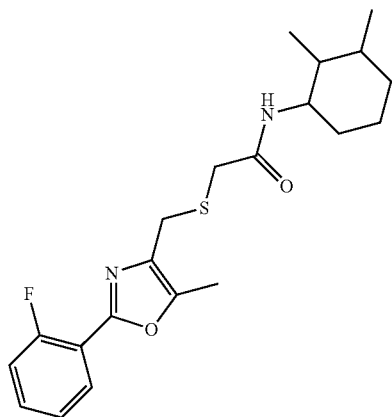


IIa-641



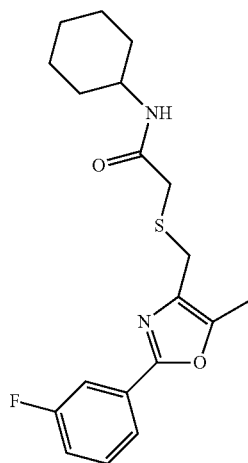
376.50

IIa-642



390.52

IIa-643

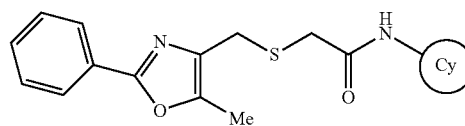


362.47

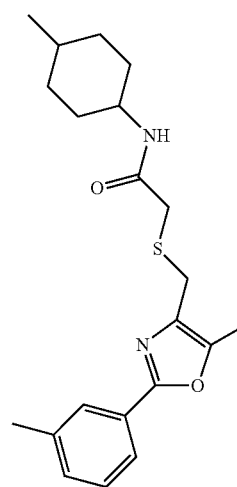
370

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)		
ID	Structure	MW

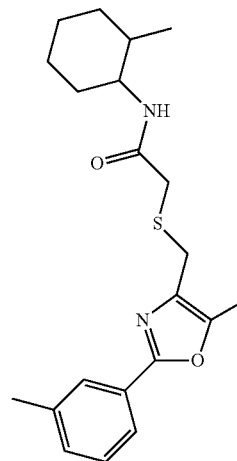


IIa-644



372.53

IIa-645



372.53

371

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)

ID	Structure	MW
IIa-646		386.56
IIa-647		358.51
IIa-648		372.53

372

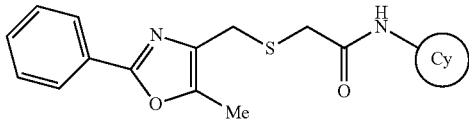
TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_4\text{-C}_7\text{-cycloalkyl}$)

ID	Structure	MW
5		372.53
IIa-649		404.53
IIa-650		418.56
IIa-651		

373

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)		
		
ID	Structure	MW

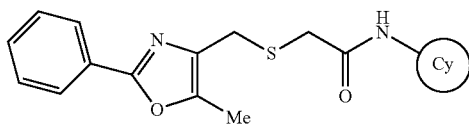
IIa-652		390.51
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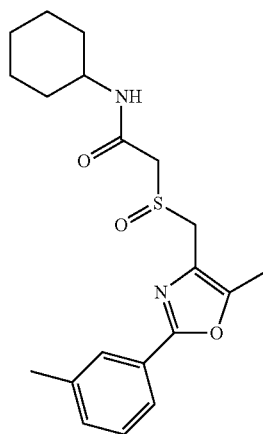
IIa-653		378.47
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IIa-654		402.56
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374

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)		
		
ID	Structure	MW

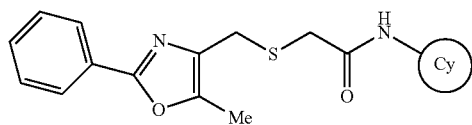
IIa-655		374.51
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IIa-656		388.53
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IIa-657		402.56
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375

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)

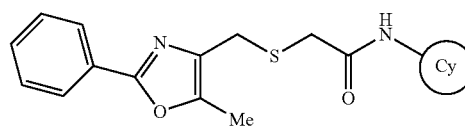
ID	Structure	MW
Ila-658		374.51

Ila-659		408.95
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Ila-660		422.98
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376

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)

ID	Structure	MW
Ila-661		394.92

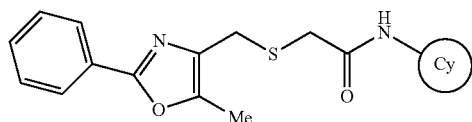
Ila-662		388.53
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Ila-663		402.56
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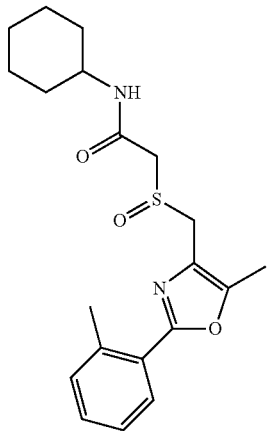
377

TABLE 4-continued

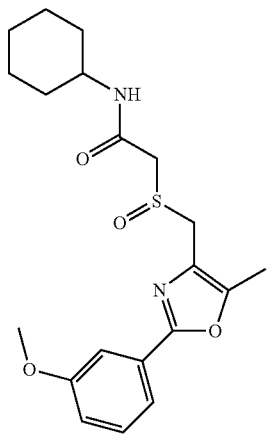
Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
ID	Structure	MW



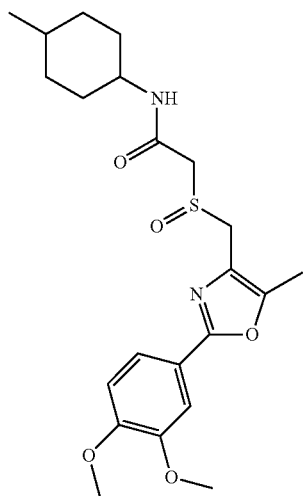
IIa-664		374.51
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IIa-665		390.51
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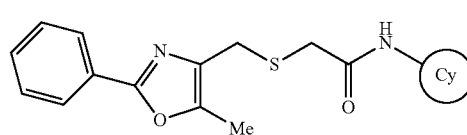
IIa-666		434.56
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378

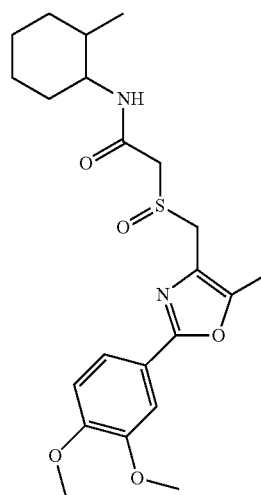
TABLE 4-continued

Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
ID	Structure	MW

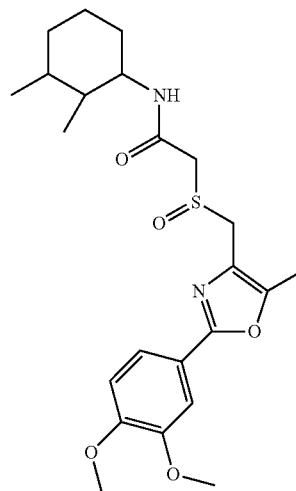


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IIa-667		434.56
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IIa-668		448.59
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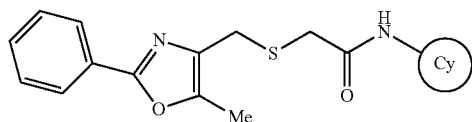


379

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)

ID	Structure	MW
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IIa-669		420.53
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IIa-670		404.53
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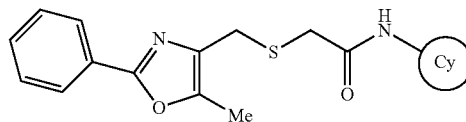
IIa-671		404.53
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380

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)

ID	Structure	MW
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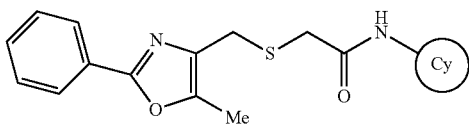
IIa-672		418.56
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IIa-673		420.53
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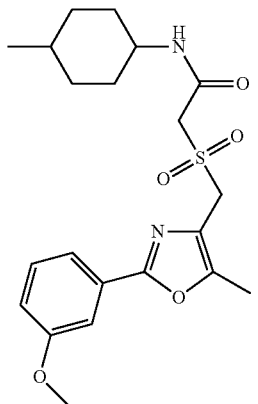
IIa-674		420.53
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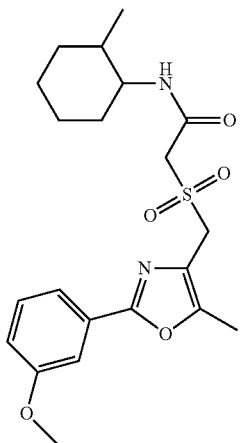
381

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)		
		
ID	Structure	MW

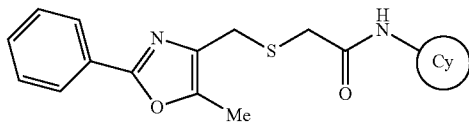
IIa-675		434.56
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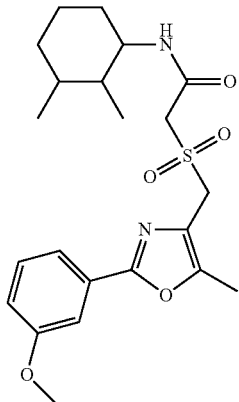
IIa-676		420.53
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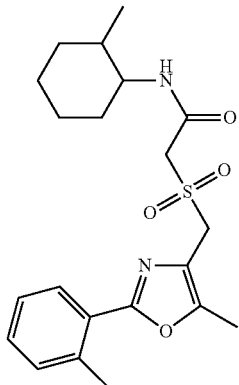
IIa-677		420.53
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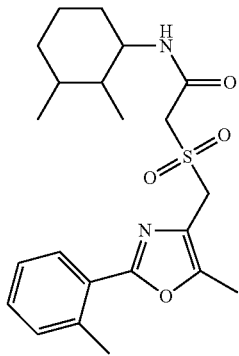
382

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)		
		
ID	Structure	MW

IIa-678		434.56
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IIa-679		404.53
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IIa-680		418.56
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383

TABLE 4-continued

Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
ID	Structure	MW
IIa-681		422.52
IIa-682		424.95
IIa-683		438.98
IIa-684		424.95
IIa-685		438.98

384

TABLE 4-continued

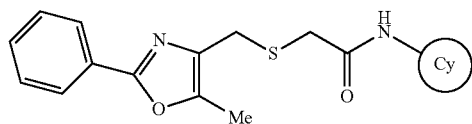
Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
ID	Structure	MW
5		
10		
15		374.51
20		
25		374.51
30		
35		360.48
40		
45		
50		390.51
55		
60		
65		

385

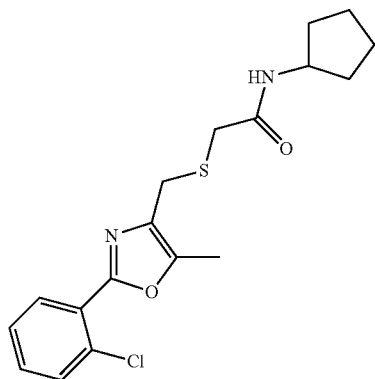
TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)

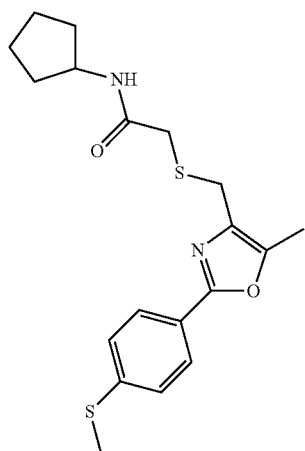
ID	Structure	MW
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IIa-690		364.90
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IIa-691		376.54
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IIa-692		358.51
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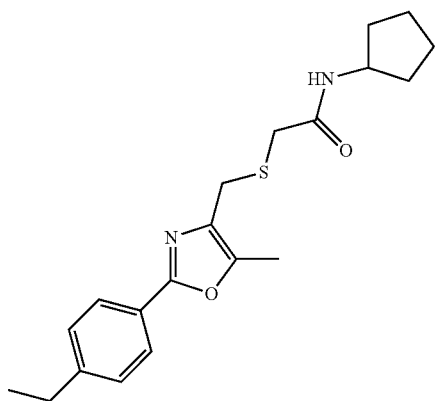
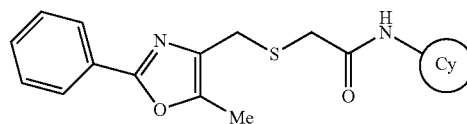
**386**

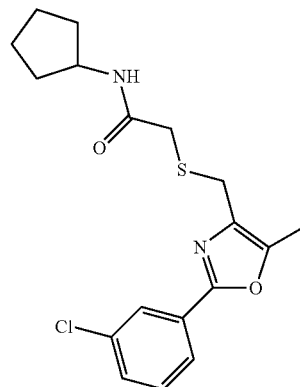
TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)

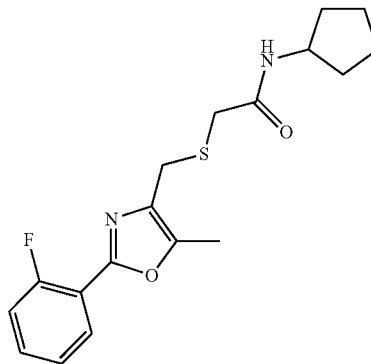
ID	Structure	MW
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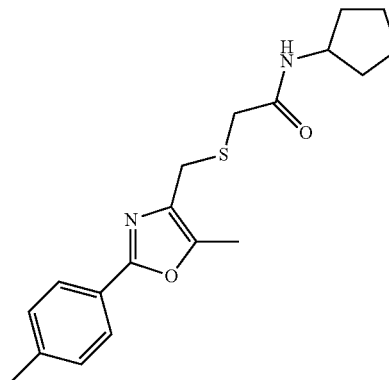
IIa-693		364.90
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IIa-694		348.44
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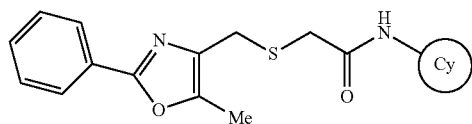
IIa-695		344.48
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387

TABLE 4-continued

Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
ID	Structure	MW



IIa-696		376.48
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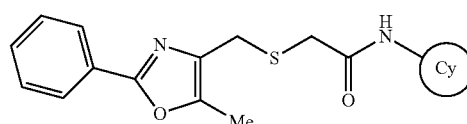
IIa-697		364.44
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IIa-698		360.48
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388

TABLE 4-continued

Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
ID	Structure	MW



IIa-699		360.48
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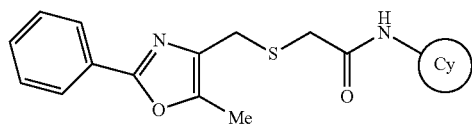
IIa-700		380.90
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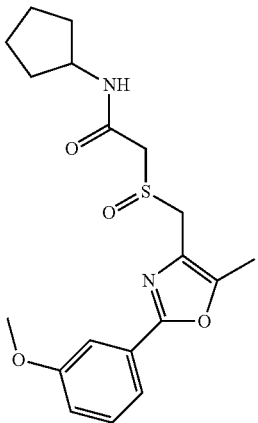
IIa-701		360.48
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389

TABLE 4-continued

Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
ID	Structure	MW



IIa-702		376.48
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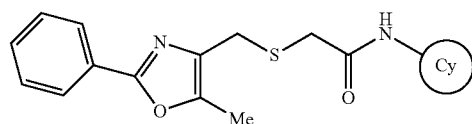
IIa-703		406.50
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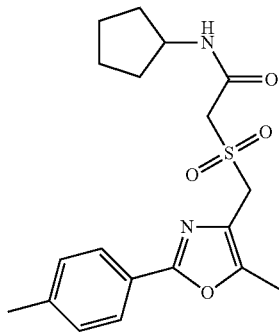
IIa-704		346.45
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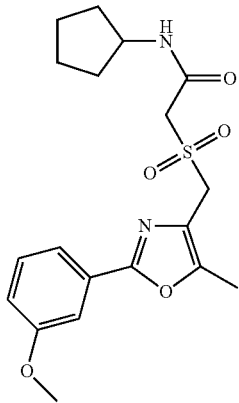
390

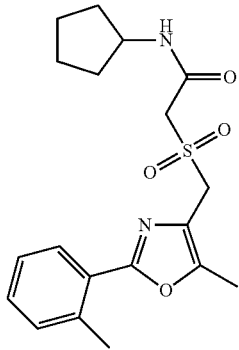
TABLE 4-continued

Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
ID	Structure	MW



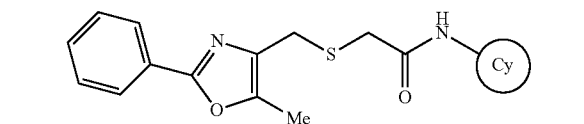
IIa-705		376.48
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IIa-706		392.48
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IIa-707		376.48
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391

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)

ID	Structure	MW
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IIa-708		380.44
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IIa-709		396.90
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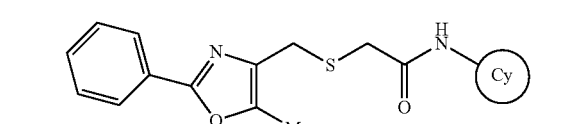
IIa-710		396.90
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IIa-711		352.84
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IIa-712		346.45
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392

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{-cycloalkyl}$)

ID	Structure	MW
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IIa-713		346.45
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IIa-714		362.45
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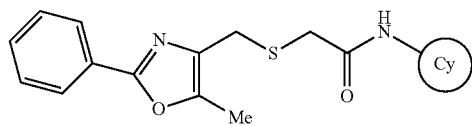
IIa-715		336.84
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393

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)

ID	Structure	MW
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IIa-716		316.43
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IIa-717		336.84
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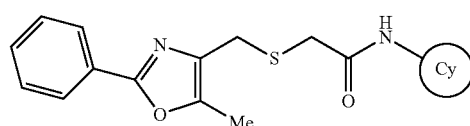
IIa-718		348.49
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394

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)

ID	Structure	MW
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IIa-719		330.45
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IIa-720		320.39
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IIa-721		316.43
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395

TABLE 4-continued

Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
ID	Structure	MW

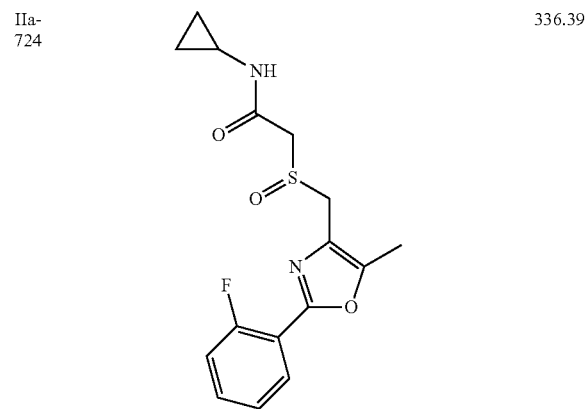
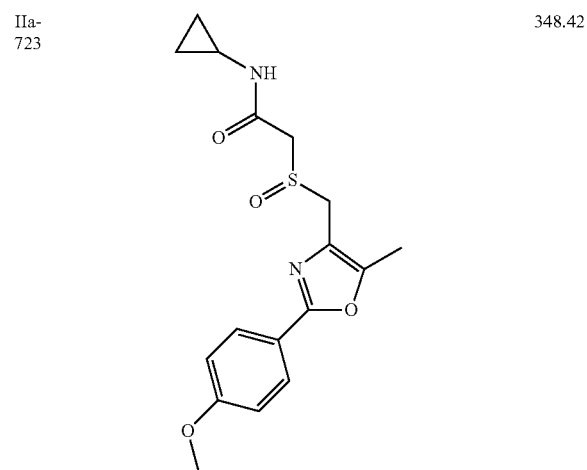
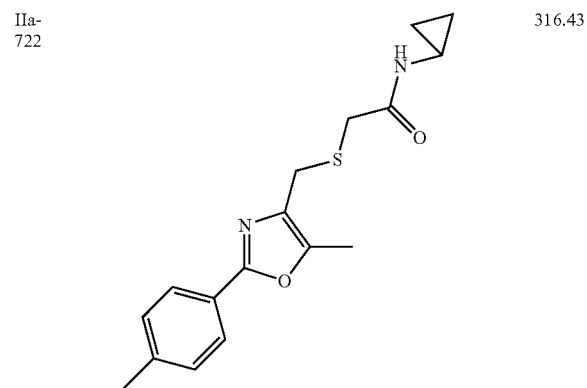
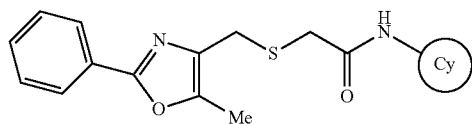
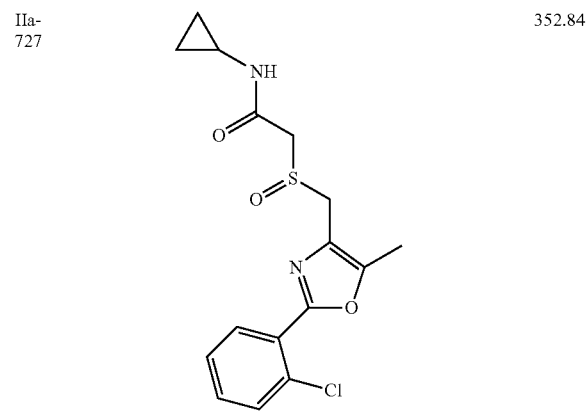
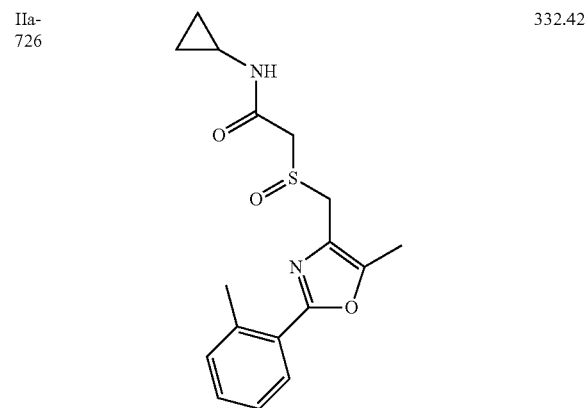
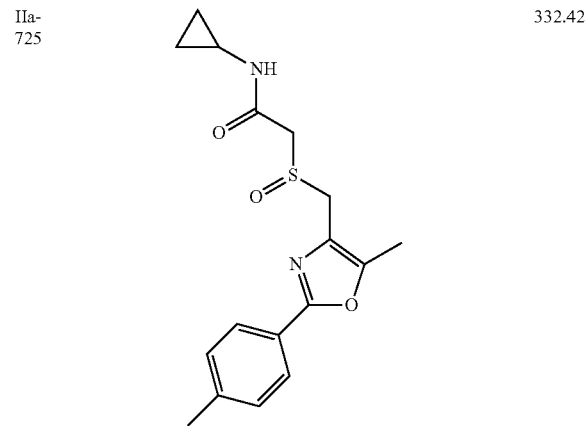
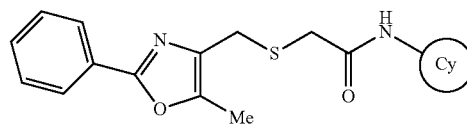
**396**

TABLE 4-continued

Oxazole amides (R ³ = NH-C ₃ -C ₇ cycloalkyl)		
ID	Structure	MW



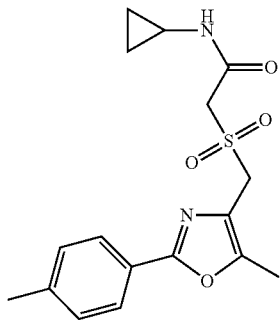
397

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)		
ID	Structure	MW

IIa-728		348.42
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IIa-729



IIa-730

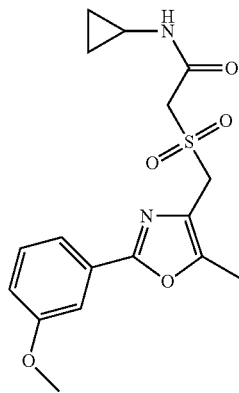
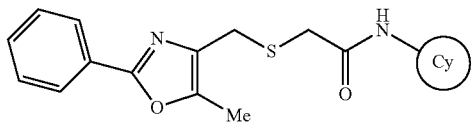
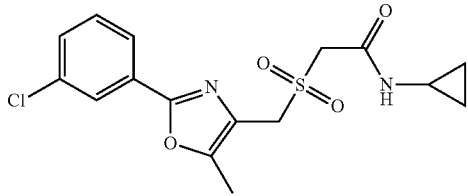
**398**

TABLE 4-continued

Oxazole amides ($R^3 = \text{NH-C}_3\text{-C}_7\text{cycloalkyl}$)		
ID	Structure	MW

IIa-731		348.42
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IIa-732		368.84
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IIa-733		336.84
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TABLE 5

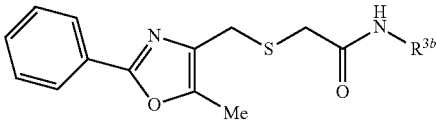
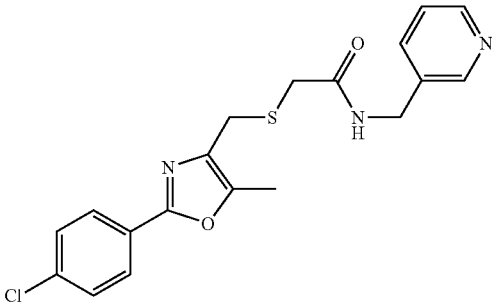
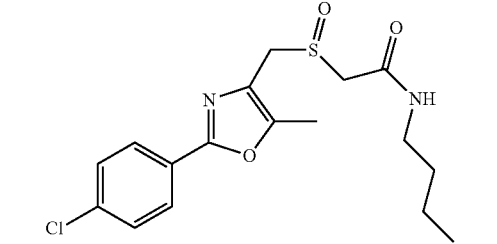
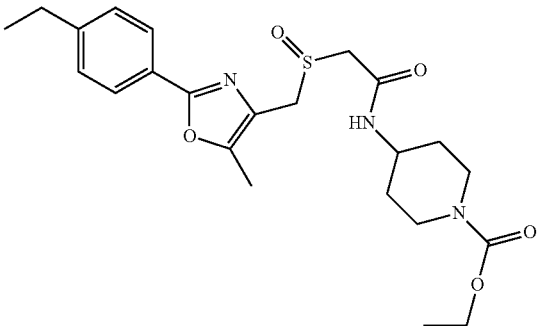
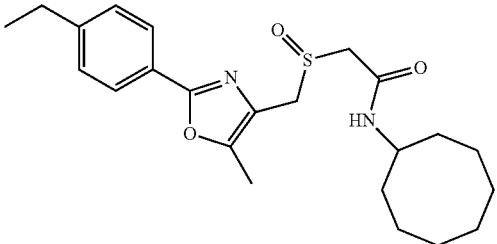
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1001		387.89
IIa-1002		368.89
IIa-1003		400.88
IIa-1004		461.58
IIa-1005		416.59

TABLE 5-continued

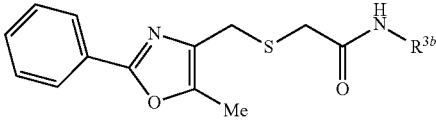
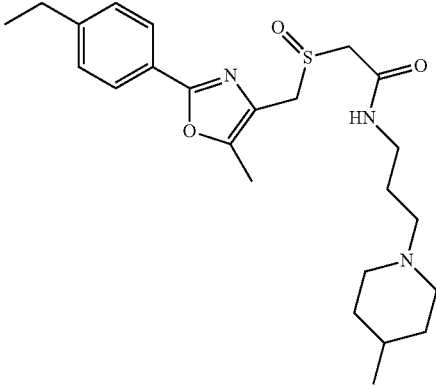
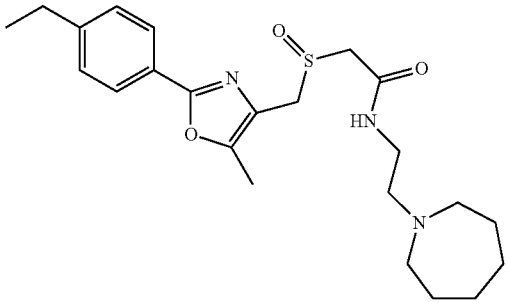
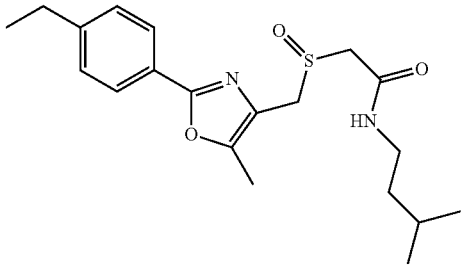
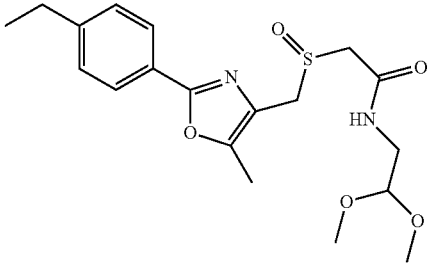
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
		
IIa-1006		445.63
IIa-1007		431.60
IIa-1008		376.52
IIa-1009		394.49

TABLE 5-continued

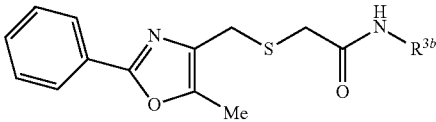
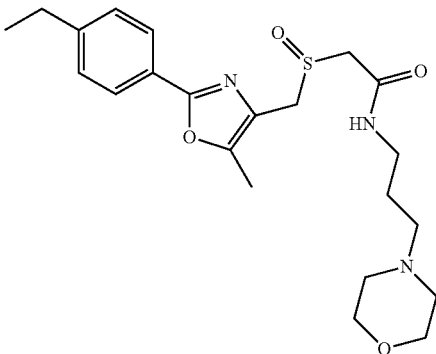
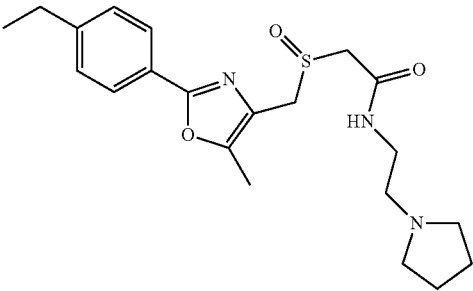
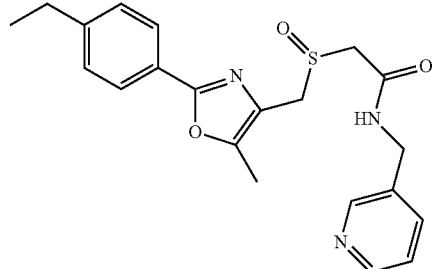
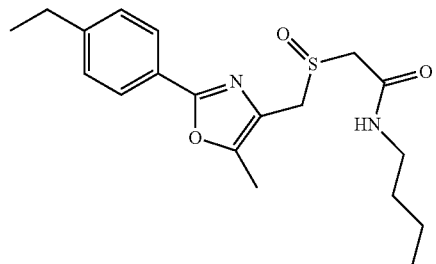
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1010		348.47
IIa-1011		433.57
IIa-1012		403.55
IIa-1013		397.50
IIa-1014		362.49

TABLE 5-continued

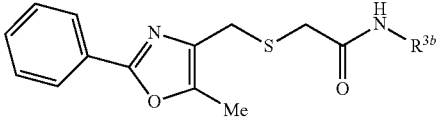
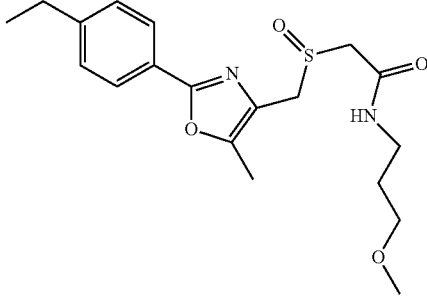
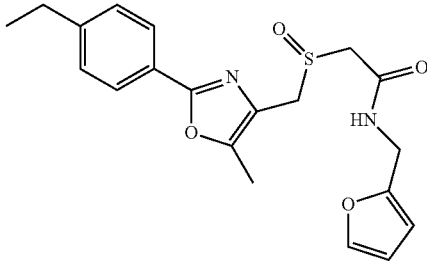
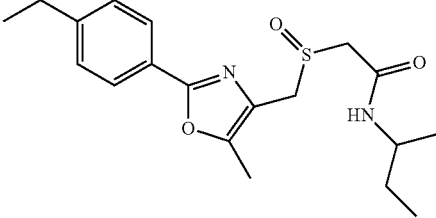
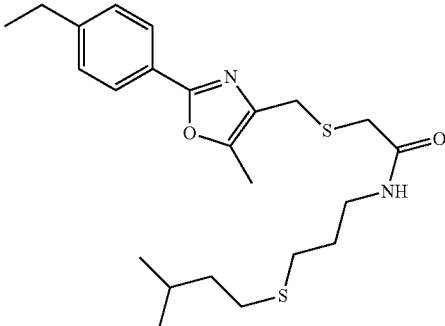
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
		
IIa-1015		378.49
IIa-1016		386.47
IIa-1017		362.49
IIa-1018		434.67

TABLE 5-continued

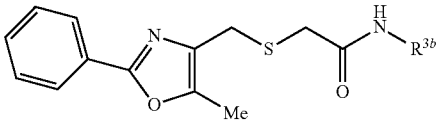
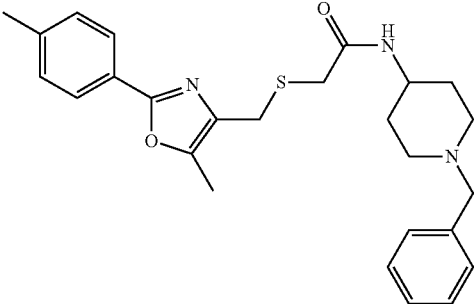
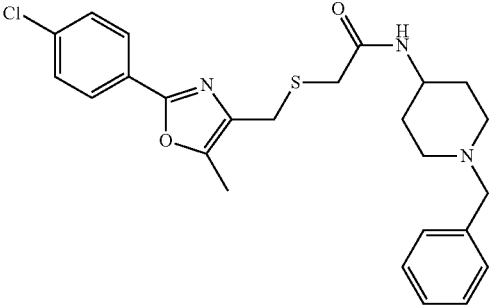
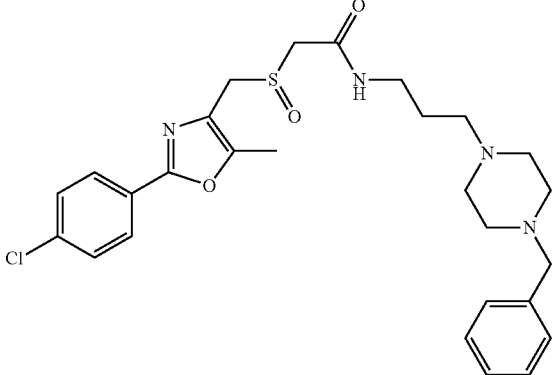
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1019		508.69
IIa-1020		449.62
IIa-1021		470.04
IIa-1022		529.11

TABLE 5-continued

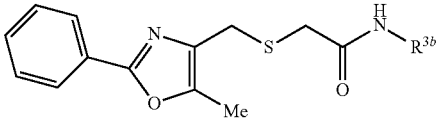
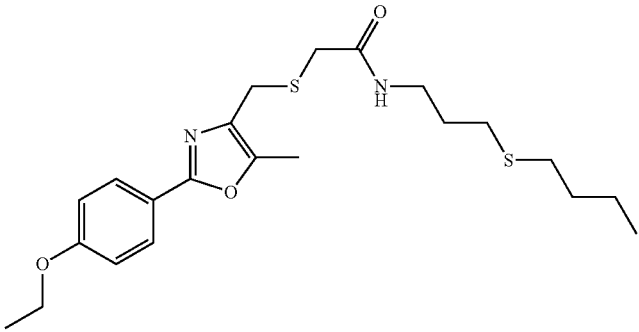
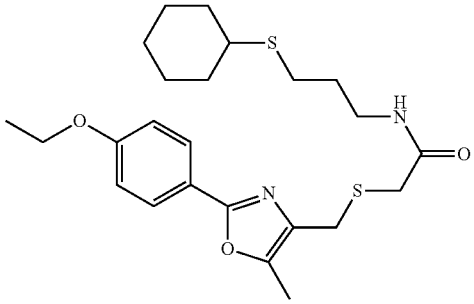
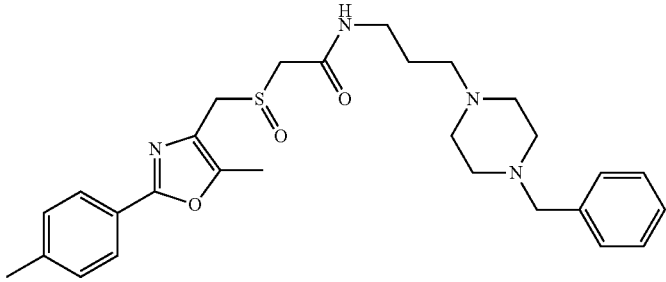
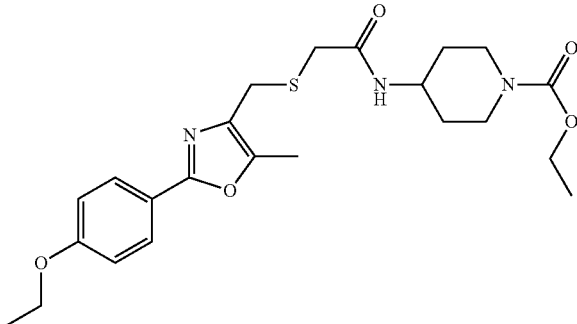
Oxazole amides ($R^3 = \text{NH-misc}$)		
ID	Structure	MW
IIa-1023		
IIa-1023		436.64
IIa-1024		462.68
IIa-1025		508.69
IIa-1026		461.58

TABLE 5-continued

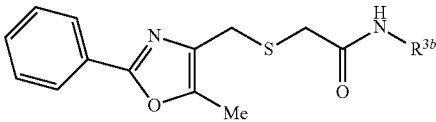
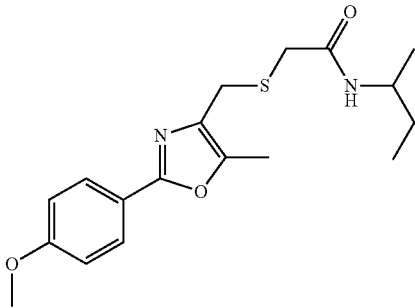
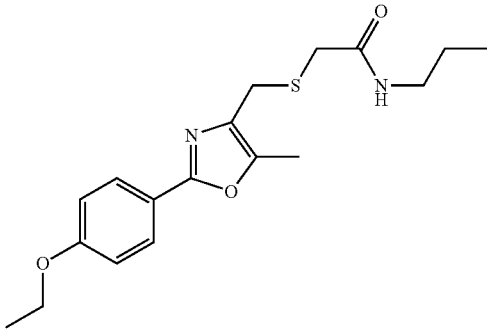
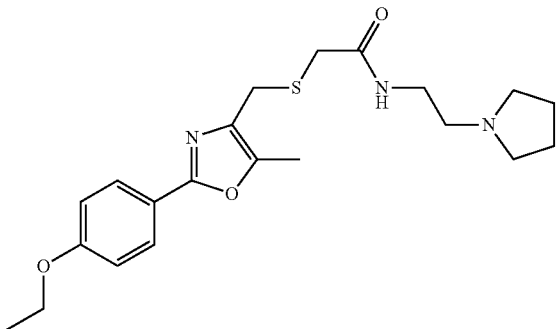
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1027		362.49
IIa-1028		348.47
IIa-1029		403.55

TABLE 5-continued

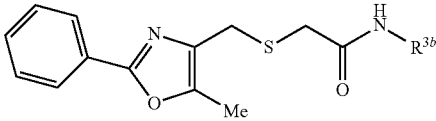
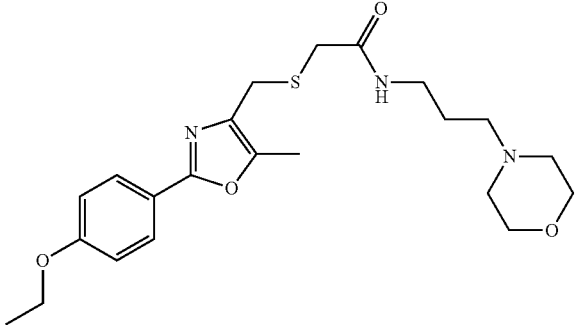
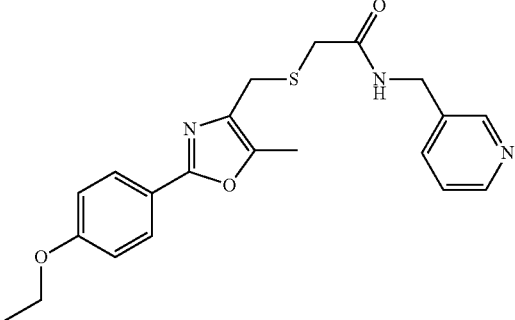
Oxazole amides ($R^3 = \text{NH-misc}$)		
ID	Structure	MW
IIa-1030		529.11
IIa-1031		433.57
IIa-1032		397.50

TABLE 5-continued

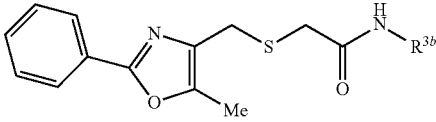
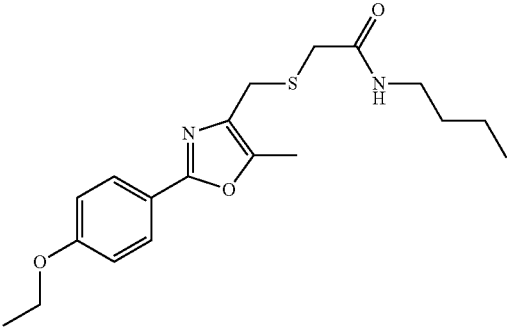
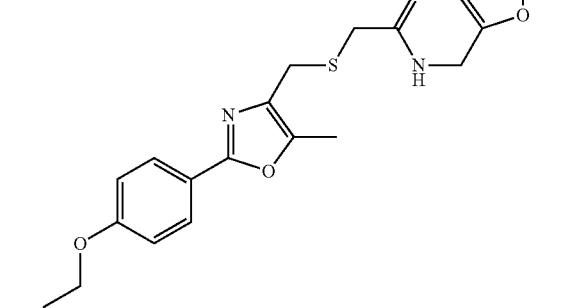
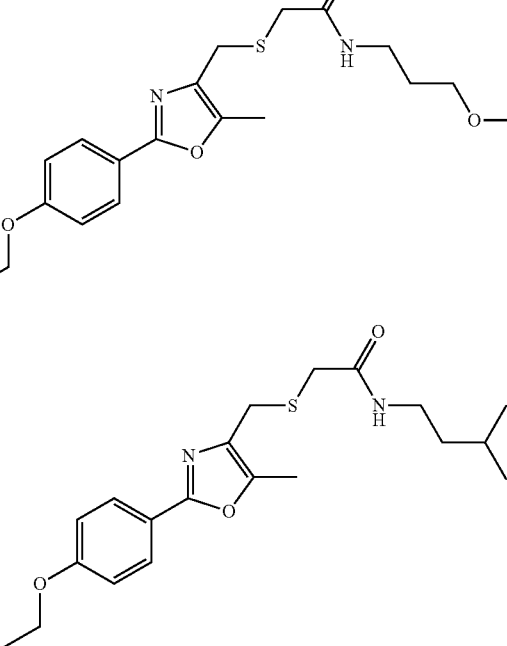
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1033		362.49
IIa-1034		386.47
IIa-1035		406.55
IIa-1036		376.52

TABLE 5-continued

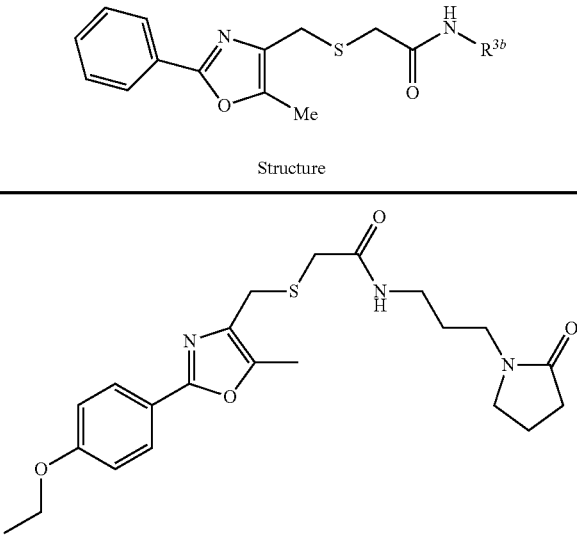
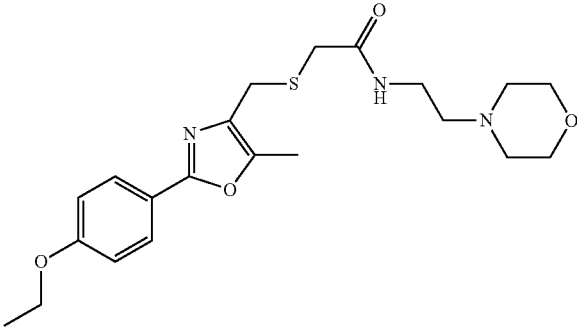
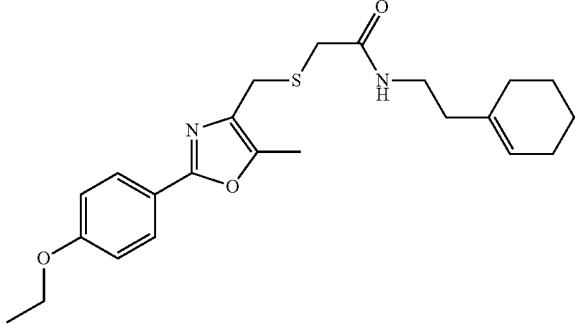
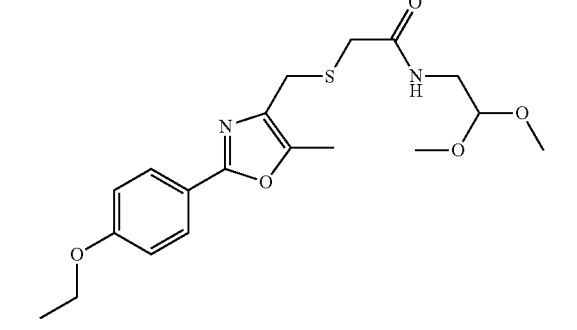
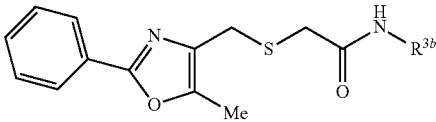
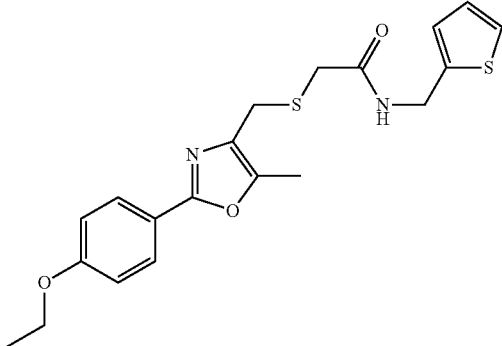
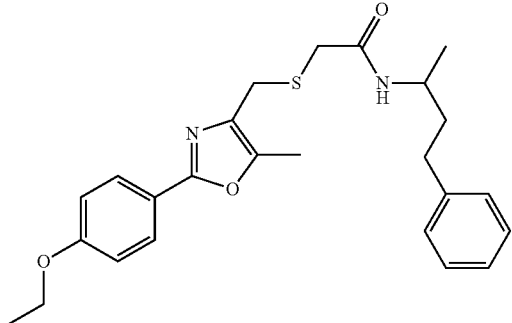
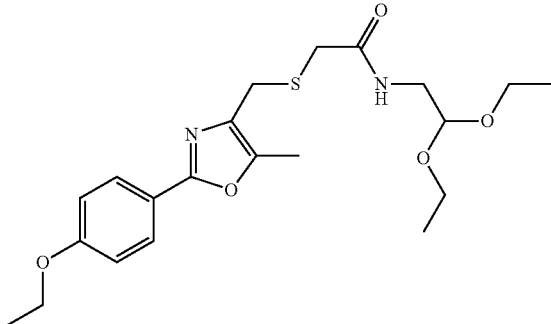
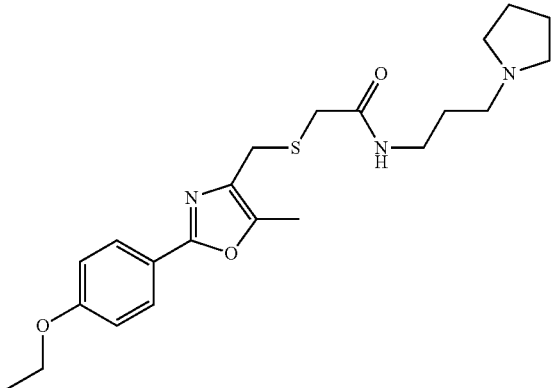
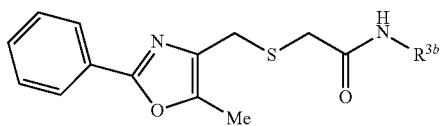
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1037		431.56
IIa-1038		419.55
IIa-1039		414.57
IIa-1040		394.49

TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1041		402.54
IIa-1042		438.59
IIa-1043		422.55
IIa-1044		417.57

Oxazole amides ($R^3 = \text{NH-misc}$)

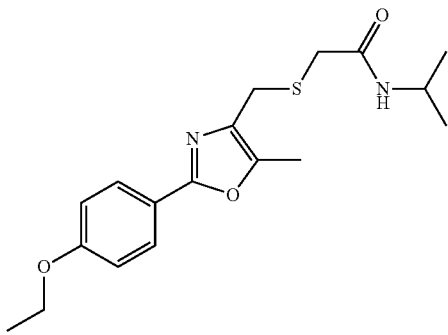
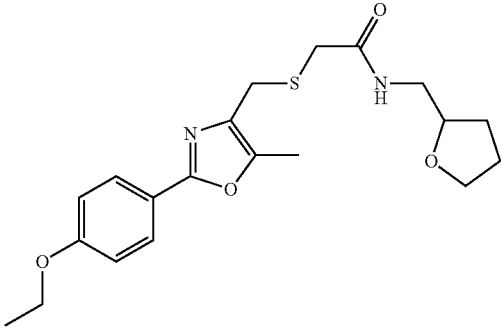
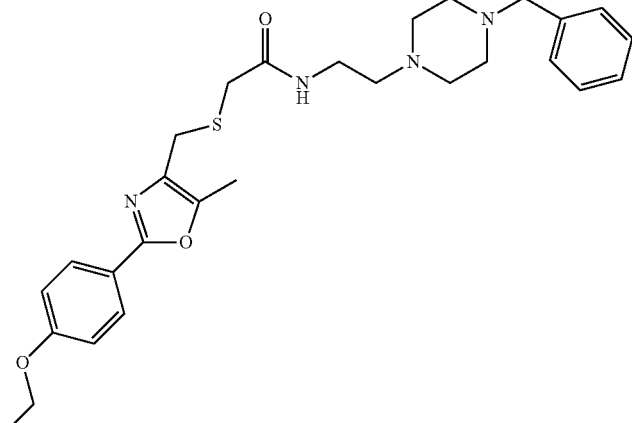
ID	Structure	MW
IIa-1045		348.47
IIa-1046		390.51
IIa-1047		508.69

TABLE 5-continued

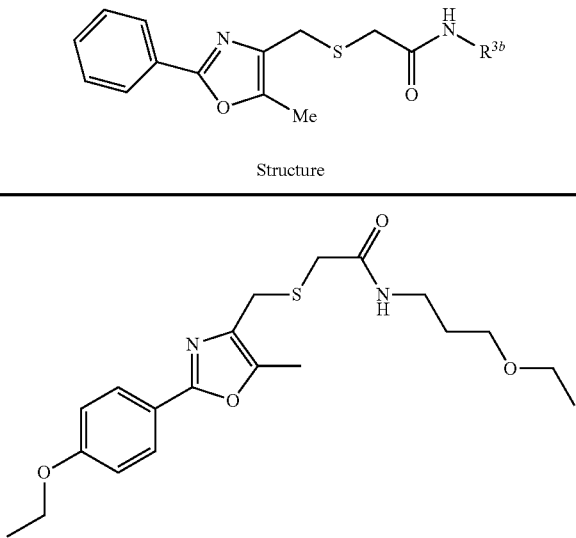
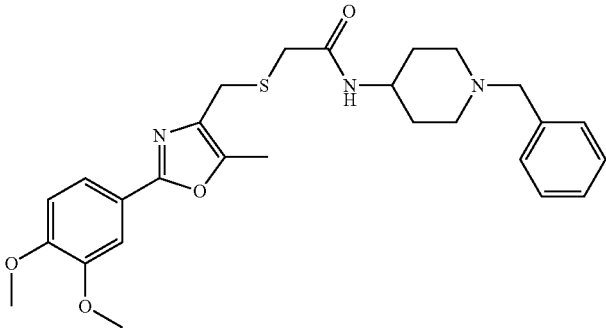
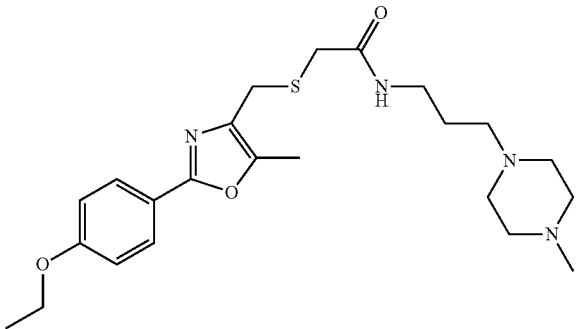
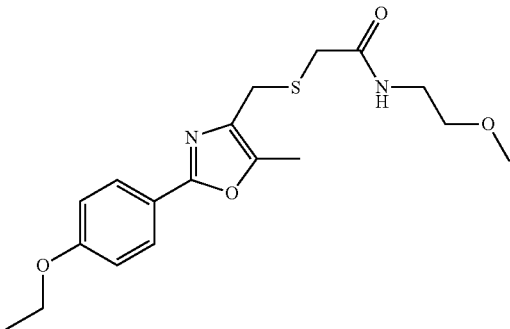
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1048		392.52
IIa-1049		495.65
IIa-1050		446.62
IIa-1051		364.47

TABLE 5-continued

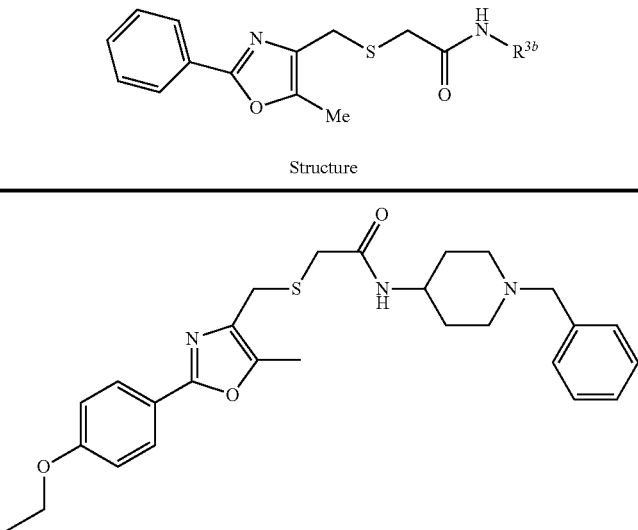
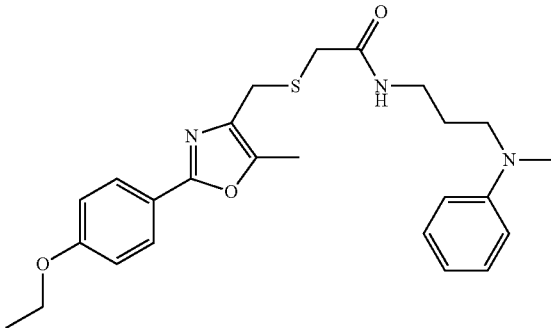
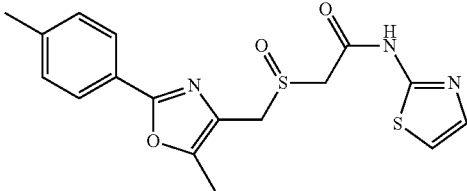
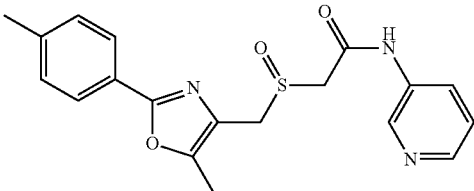
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1052		479.65
IIa-1053		453.61
IIa-1054		375.47
IIa-1055		369.45

TABLE 5-continued

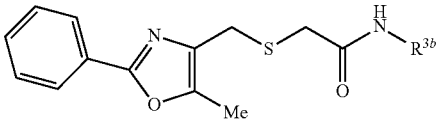
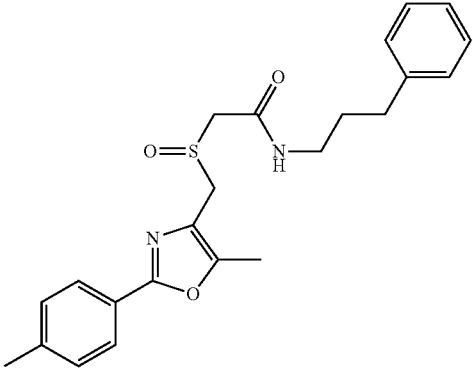
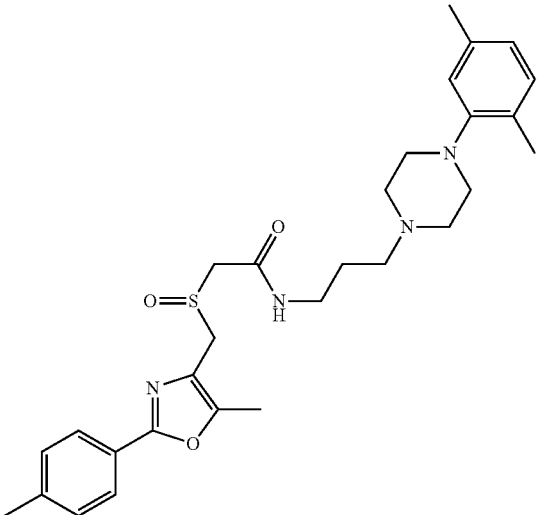
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1056		410.54
IIa-1057		500.71
IIa-1058		522.72

TABLE 5-continued

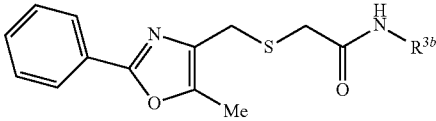
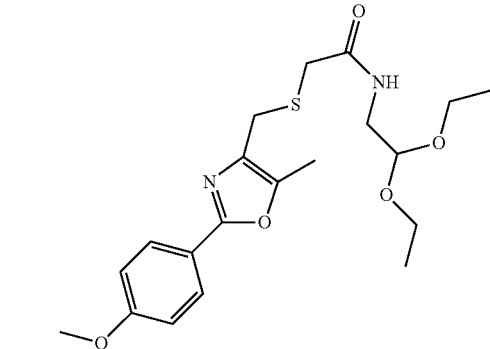
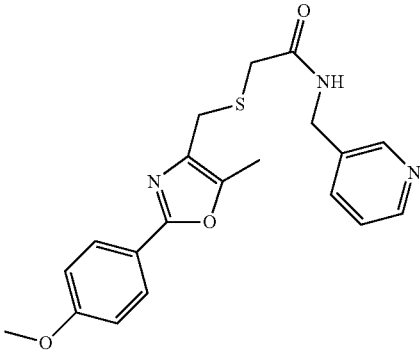
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1059		447.56
IIa-1060		408.52
IIa-1061		383.47

TABLE 5-continued

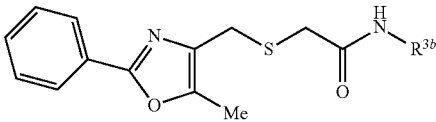
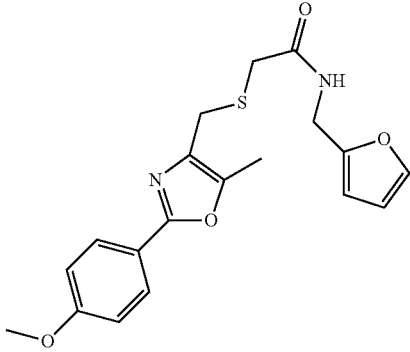
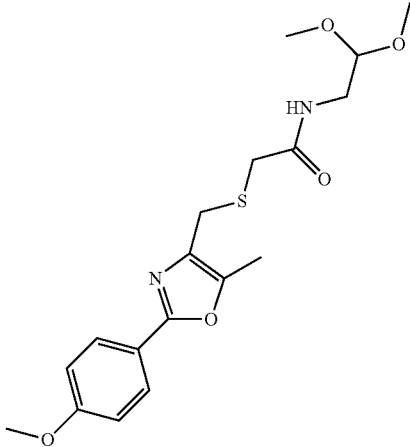
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1062		348.47
IIa-1063		372.45
IIa-1064		380.47

TABLE 5-continued

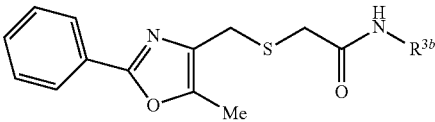
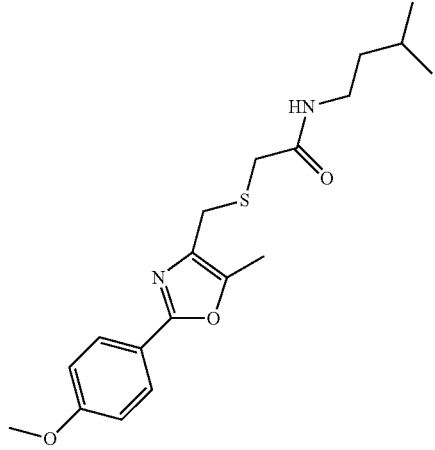
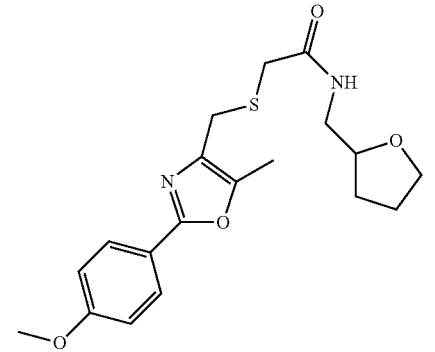
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1065	 <chem>Cc1nc(Cc2cc(OC)cc2)oc1SCC(=O)NCCN3CCOCC3</chem>	419.55
IIa-1066	 <chem>Cc1nc(Cc2cc(OC)cc2)oc1SCC(=O)NCC(C)C</chem>	362.49
IIa-1067	 <chem>Cc1nc(Cc2cc(OC)cc2)oc1SCC(=O)NCC3OCCO3</chem>	376.48

TABLE 5-continued

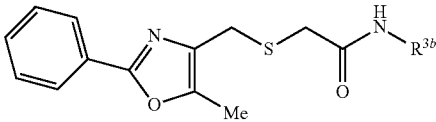
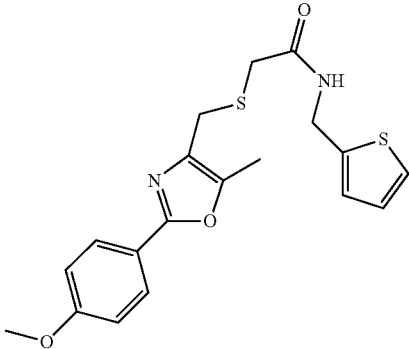
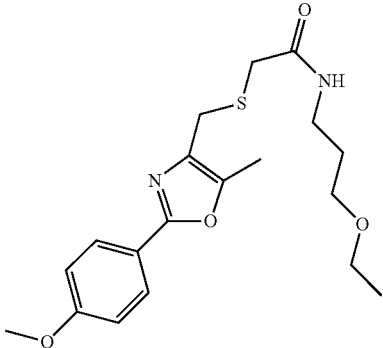
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1068		417.53
IIa-1069		350.44
IIa-1070		388.51
IIa-1071		378.49

TABLE 5-continued

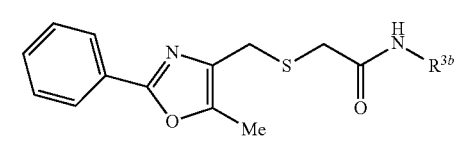
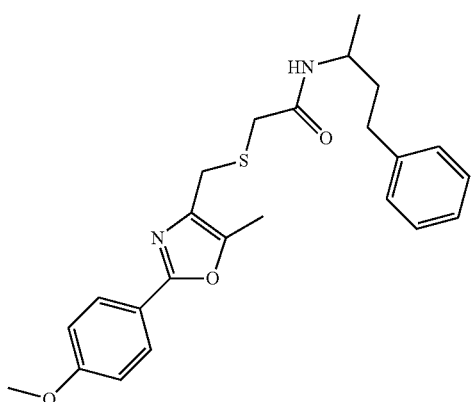
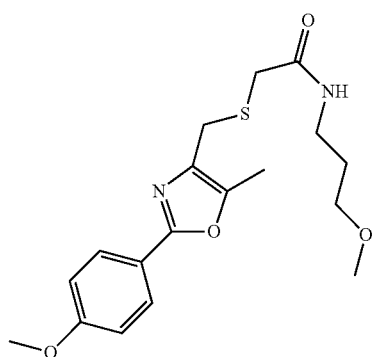
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1072		400.54
IIa-1073		424.57
IIa-1074		364.47

TABLE 5-continued

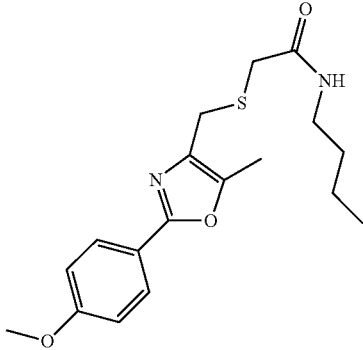
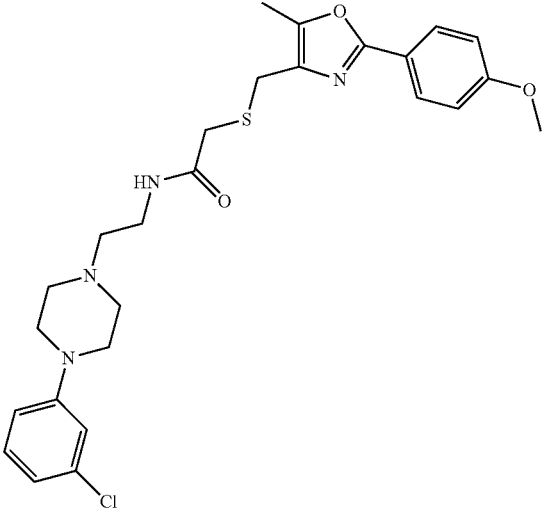
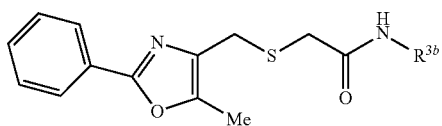
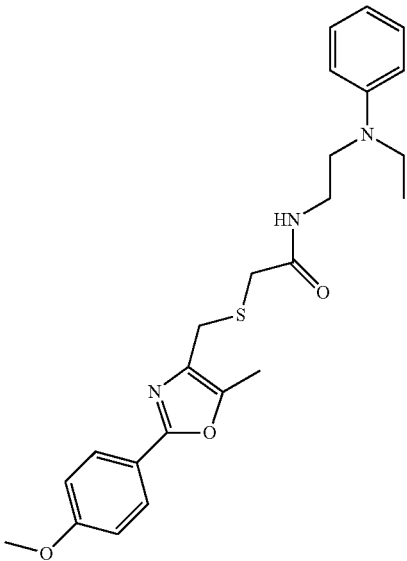
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1075		392.52
IIa-1076		348.47
IIa-1077		515.08

TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)

ID	Structure	MW
IIa-1078		439.58

IIa-1079

447.56

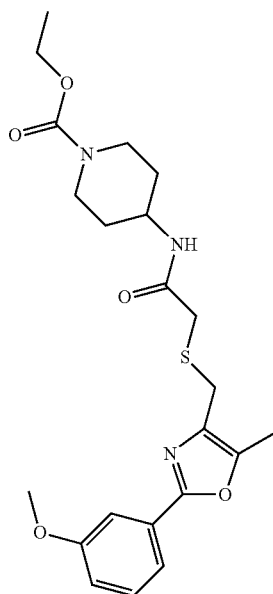


TABLE 5-continued

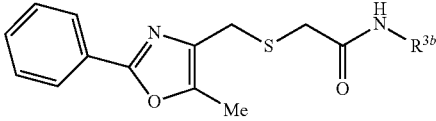
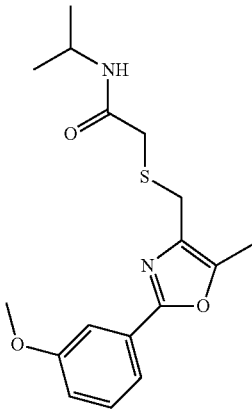
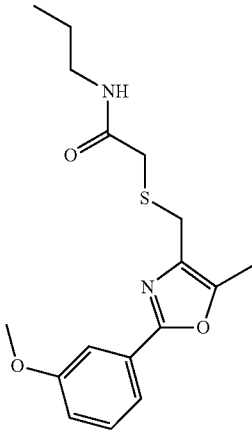
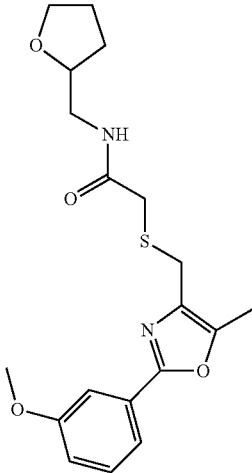
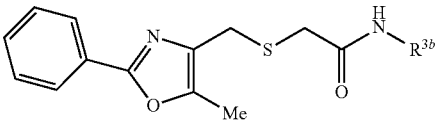
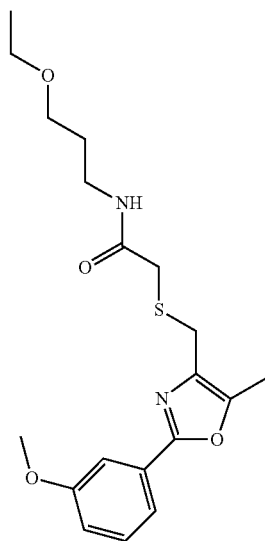
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1080		
IIa-1080		334.44
IIa-1081		334.44
IIa-1082		376.48

TABLE 5-continued

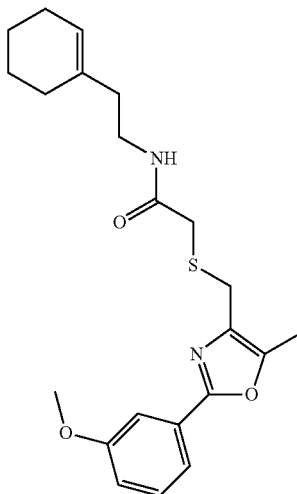
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1083



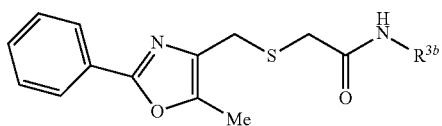
378.49

IIa-1084



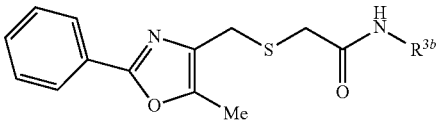
400.54

TABLE 5-continued

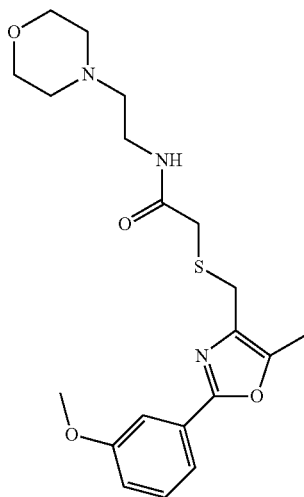
Oxazole amides ($R^3 = \text{NH-misc}$)

ID	Structure	MW
IIa-1085	<p>Chemical structure of IIa-1085. It features a benzoxazole ring system with a 4-methoxyphenyl group at position 2 and a methyl group at position 4. The oxazole ring is connected via a methylene group to a sulfur atom, which is further connected to a methylene group and then to a carbonyl group. The carbonyl group is part of an amide linkage, with the nitrogen atom bonded to a 3-phenylbutyl group.</p>	424.57
IIa-1086	<p>Chemical structure of IIa-1086. It features a benzoxazole ring system with a 4-methoxyphenyl group at position 2 and a methyl group at position 4. The oxazole ring is connected via a methylene group to a sulfur atom, which is further connected to a methylene group and then to a carbonyl group. The carbonyl group is part of an amide linkage, with the nitrogen atom bonded to a 4-methoxybutyl group.</p>	364.47

TABLE 5-continued

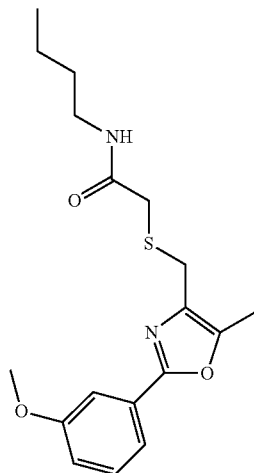
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1087



405.52

IIa-1088



348.47

TABLE 5-continued

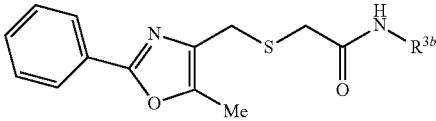
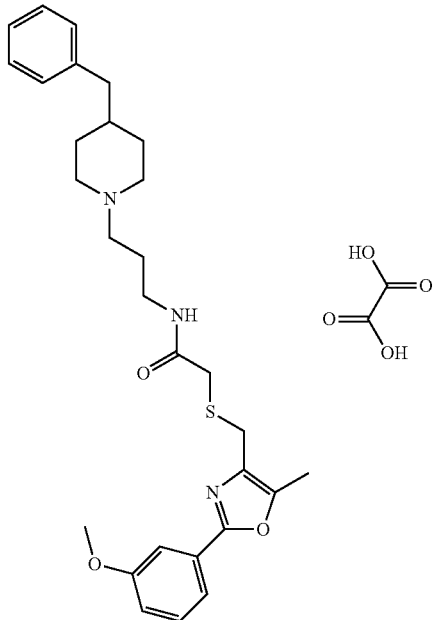
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1089		389.52
IIa-1090		597.74

TABLE 5-continued

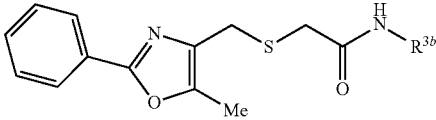
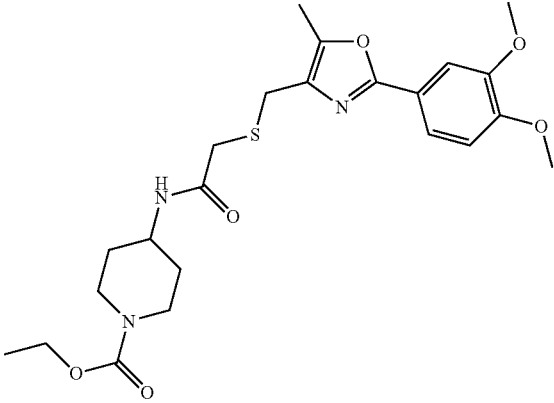
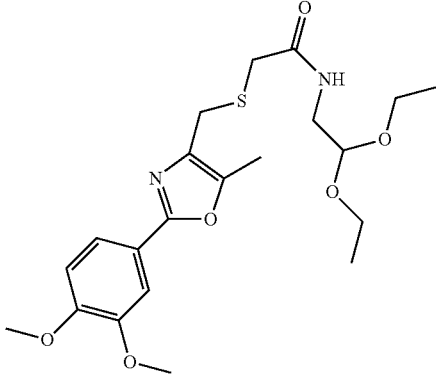
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1091		439.58
IIa-1092		477.58
IIa-1093		438.55

TABLE 5-continued

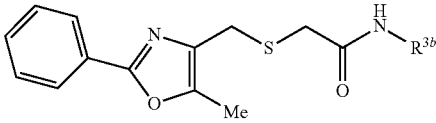
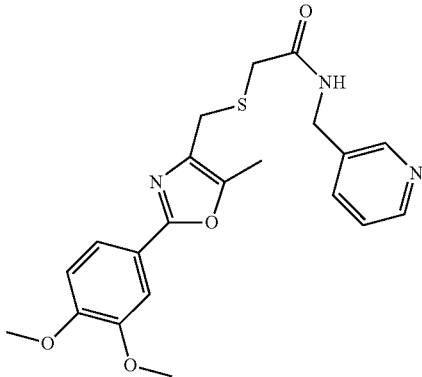
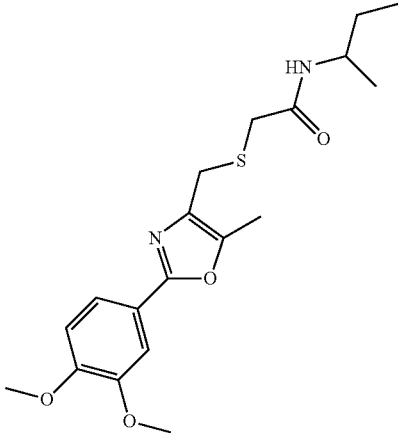
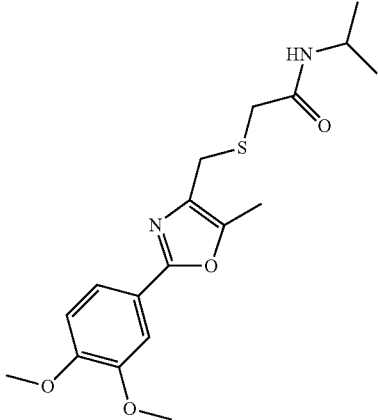
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1094		
IIa-1094		413.50
IIa-1095		378.49
IIa-1096		364.47

TABLE 5-continued

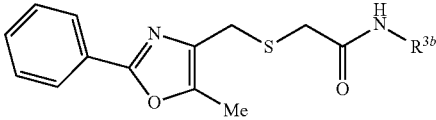
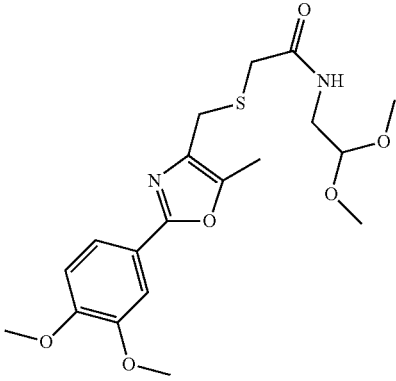
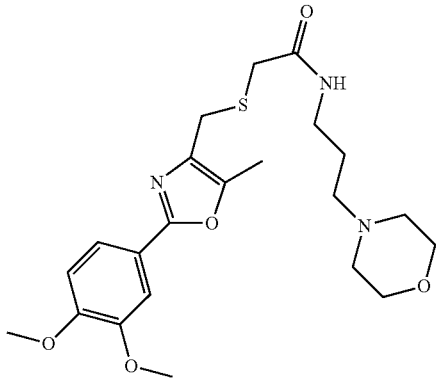
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1097		364.47
IIa-1098		410.49
IIa-1099		449.57

TABLE 5-continued

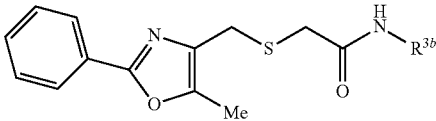
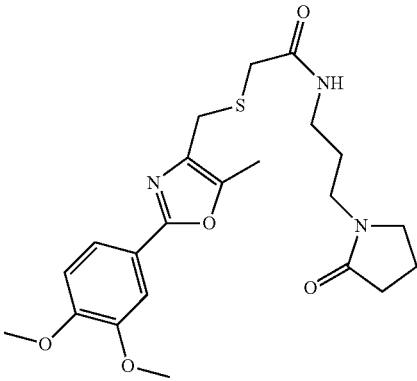
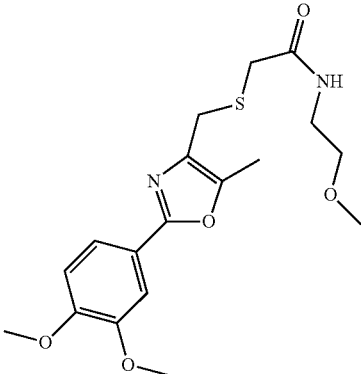
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1100		392.52
IIa-1101		447.56
IIa-1102		380.47

TABLE 5-continued

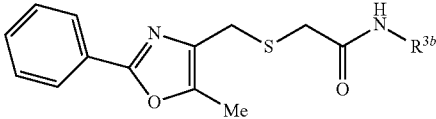
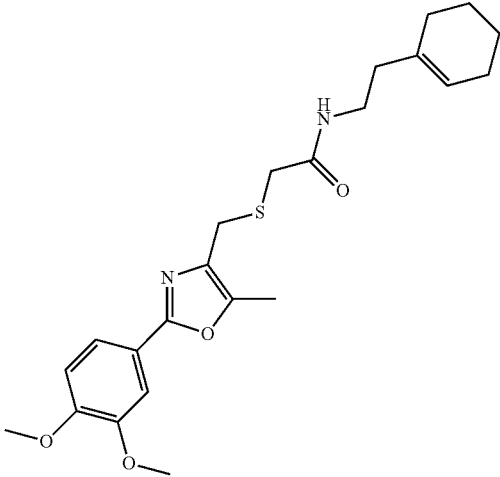
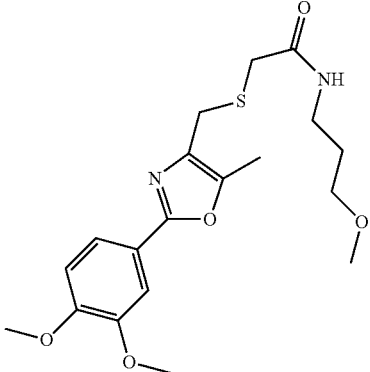
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1103		408.52
IIa-1104		430.57
IIa-1105		394.49

TABLE 5-continued

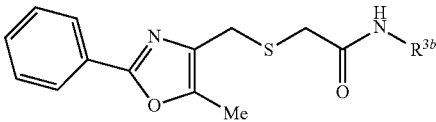
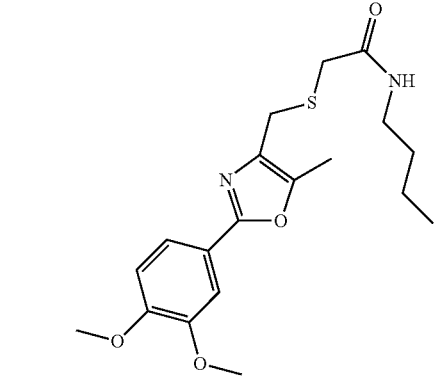
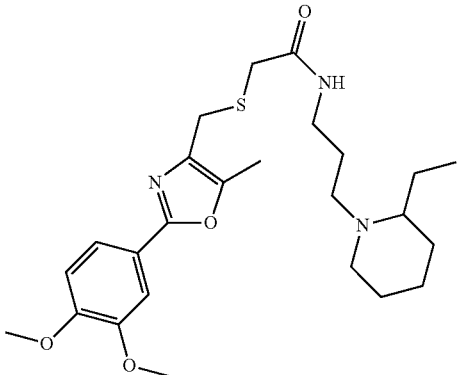
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1106		435.55
IIa-1107		378.49
IIa-1108		475.66

TABLE 5-continued

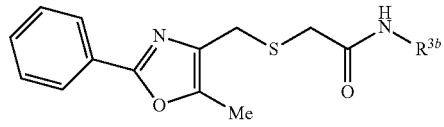
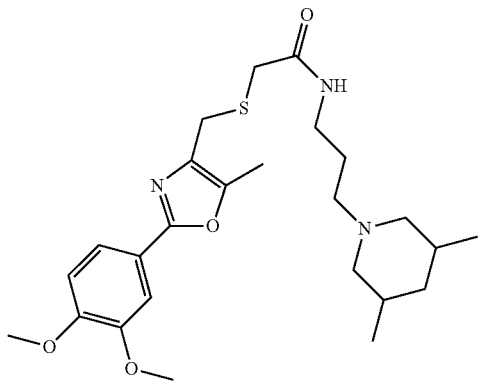
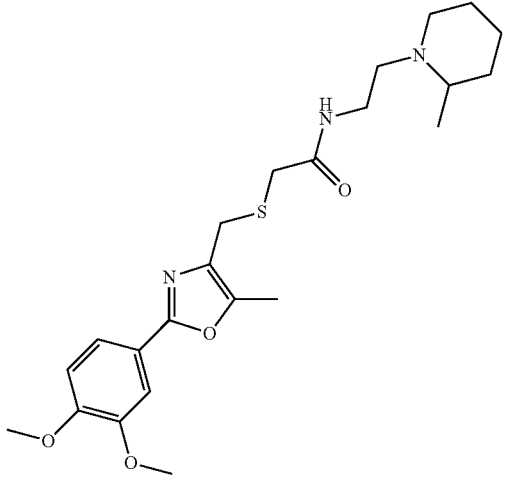
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1109		461.63
IIa-1110		475.66
IIa-1111		447.60

TABLE 5-continued

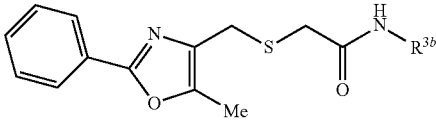
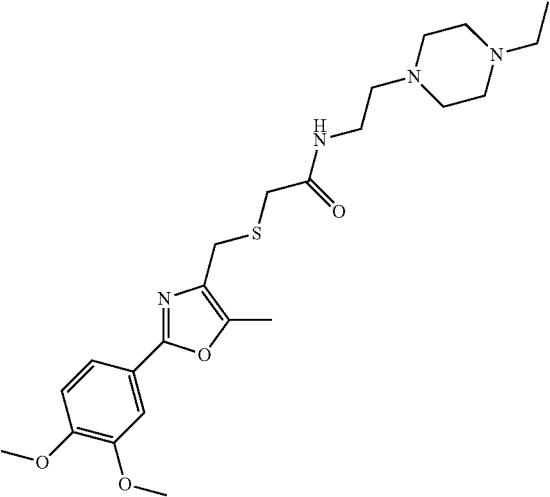
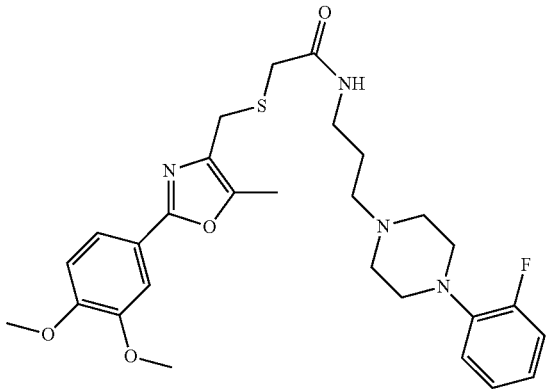
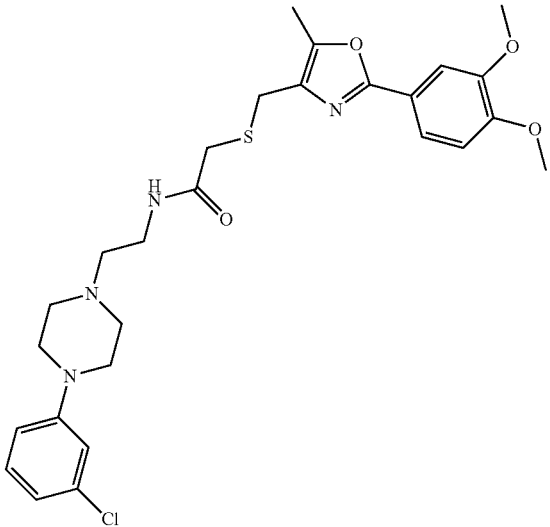
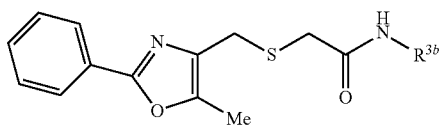
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1112		
IIa-1112		462.62
IIa-1113		542.68
IIa-1114		545.11

TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)

ID	Structure	MW
IIa-1115	<p>Chemical structure of IIa-1115:</p> <chem>COc1cc(OC)ccc1c2nc(c3ccccc23)oc4ccccc4SCC(=O)NCCN(C)c5ccccc5</chem>	469.61
IIa-1116	<p>Chemical structure of IIa-1116:</p> <chem>COc1cc(OC)ccc1c2nc(c3ccccc23)oc4ccccc4SCC(=O)NCCN1CCN(CCN1Cc5ccccc5)</chem>	524.69

TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1117		469.61
IIa-1118		452.64
IIa-1119		412.94

TABLE 5-continued

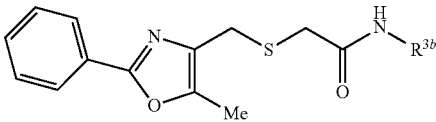
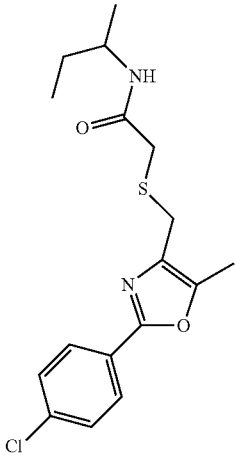
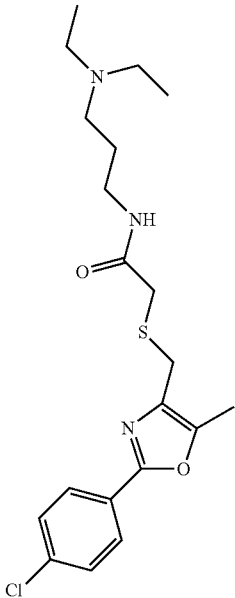
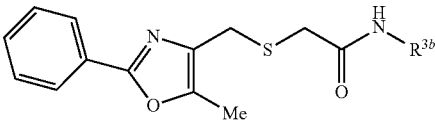
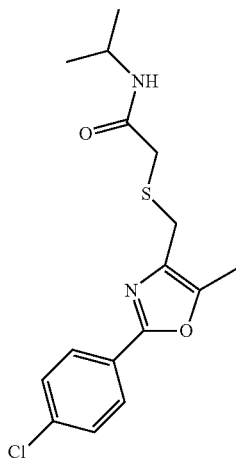
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1120		
IIa-1120		352.89
IIa-1121		409.98

TABLE 5-continued

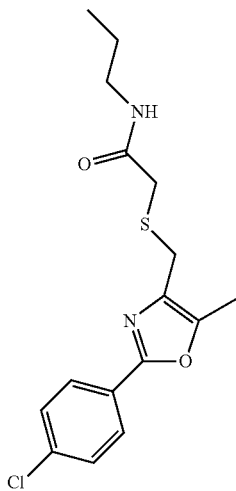
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1122



338.86

IIa-1123



338.86

TABLE 5-continued

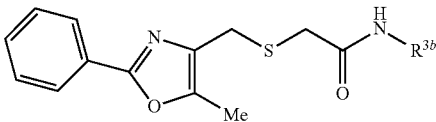
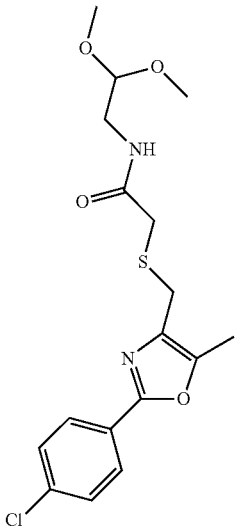
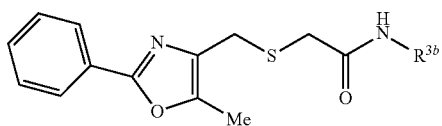
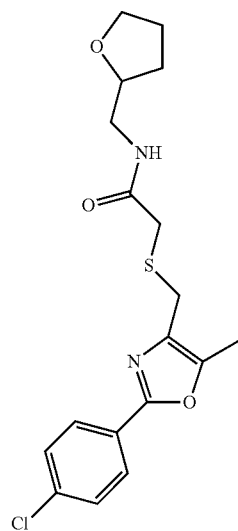
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1124		384.88
IIa-1125		423.97

TABLE 5-continued

Oxazole amides (R³ = NH-misc)

ID	Structure	MW
IIa-1126		366.91

IIa-1127



380.90

TABLE 5-continued

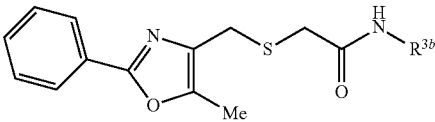
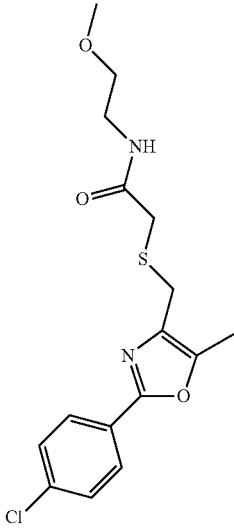
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1128		354.86
IIa-1129		382.91

TABLE 5-continued

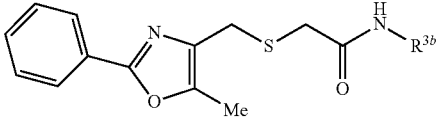
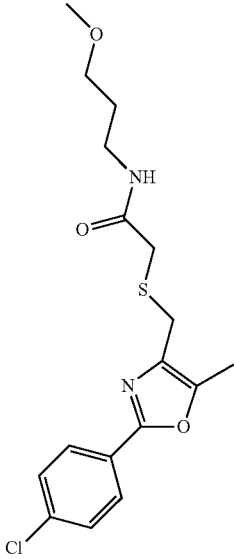
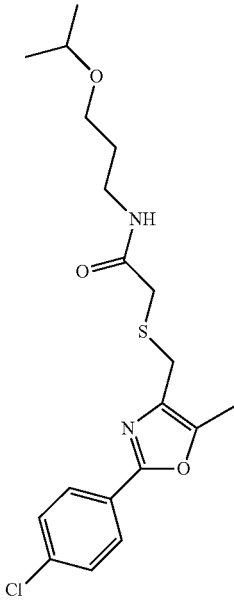
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1130		
IIa-1130		368.89
IIa-1131		396.94

TABLE 5-continued

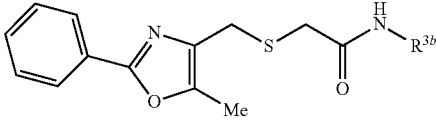
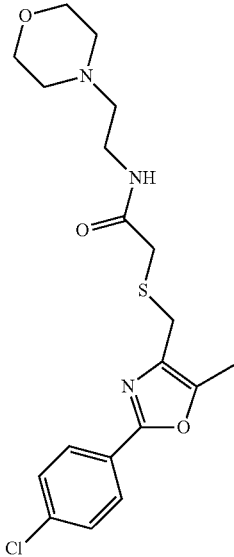
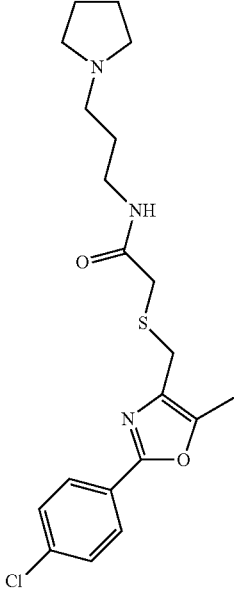
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1132		
IIa-1132		409.94
IIa-1133		407.97

TABLE 5-continued

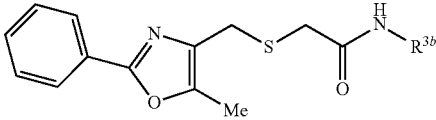
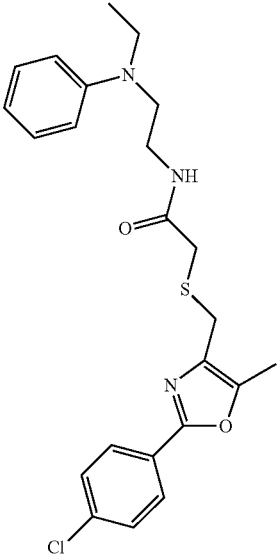
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1134		519.50
IIa-1135		444.00

TABLE 5-continued

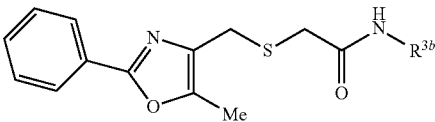
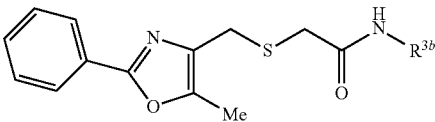
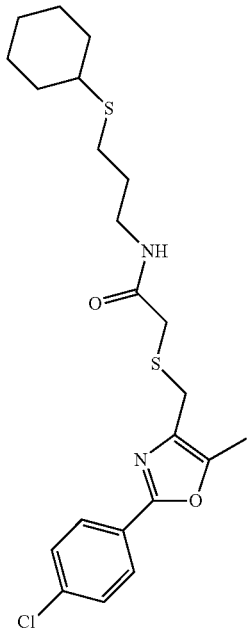
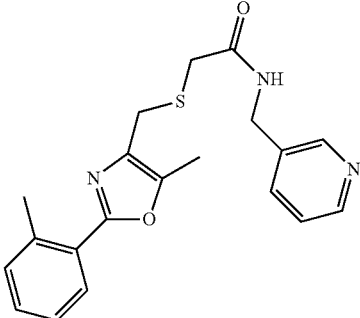
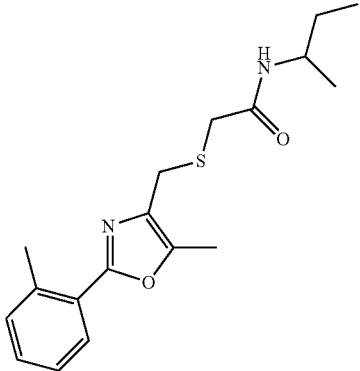
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1136		
IIa-1136		453.07
IIa-1137		367.47
IIa-1138		332.47
IIa-1138		

TABLE 5-continued

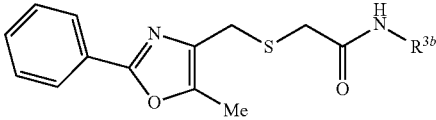
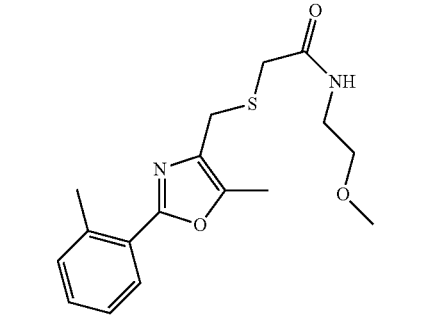
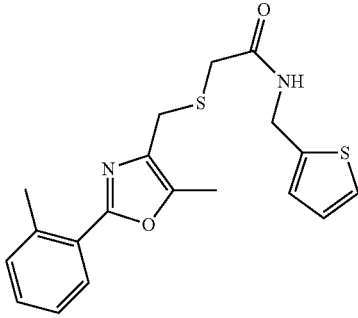
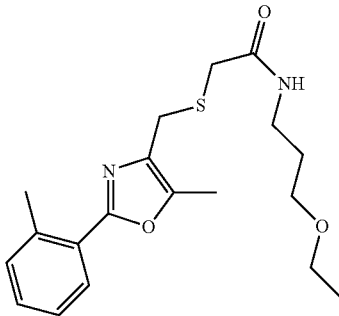
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1139		334.44
IIa-1140		387.55
IIa-1141		372.51
IIa-1142		362.49

TABLE 5-continued

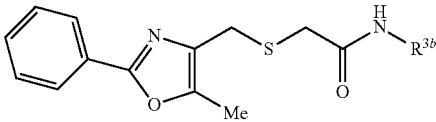
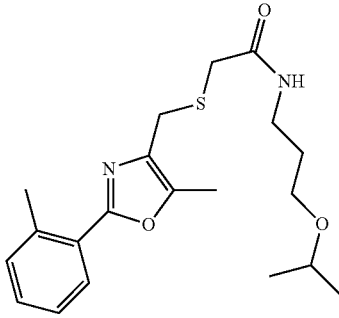
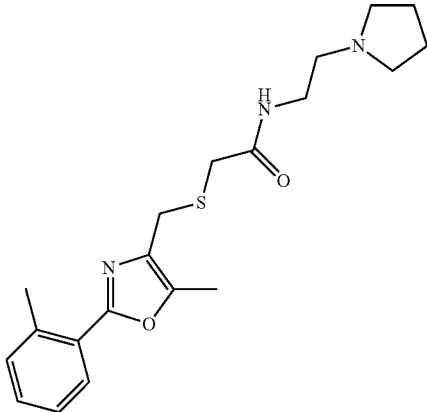
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1143		348.47
IIa-1144		376.52
IIa-1145		373.52

TABLE 5-continued

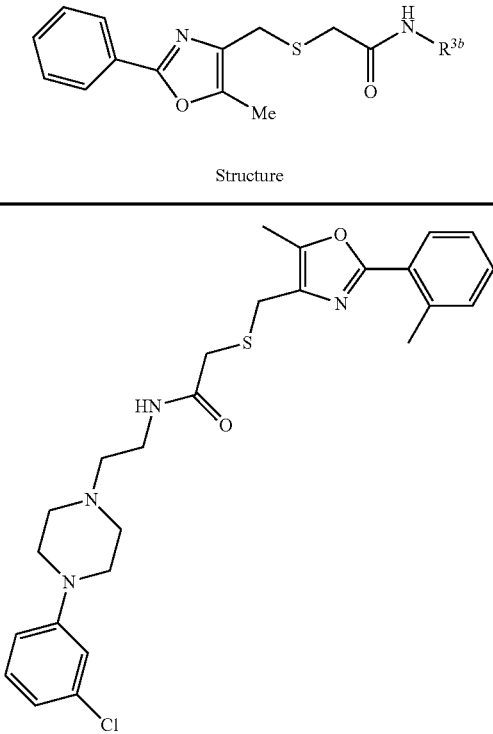
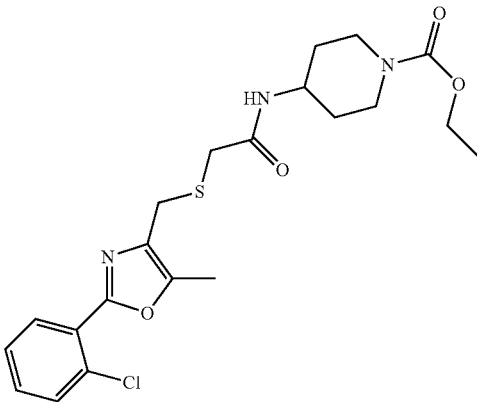
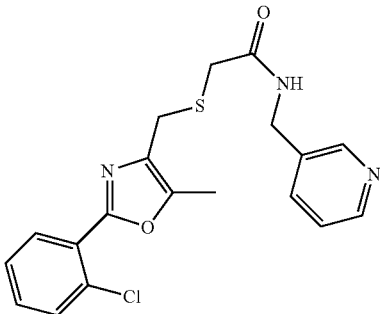
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1146		499.08
IIa-1147		451.98
IIa-1148		387.89

TABLE 5-continued

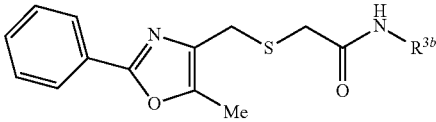
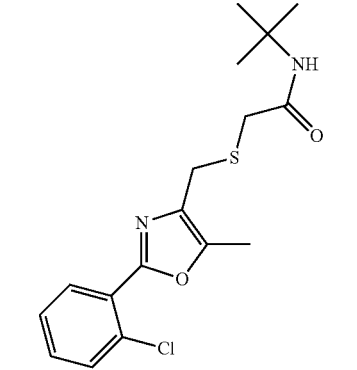
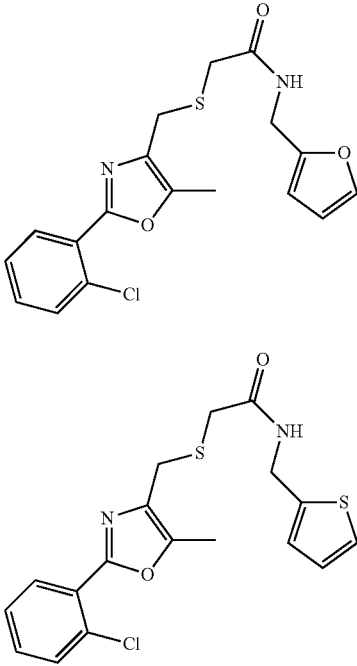
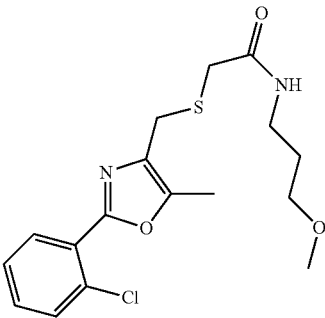
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1149		352.89
IIa-1150		376.86
IIa-1151		392.93
IIa-1152		368.89

TABLE 5-continued

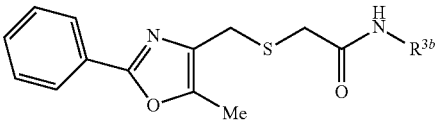
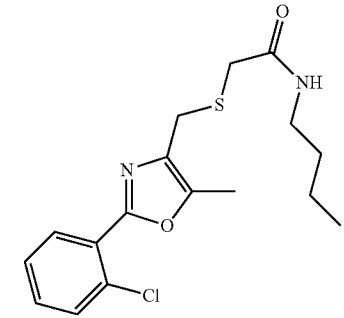
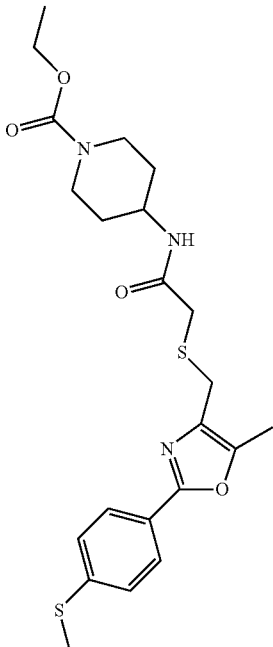
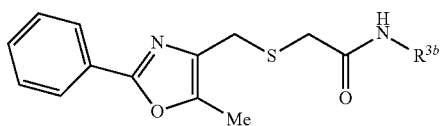
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1153		352.89
IIa-1154		427.03
IIa-1155		463.62

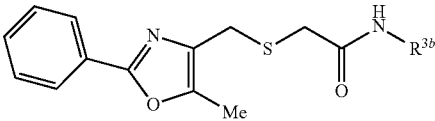
TABLE 5-continued

Oxazole amides (R³ = NH-misc)

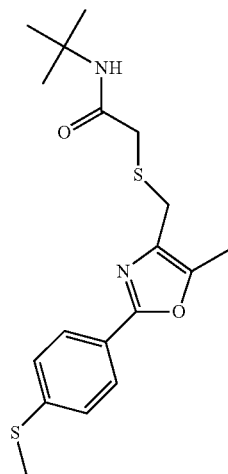
ID	Structure	MW
IIa-1156		399.54

IIa-1157		364.53
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TABLE 5-continued

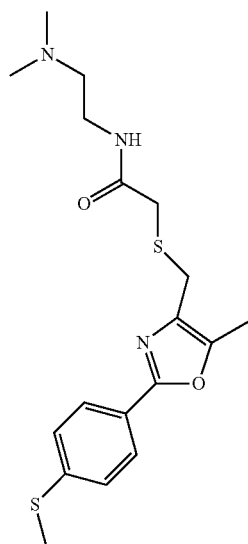
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1158



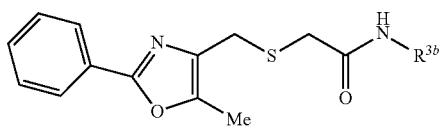
364.53

IIa-1159



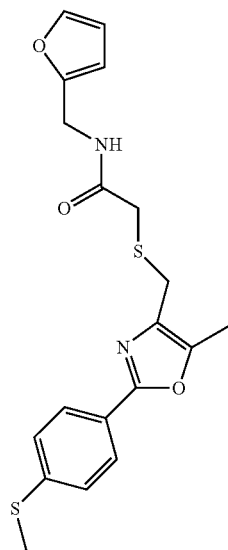
379.55

TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)

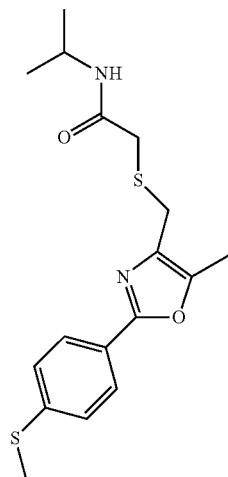
ID	Structure	MW
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IIa-1160



388.51

IIa-1161



350.51

TABLE 5-continued

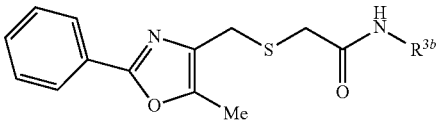
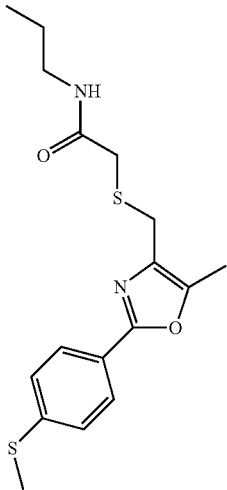
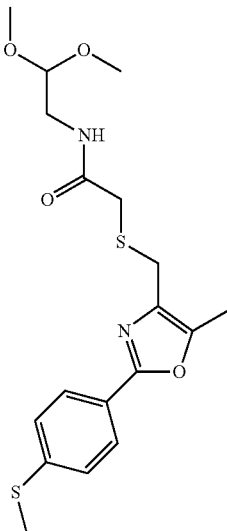
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1162		
IIa-1163		350.51
IIa-1163		396.53

TABLE 5-continued

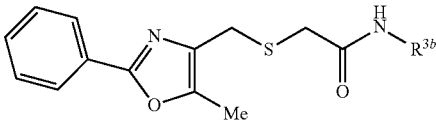
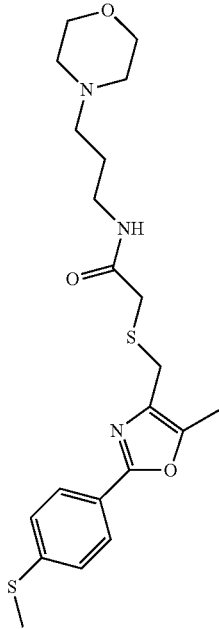
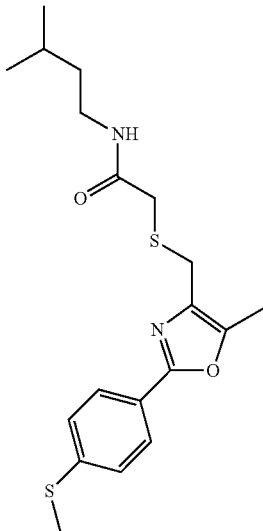
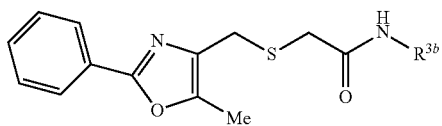
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1164		
IIa-1164		435.61
IIa-1165		378.56

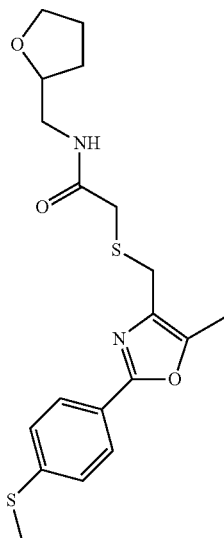
TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)



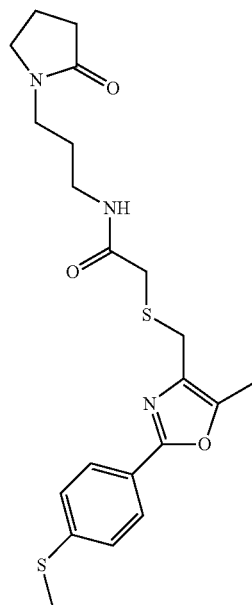
ID	Structure	MW
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IIa-1166



392.54

IIa-1167



433.60

TABLE 5-continued

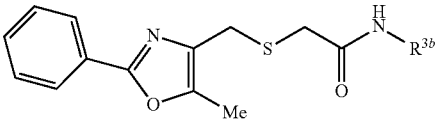
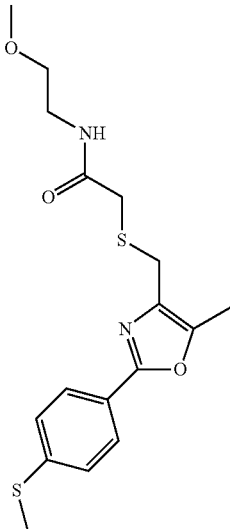
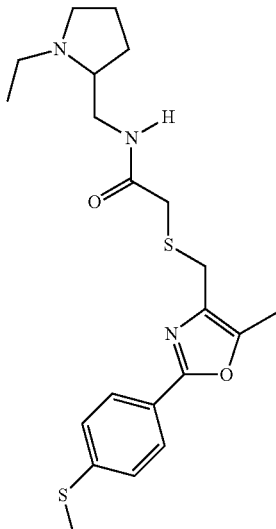
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1168		
IIa-1168		366.50
IIa-1169		419.61

TABLE 5-continued

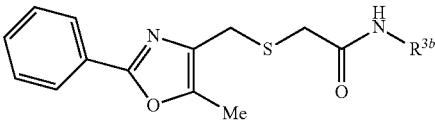
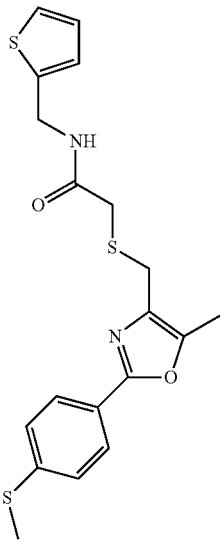
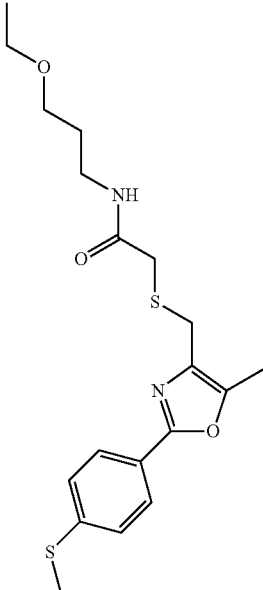
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1170		
IIa-1170		404.58
IIa-1171		394.56

TABLE 5-continued

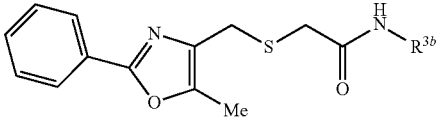
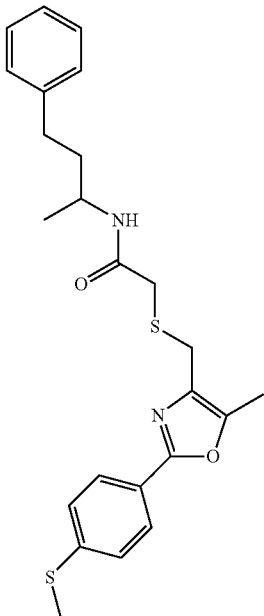
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1172		416.61
IIa-1173		440.63

TABLE 5-continued

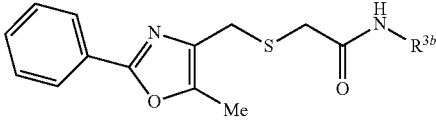
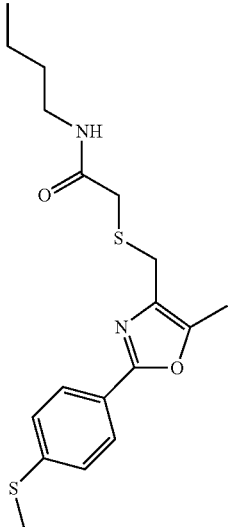
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1174		380.53
IIa-1175		364.53

TABLE 5-continued

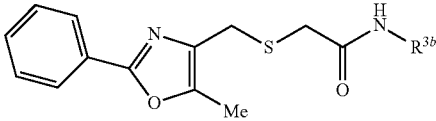
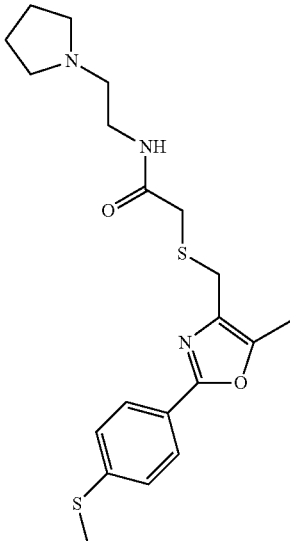
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1176		419.61
IIa-1177		405.59

TABLE 5-continued

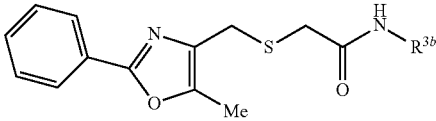
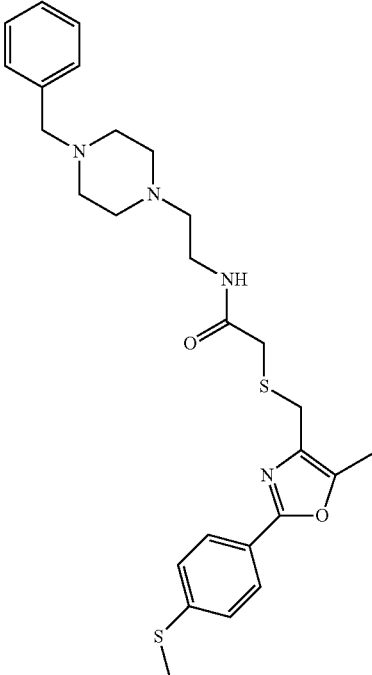
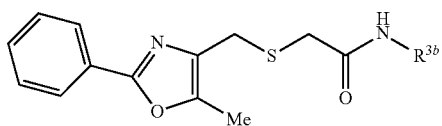
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1178		455.65
IIa-1179		510.73

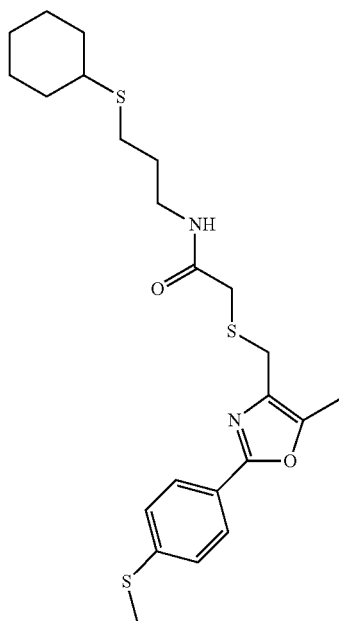
TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)



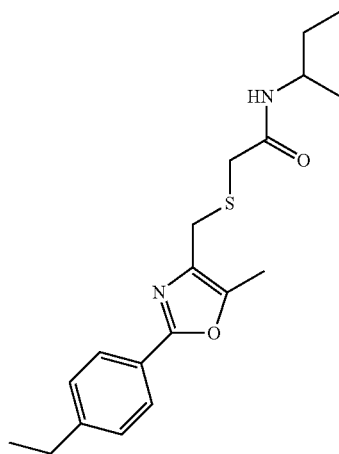
ID	Structure	MW
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IIa-1180



464.72

IIa-1181



346.50

TABLE 5-continued

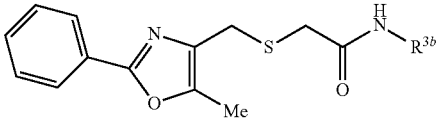
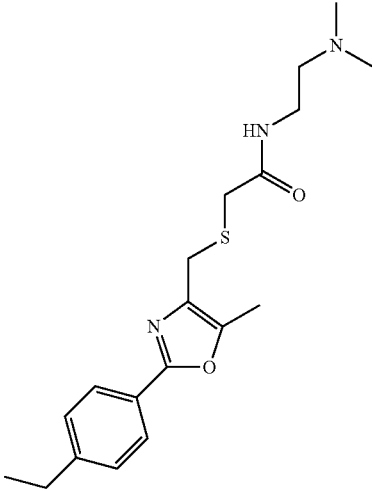
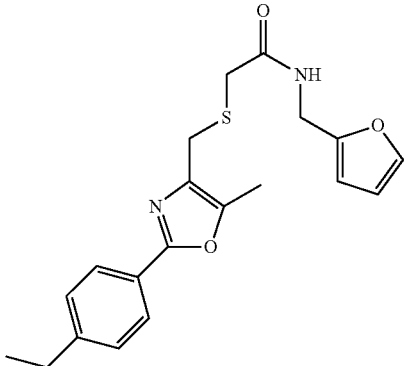
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1182		346.50
IIa-1183		361.51
IIa-1184		370.47

TABLE 5-continued

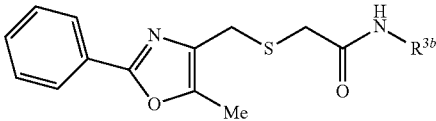
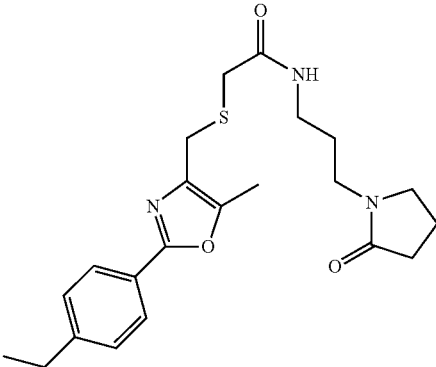
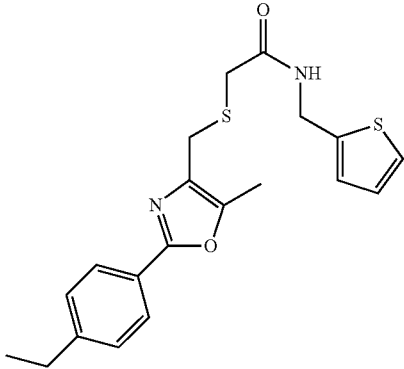
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1185		378.49
IIa-1186		415.56
IIa-1187		386.54

TABLE 5-continued

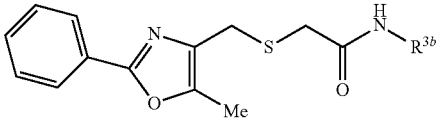
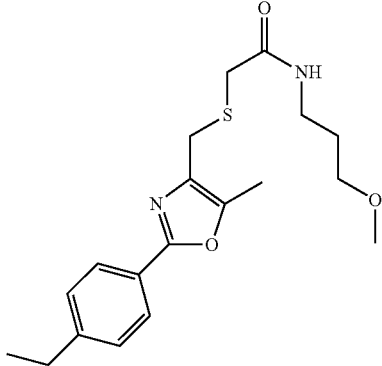
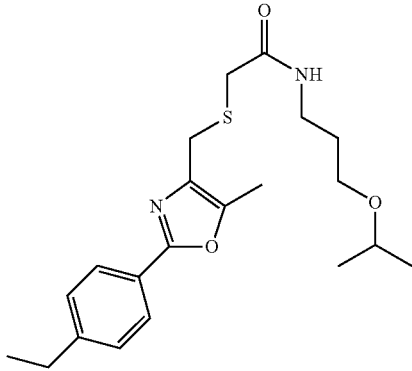
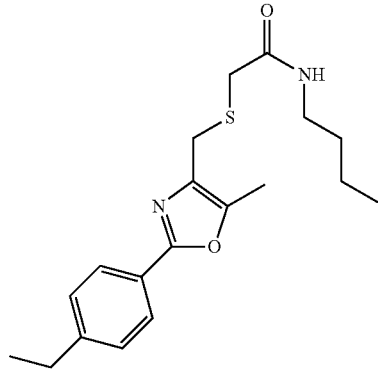
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1188		
IIa-1188		362.49
IIa-1189		390.55
IIa-1190		346.50

TABLE 5-continued

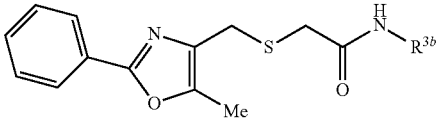
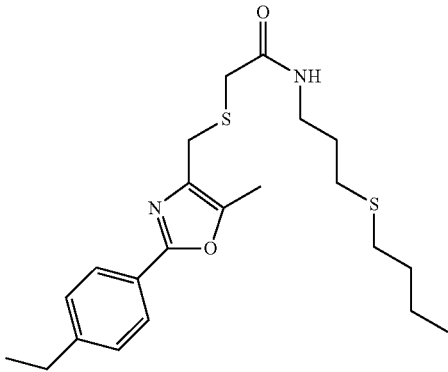
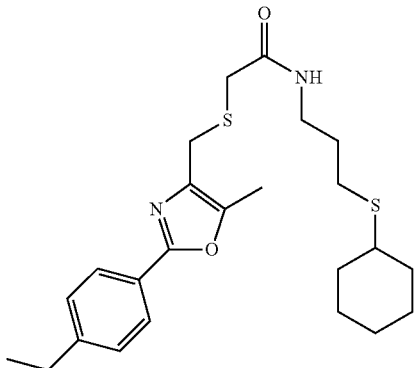
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1191		513.11
IIa-1192		420.64
IIa-1193		446.68

TABLE 5-continued

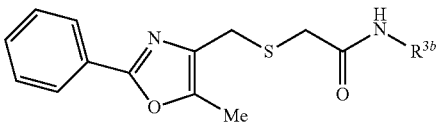
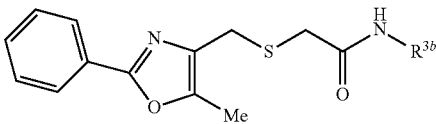
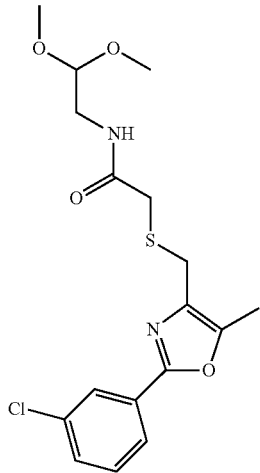
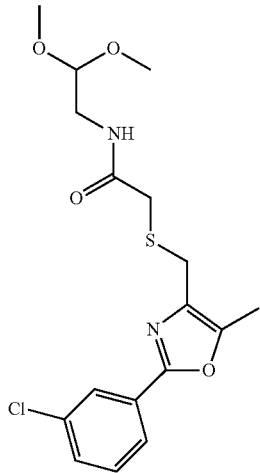
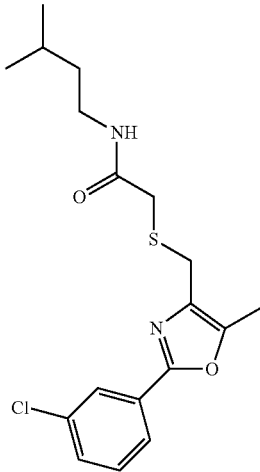
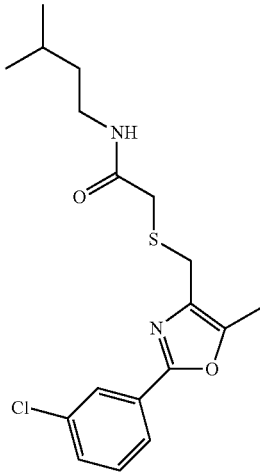
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1194		
IIa-1194		384.88
IIa-1195		366.91
IIa-1195		366.91
IIa-1196		392.93
IIa-1196		392.93

TABLE 5-continued

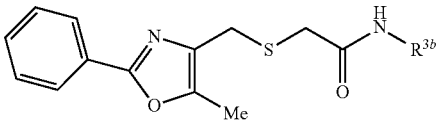
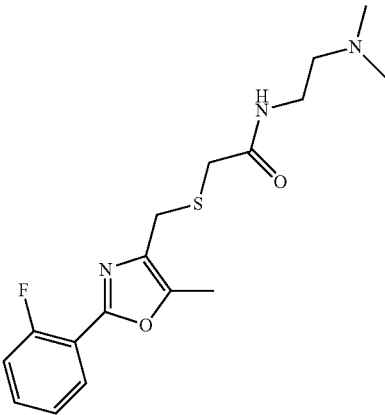
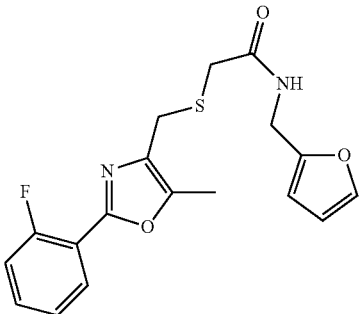
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1197		371.44
IIa-1198		351.45
IIa-1199		360.41

TABLE 5-continued

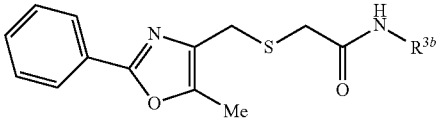
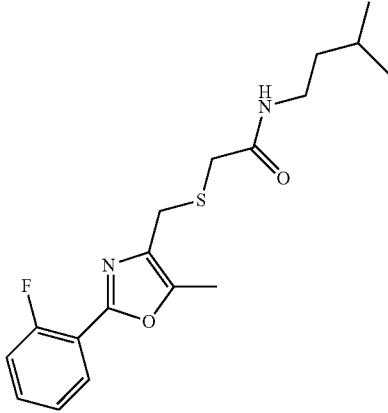
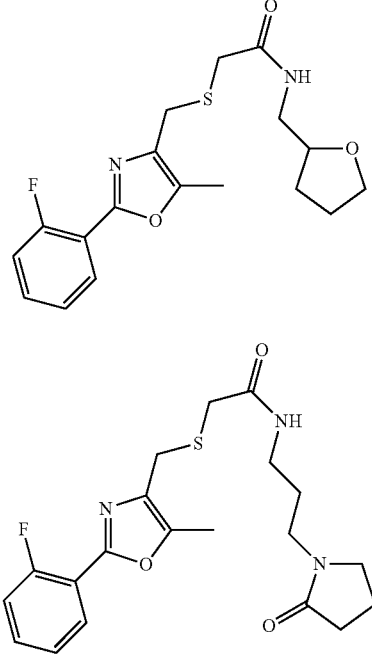
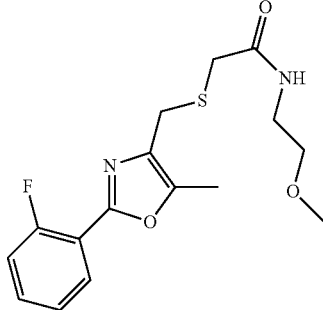
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1200		350.46
IIa-1201		364.44
IIa-1202		405.49
IIa-1203		338.40

TABLE 5-continued

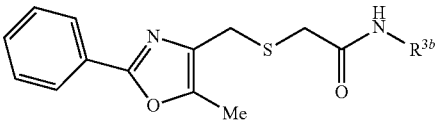
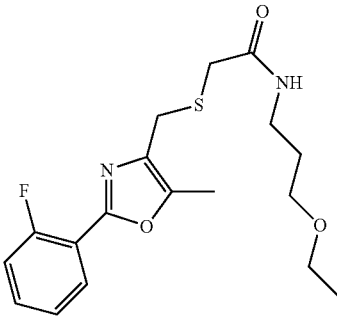
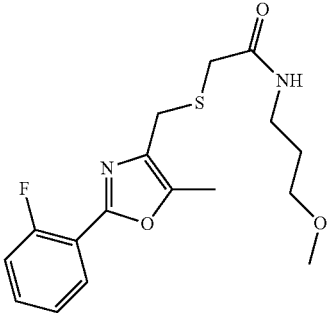
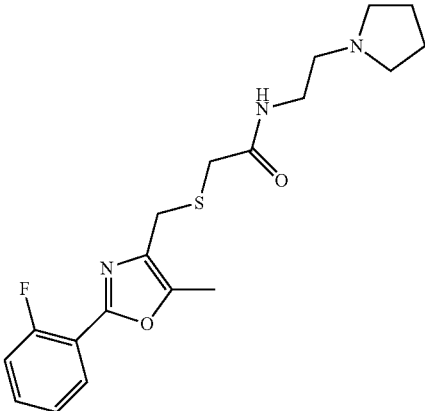
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1204		
IIa-1204		366.46
IIa-1205		352.43
IIa-1206		377.48

TABLE 5-continued

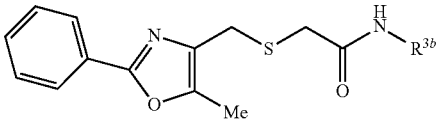
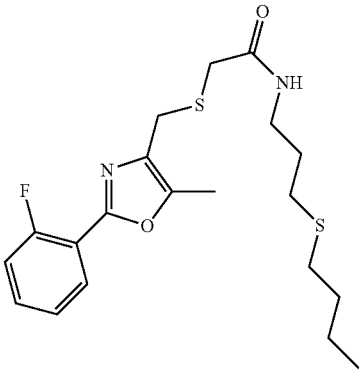
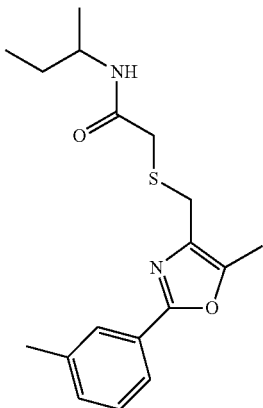
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1207		410.58
IIa-1208		367.47
IIa-1209		332.47

TABLE 5-continued

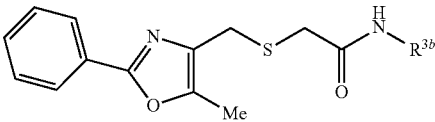
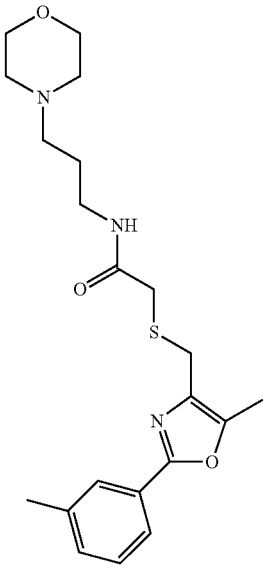
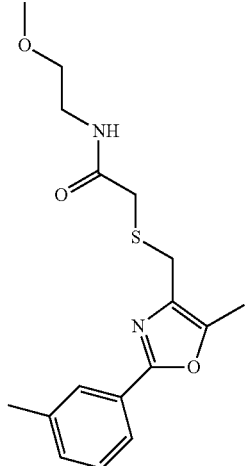
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1210		403.55
IIa-1211		334.44

TABLE 5-continued

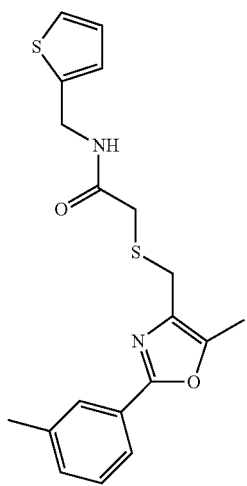
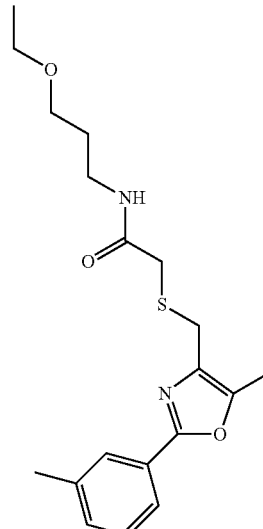
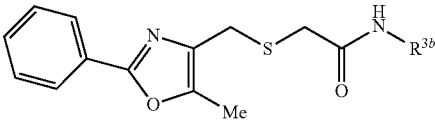
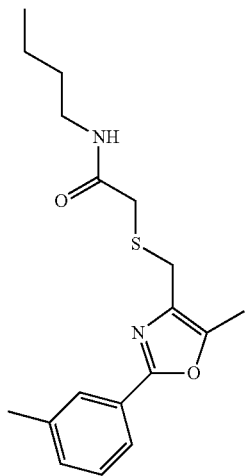
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1212		372.51
IIa-1213		362.49

TABLE 5-continued

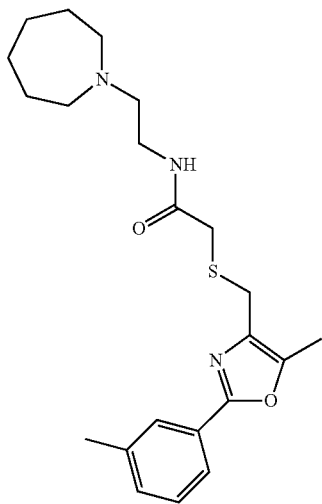
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1214



332.47

IIa-1215



401.58

TABLE 5-continued

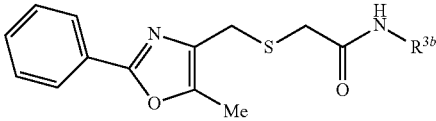
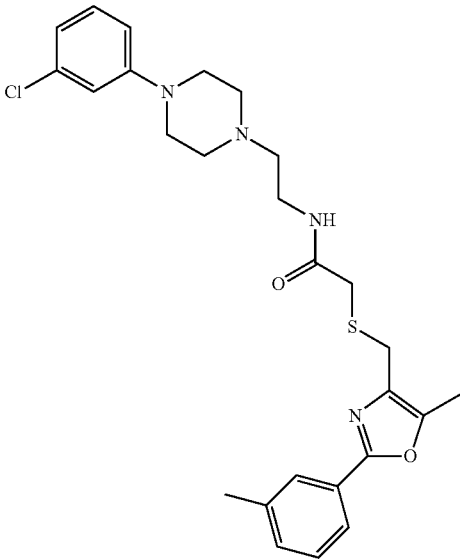
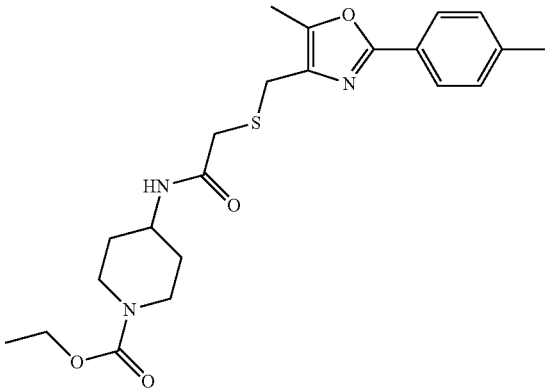
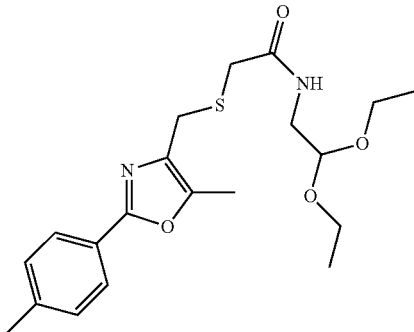
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1216		
IIa-1216		499.08
IIa-1217		431.56
IIa-1218		392.52

TABLE 5-continued

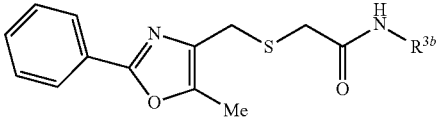
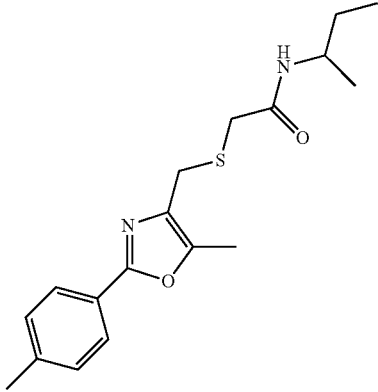
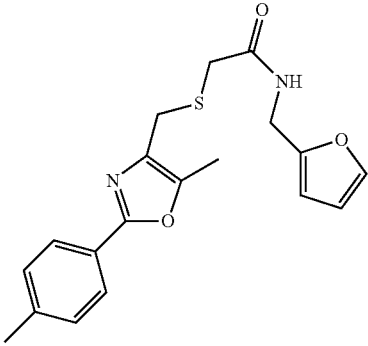
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1219		367.47
IIa-1220		332.47
IIa-1221		356.45

TABLE 5-continued

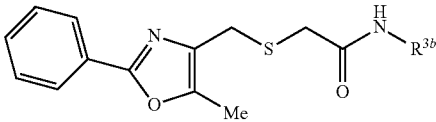
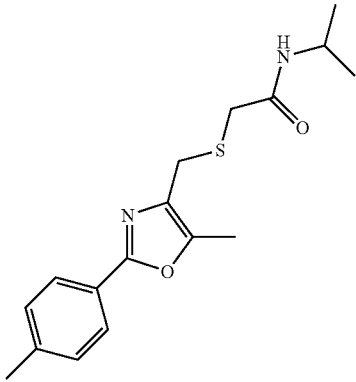
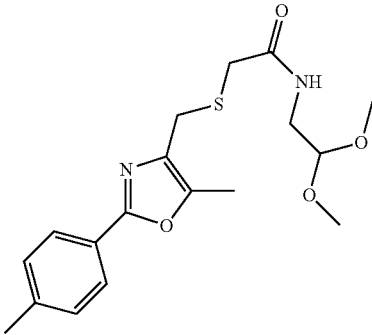
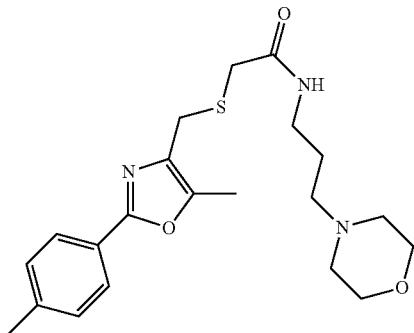
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1222		
IIa-1223		318.44
IIa-1224		364.47
IIa-1224		403.55

TABLE 5-continued

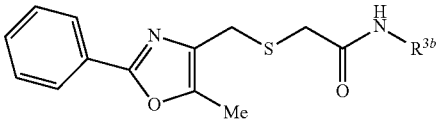
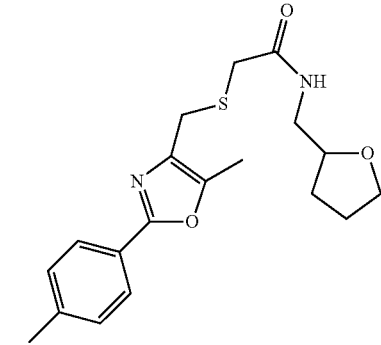
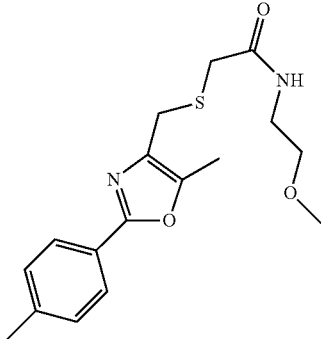
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1225		346.50
IIa-1226		360.48
IIa-1227		334.44

TABLE 5-continued

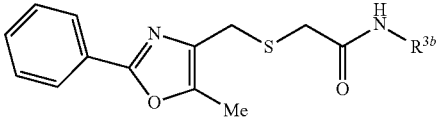
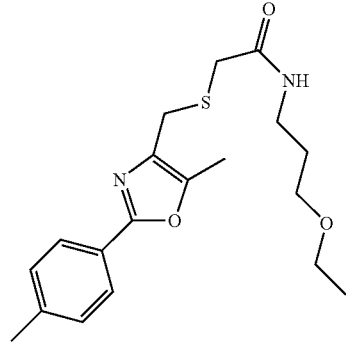
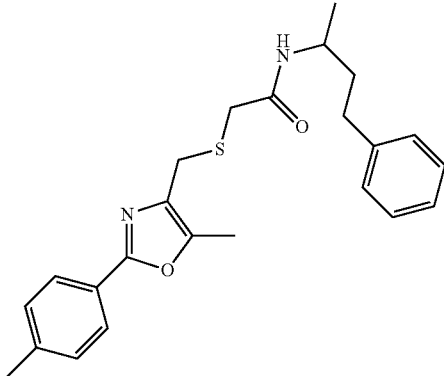
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1228		372.51
IIa-1229		362.49
IIa-1230		408.57

TABLE 5-continued

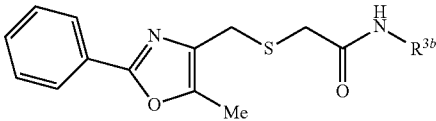
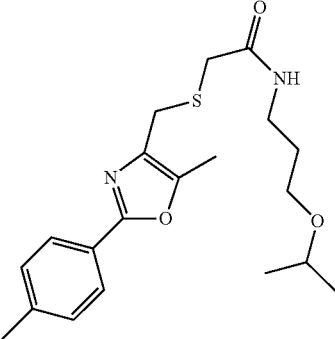
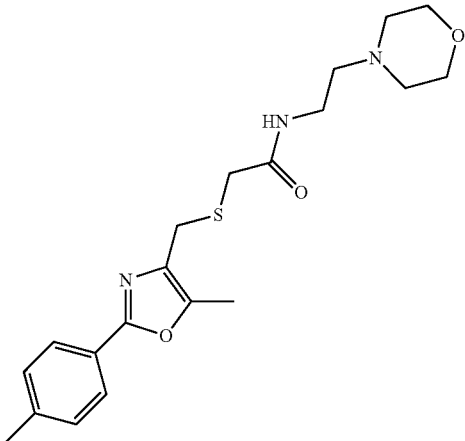
Oxazole amides ($R^3 = \text{NH-misc}$)		
ID	Structure	MW
IIa-1231		348.47
IIa-1232		376.52
IIa-1233		389.52

TABLE 5-continued

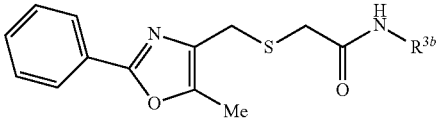
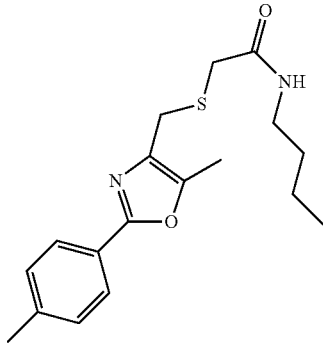
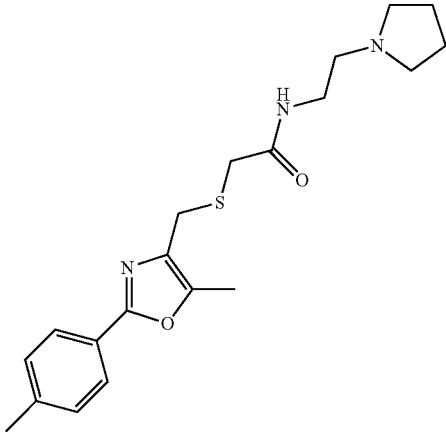
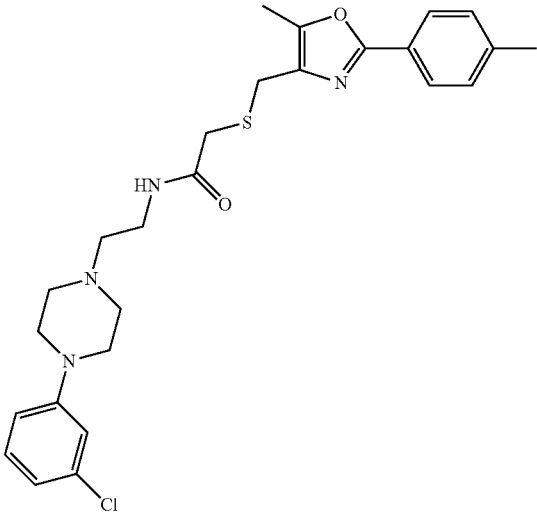
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1234		
IIa-1234		332.47
IIa-1235		373.52
IIa-1236		499.08

TABLE 5-continued

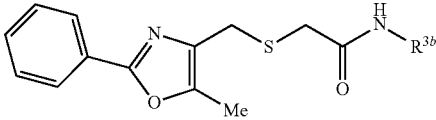
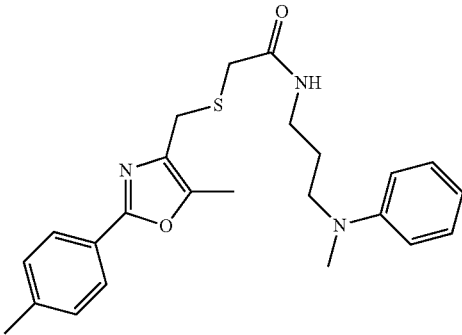
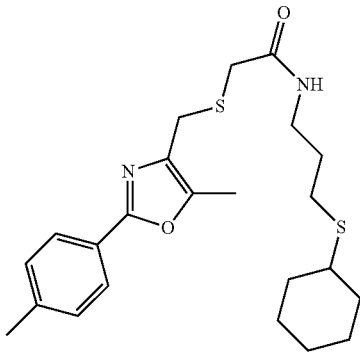
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1237		478.66
IIa-1238		423.58
IIa-1239		432.65

TABLE 5-continued

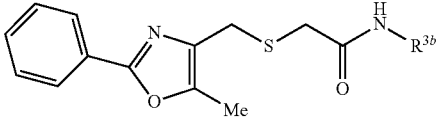
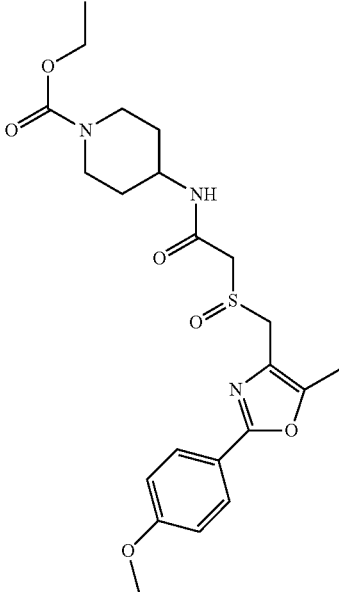
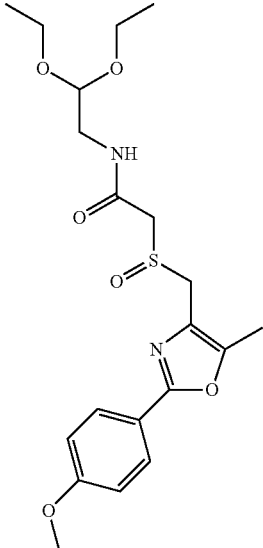
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1240		
IIa-1240		463.56
IIa-1241		424.52

TABLE 5-continued

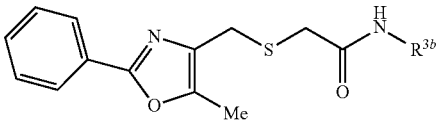
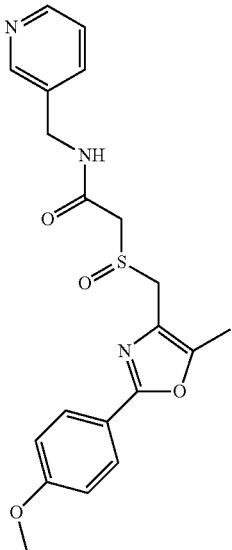
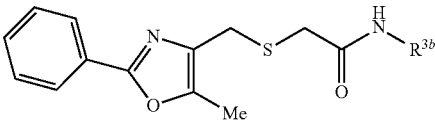
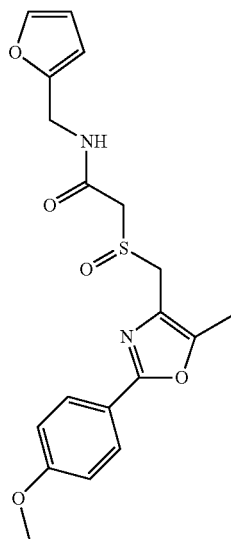
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1242		399.47
IIa-1243		399.47

TABLE 5-continued

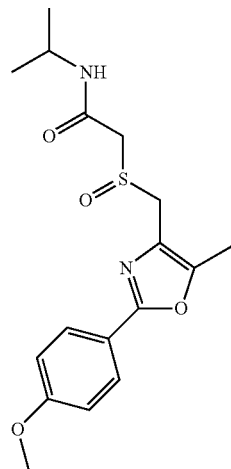
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1244



388.45

IIa-1245



350.44

TABLE 5-continued

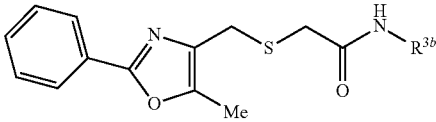
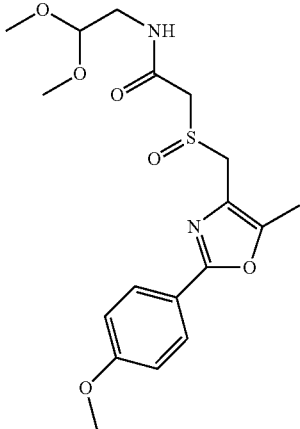
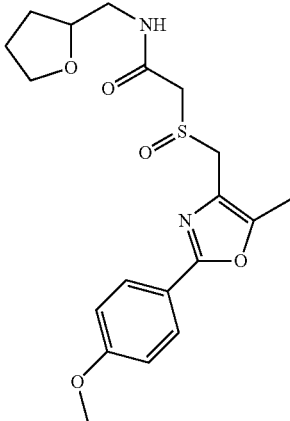
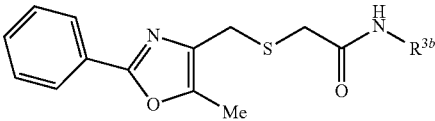
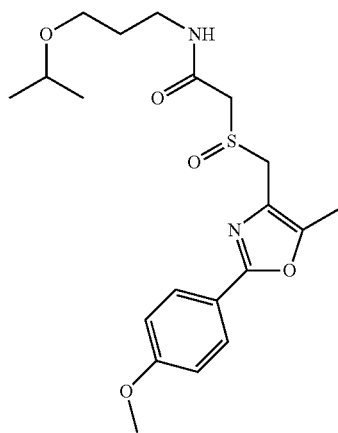
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1246		350.44
IIa-1247		396.47
IIa-1248		392.48

TABLE 5-continued

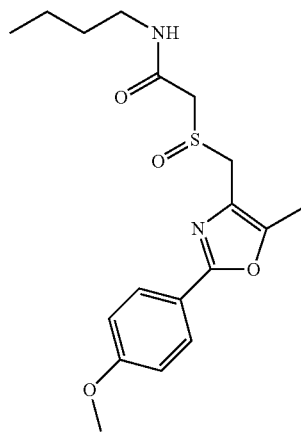
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1252



408.52

IIa-1253



364.47

TABLE 5-continued

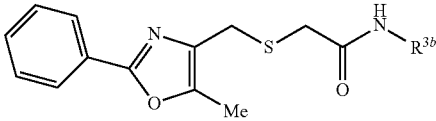
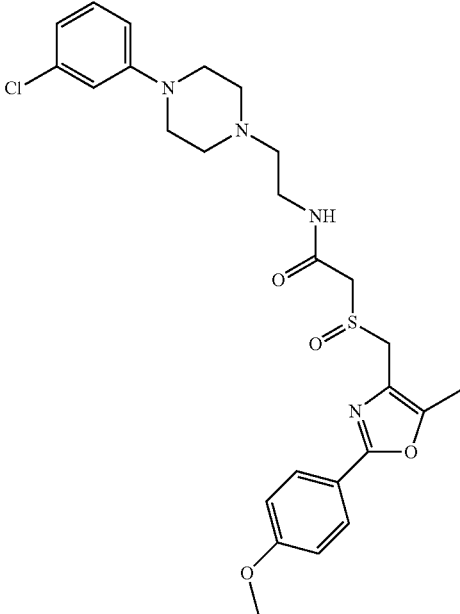
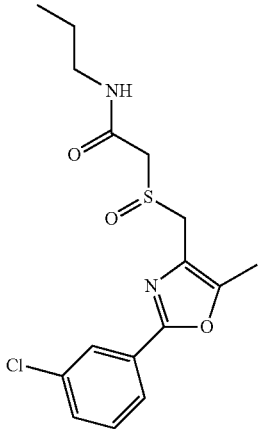
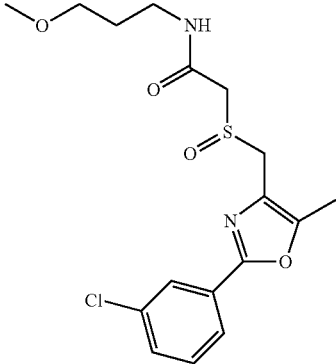
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
		
IIa-1254		531.08
IIa-1255		354.86
IIa-1256		384.88

TABLE 5-continued

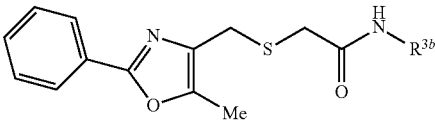
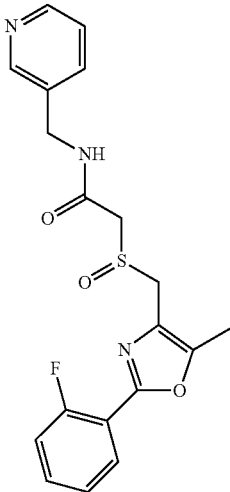
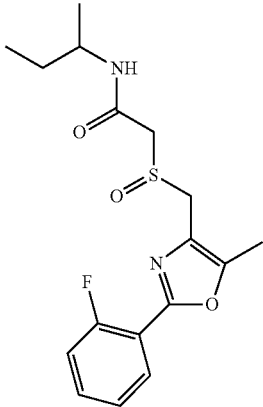
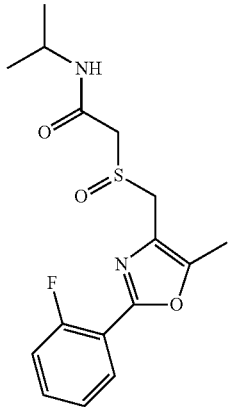
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
		
IIa-1260		387.44
IIa-1261		352.43
IIa-1262		338.40

TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1263		384.43
IIa-1264		392.47
IIa-1265		368.43

TABLE 5-continued

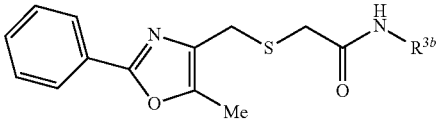
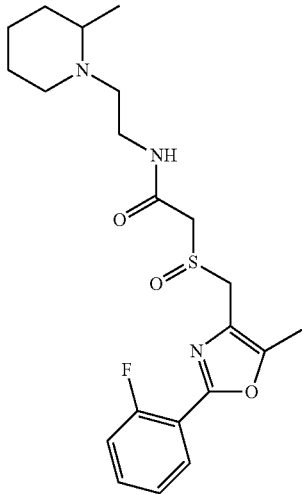
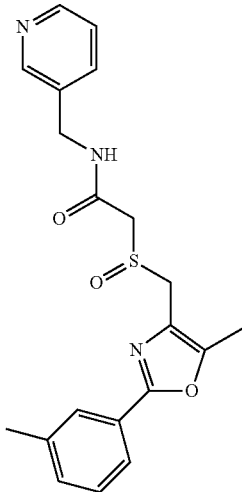
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1266		396.48
IIa-1267		421.54
IIa-1268		383.47

TABLE 5-continued

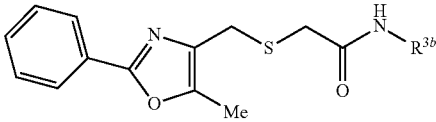
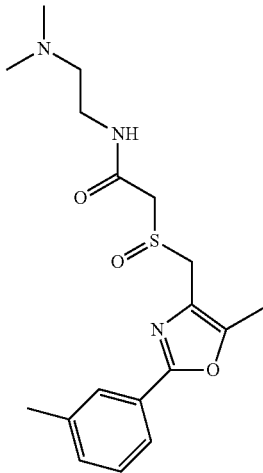
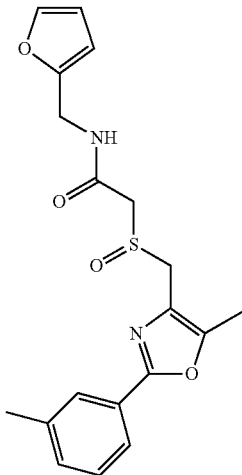
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1269		348.47
IIa-1270		363.48
IIa-1271		372.45

TABLE 5-continued

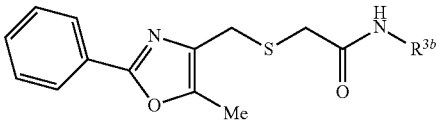
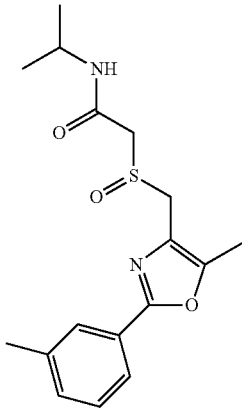
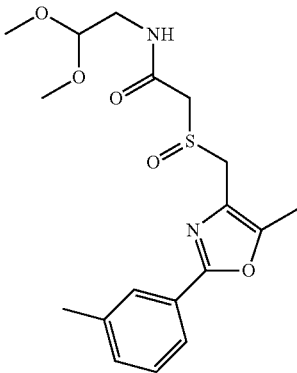
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1272		334.44
IIa-1273		334.44
IIa-1274		380.47

TABLE 5-continued

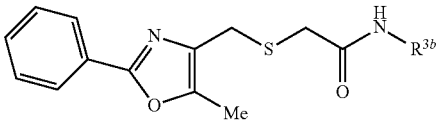
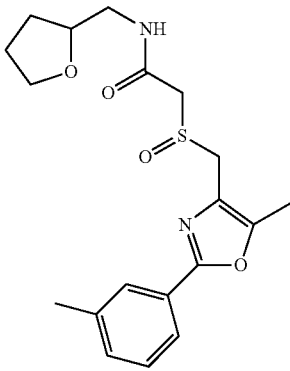
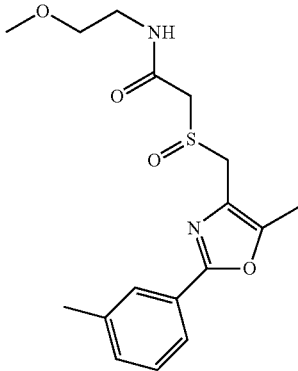
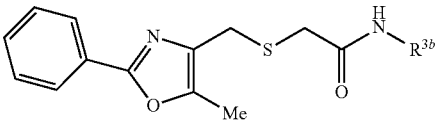
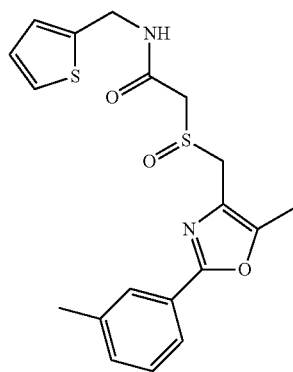
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1275		362.49
IIa-1276		376.48
IIa-1277		350.44

TABLE 5-continued

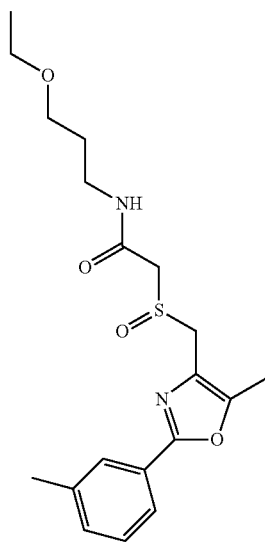
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1278



388.51

IIa-1279



378.49

TABLE 5-continued

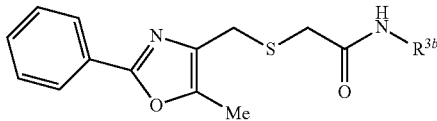
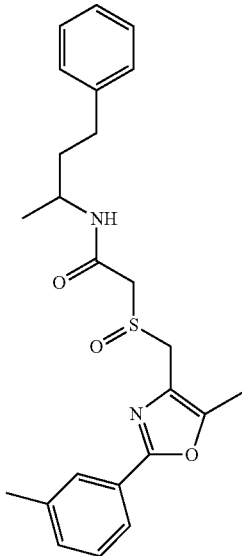
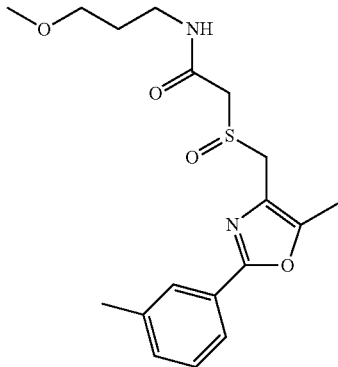
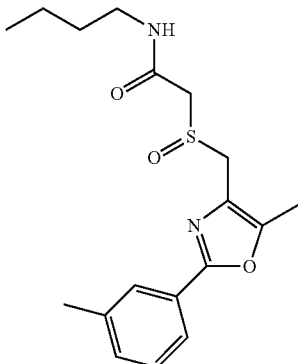
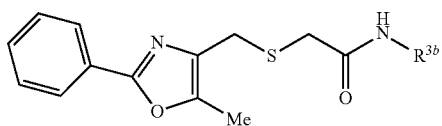
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1280		424.57
IIa-1281		364.47
IIa-1282		348.47

TABLE 5-continued

Oxazole amides (R³ = NH-misc)

ID	Structure	MW
IIa-1283		515.08

IIa-1284		467.64
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TABLE 5-continued

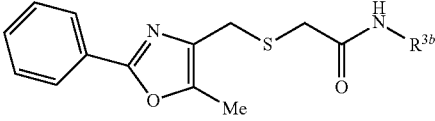
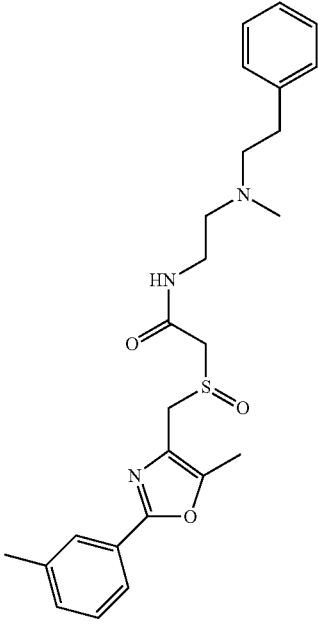
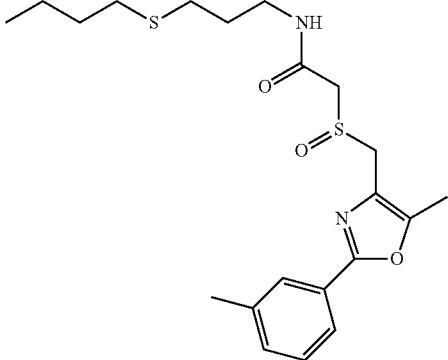
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1285		
IIa-1285		453.61
IIa-1286		422.61

TABLE 5-continued

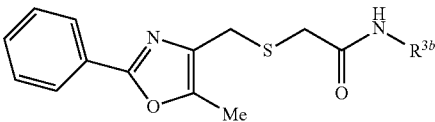
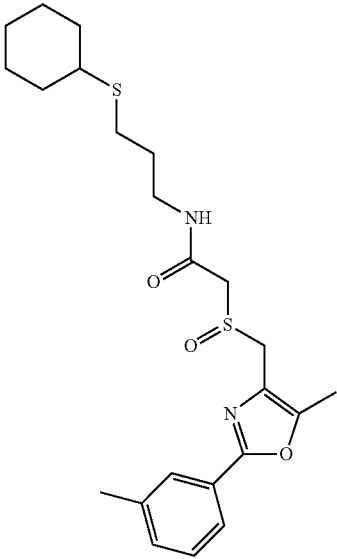
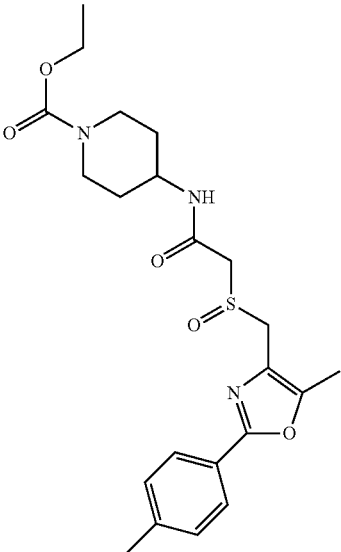
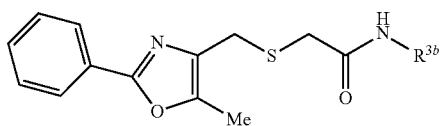
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1287		
IIa-1287		448.65
IIa-1288		447.56

TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)

ID	Structure	MW
IIa-1289	<chem>CCOC(CC)OCCNC(=O)CCS(=O)Cc1cc(C)nc2ccccc12</chem>	408.52
IIa-1290	<chem>Cc1cc2nc3ccccc3o2n1CCS(=O)CC(=O)NCc4cccnc4</chem>	383.47

TABLE 5-continued

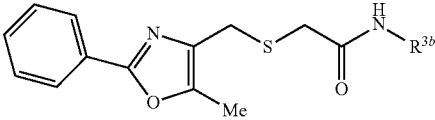
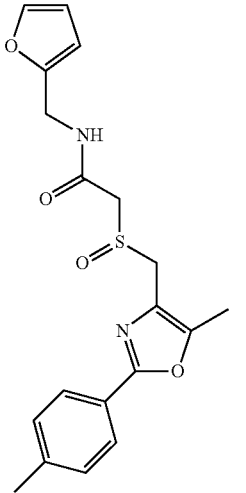
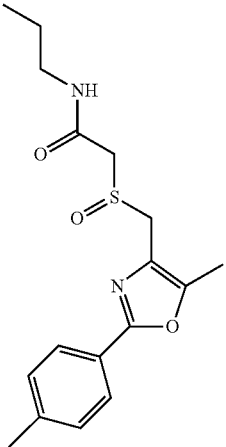
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1291		348.47
IIa-1292		372.45
IIa-1293		334.44

TABLE 5-continued

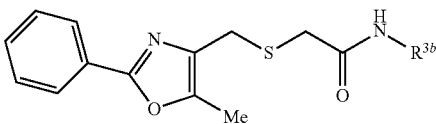
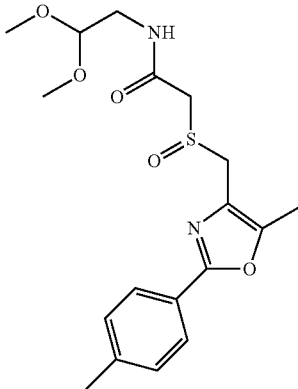
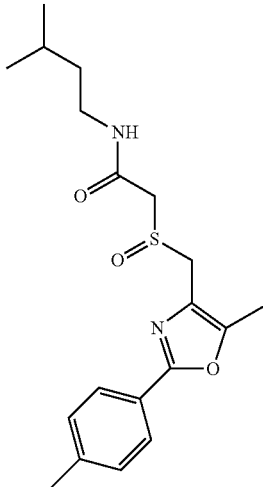
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1294		391.54
IIa-1295		380.47
IIa-1296		362.49

TABLE 5-continued

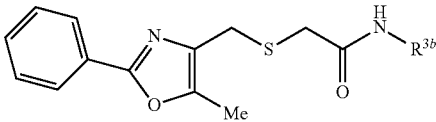
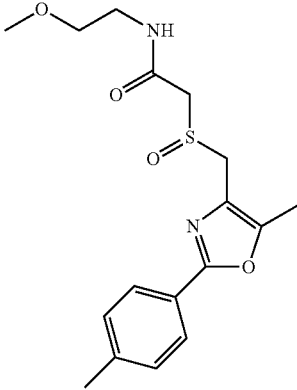
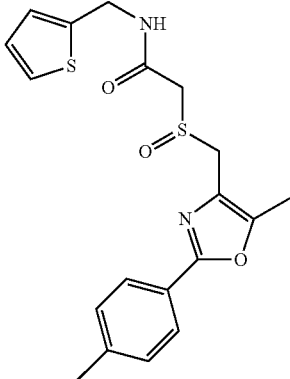
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1297		376.48
IIa-1298		350.44
IIa-1299		388.51

TABLE 5-continued

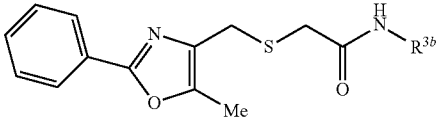
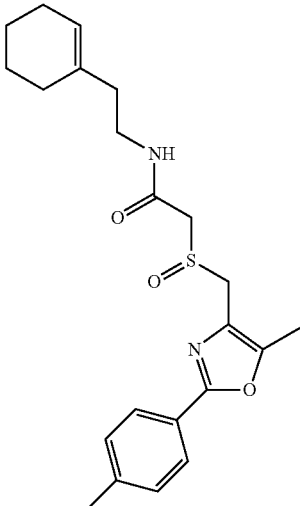
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1300		378.49
IIa-1301		400.54

TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1302		424.57
IIa-1303		364.47
IIa-1304		392.52

TABLE 5-continued

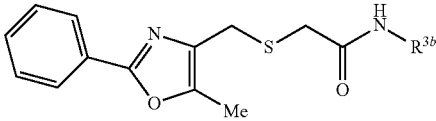
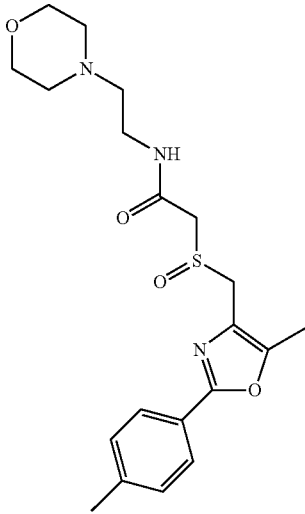
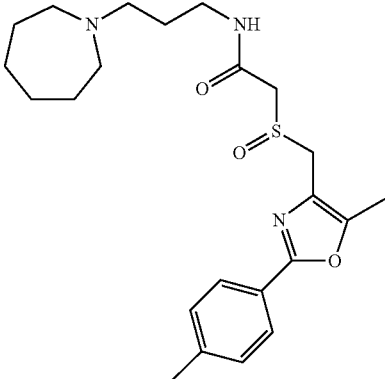
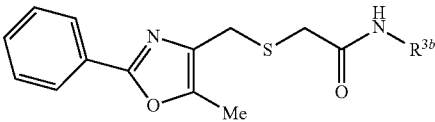
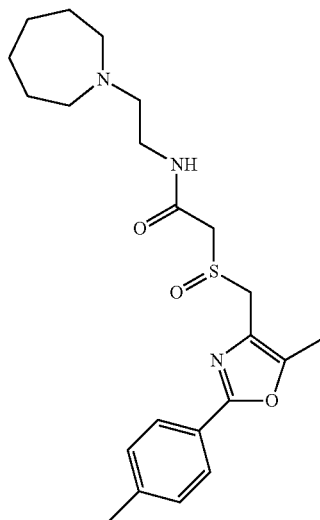
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1305		405.52
IIa-1306		348.47
IIa-1307		431.60

TABLE 5-continued

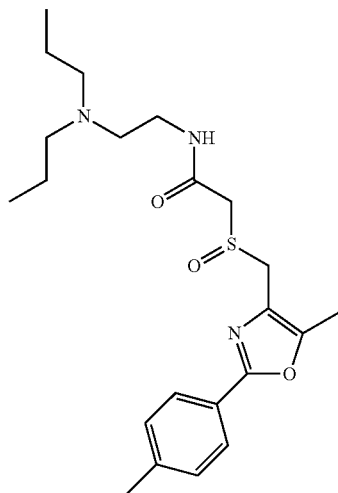
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1308



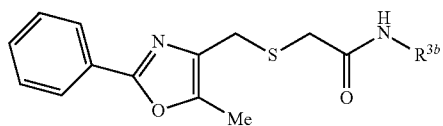
417.57

IIa-1309



419.59

TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)

ID	Structure	MW
IIa-1310		389.52
IIa-1311		445.63
IIa-1312		417.57

TABLE 5-continued

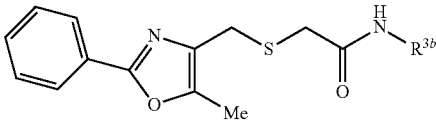
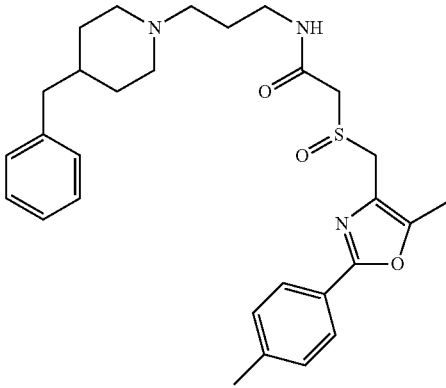
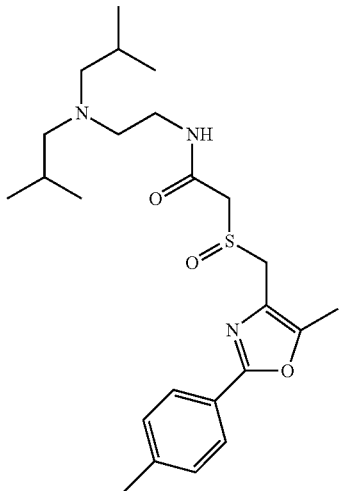
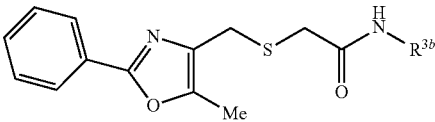
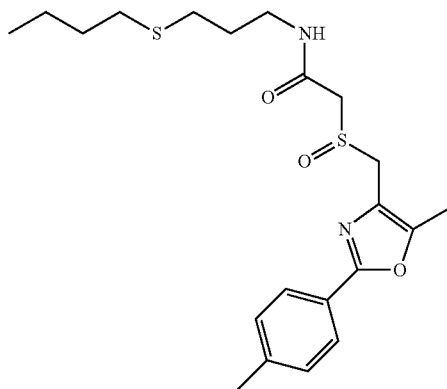
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1313		432.59
IIa-1314		507.70
IIa-1315		447.64

TABLE 5-continued

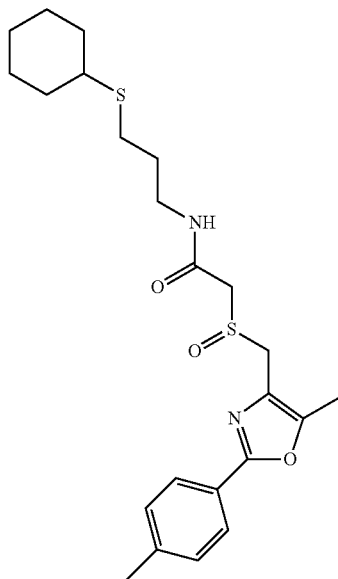
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1316



422.61

IIa-1317



448.65

TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1318		467.98
IIa-1319		428.94

TABLE 5-continued

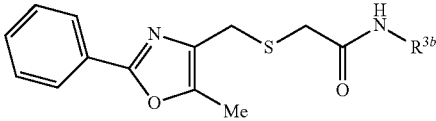
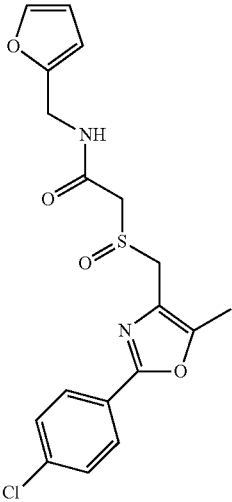
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1320		403.89
IIa-1321		392.86

TABLE 5-continued

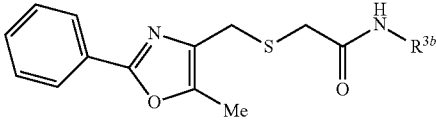
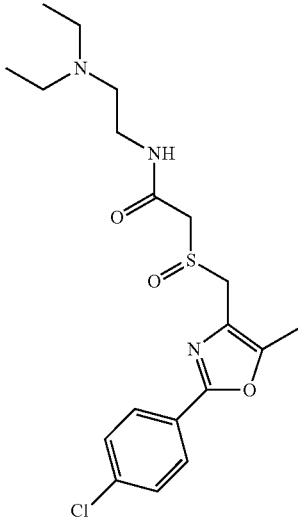
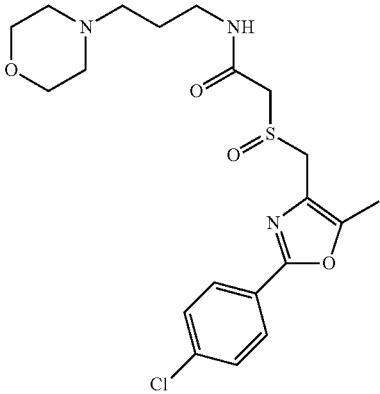
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1322		354.86
IIa-1323		411.95
IIa-1324		439.96

TABLE 5-continued

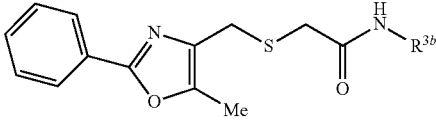
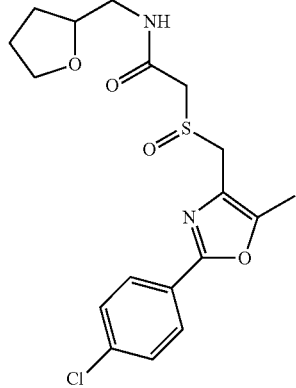
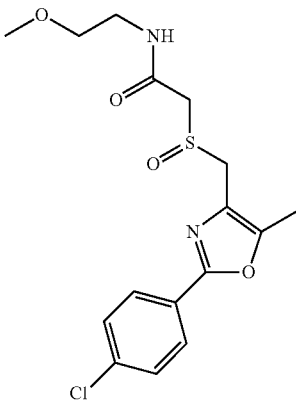
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1325		396.90
IIa-1326		370.86
IIa-1327		408.93

TABLE 5-continued

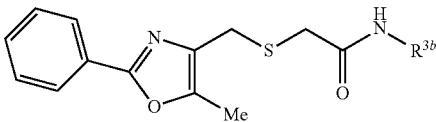
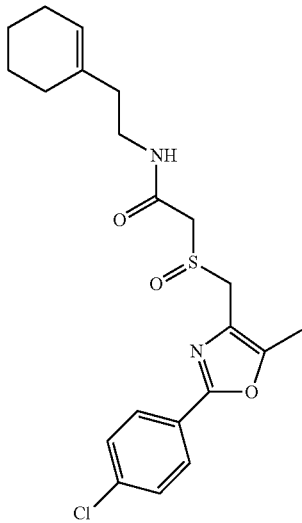
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1328		398.91
IIa-1329		420.96

TABLE 5-continued

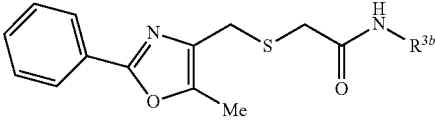
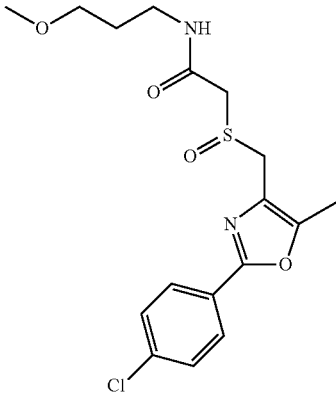
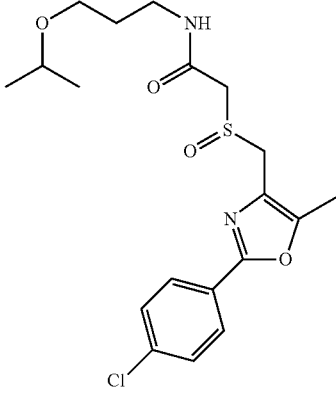
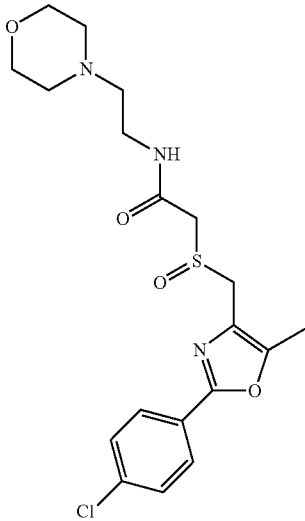
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1330		
IIa-1330		384.88
IIa-1331		412.94
IIa-1332		425.94

TABLE 5-continued

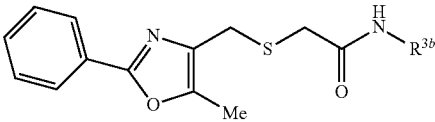
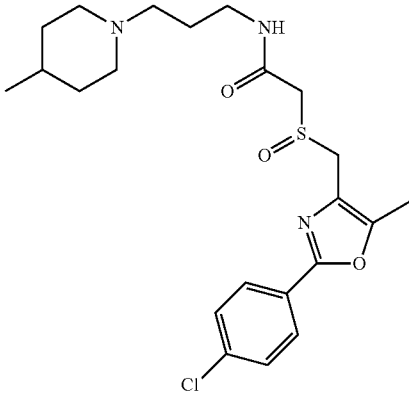
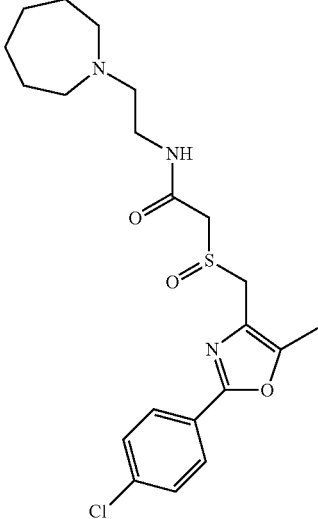
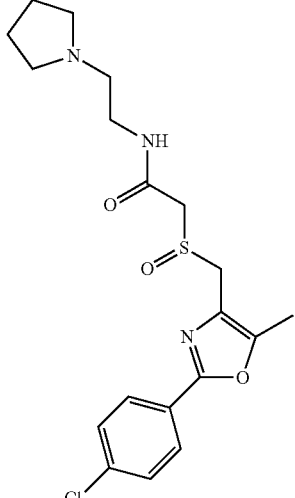
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1333		452.02
IIa-1334		437.99
IIa-1335		409.94

TABLE 5-continued

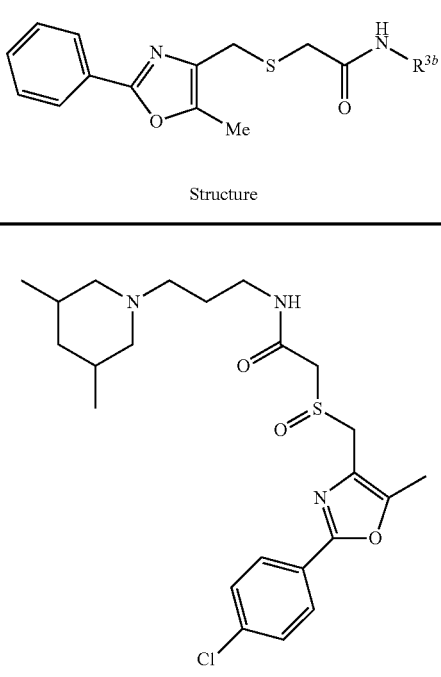
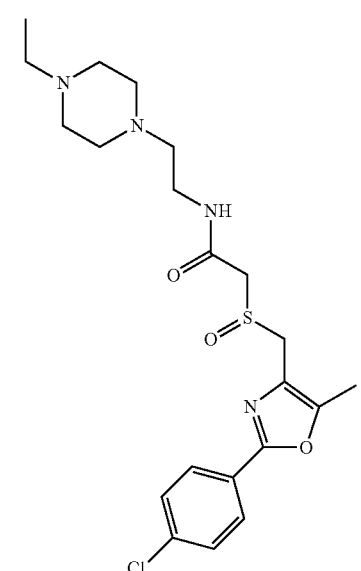
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1336		466.05
IIa-1337		453.01

TABLE 5-continued

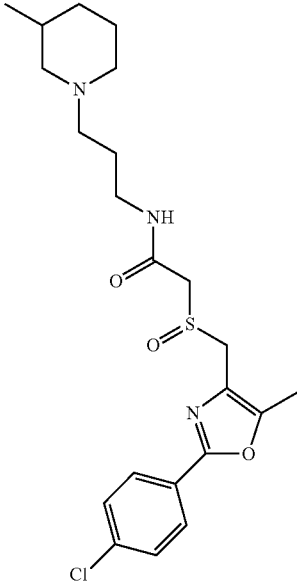
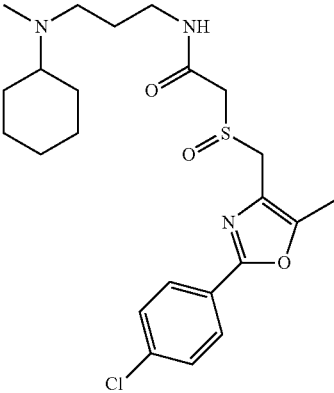
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1338		452.02
IIa-1339		466.05

TABLE 5-continued

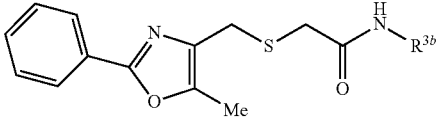
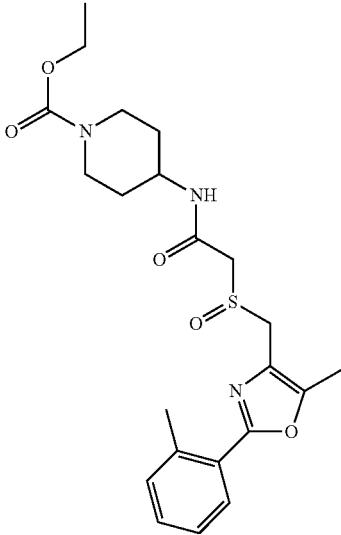
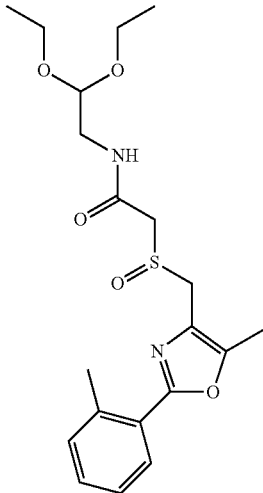
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1340		
IIa-1340		447.56
IIa-1341		408.52

TABLE 5-continued

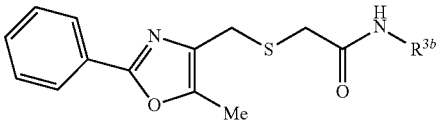
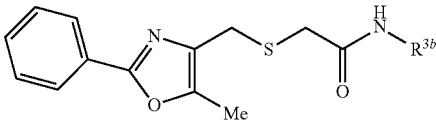
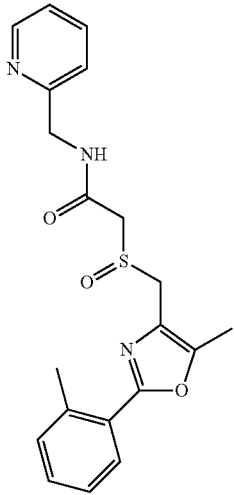
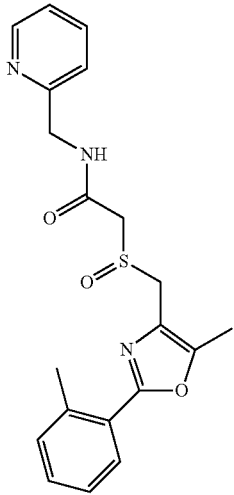
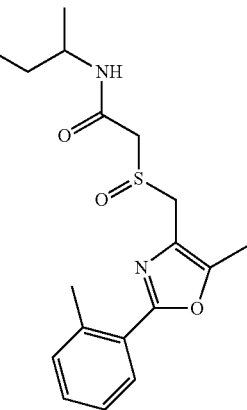
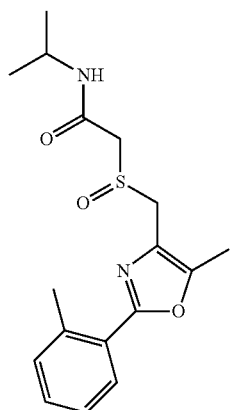
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1342		
IIa-1342		383.47
IIa-1343		348.47
IIa-1343		348.47
IIa-1344		334.44
IIa-1344		334.44

TABLE 5-continued

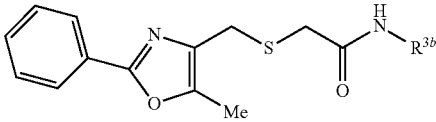
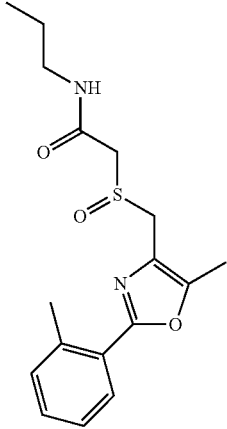
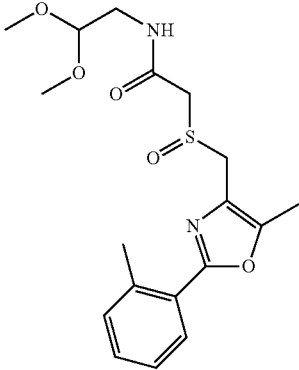
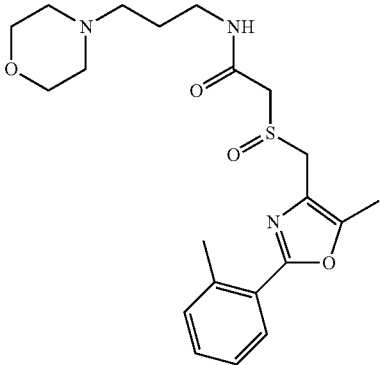
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1345		
IIa-1345		334.44
IIa-1346		380.47
IIa-1347		419.55

TABLE 5-continued

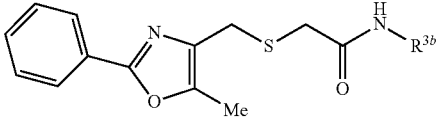
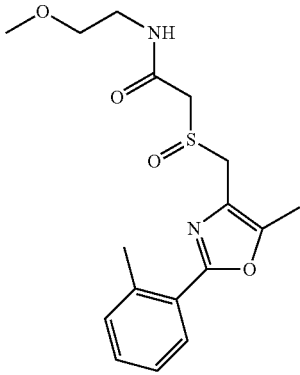
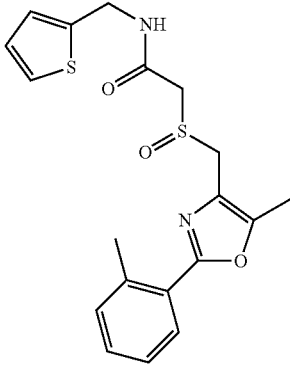
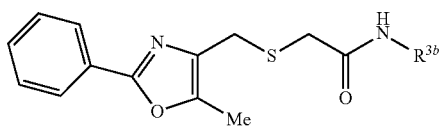
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1348		362.49
IIa-1349		350.44
IIa-1350		388.51

TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)

ID	Structure	MW
IIa-1351	<p>Chemical structure of IIa-1351: A 2-methyl-5-((2-oxo-3-((4-ethoxybutyl)amino)ethyl)sulfinyl)-1,3-oxazole ring substituted with a 2-methylphenyl group.</p>	378.49
IIa-1352	<p>Chemical structure of IIa-1352: A 2-methyl-5-((2-oxo-3-((4-cyclohexylbutyl)amino)ethyl)sulfinyl)-1,3-oxazole ring substituted with a 2-methylphenyl group.</p>	400.54

TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1353		424.57
IIa-1354		364.47
IIa-1355		405.52

TABLE 5-continued

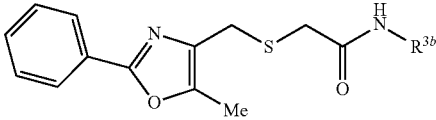
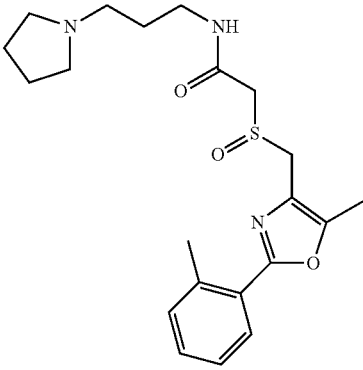
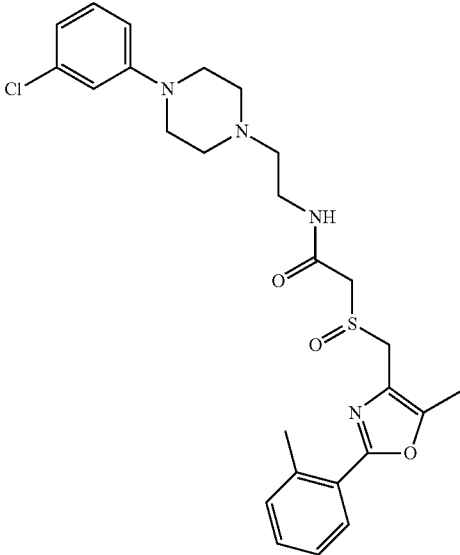
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1356		348.47
IIa-1357		403.55
IIa-1358		515.08

TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1359		494.66
IIa-1360		439.58
IIa-1361		403.89

TABLE 5-continued

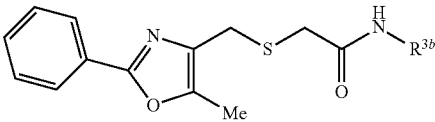
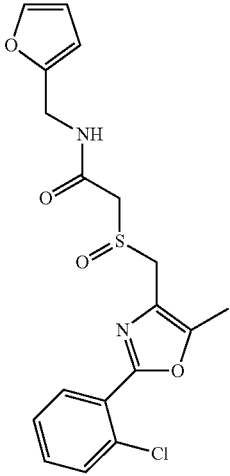
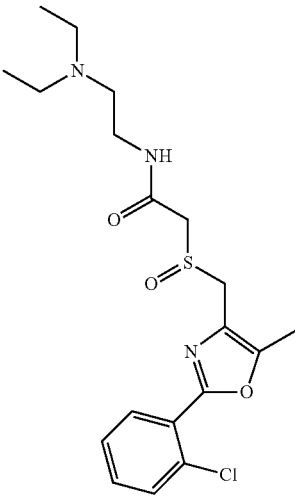
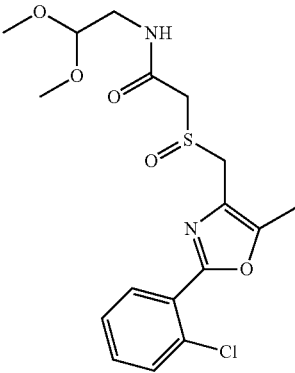
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1362		
IIa-1362		392.86
IIa-1363		411.95
IIa-1364		400.88

TABLE 5-continued

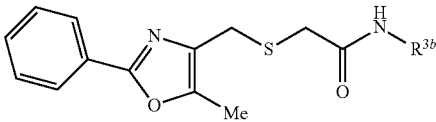
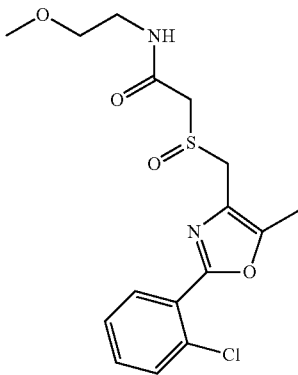
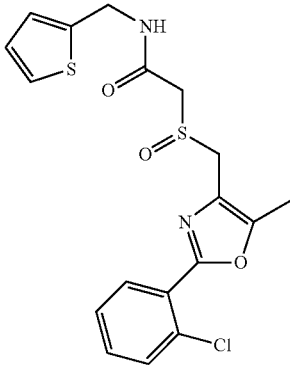
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1365		382.91
IIa-1366		370.86
IIa-1367		408.93

TABLE 5-continued

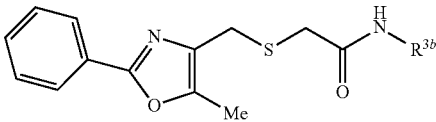
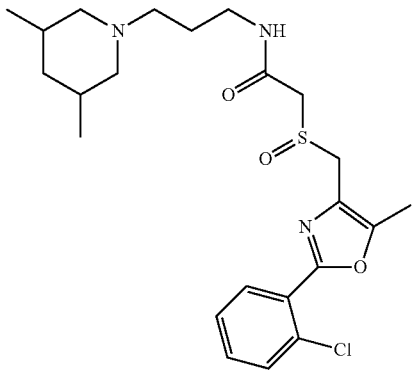
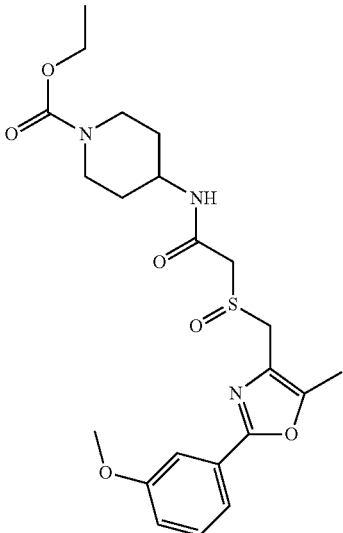
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1368		368.89
IIa-1369		466.05
IIa-1370		463.56

TABLE 5-continued

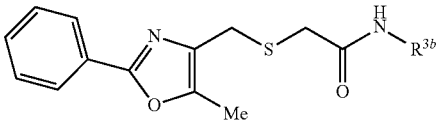
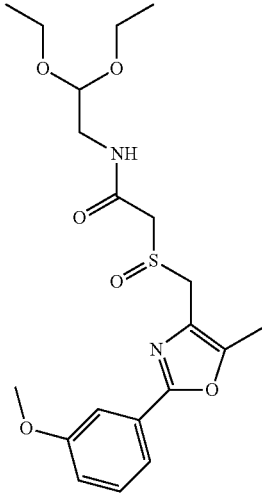
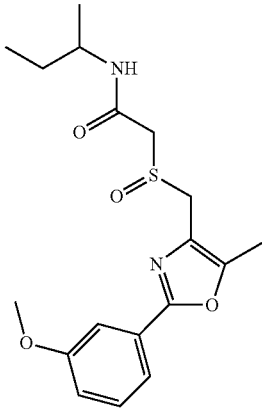
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1371		424.52
IIa-1372		364.47
IIa-1373		350.44

TABLE 5-continued

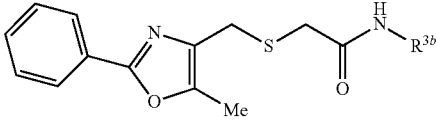
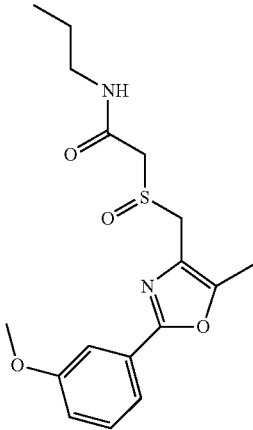
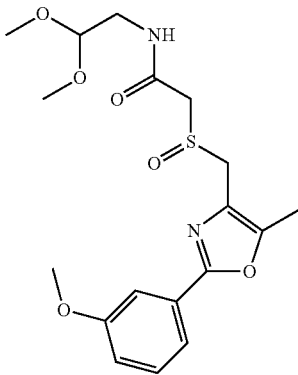
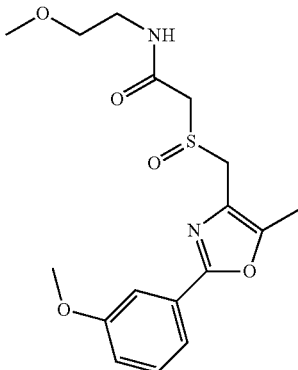
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1374		
IIa-1374		350.44
IIa-1375		396.47
IIa-1376		366.44

TABLE 5-continued

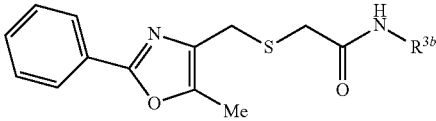
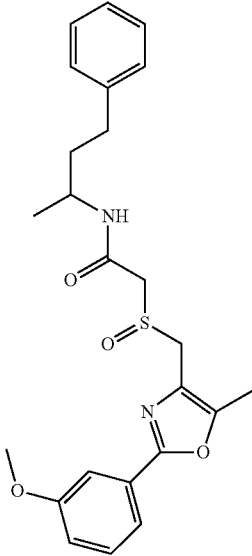
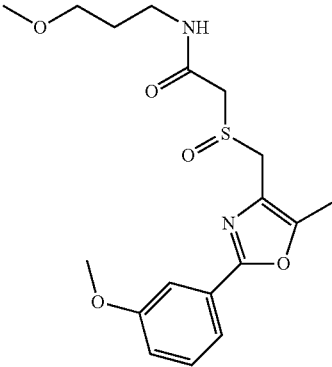
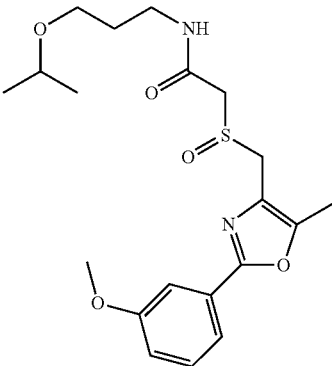
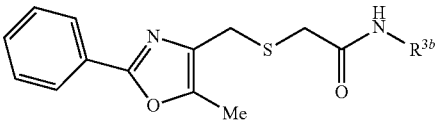
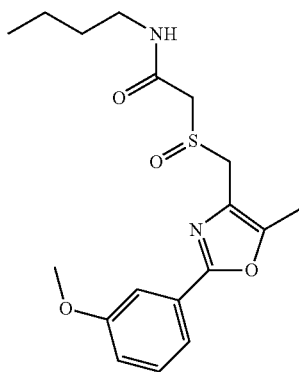
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1377		
IIa-1377		440.57
IIa-1378		380.47
IIa-1379		408.52

TABLE 5-continued

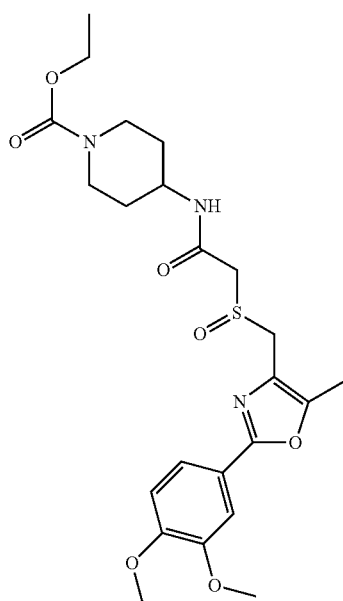
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1380



364.47

IIa-1381



493.58

TABLE 5-continued

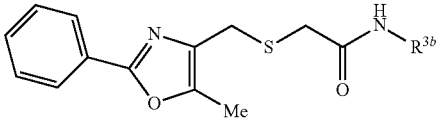
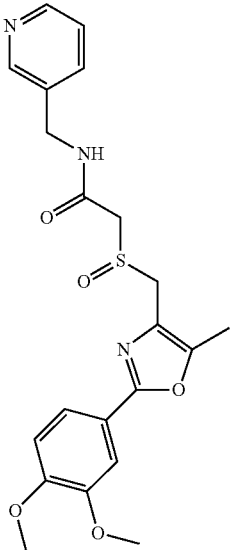
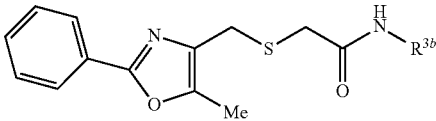
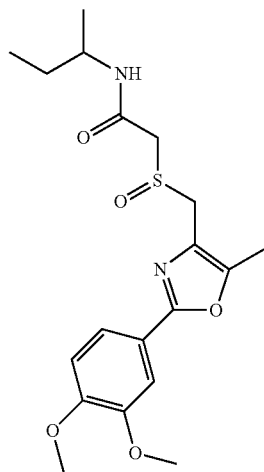
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1382		454.55
IIa-1383		429.50

TABLE 5-continued

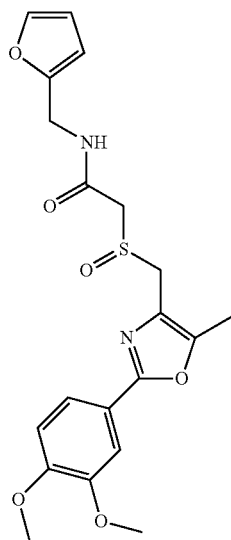
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1384



394.49

IIa-1385



418.47

TABLE 5-continued

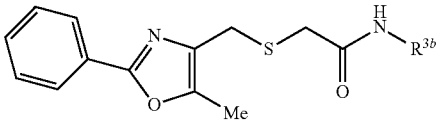
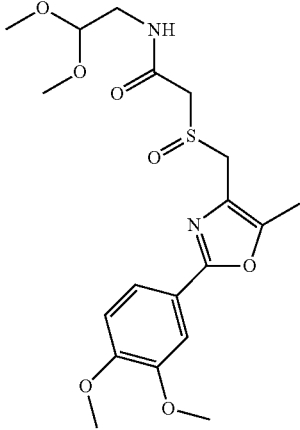
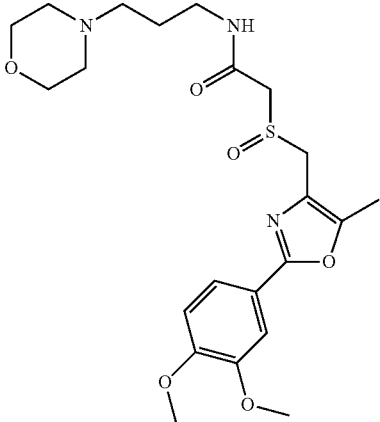
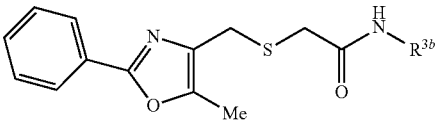
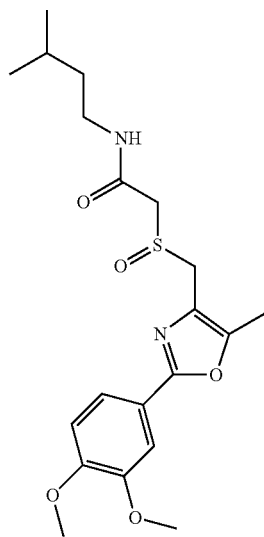
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1386		437.56
IIa-1387		426.49
IIa-1388		465.57

TABLE 5-continued

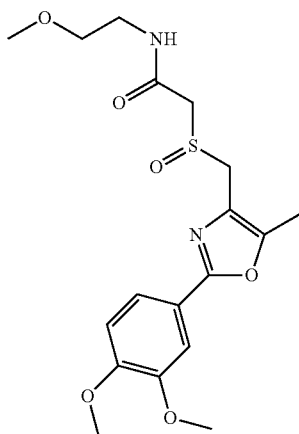
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1389



408.52

IIa-1390



396.47

TABLE 5-continued

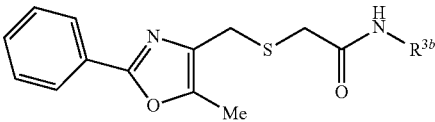
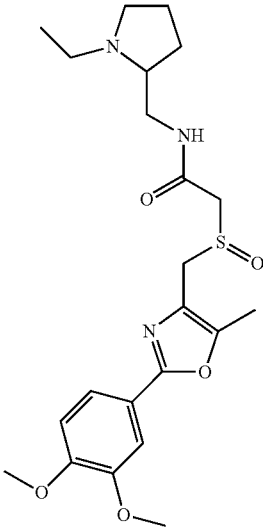
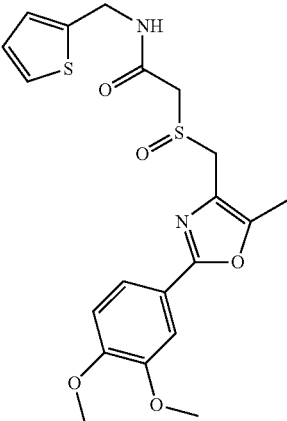
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1391		
IIa-1391		449.57
IIa-1392		434.54

TABLE 5-continued

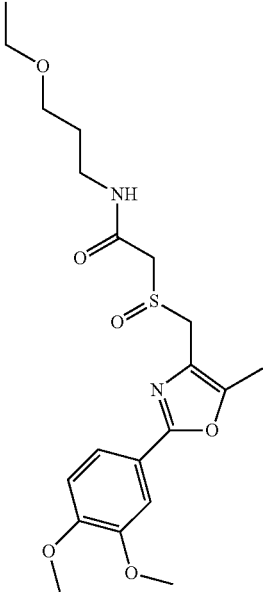
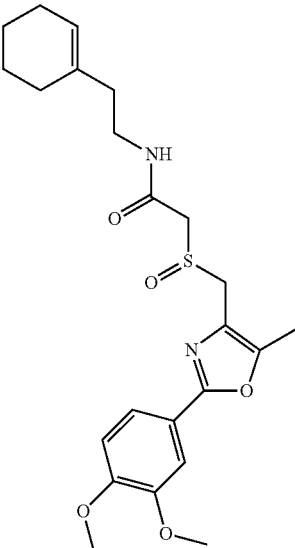
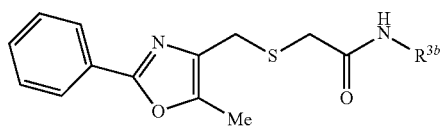
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1393		424.52
IIa-1394		446.57

TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)

ID	Structure	MW
IIa-1395	<p>Chemical structure of IIa-1395:</p> <chem>COc1cc(OC)ccc1-c2nc3c(oc23)CSCC(=O)NC(C)CCc4ccccc4</chem>	470.59

IIa-1396	<p>Chemical structure of IIa-1396:</p> <chem>COc1cc(OC)ccc1-c2nc3c(oc23)CSCC(=O)NCCCCOC</chem>	410.49
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TABLE 5-continued

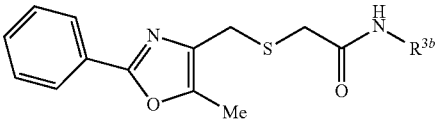
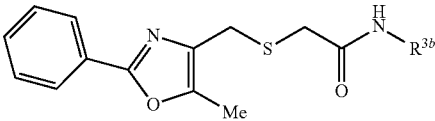
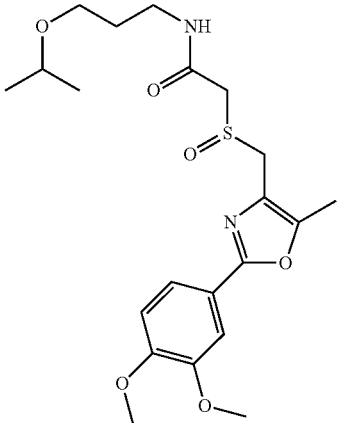
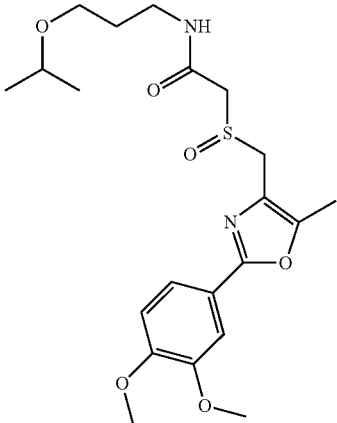
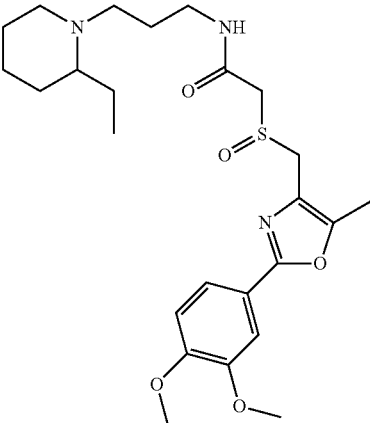
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1397		
IIa-1397		438.55
IIa-1398		394.49
IIa-1398		491.65
IIa-1399		

TABLE 5-continued

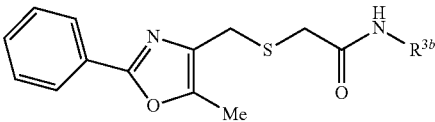
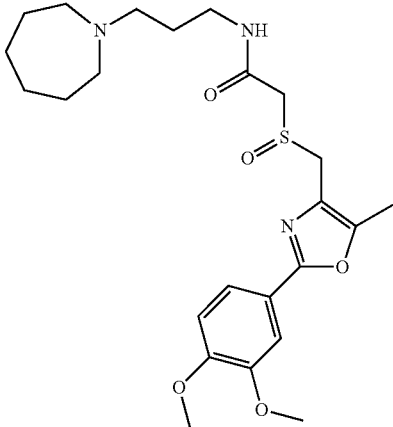
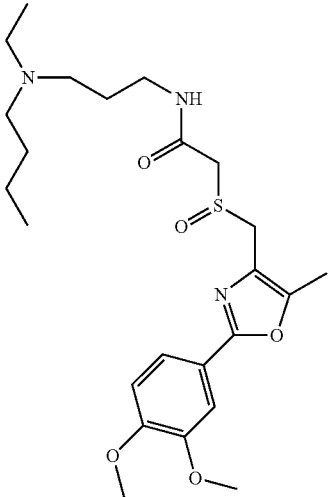
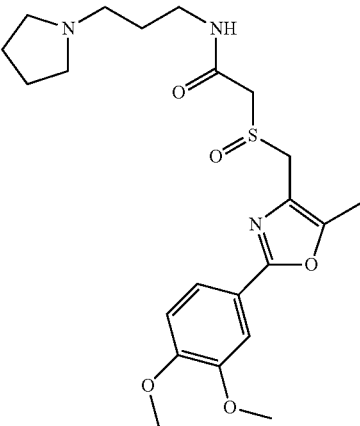
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1400		
IIa-1400		477.63
IIa-1401		479.64
IIa-1402		449.57

TABLE 5-continued

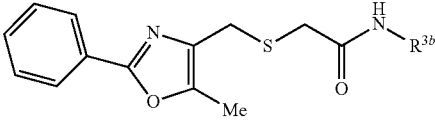
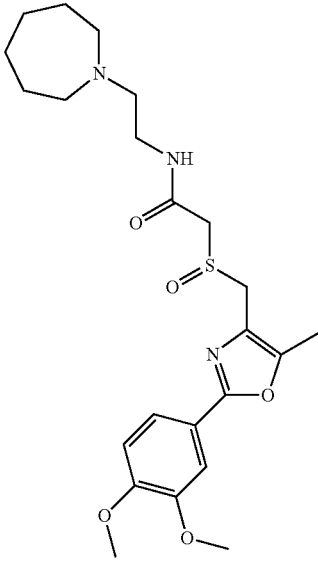
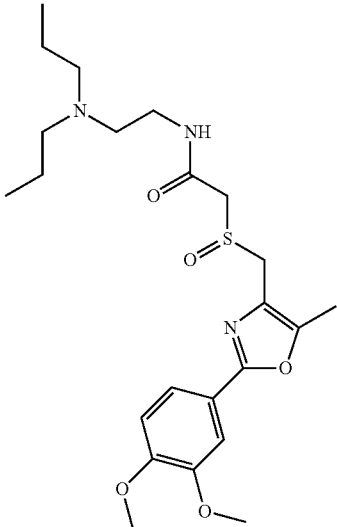
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1403		
IIa-1403		463.60
IIa-1404		465.62

TABLE 5-continued

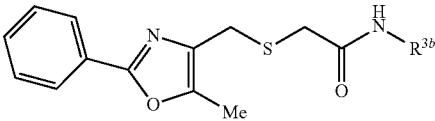
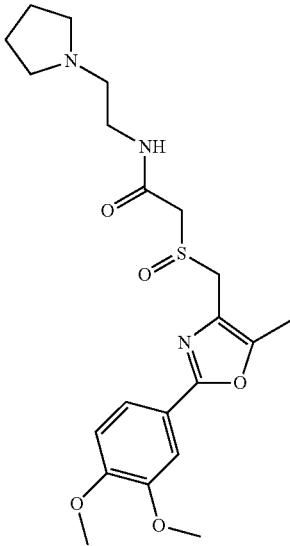
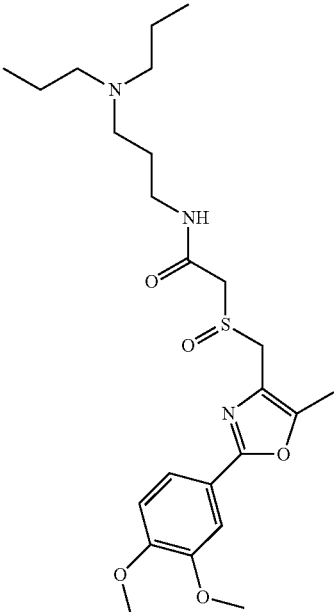
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1405		435.55
IIa-1406		479.64

TABLE 5-continued

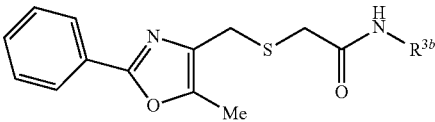
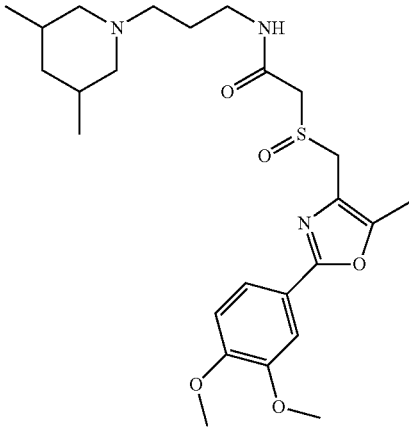
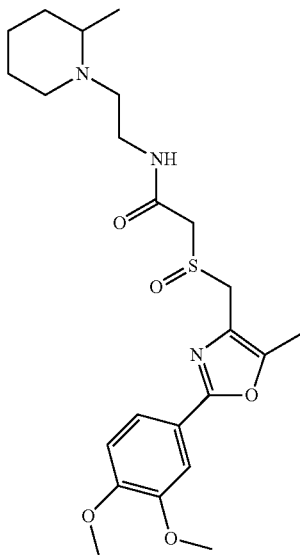
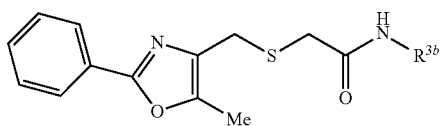
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
		
IIa-1407		491.65
IIa-1408		463.60

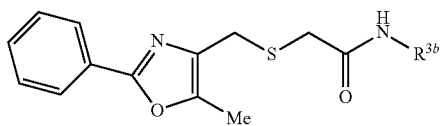
TABLE 5-continued

Oxazole amides (R³ = NH-misc)

ID	Structure	MW
IIa-1409		478.62

IIa-1410		465.62
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TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)

ID	Structure	MW
IIa-1411		477.63
IIa-1412		553.73

TABLE 5-continued

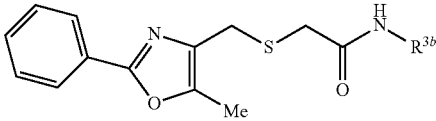
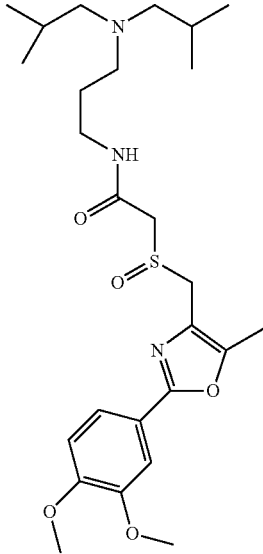
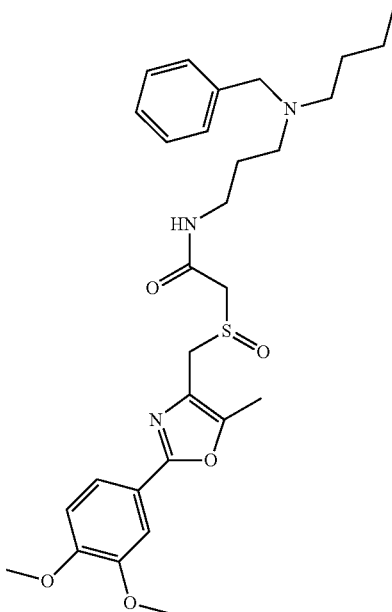
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1413		
IIa-1413		507.70
IIa-1414		541.72

TABLE 5-continued

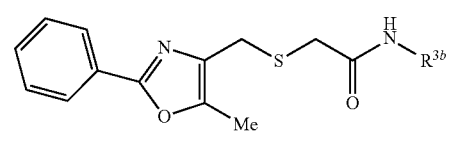
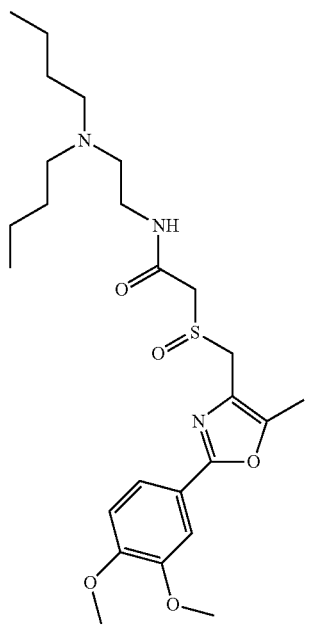
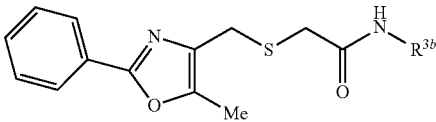
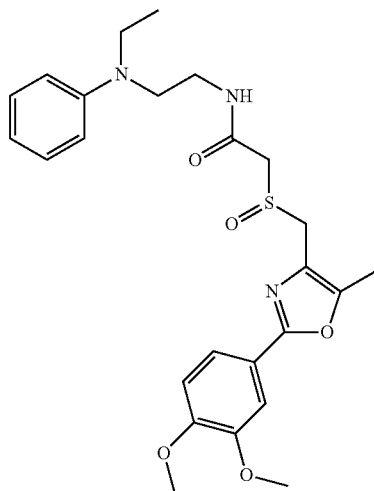
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1415		561.10
IIa-1416		493.67

TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1417

485.61



IIa-1418

468.64

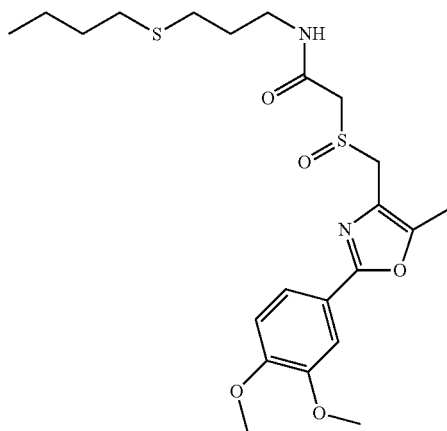


TABLE 5-continued

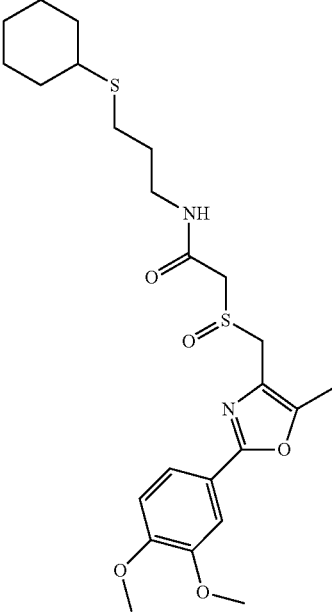
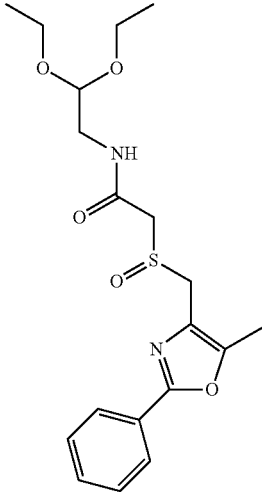
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1419		494.68
IIa-1420		394.49

TABLE 5-continued

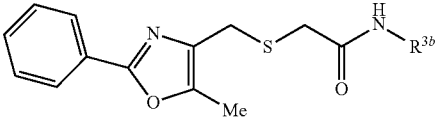
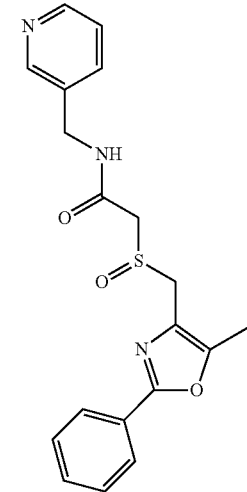
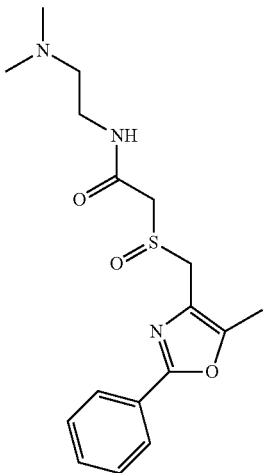
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1421		369.45
IIa-1422		334.44
IIa-1423		349.46

TABLE 5-continued

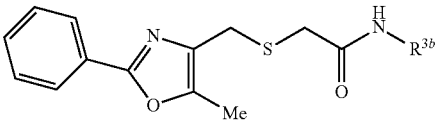
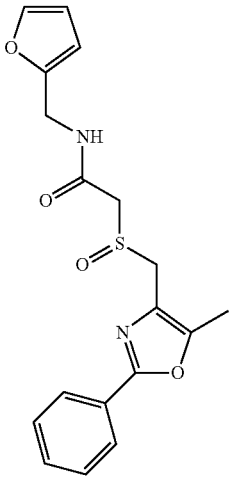
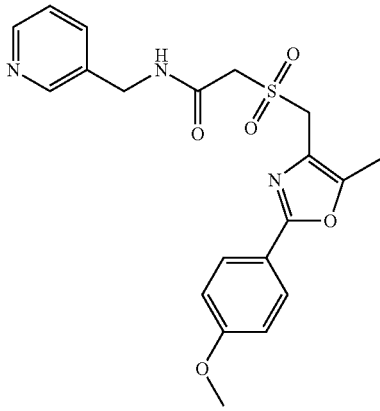
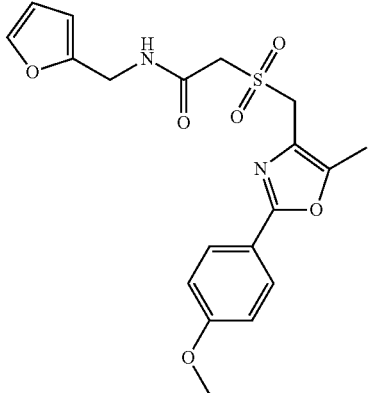
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1424		
IIa-1424		358.42
IIa-1425		415.47
IIa-1426		404.45

TABLE 5-continued

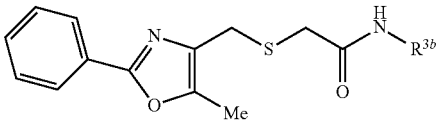
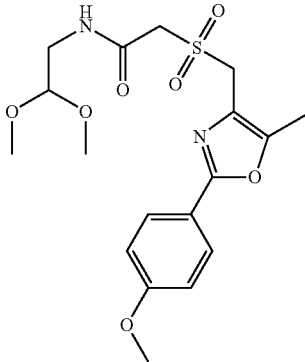
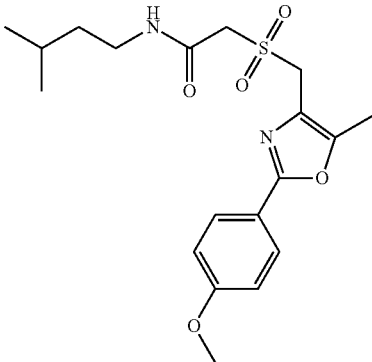
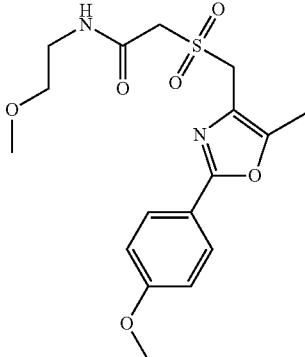
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1427		
IIa-1427		412.47
IIa-1428		394.49
IIa-1429		382.44

TABLE 5-continued

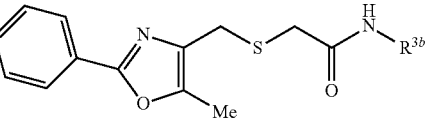
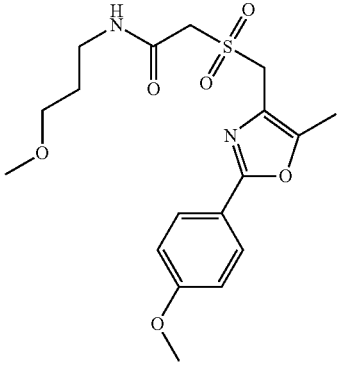
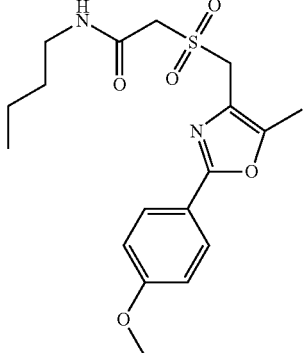
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1430	 <chem>CC1=CC=C(C=C1)CCNC(=O)CS(=O)(=O)CC2=C(C)OC(=N2)C3=CC=C(OC)C=C3</chem>	432.54
IIa-1431	 <chem>COCCCNCC(=O)CS(=O)(=O)CC2=C(C)OC(=N2)C3=CC=C(OC)C=C3</chem>	396.47
IIa-1432	 <chem>CCCCNC(=O)CS(=O)(=O)CC2=C(C)OC(=N2)C3=CC=C(OC)C=C3</chem>	380.47

TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1433	 <chem>CCOC(=O)N1CCN(CC1)CC(=O)CCS(=O)(=O)N</chem>	463.56
IIa-1434	 <chem>CC1=CC=C(C=C1)C2=C(C)OC(=N2)CC(=O)CCS(=O)(=O)NCC3=CC=CC=N3</chem>	399.47
IIa-1435	 <chem>CC1=CC=C(C=C1)C2=C(C)OC(=N2)CC(=O)CCS(=O)(=O)NCC3=CC=CC=N3</chem>	399.47

TABLE 5-continued

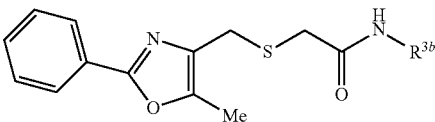
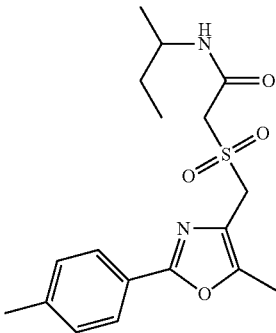
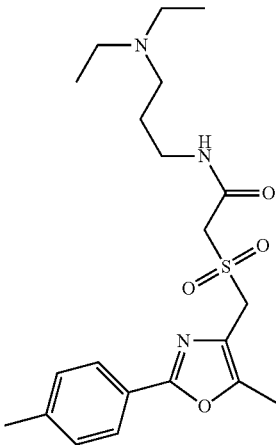
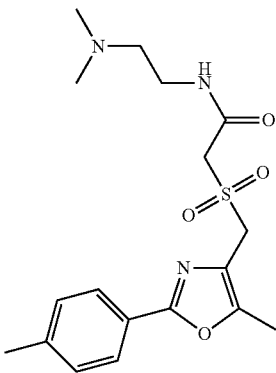
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1436		364.47
IIa-1437		421.56
IIa-1438		379.48

TABLE 5-continued

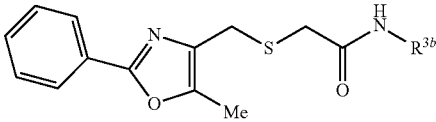
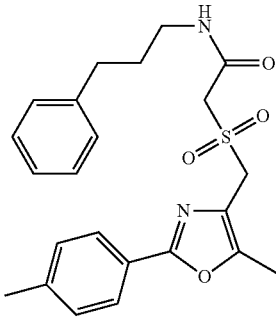
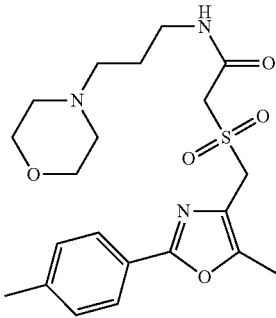
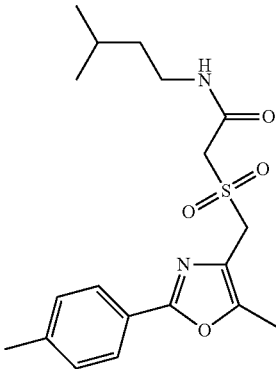
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1439		426.54
IIa-1440		350.44
IIa-1441		435.55
IIa-1442		378.49

TABLE 5-continued

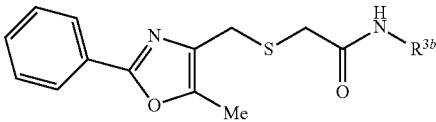
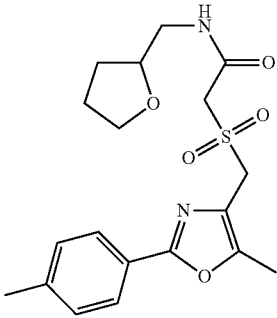
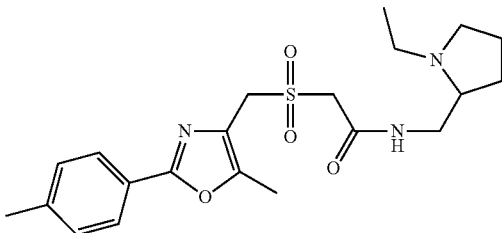
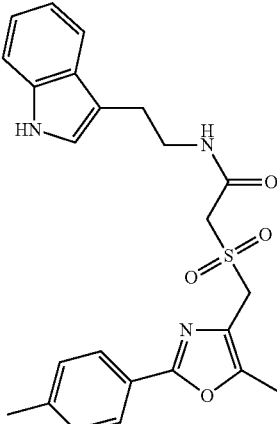
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1443		392.48
IIa-1444		366.44
IIa-1445		419.55
IIa-1446		451.55

TABLE 5-continued

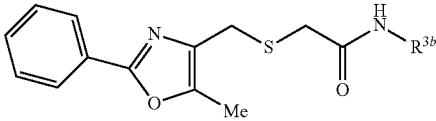
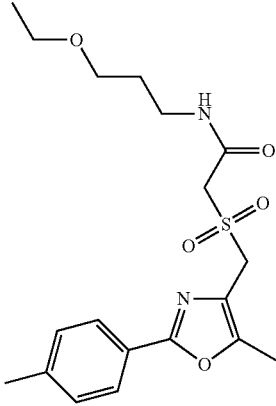
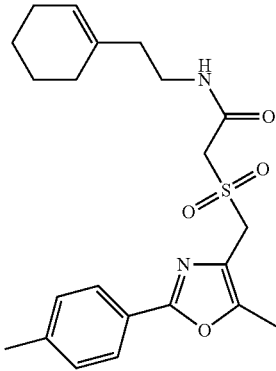
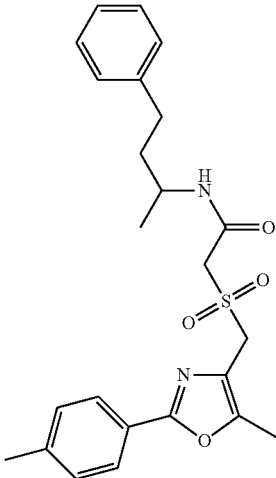
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1447		
IIa-1447		394.49
IIa-1448		416.54
IIa-1449		440.57

TABLE 5-continued

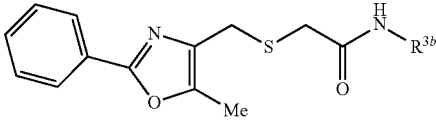
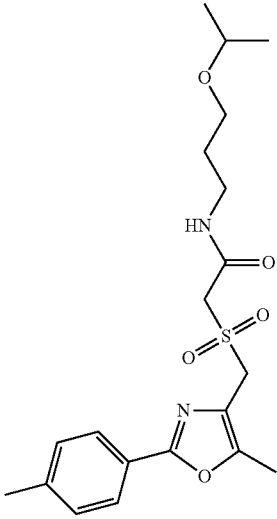
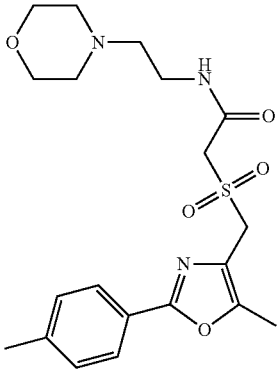
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1450		380.47
IIa-1451		408.52
IIa-1452		421.52

TABLE 5-continued

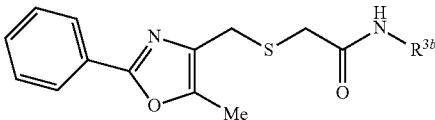
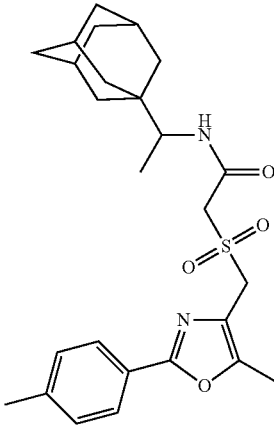
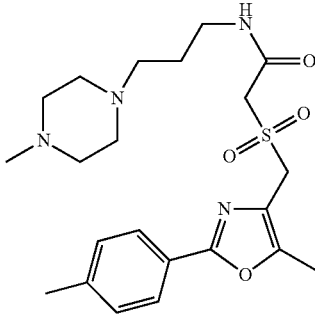
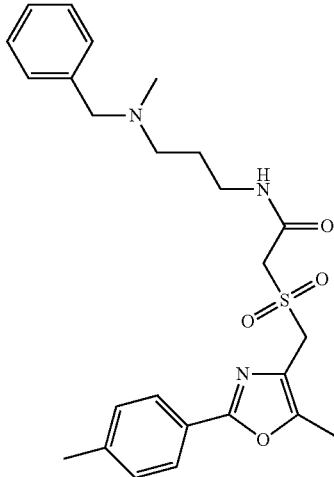
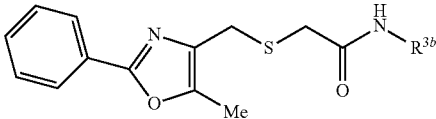
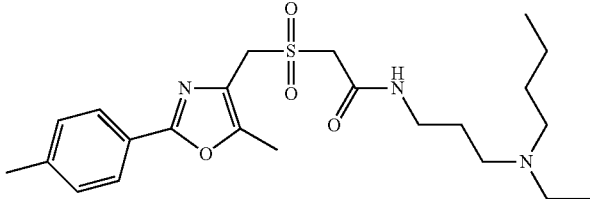
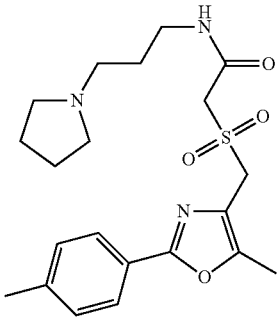
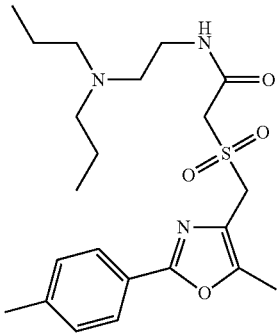
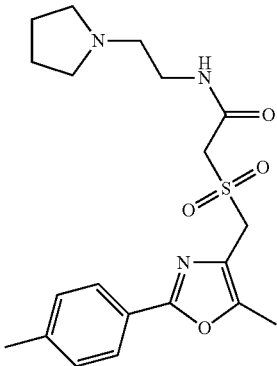
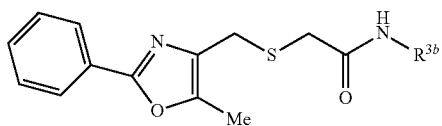
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1453		470.64
IIa-1454		448.59
IIa-1455		469.61

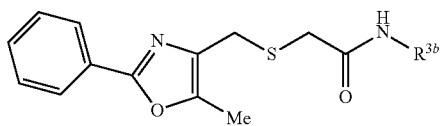
TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1456		
IIa-1456		449.62
IIa-1457		419.55
IIa-1458		435.59
IIa-1459		405.52

Oxazole amides ($R^3 = \text{NH-misc}$)

ID	Structure	MW
IIa-1460		461.63
IIa-1461		462.62
IIa-1462		433.57
IIa-1463		448.59

TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)

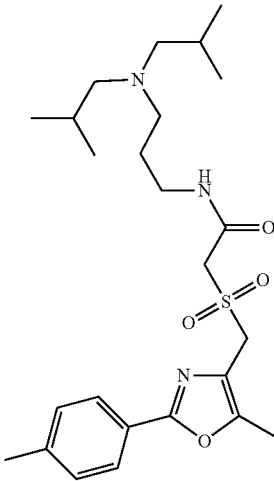
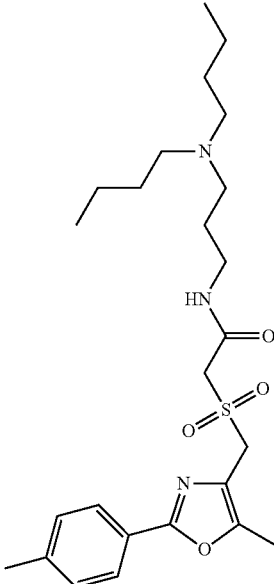
ID	Structure	MW
IIa-1464	 <p>Chemical structure of IIa-1464: A 4-methylphenyl group is attached to the 2-position of a 5-methylisoxazole ring. The 3-position of the isoxazole ring is connected via a methylene group to a sulfonylurea moiety, specifically a 2-((4-methylphenyl)-5-methylisoxazol-3-ylmethyl)sulfonylurea derivative. The urea nitrogen is further substituted with a 2,2,4,4-tetramethyl-1,3-dipropylpiperazine ring system.</p>	477.67
IIa-1465	 <p>Chemical structure of IIa-1465: A 4-methylphenyl group is attached to the 2-position of a 5-methylisoxazole ring. The 3-position of the isoxazole ring is connected via a methylene group to a sulfonylurea moiety, specifically a 2-((4-methylphenyl)-5-methylisoxazol-3-ylmethyl)sulfonylurea derivative. The urea nitrogen is further substituted with a 2,2,4,4-tetramethyl-1,3-dipropylpiperazine ring system.</p>	477.67

TABLE 5-continued

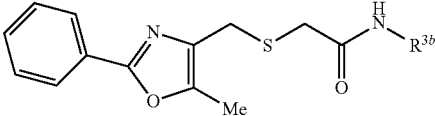
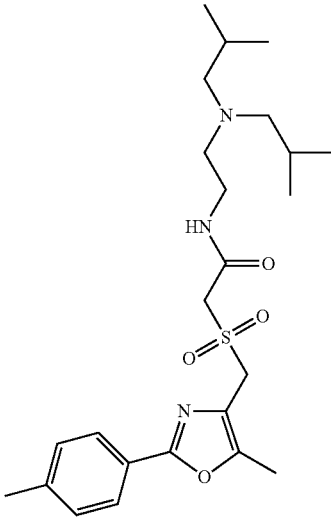
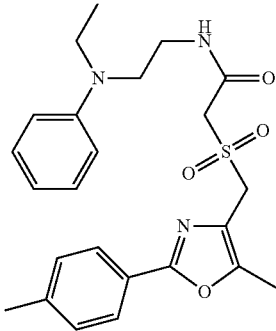
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1466		447.60
IIa-1467		463.64
IIa-1468		455.58

TABLE 5-continued

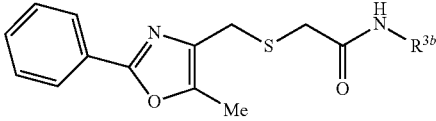
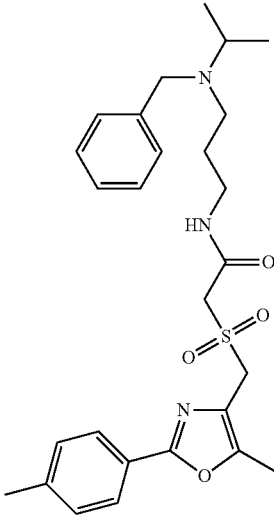
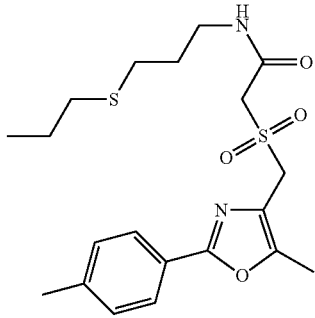
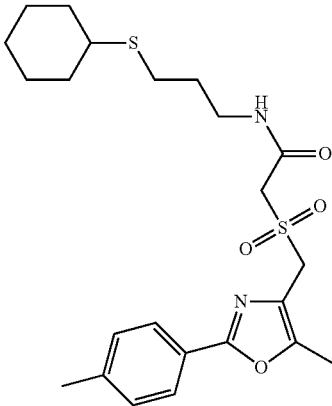
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1469		
IIa-1469		497.66
IIa-1470		424.59
IIa-1471		464.65

TABLE 5-continued

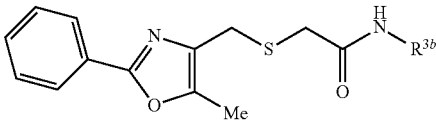
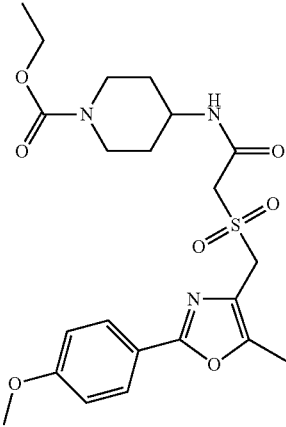
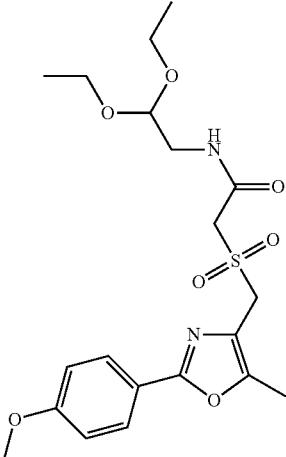
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1472		489.68
IIa-1473		479.56
IIa-1474		440.52

TABLE 5-continued

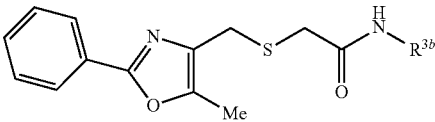
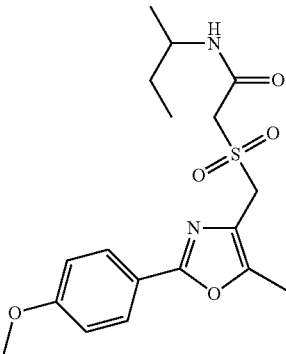
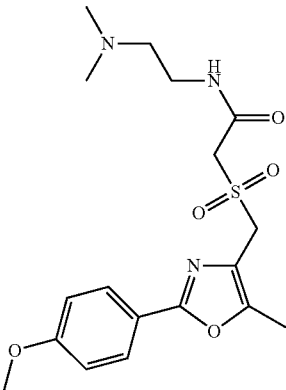
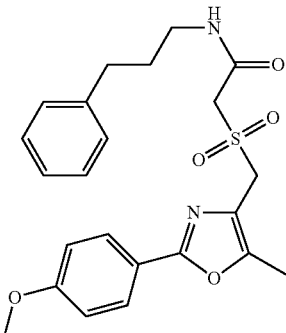
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1475		
IIa-1475		380.47
IIa-1476		395.48
IIa-1477		442.54

TABLE 5-continued

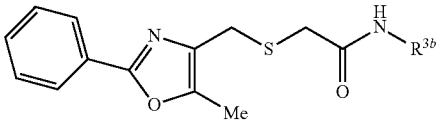
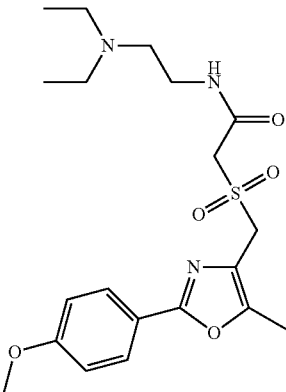
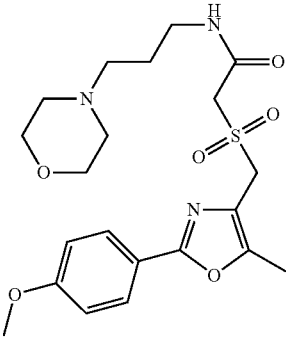
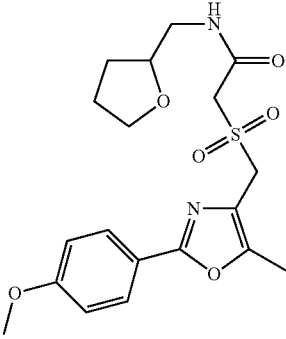
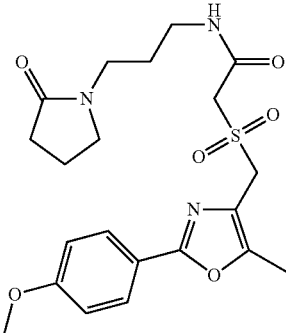
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1478		
IIa-1478		423.54
IIa-1479		451.55
IIa-1480		408.48
IIa-1481		449.53

TABLE 5-continued

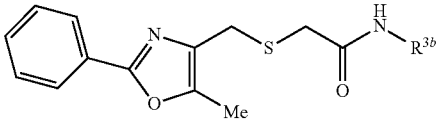
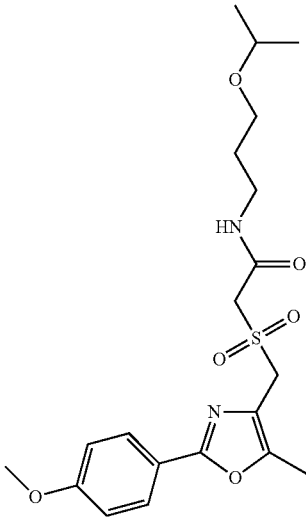
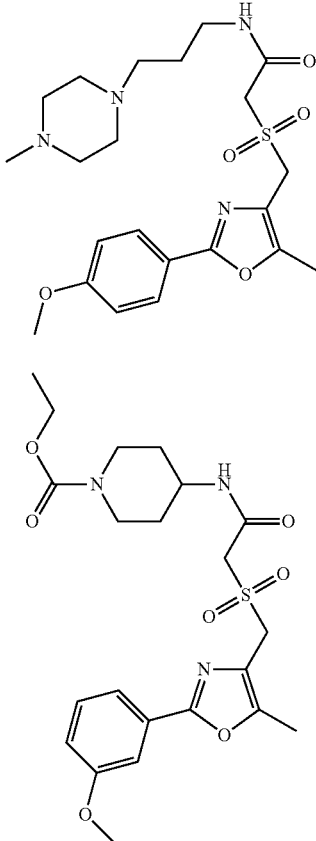
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1482		424.52
IIa-1483		464.59
IIa-1484		479.56

TABLE 5-continued

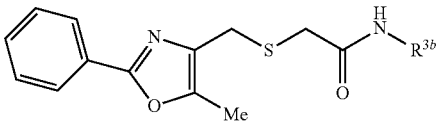
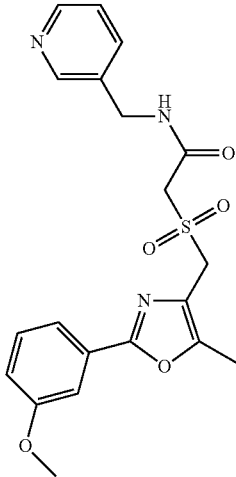
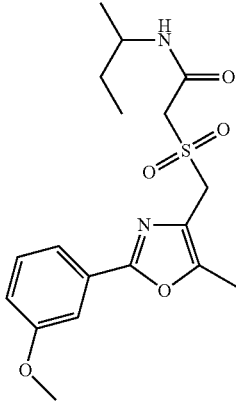
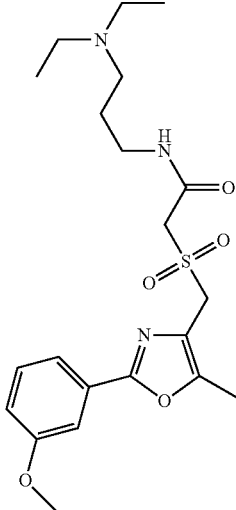
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1485		
IIa-1485		415.47
IIa-1486		380.47
IIa-1487		437.56

TABLE 5-continued

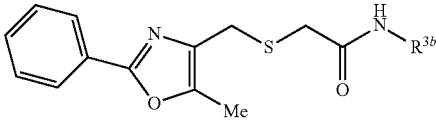
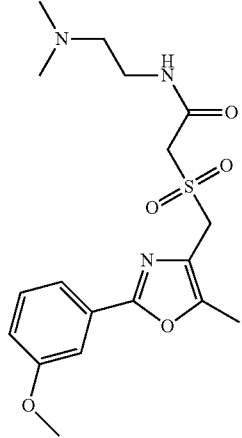
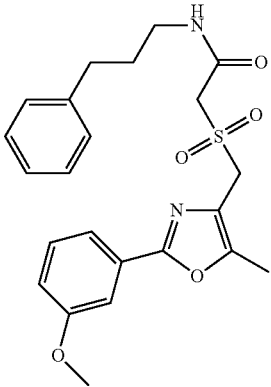
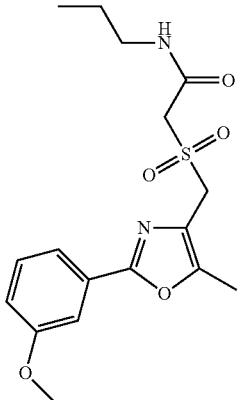
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1488		
IIa-1488		395.48
IIa-1489		442.54
IIa-1490		366.44

TABLE 5-continued

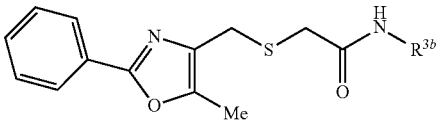
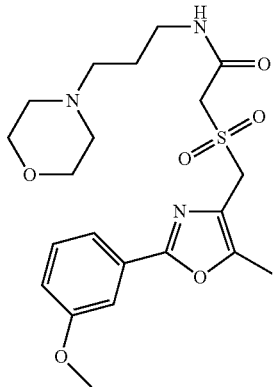
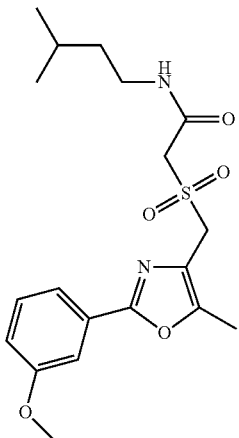
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1491		423.54
IIa-1492		451.55
IIa-1493		394.49

TABLE 5-continued

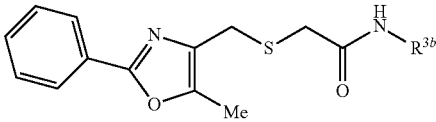
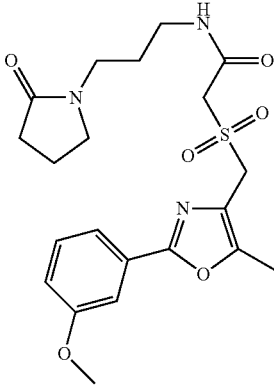
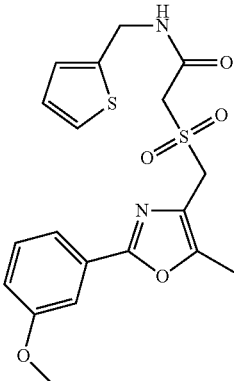
Oxazole amides ($R^3 = \text{NH-misc}$)		
ID	Structure	MW
IIa-1494		449.53
IIa-1495		382.44
IIa-1496		420.51

TABLE 5-continued

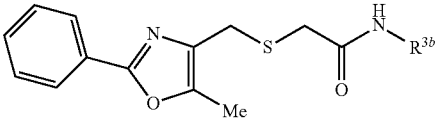
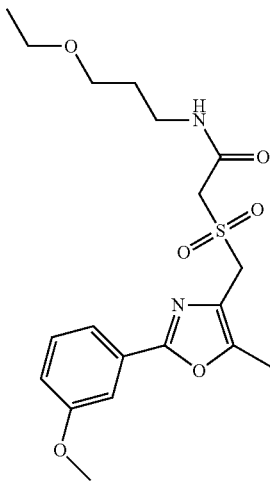
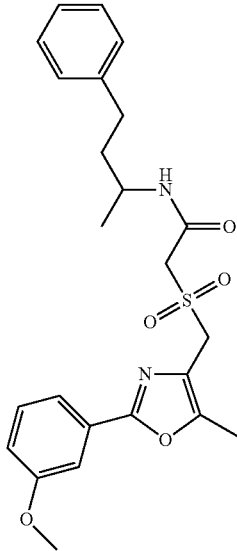
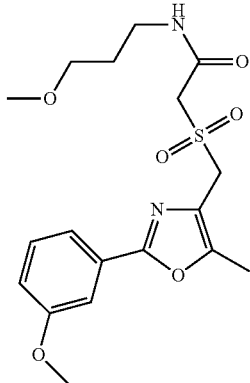
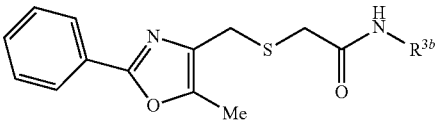
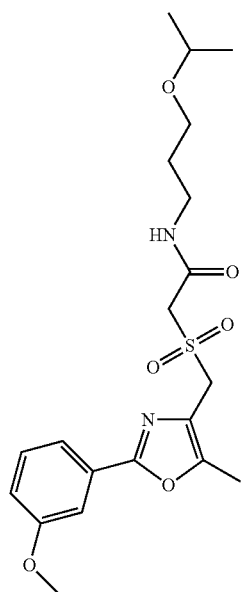
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1497		
IIa-1497		410.49
IIa-1498		456.57
IIa-1499		396.47

TABLE 5-continued

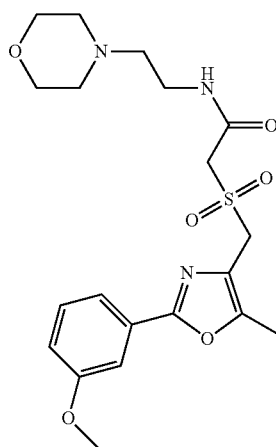
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1500



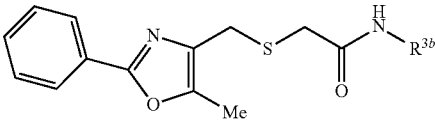
424.52

IIa-1501

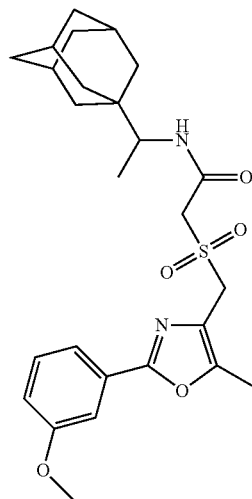


437.52

TABLE 5-continued

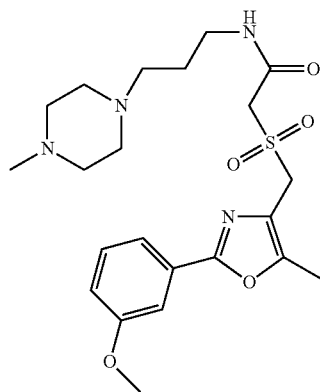
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW

IIa-1502



486.64

IIa-1503



464.59

TABLE 5-continued

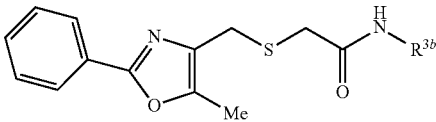
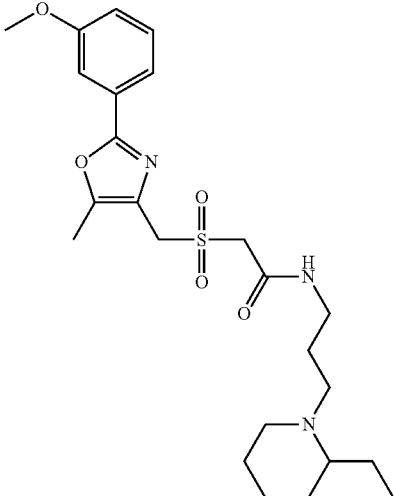
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1504		485.61
IIa-1505		477.63

TABLE 5-continued

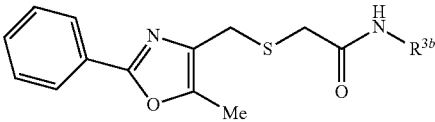
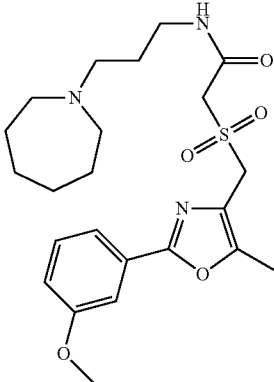
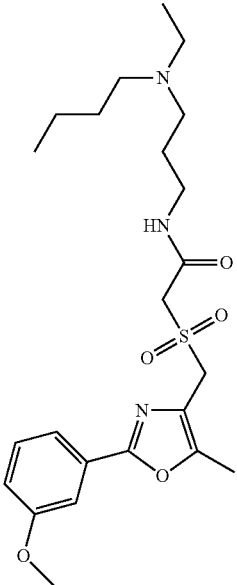
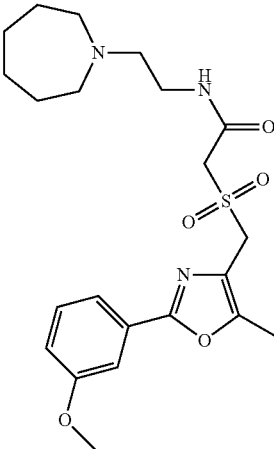
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1506		463.60
IIa-1507		465.62
IIa-1508		449.57

TABLE 5-continued

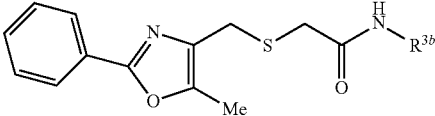
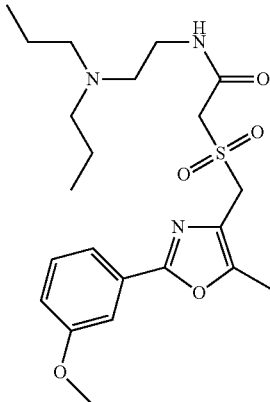
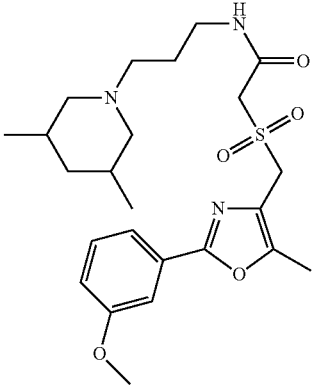
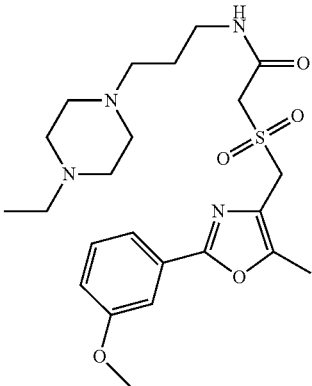
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
		
IIa-1509		451.59
IIa-1510		477.63
IIa-1511		478.62

TABLE 5-continued

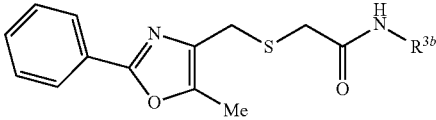
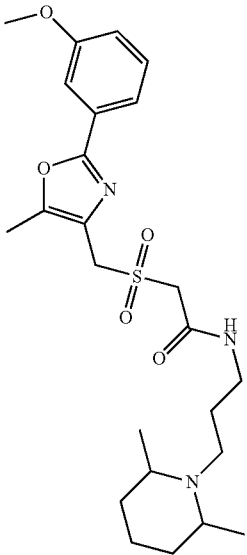
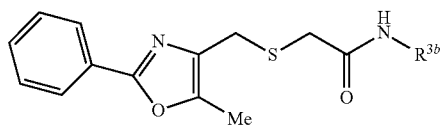
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1512		493.67
IIa-1513		477.63

TABLE 5-continued

Oxazole amides ($R^3 = \text{NH-misc}$)



ID	Structure	MW
IIa-1514	<p>Chemical structure of compound IIa-1514. The structure shows a 4-methoxyphenyl group connected to a 2-methyl-5-(4-((4-methoxyphenyl)methyl)-1,3,4-oxadiazol-5-yl)pentan-3-yl sulfonamide group.</p>	497.62

IIa-1515

479.64

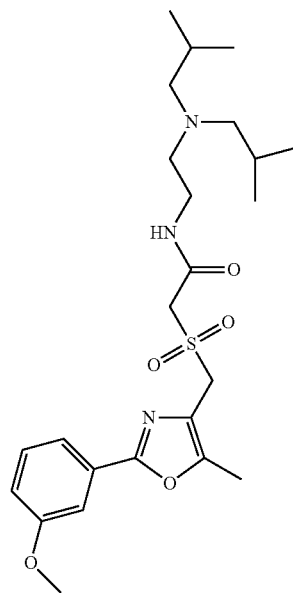


TABLE 5-continued

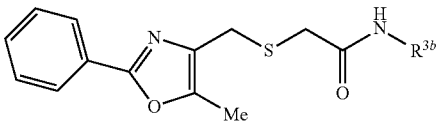
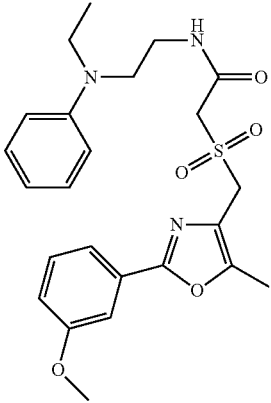
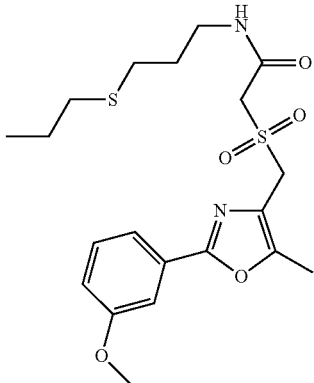
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1516		479.64
IIa-1517		471.58
IIa-1518		440.58

TABLE 5-continued

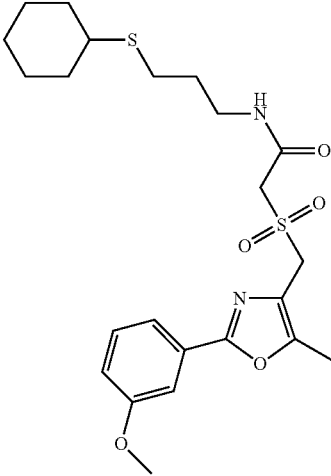
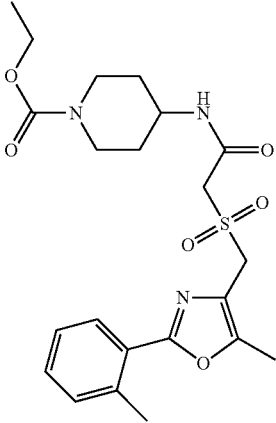
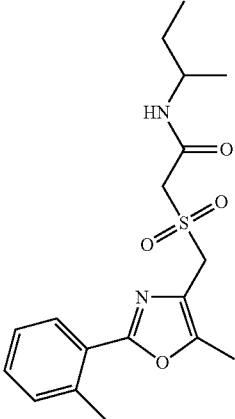
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1519		480.65
IIa-1520		463.56
IIa-1521		364.47

TABLE 5-continued

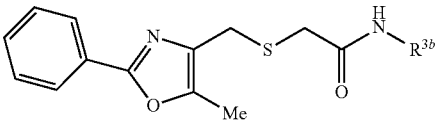
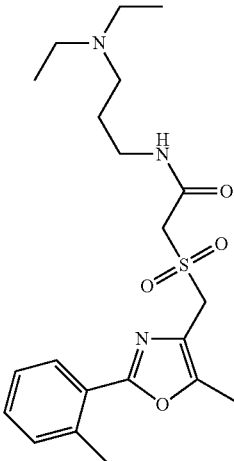
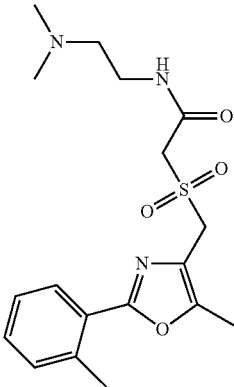
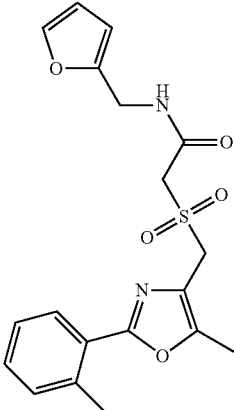
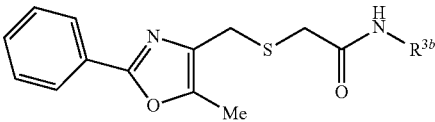
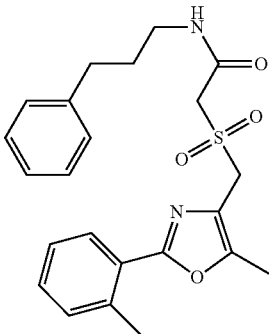
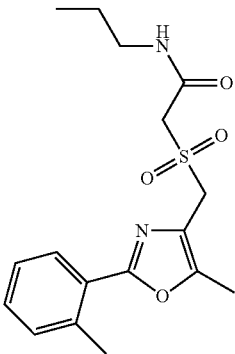
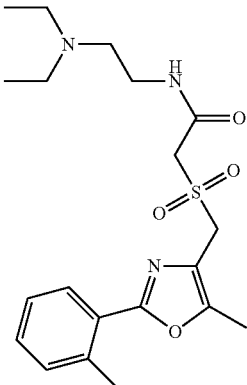
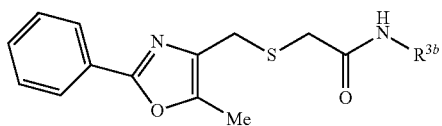
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
		
IIa-1522		421.56
IIa-1523		379.48
IIa-1524		388.45

TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1525		
IIa-1525		426.54
IIa-1526		350.44
IIa-1527		407.54

Oxazole amides ($R^3 = \text{NH-misc}$)

ID	Structure	MW
IIa-1528		435.55
IIa-1529		378.49
IIa-1530		392.48
IIa-1531		433.53

TABLE 5-continued

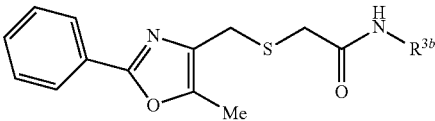
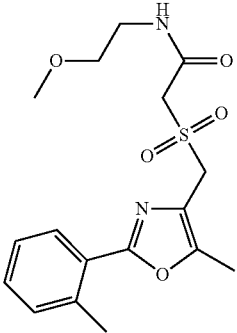
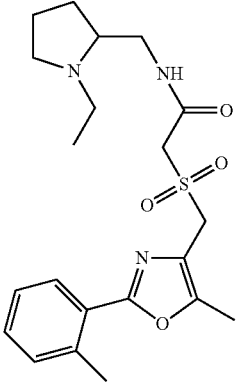
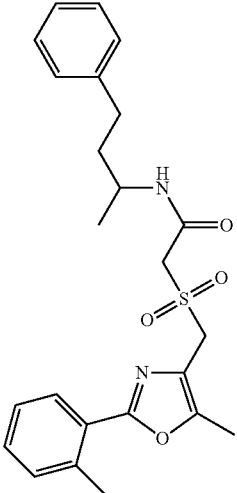
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
		
IIa-1532		366.44
IIa-1533		419.55
IIa-1534		440.57

TABLE 5-continued

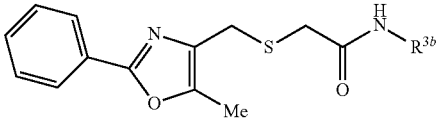
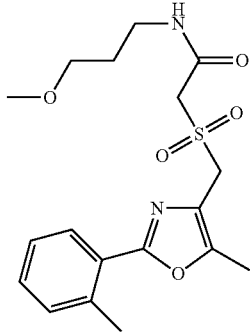
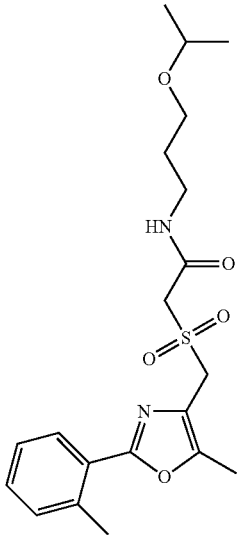
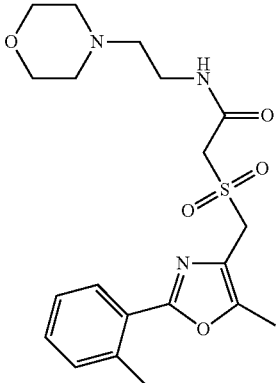
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1535		
IIa-1535		380.47
IIa-1536		408.52
IIa-1537		421.52

TABLE 5-continued

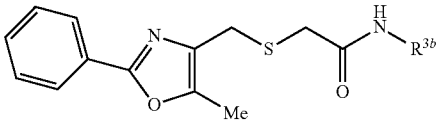
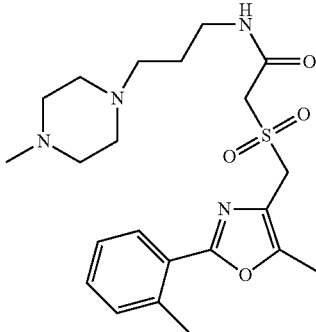
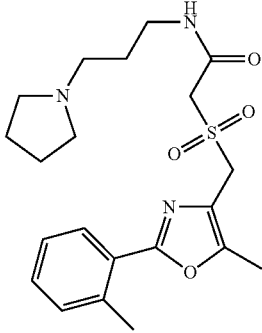
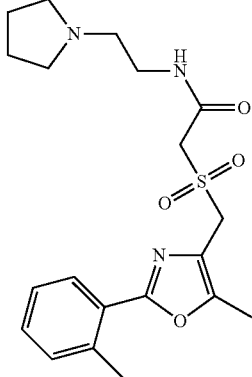
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1538		364.47
IIa-1539		448.59
IIa-1540		419.55
IIa-1541		405.52

TABLE 5-continued

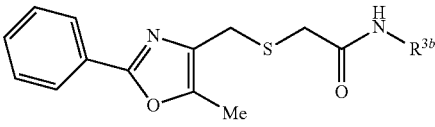
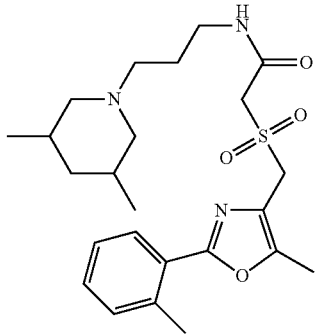
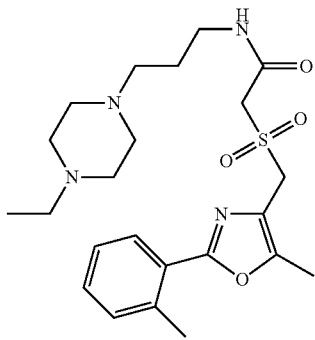
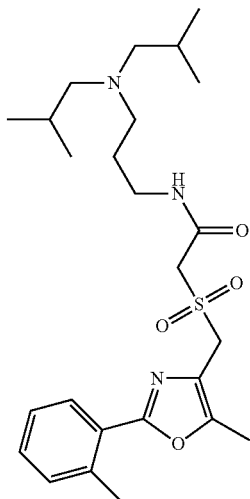
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1542		461.63
IIa-1543		462.62
IIa-1544		477.67

TABLE 5-continued

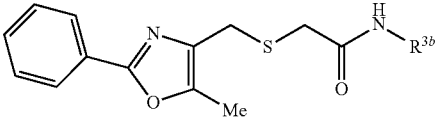
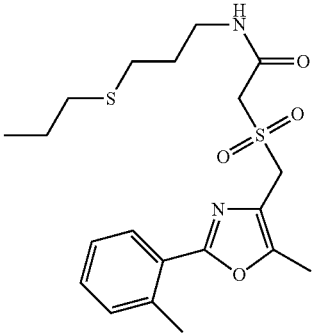
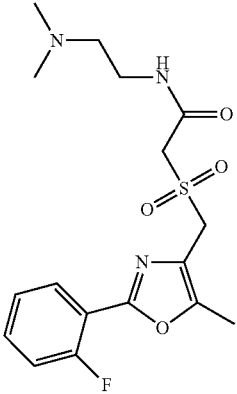
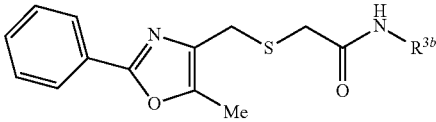
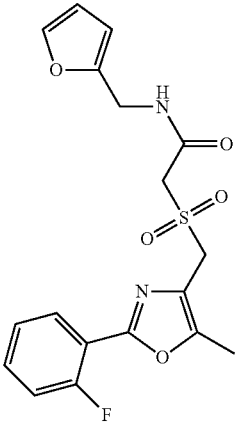
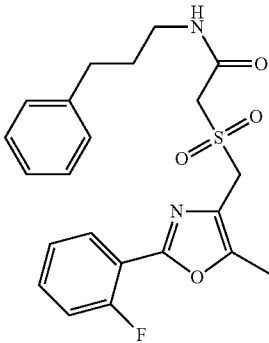
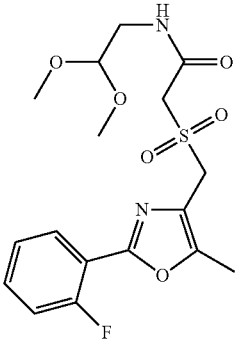
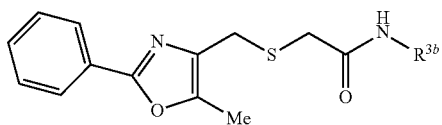
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1545		461.63
IIa-1546		424.59
IIa-1547		383.44

TABLE 5-continued

Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1548		
IIa-1548		392.41
IIa-1549		430.50
IIa-1550		400.43

Oxazole amides (R³ = NH-misc)

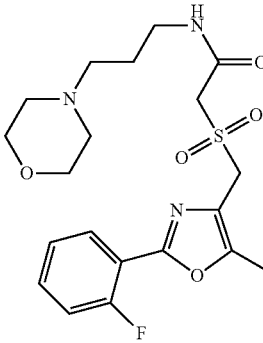
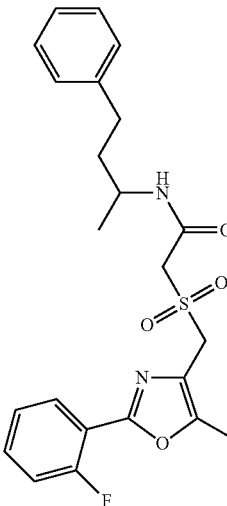
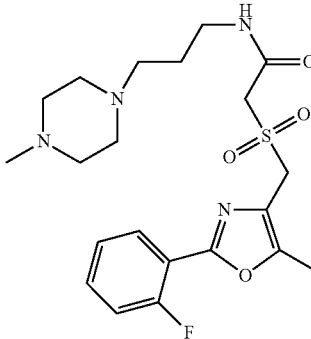
ID	Structure	MW
IIa-1551		439.51
IIa-1552		444.53
IIa-1553		452.55

TABLE 5-continued

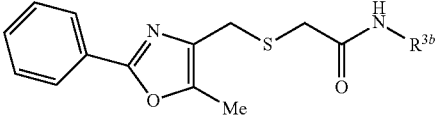
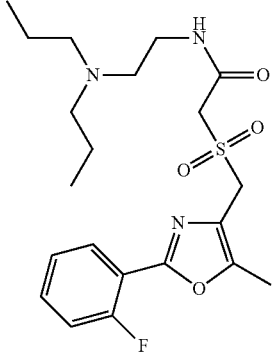
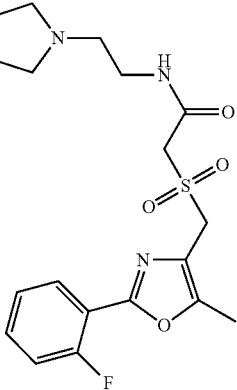
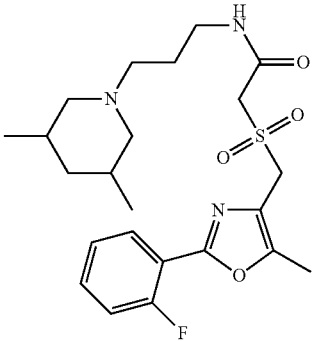
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1554		
IIa-1554		439.55
IIa-1555		409.48
IIa-1556		465.59

TABLE 5-continued

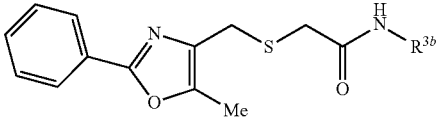
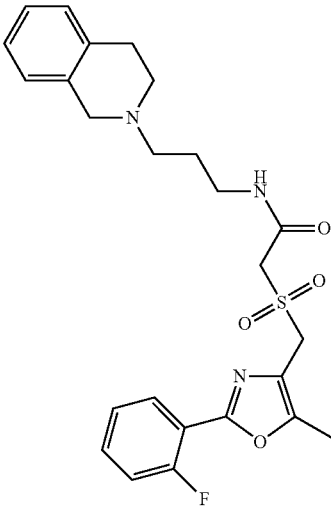
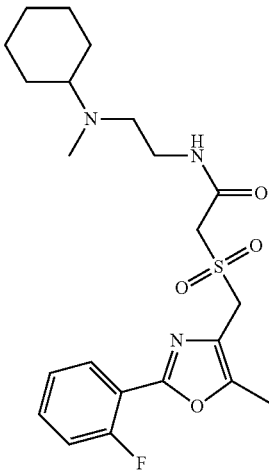
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1557		465.59
IIa-1558		485.58
IIa-1559		451.56

TABLE 5-continued

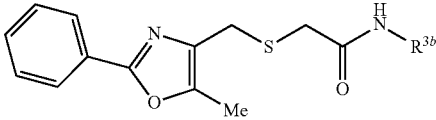
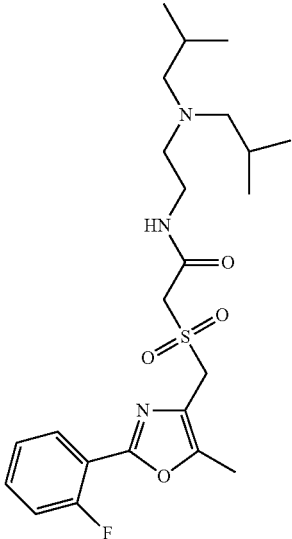
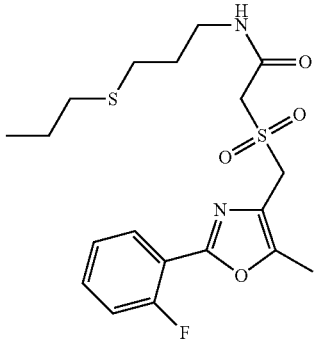
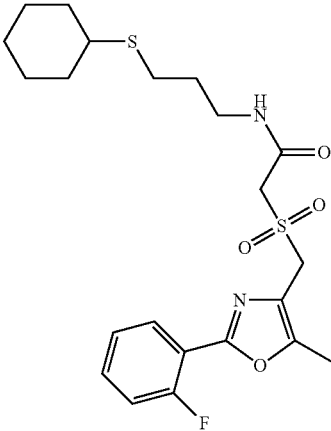
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1560		
IIa-1560		467.61
IIa-1561		428.55
IIa-1562		468.61

TABLE 5-continued

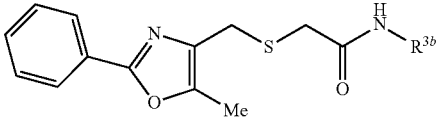
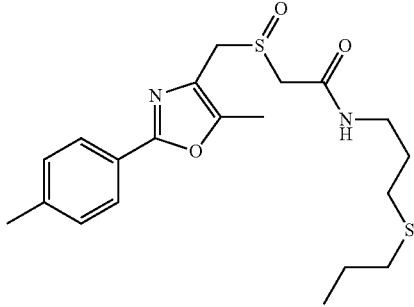
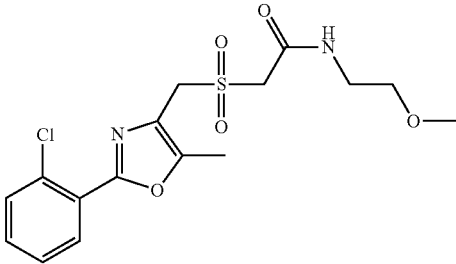
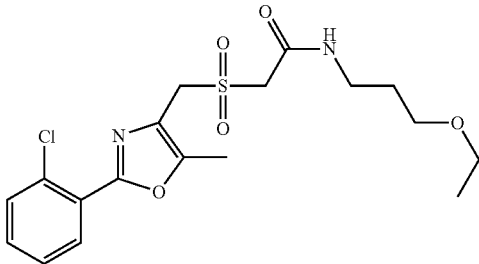
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1563		408.59
IIa-1564		485.07
IIa-1565		386.86
IIa-1566		414.91

TABLE 5-continued

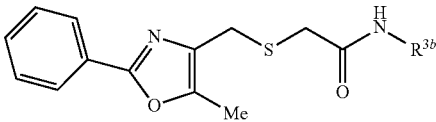
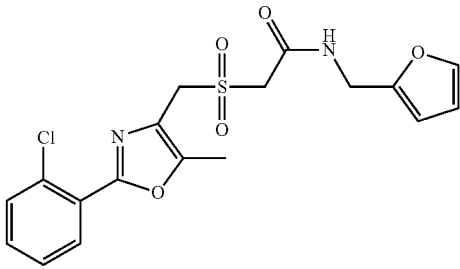
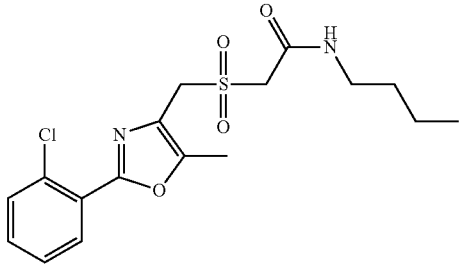
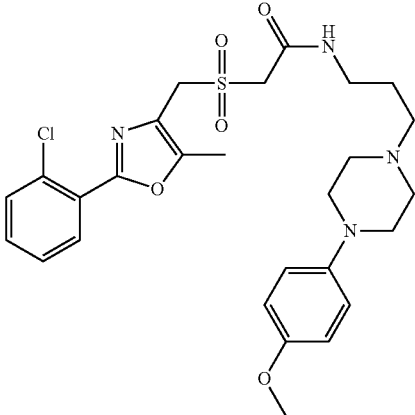
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1567		446.96
IIa-1568		408.86
IIa-1569		384.88
IIa-1570		561.10

TABLE 5-continued

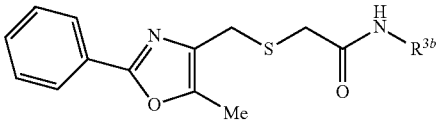
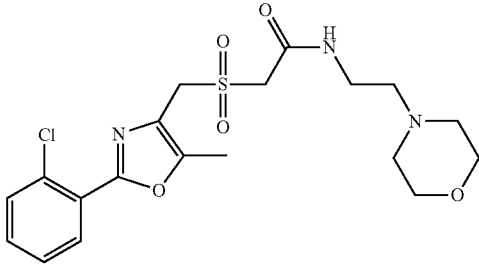
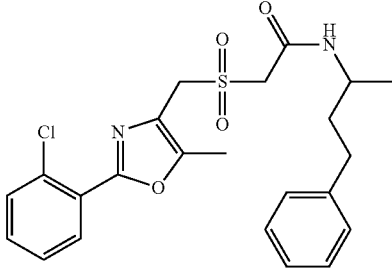
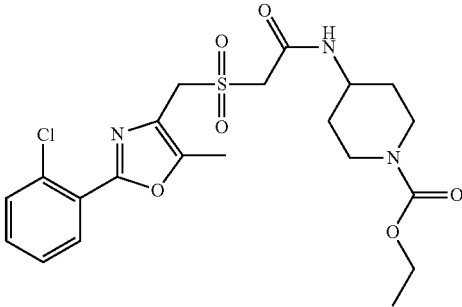
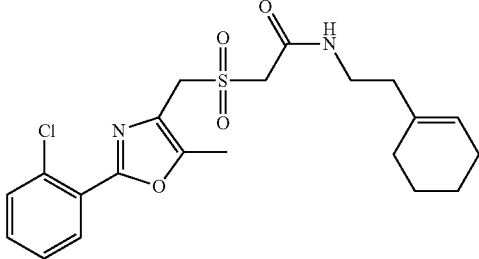
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1571		400.88
IIa-1572		441.94
IIa-1573		460.98
IIa-1574		483.97
IIa-1575		436.96

TABLE 5-continued

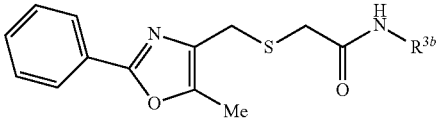
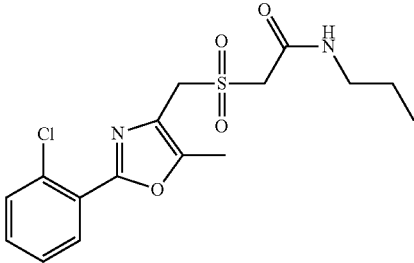
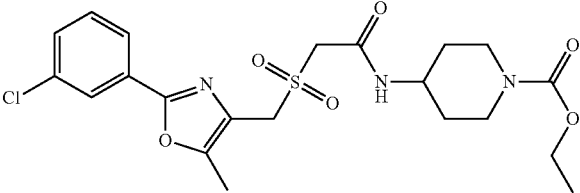
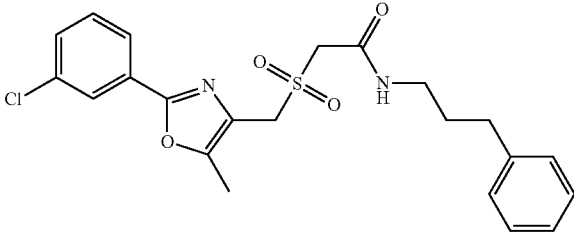
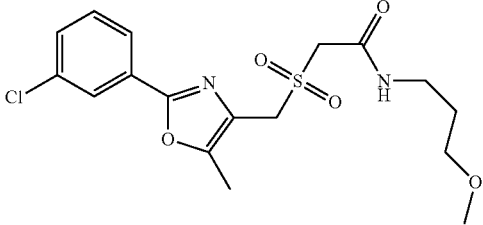
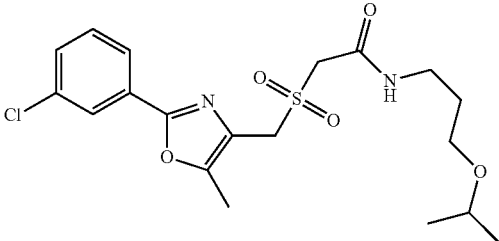
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
		
IIa-1576		370.86
IIa-1577		483.97
IIa-1578		446.96
IIa-1579		400.88
IIa-1580		428.94

TABLE 5-continued

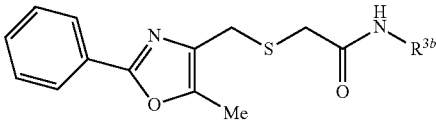
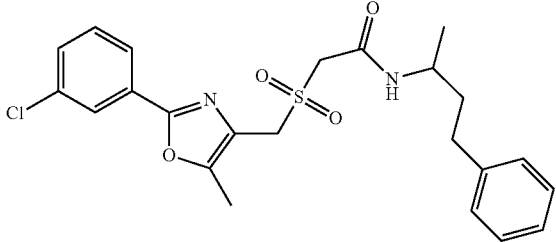
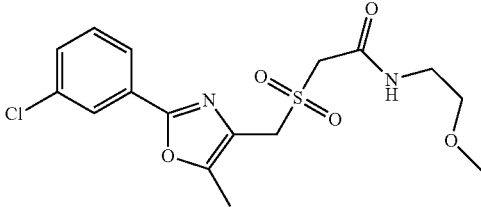
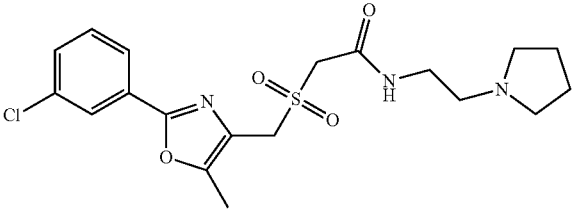
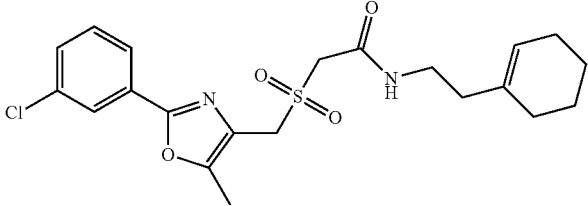
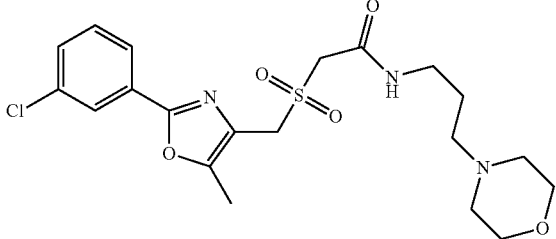
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1581		441.94
IIa-1582		460.98
IIa-1583		386.86
IIa-1584		425.94
IIa-1585		436.96
IIa-1586		455.96

TABLE 5-continued

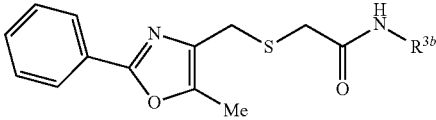
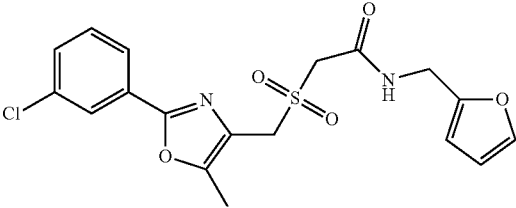
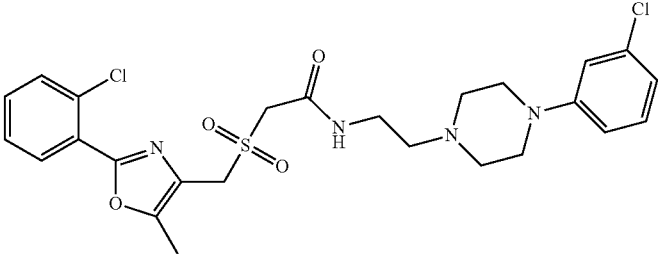
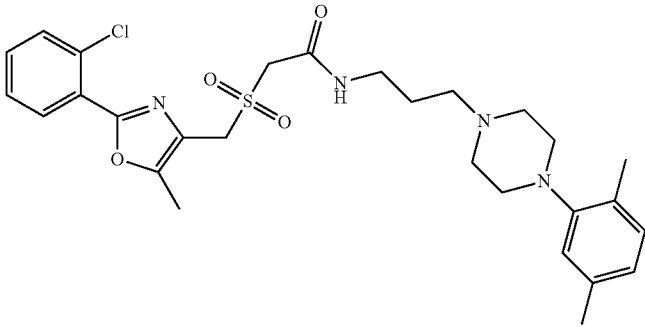
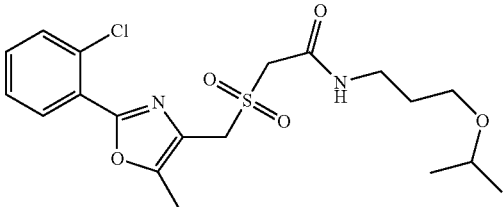
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1587		
IIa-1587		408.86
IIa-1588		551.50
IIa-1589		559.13
IIa-1590		428.94

TABLE 5-continued

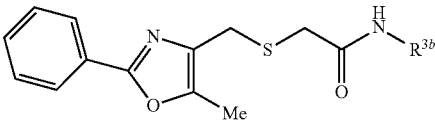
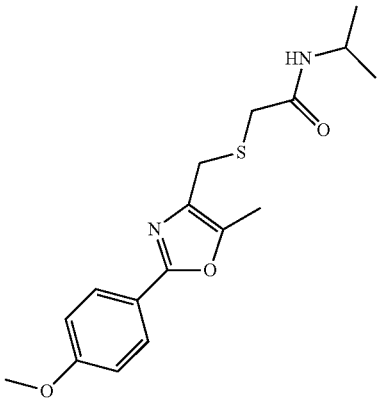
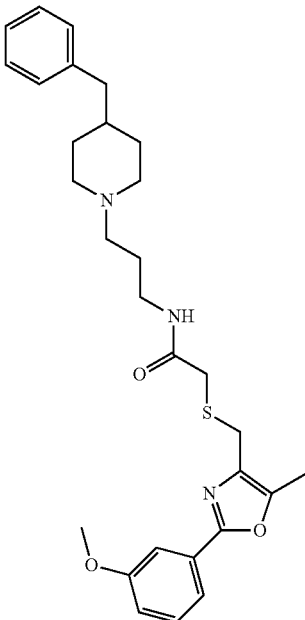
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1591		
IIa-1591		334.44
IIa-1592		507.70

TABLE 5-continued

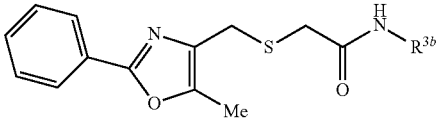
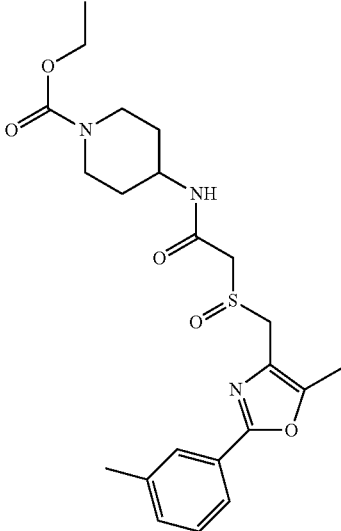
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1593		427.03
IIa-1594		447.56

TABLE 5-continued

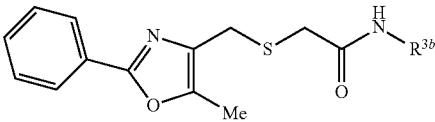
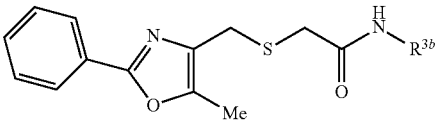
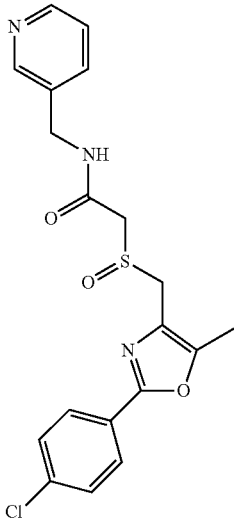
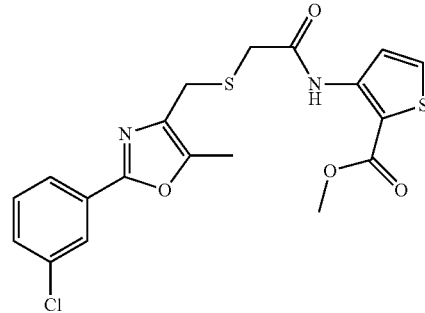
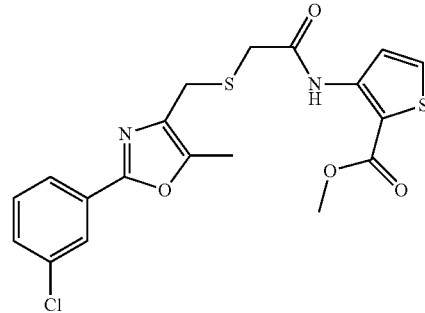
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1595		
IIa-1595		403.89
IIa-1596		436.94
IIa-1597		408.31
IIa-1597		408.31

TABLE 5-continued

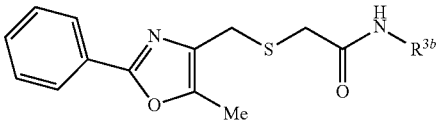
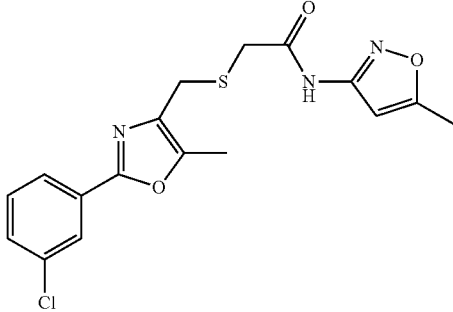
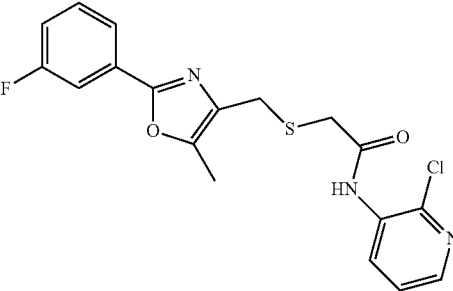
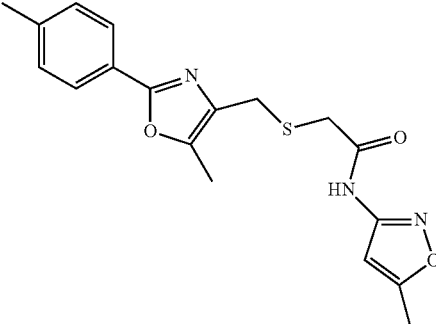
Oxazole amides (R ³ = NH-misc)		
ID	Structure	MW
IIa-1598		377.85
IIa-1599		391.85
IIa-1600		361.40
IIa-1601		357.43

TABLE 5-continued

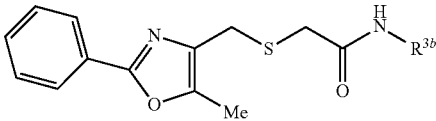
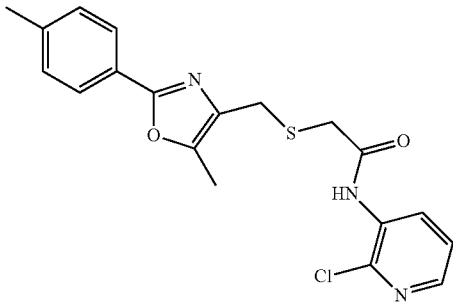
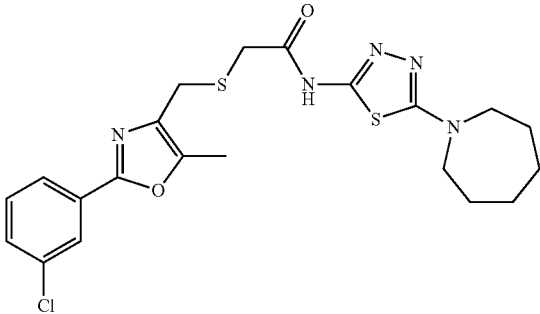
Oxazole amides (R ³ = NH-misc)		
		
ID	Structure	MW
IIa-1602		387.89
IIa-1603		478.04

TABLE 6

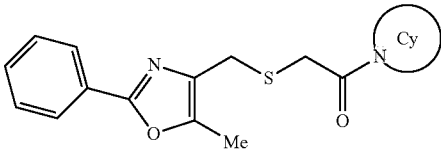
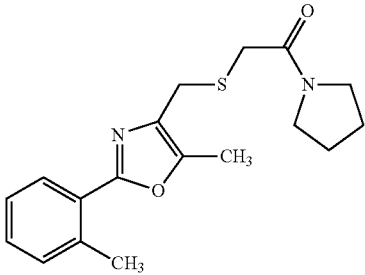
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2001		330.45

TABLE 6-continued

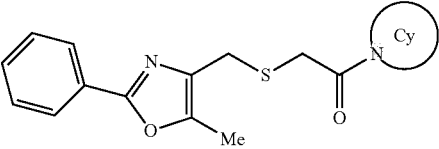
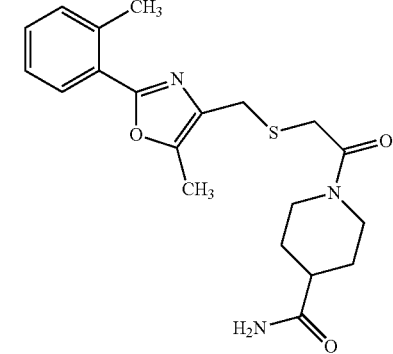
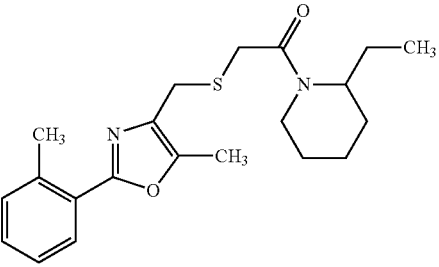
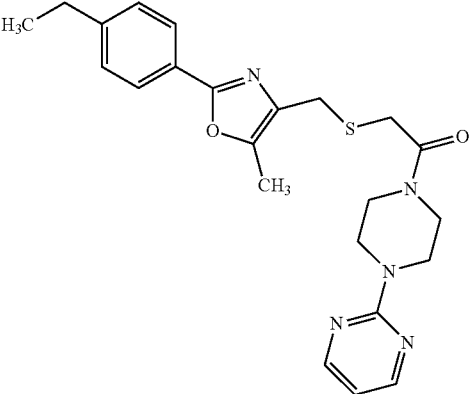
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2002		387.50
IIa-2003		392.52
IIa-2004		372.53
IIa-2005		437.57

TABLE 6-continued

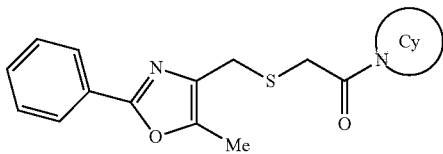
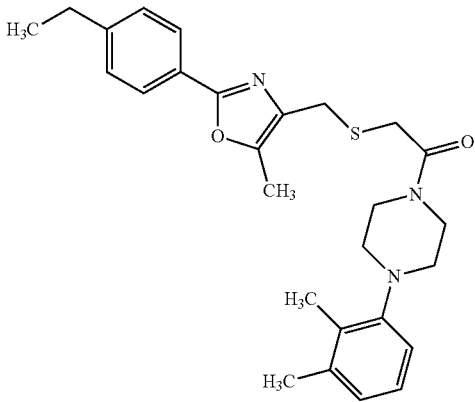
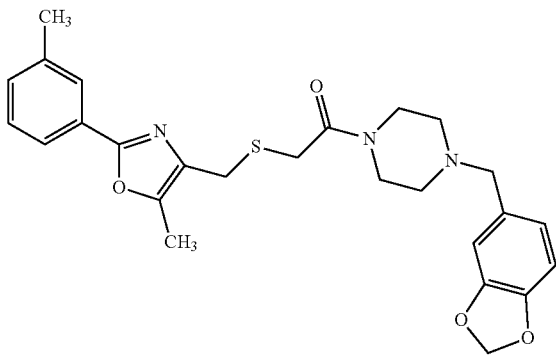
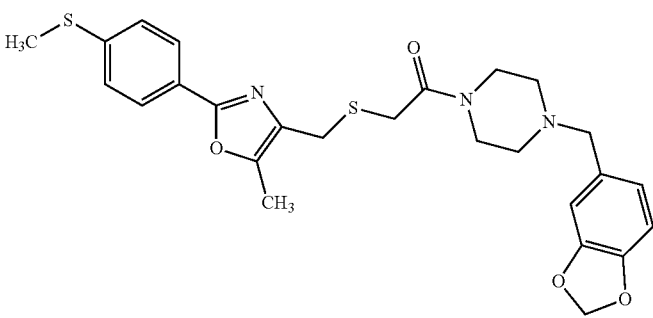
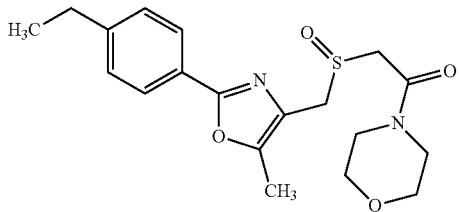
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
		
IIa-2006		463.65
IIa-2007		479.60
IIa-2008		511.67
IIa-2009		376.48

TABLE 6-continued

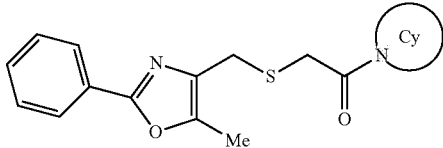
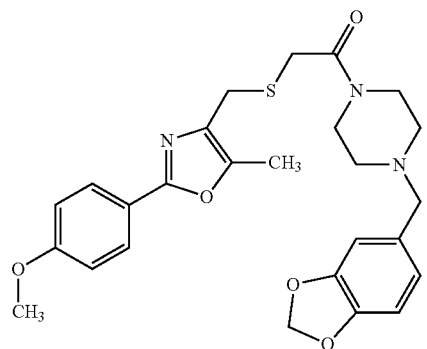
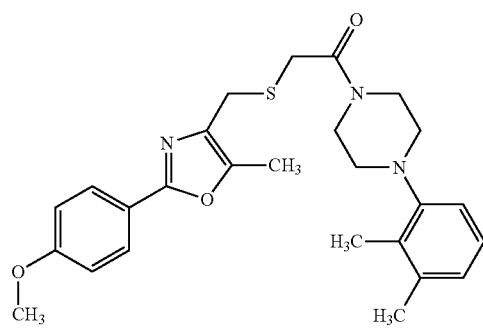
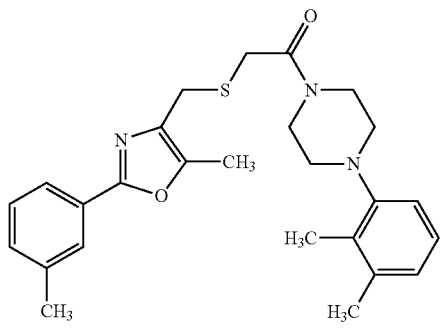
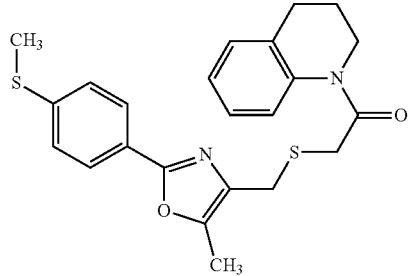
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2010		495.60
IIa-2011		465.62
IIa-2012		449.62
IIa-2013		424.59

TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2014		404.60
IIa-2015		387.50
IIa-2016		509.98
IIa-2017		525.98

TABLE 6-continued

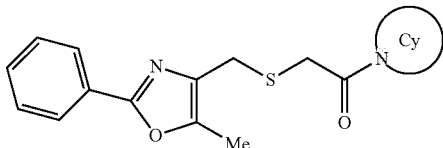
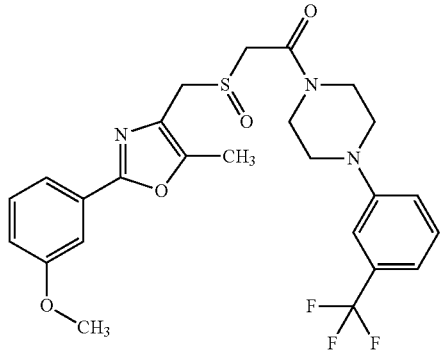
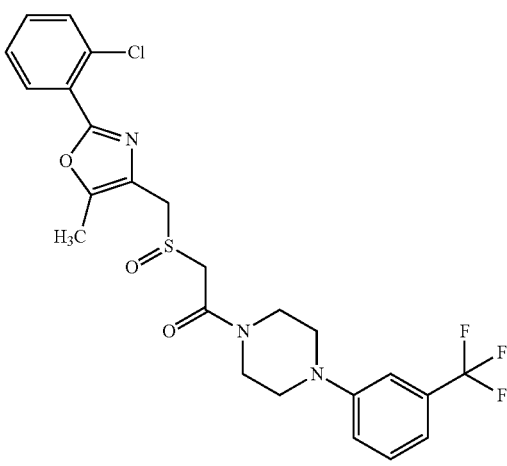
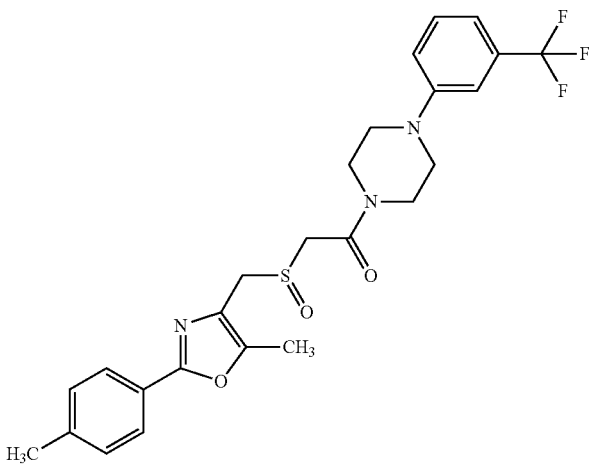
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2018		521.56
IIa-2019		525.98
IIa-2020		505.56

TABLE 6-continued

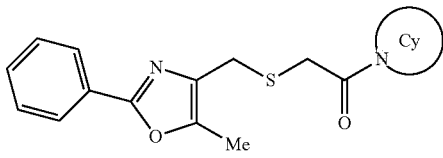
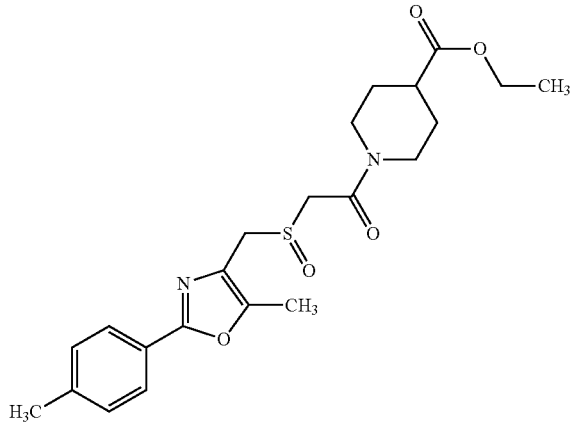
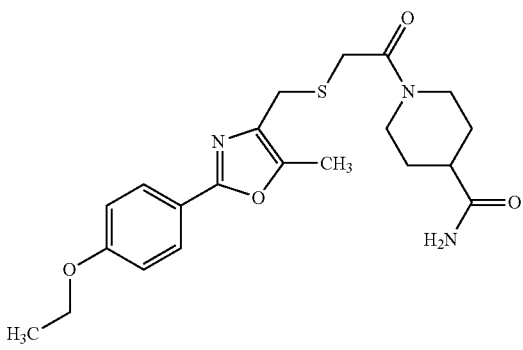
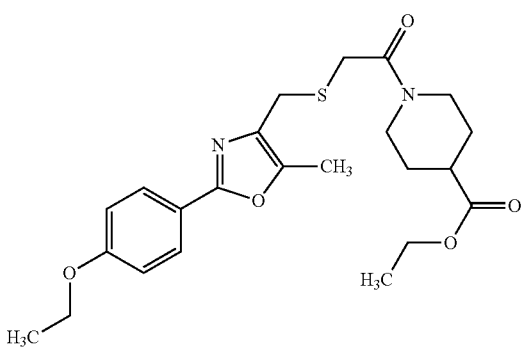
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2021		
IIa-2021		432.54
IIa-2022		417.53
IIa-2023		446.57

TABLE 6-continued

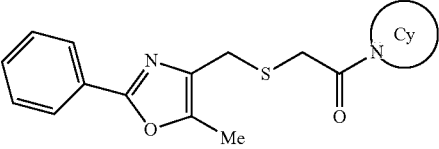
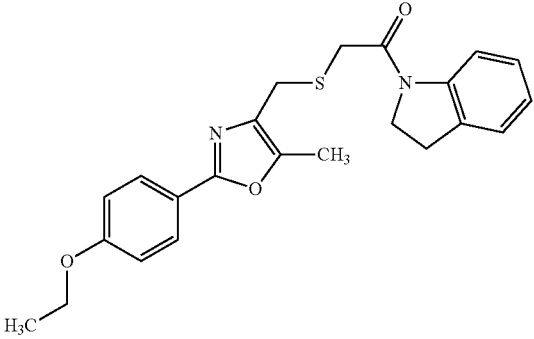
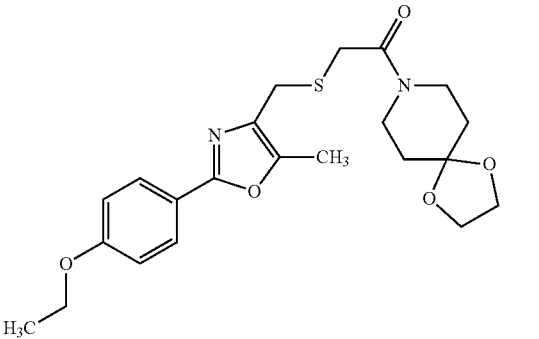
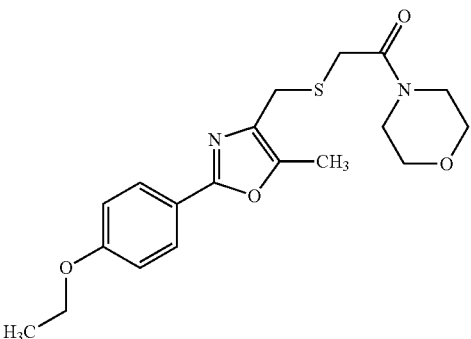
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2024		408.52
IIa-2025		432.54
IIa-2026		469.56
IIa-2027		376.48

TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2028		360.48
IIa-2029		489.56
IIa-2030		433.53
IIa-2031		473.64

TABLE 6-continued

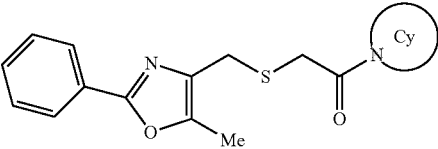
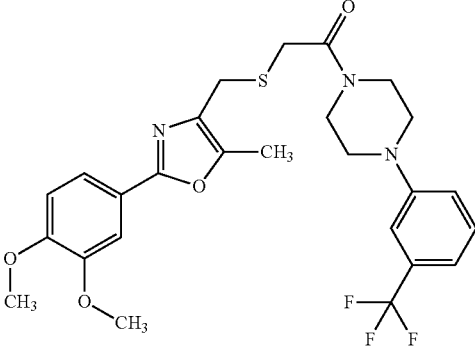
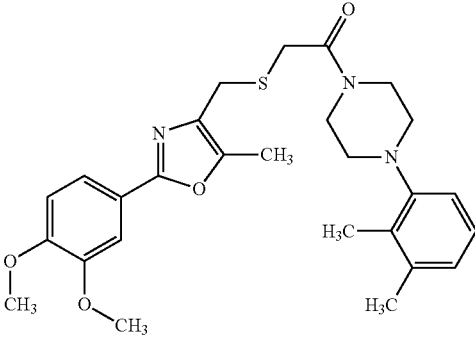
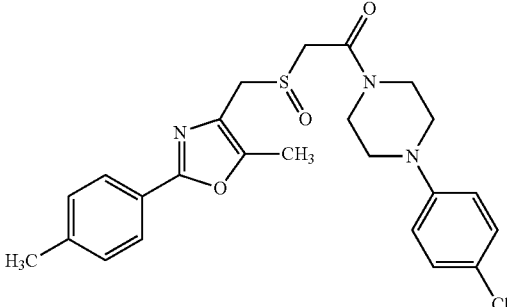
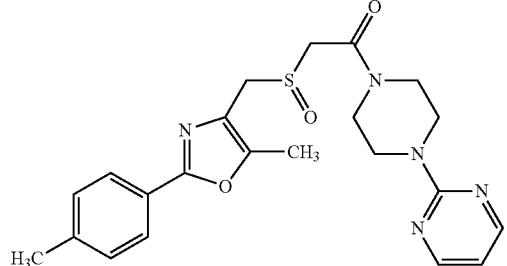
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
		
IIa-2032		535.59
IIa-2033		495.65
IIa-2034		472.01
IIa-2035		439.54

TABLE 6-continued

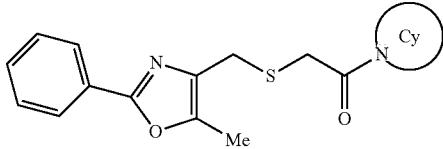
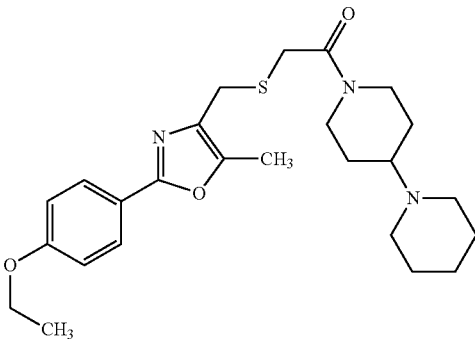
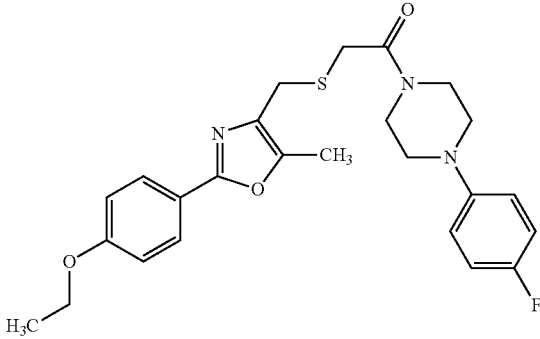
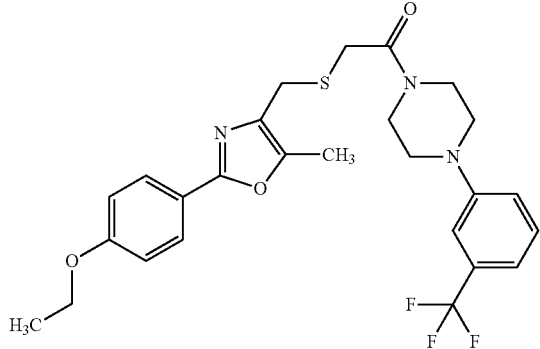
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2036		457.64
IIa-2037		469.58
IIa-2038		388.53
IIa-2039		519.59

TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2040		481.62
IIa-2041		388.53
IIa-2042		486.04

TABLE 6-continued

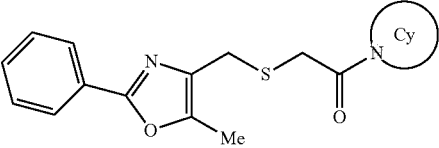
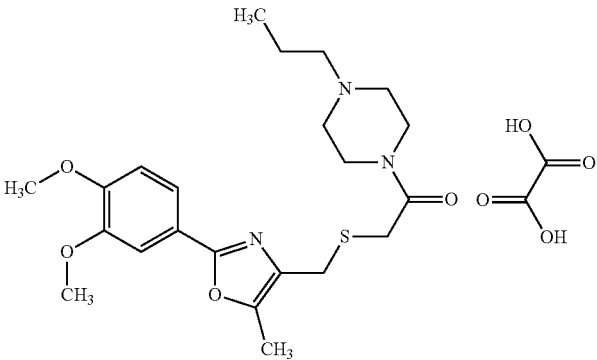
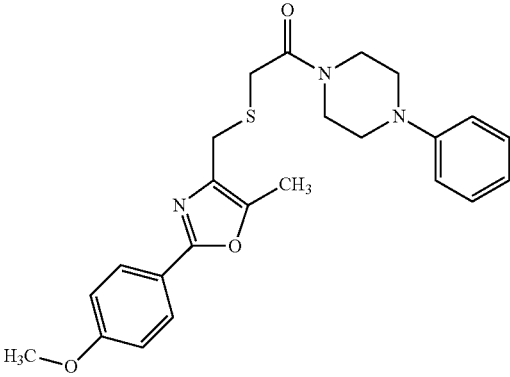
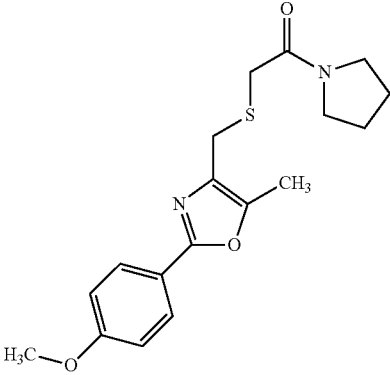
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2043		
IIa-2043		523.61
IIa-2044		437.57
IIa-2045		346.45

TABLE 6-continued

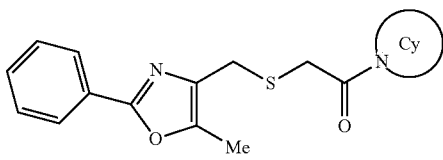
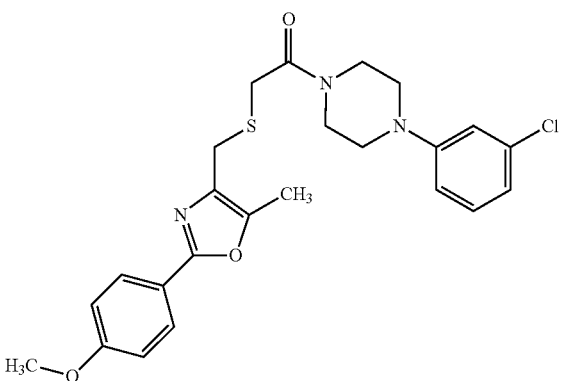
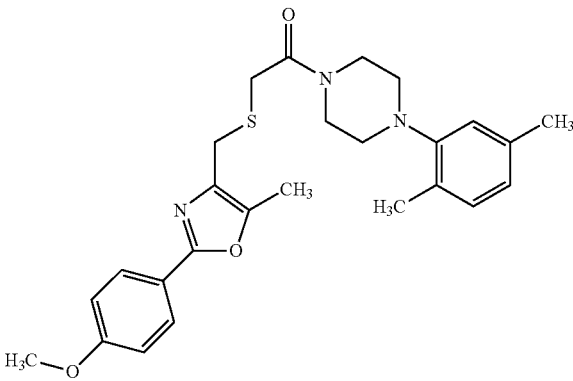
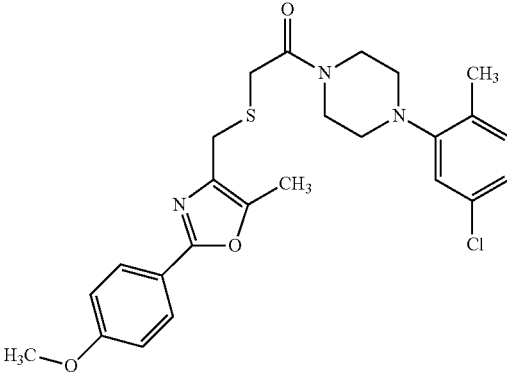
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2046		472.01
IIa-2047		465.62
IIa-2048		486.04

TABLE 6-continued

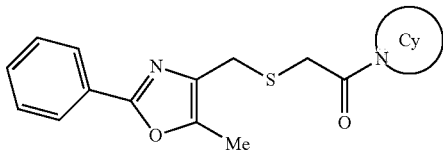
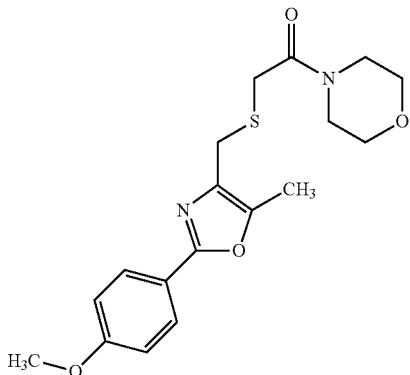
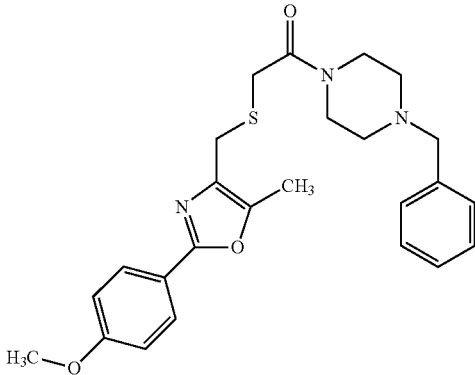
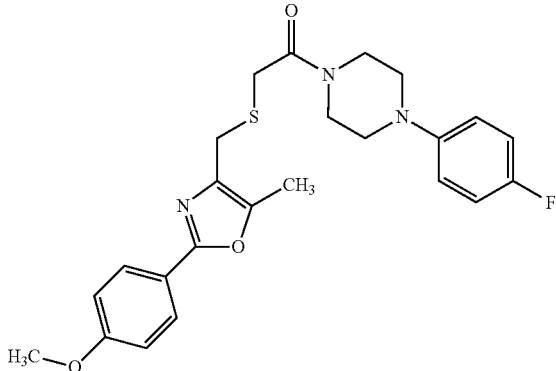
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2049		362.45
IIa-2050		451.59
IIa-2051		455.56

TABLE 6-continued

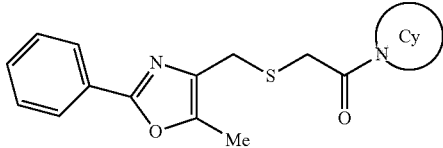
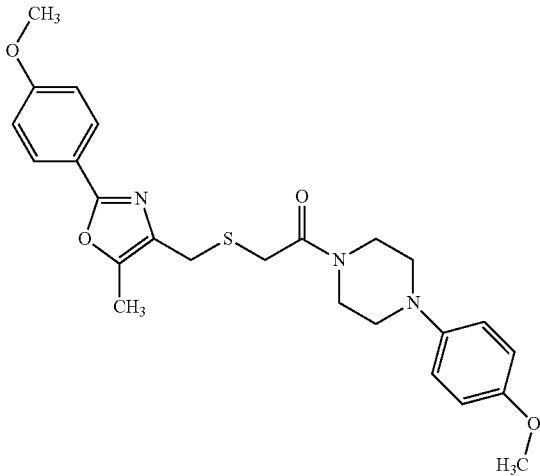
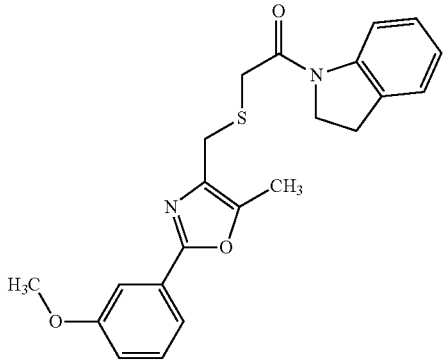
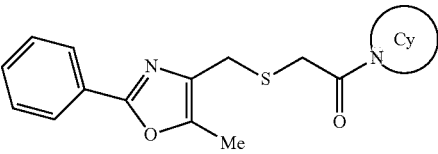
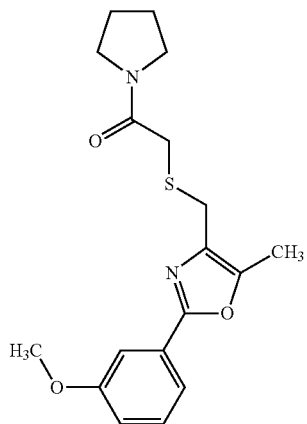
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2052		467.59
IIa-2053		455.56
IIa-2054		394.50

TABLE 6-continued

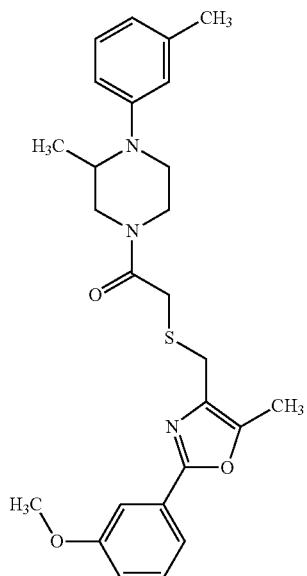
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW

IIa-2055



346.45

IIa-2056



465.62

TABLE 6-continued

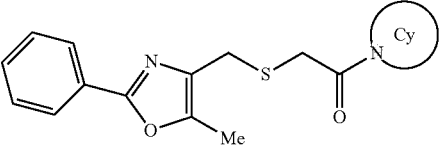
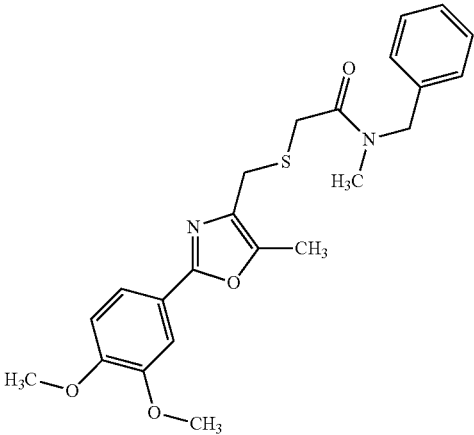
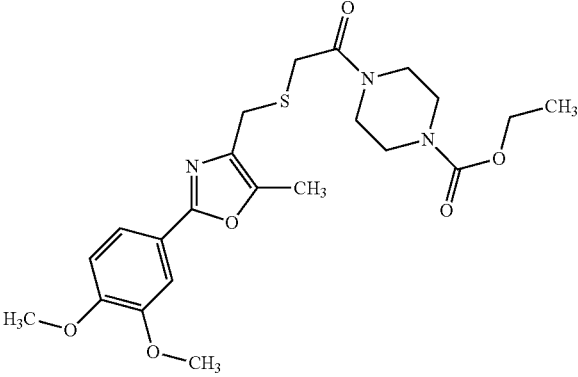
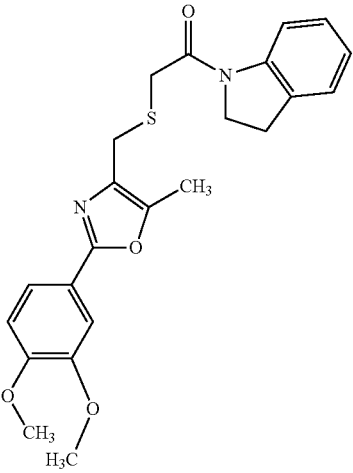
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2057		426.54
IIa-2058		463.56
IIa-2059		424.52

TABLE 6-continued

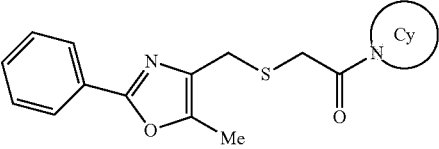
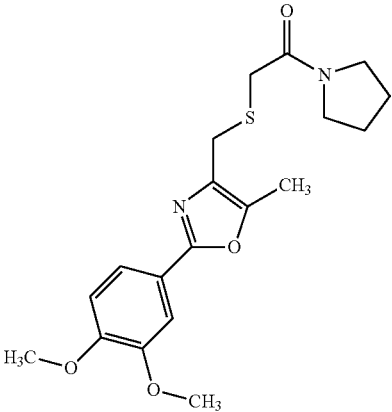
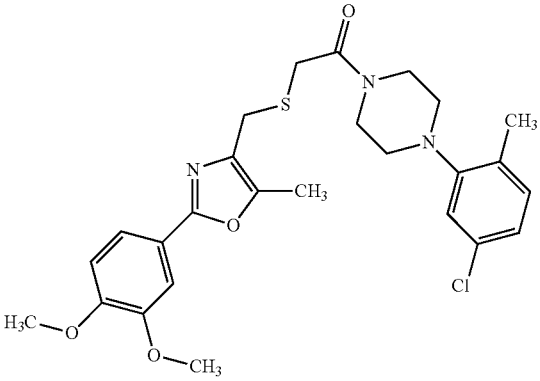
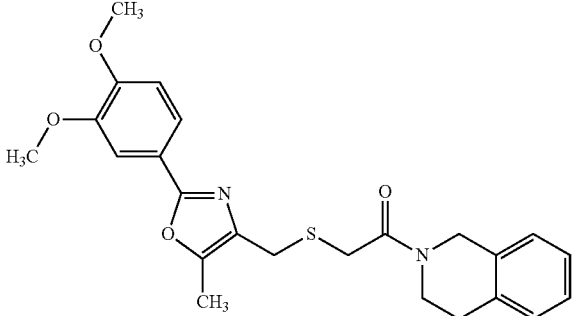
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2060		376.48
IIa-2061		516.06
IIa-2062		438.55

TABLE 6-continued

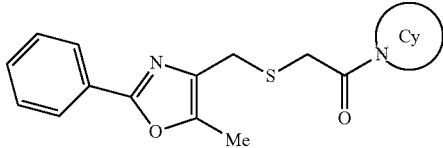
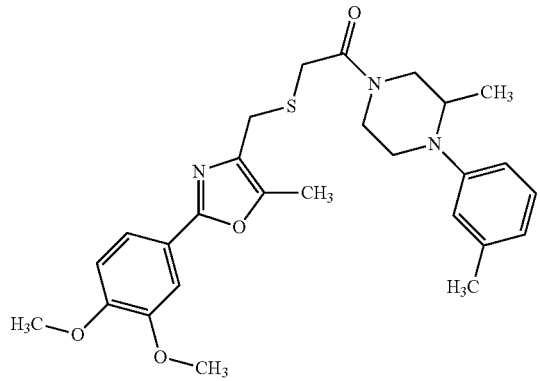
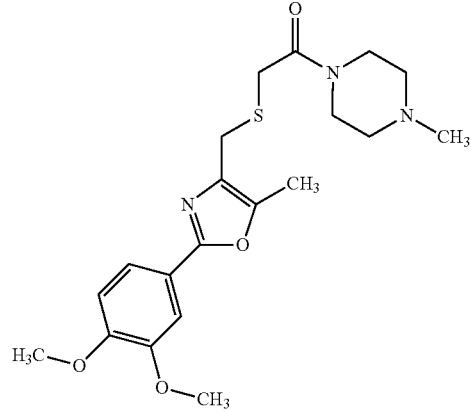
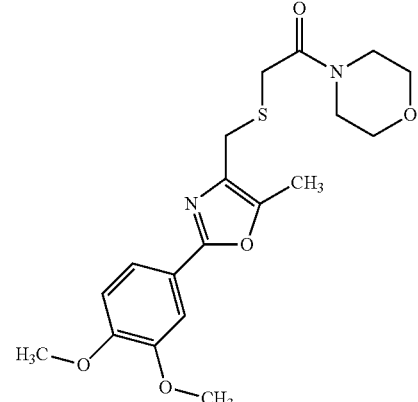
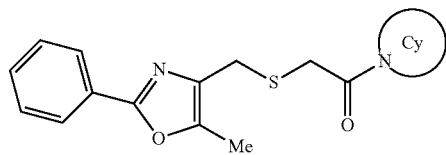
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2063		495.65
IIa-2064		405.52
IIa-2065		392.48

TABLE 6-continued

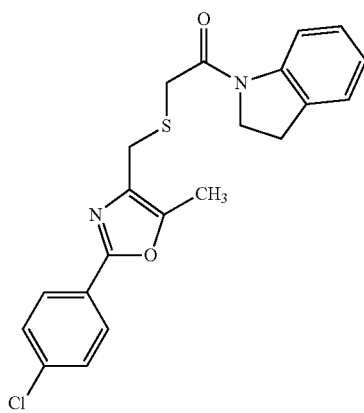
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2066		480.63
IIa-2067		485.56
IIa-2068		419.55

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

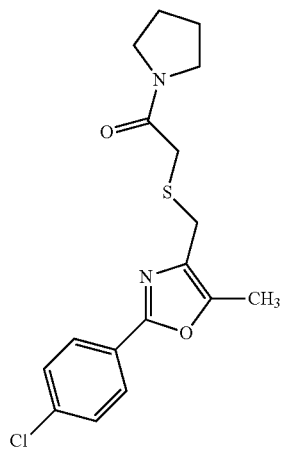
ID	Structure	MW
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IIa-2069



398.91

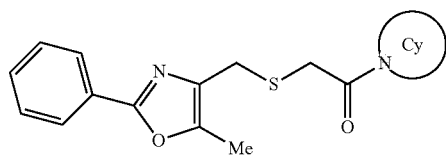
IIa-2070



350.87

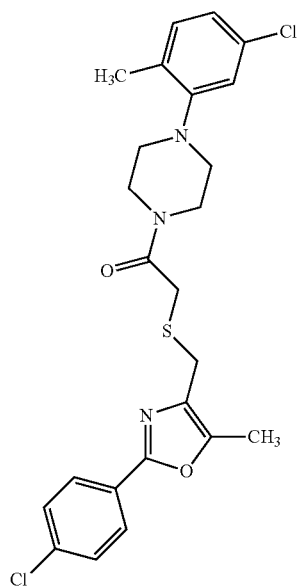
TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
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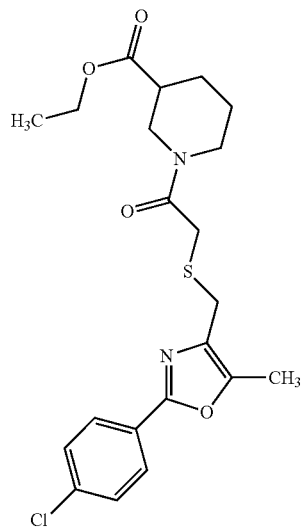
ID	Structure	MW
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IIa-2071



490.46

IIa-2072



436.96

TABLE 6-continued

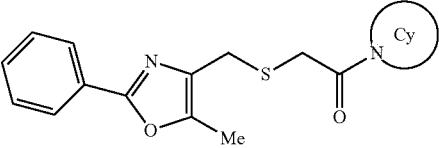
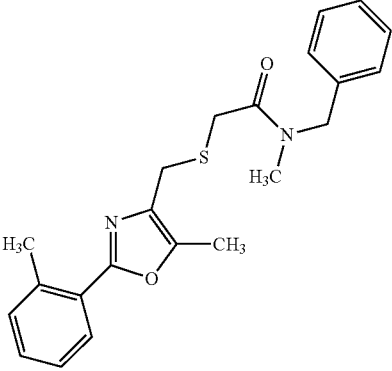
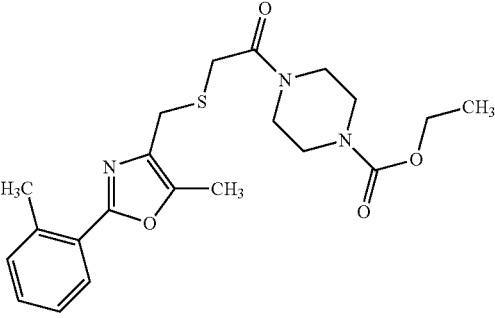
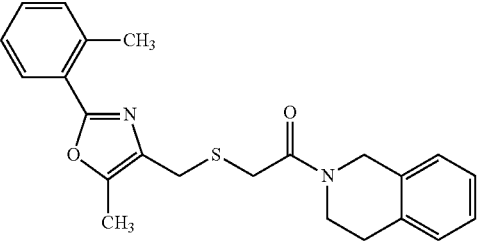
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2073		380.51
IIa-2074		417.53
IIa-2075		421.57
IIa-2076		392.52

TABLE 6-continued

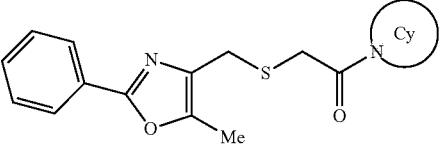
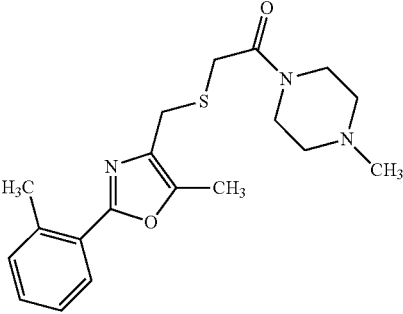
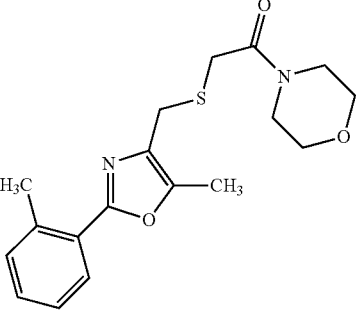
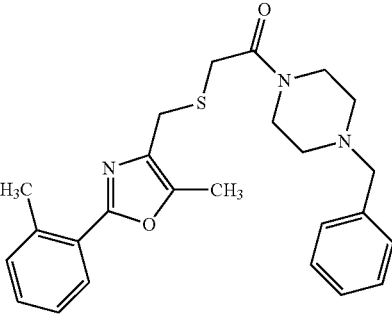
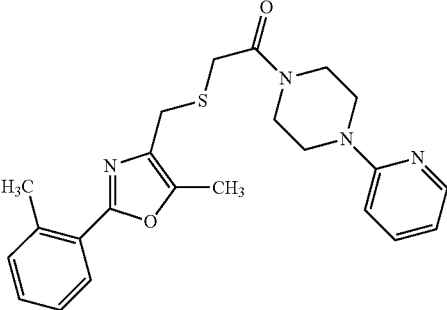
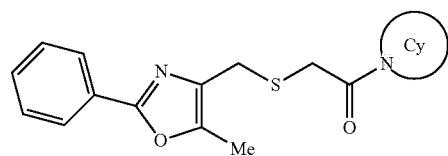
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2077		359.49
IIa-2078		346.45
IIa-2079		435.59
IIa-2080		422.55

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2081	<p>Chemical structure of IIa-2081. It consists of a 2-methyl-5-(3-methylphenyl)-1,3,4-oxazole ring connected via a methylene group to a thioether linkage (-S-CH₂-), which is further connected to an amide group (-C(=O)-N-), where the nitrogen is part of a 4,4-dimethylpiperidine ring.</p>	372.53
IIa-2082	<p>Chemical structure of IIa-2082. It consists of a 2-methyl-5-(2-chlorophenyl)-1,3,4-oxazole ring connected via a methylene group to a thioether linkage (-S-CH₂-), which is further connected to an amide group (-C(=O)-N-), where the nitrogen is part of a 1,2,3,4-tetrahydronaphthalene ring system.</p>	398.91
IIa-2083	<p>Chemical structure of IIa-2083. It consists of a 2-methyl-5-(2-chlorophenyl)-1,3,4-oxazole ring connected via a methylene group to a thioether linkage (-S-CH₂-), which is further connected to an amide group (-C(=O)-N-), where the nitrogen is part of a 1,4-bis(4-nitrophenyl)piperazine ring system.</p>	486.98
IIa-2084	<p>Chemical structure of IIa-2084. It consists of a 2-methyl-5-(2-chlorophenyl)-1,3,4-oxazole ring connected via a methylene group to a thioether linkage (-S-CH₂-), which is further connected to an amide group (-C(=O)-N-), where the nitrogen is part of a 1,4-bis(phenyl)piperazine ring system.</p>	441.98

TABLE 6-continued

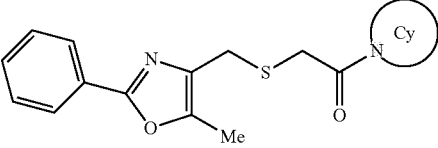
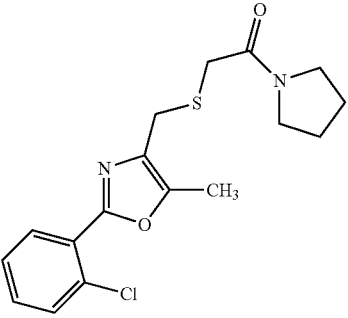
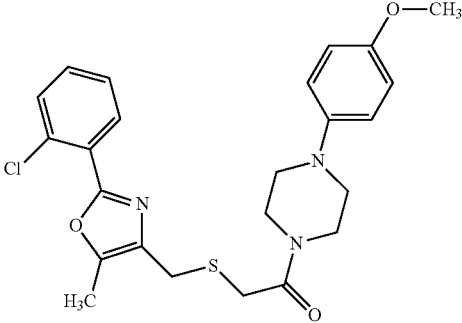
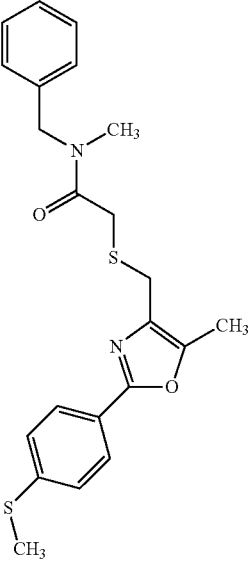
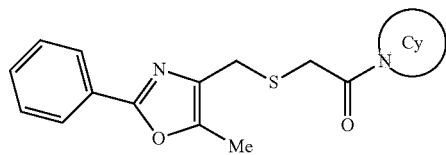
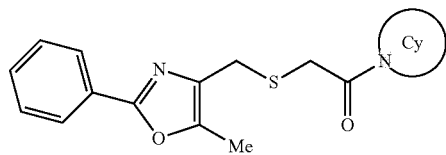
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2085		350.87
IIa-2086		472.01
IIa-2087		412.58

TABLE 6-continued

Oxazole amides ($R^3 = N\text{-cyclo}$)

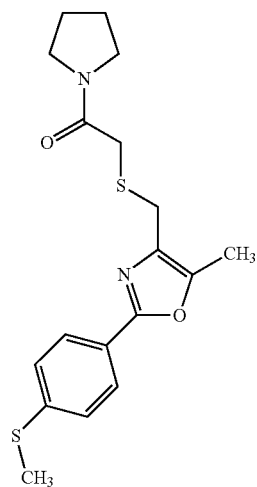
ID	Structure	MW
IIa-2088		498.63
IIa-2089		375.51

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

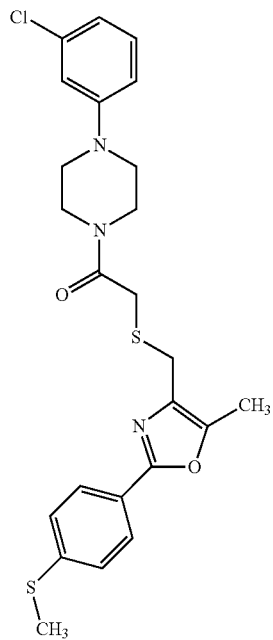
ID	Structure	MW
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IIa-2090



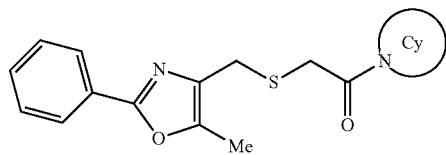
362.52

IIa-2091



488.07

TABLE 6-continued

Oxazole amides ($R^3 = N\text{-cyclo}$)

ID	Structure	MW
IIa-2092		481.68
IIa-2093		502.10

TABLE 6-continued

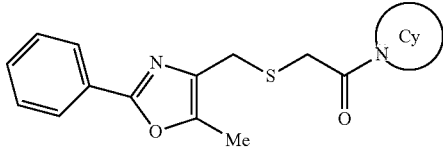
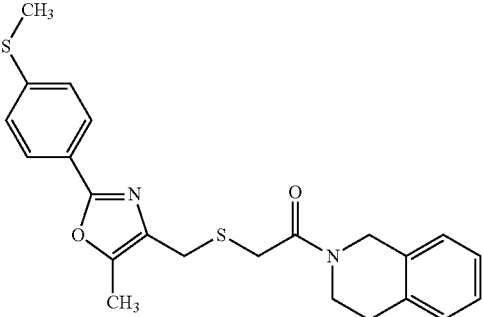
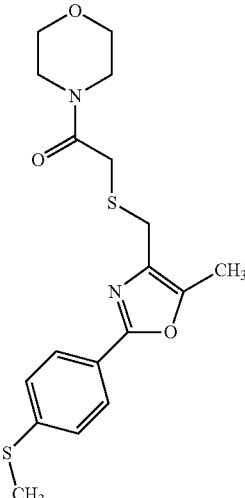
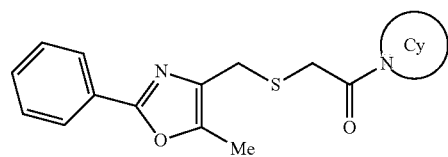
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2094		424.59
IIa-2095		391.56
IIa-2096		378.52

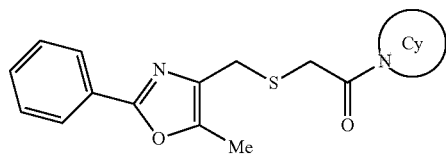
TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2097		467.66
IIa-2098		466.67

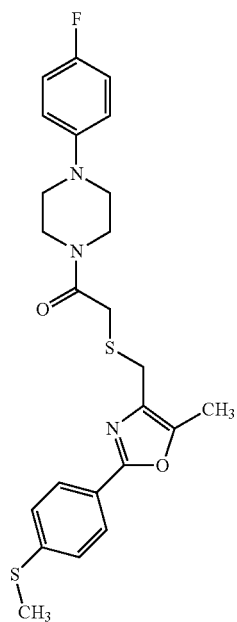
TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
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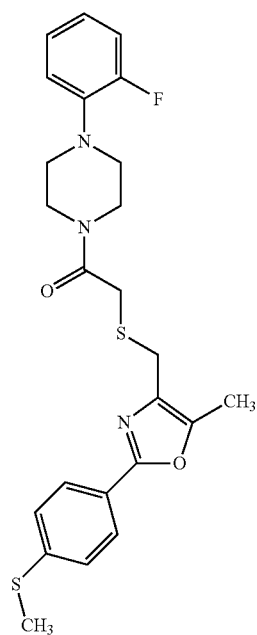
ID	Structure	MW
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IIa-2099



471.62

IIa-2100



471.62

TABLE 6-continued

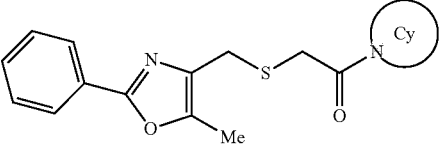
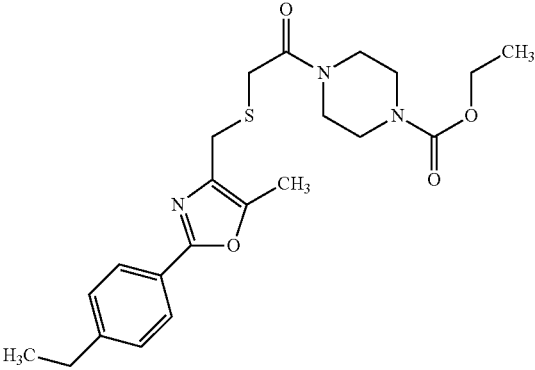
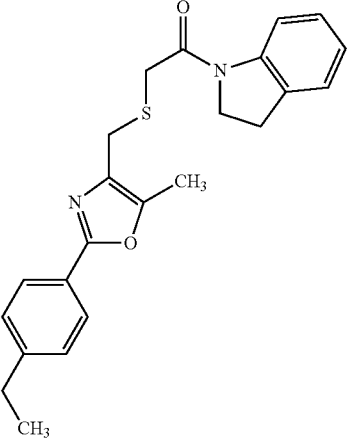
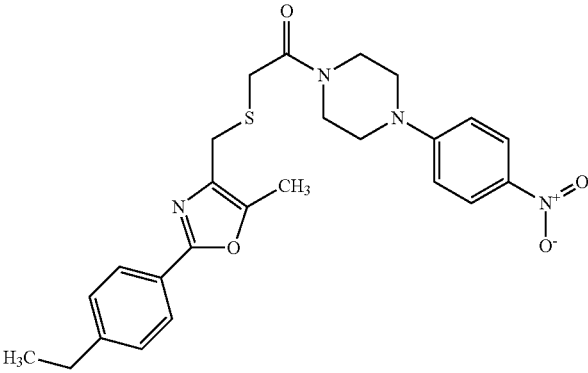
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2101		431.56
IIa-2102		392.52
IIa-2103		480.59

TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2104		435.59
IIa-2105		463.65
IIa-2106		484.06

TABLE 6-continued

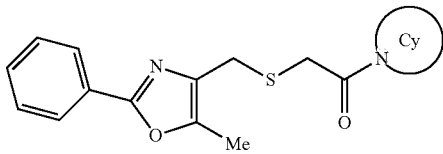
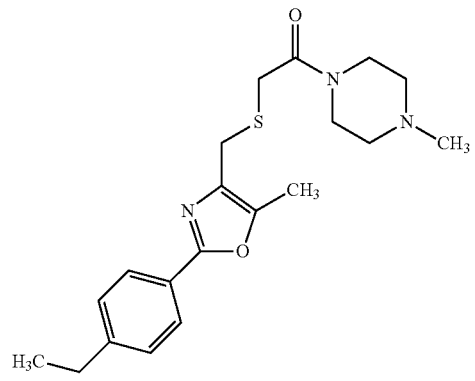
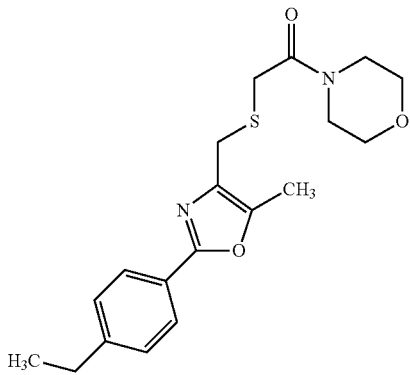
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2107		
IIa-2108		
IIa-2109		

TABLE 6-continued

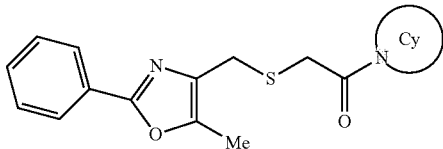
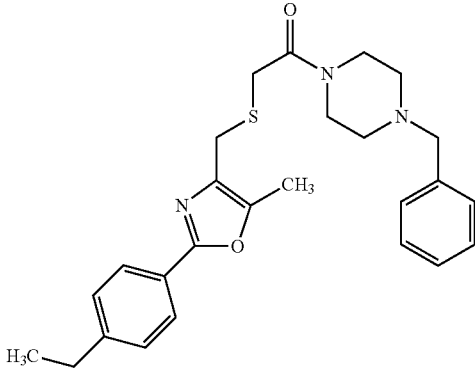
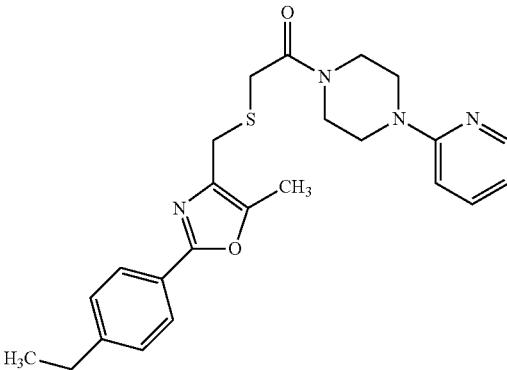
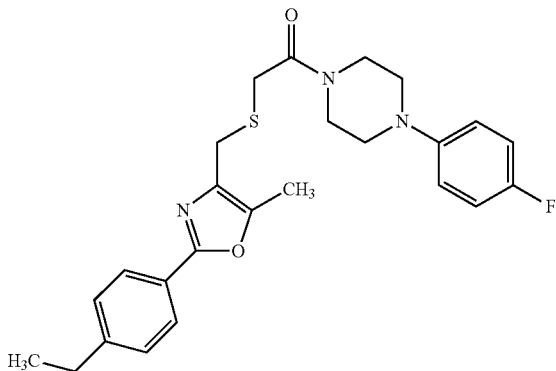
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2110		449.62
IIa-2111		436.58
IIa-2112		453.58

TABLE 6-continued

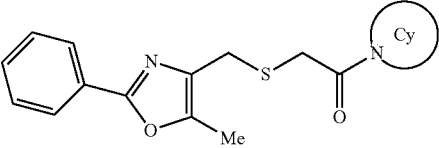
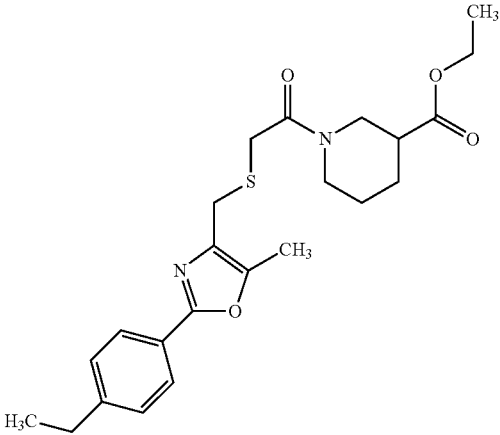
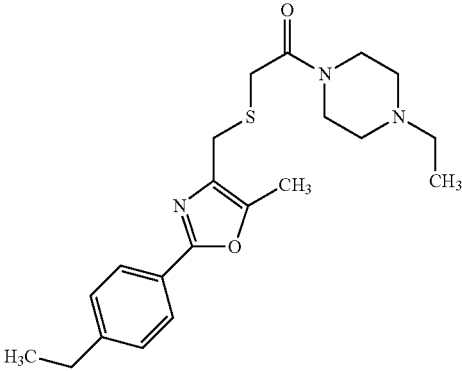
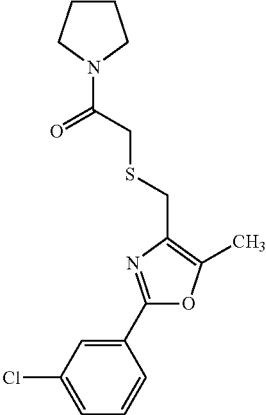
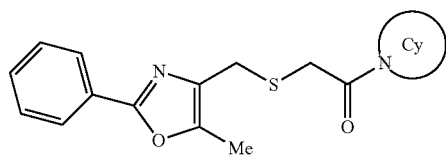
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2113		430.57
IIa-2114		387.55
IIa-2115		350.87

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2116		490.46

IIa-2117

459.97

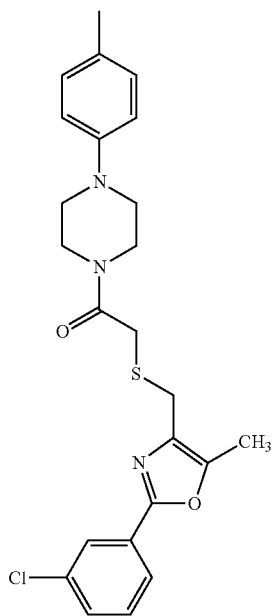


TABLE 6-continued

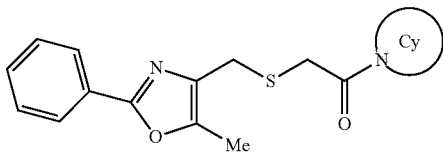
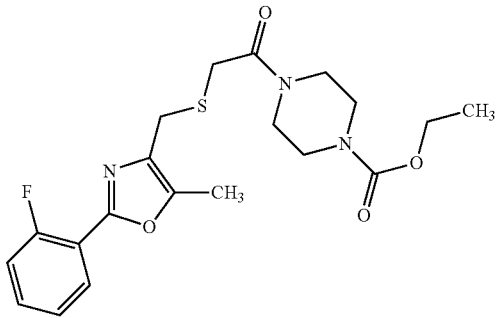
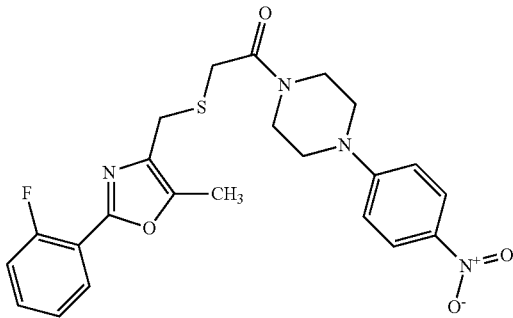
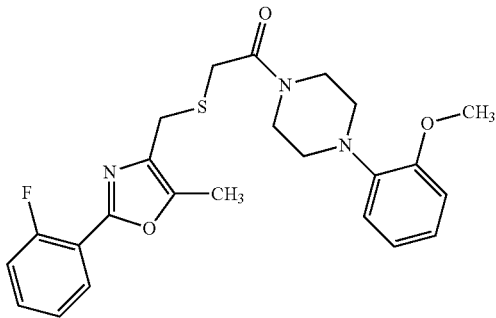
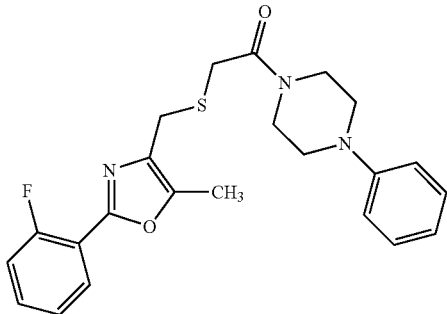
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
		
IIa-2118		421.49
IIa-2119		470.53
IIa-2120		455.56
IIa-2121		425.53

TABLE 6-continued

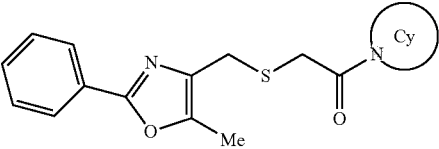
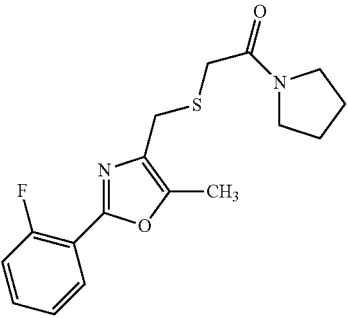
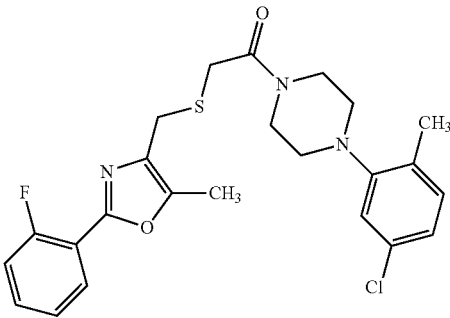
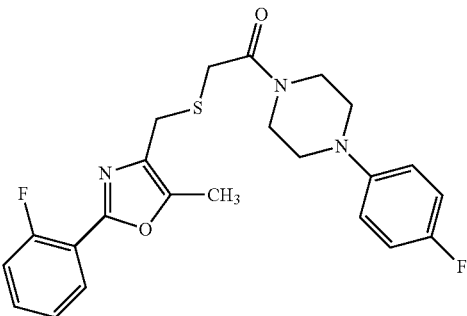
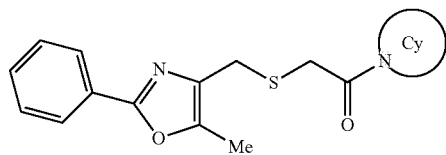
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2122		334.42
IIa-2123		474.00
IIa-2124		443.52

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2125	<chem>COc1ccc(cc1)N2CCN(CC2)C(=O)SCc3cc(oc3C)c4ccccc4F</chem>	455.56

IIa-2126

425.53

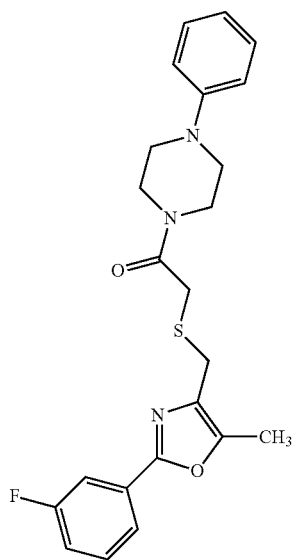


TABLE 6-continued

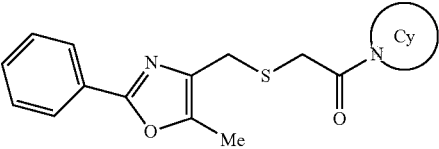
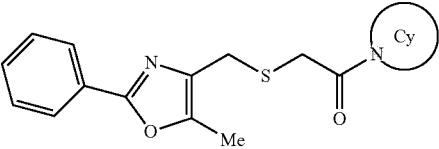
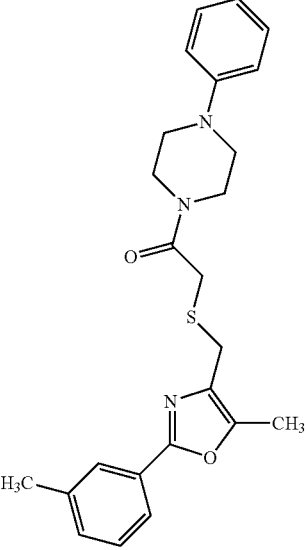
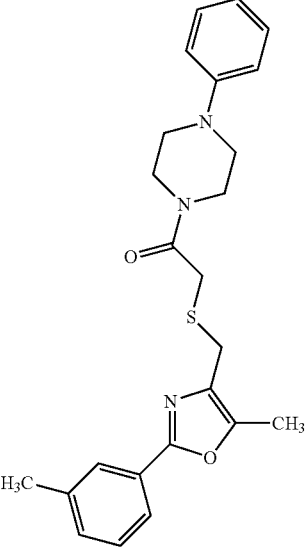
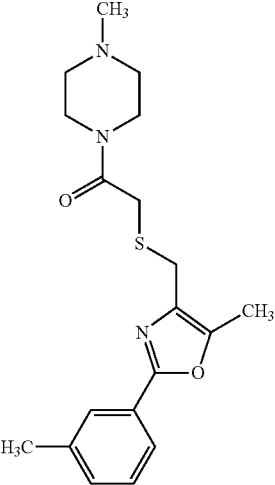
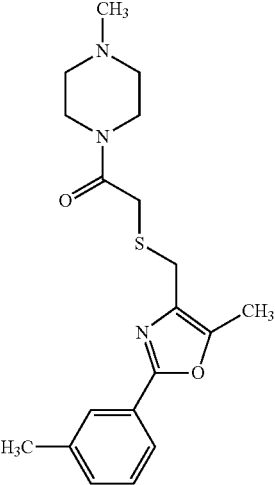
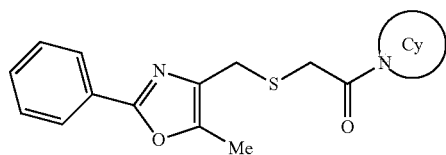
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2127		
IIa-2127		421.57
IIa-2128		392.52
IIa-2128		392.52
IIa-2129		359.49
IIa-2129		359.49

TABLE 6-continued

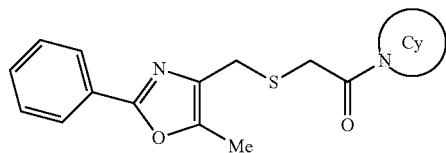
Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2130		346.45

IIa-2131		434.61
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TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
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ID	Structure	MW
IIa-2132		422.55

IIa-2133		439.56
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Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2134		373.52
IIa-2135		358.51
IIa-2136		378.50

TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2137	 <chem>CC1=C(CSCC(=O)N2CCCCC2)N=C(C1)c3ccc(cc3)c4ccccc4</chem>	330.45
IIa-2138	 <chem>CC1=C(CSCC(=O)N2CCN(C2)C3CCN(C3)c4ccc(C)cc4)N=C(C1)c5ccc(cc5)c6ccc(C)cc6</chem>	449.62
IIa-2139	 <chem>CC1=C(CSCC(=O)N2CCOCC2)N=C(C1)c3ccc(cc3)c4ccc(C)cc4</chem>	346.45

TABLE 6-continued

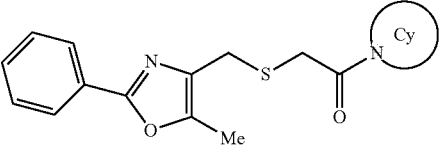
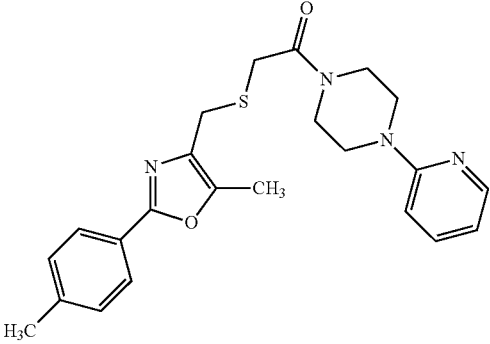
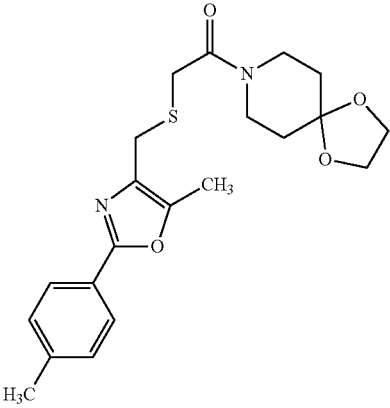
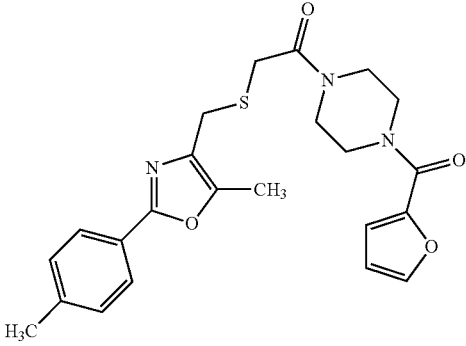
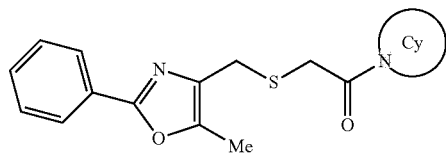
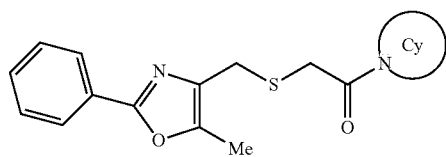
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2140		422.55
IIa-2141		402.52
IIa-2142		439.54

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

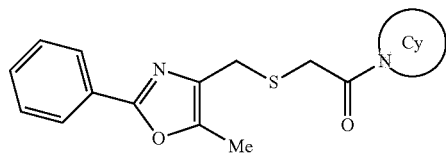
ID	Structure	MW
IIa-2143		392.52
IIa-2144		449.53

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2145	<p>Chemical structure of IIa-2145. The structure shows a 4-methoxyphenyl group attached to an oxazole ring at the 2-position. The oxazole ring has a methyl group at the 4-position and a -CH₂-S(=O)-CH₂-C(=O)-N(cyclooctyl) group at the 5-position. The cyclooctyl group is an eight-membered ring.</p>	390.51
IIa-2146	<p>Chemical structure of IIa-2146. The structure shows a 4-methoxyphenyl group attached to an oxazole ring at the 2-position. The oxazole ring has a methyl group at the 4-position and a -CH₂-S(=O)-CH₂-C(=O)-N(pyrrolidyl) group at the 5-position. The pyrrolidyl group is a five-membered ring.</p>	362.45

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2147	<chem>COc1ccc(cc1)c2nc(CCS(=O)CC(=O)N3CCc4ccccc4N3)c(C)c2</chem>	424.52
IIa-2148	<chem>COc1ccc(cc1)c2nc(CCS(=O)CC(=O)N3CCN(C)CC3)c(C)c2</chem>	391.49

TABLE 6-continued

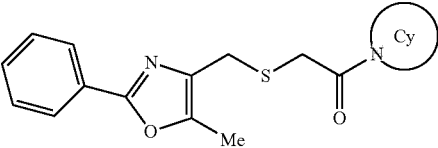
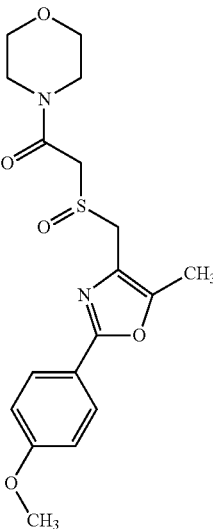
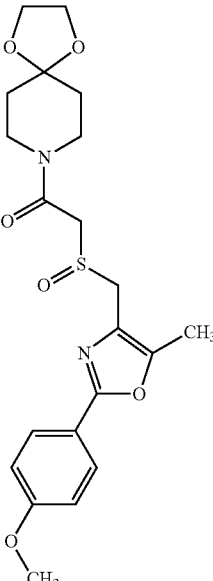
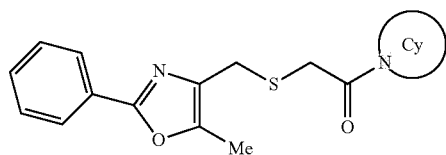
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2149		378.45
IIa-2150		434.52

TABLE 6-continued

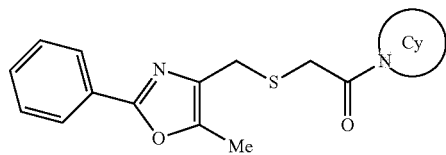
Oxazole amides (R ³ = N-cyclo)		
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ID	Structure	MW
IIa-2151	<p>Chemical structure of IIa-2151. It consists of a 4-methoxyphenyl group attached to the 5-position of a 2-methyl-1,3,4-oxazole ring. The 4-position of the oxazole is substituted with a -CH₂-S(=O)-CH₂-C(=O)-N(CH₂CH₃)₂ group.</p>	405.52

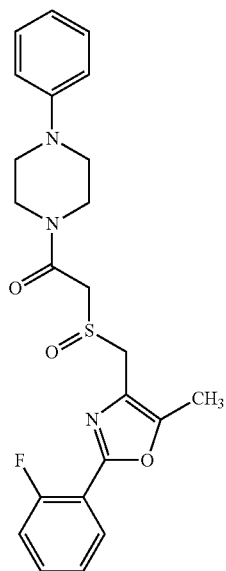
IIa-2152	<p>Chemical structure of IIa-2152. It consists of a 4-methoxyphenyl group attached to the 5-position of a 2-methyl-1,3,4-oxazole ring. The 4-position of the oxazole is substituted with a -CH₂-S(=O)-CH₂-C(=O)-N(C₆H₁₁) group, where C₆H₁₁ is a cyclohexyl ring.</p>	376.48
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TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

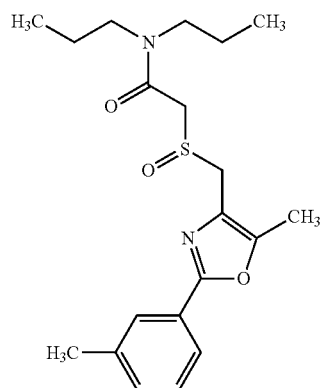
ID	Structure	MW
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IIa-2153



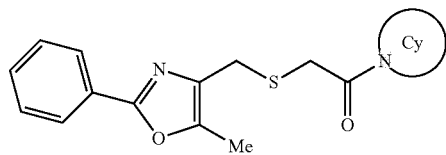
441.53

IIa-2154



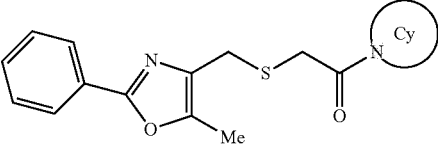
376.52

TABLE 6-continued

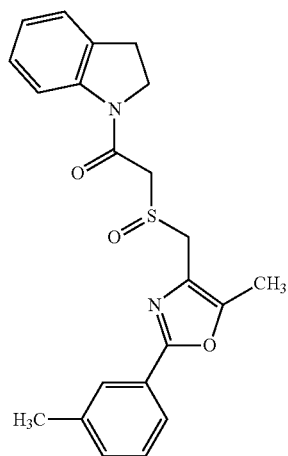
Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2155		433.53
IIa-2156		374.51

TABLE 6-continued

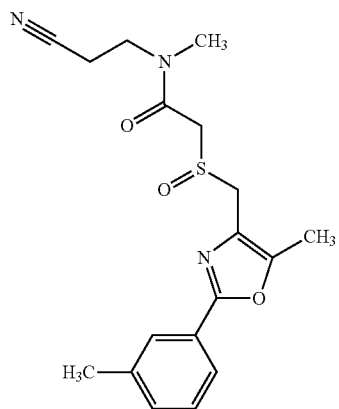
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW

IIa-2157



394.50

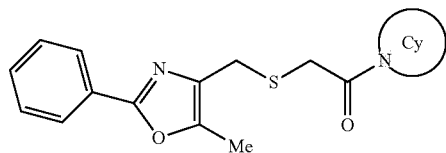
IIa-2158



359.45

TABLE 6-continued

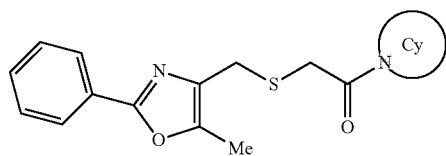
Oxazole amides (R ³ = N-cyclo)		
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ID	Structure	MW
IIa-2159		437.57

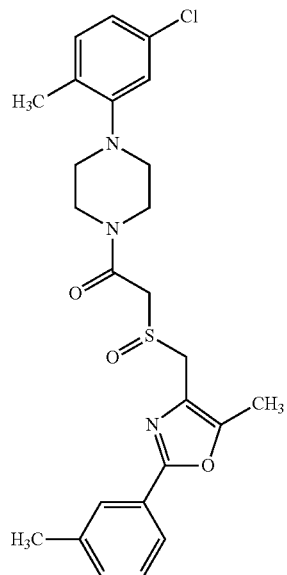
IIa-2160		346.45
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TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

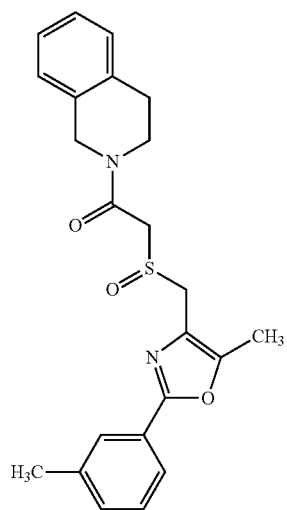
ID	Structure	MW
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IIa-2161



486.04

IIa-2162



408.52

TABLE 6-continued

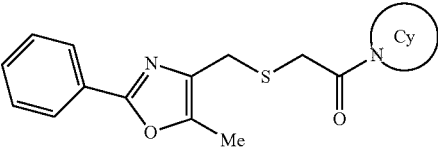
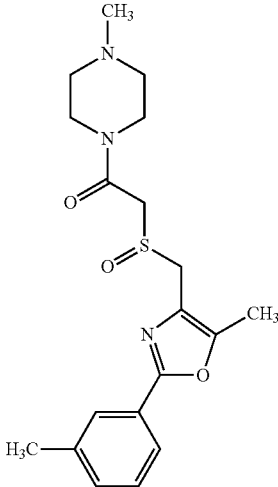
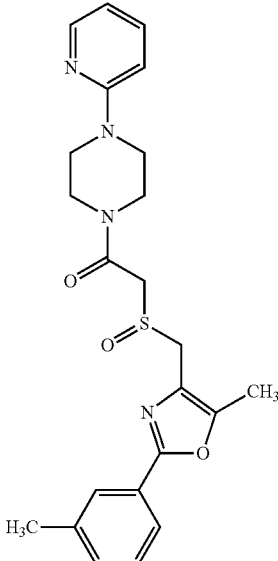
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2163		375.49
IIa-2164		438.55

TABLE 6-continued

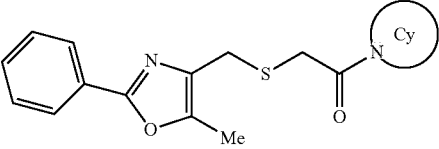
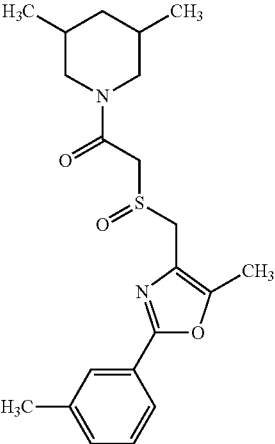
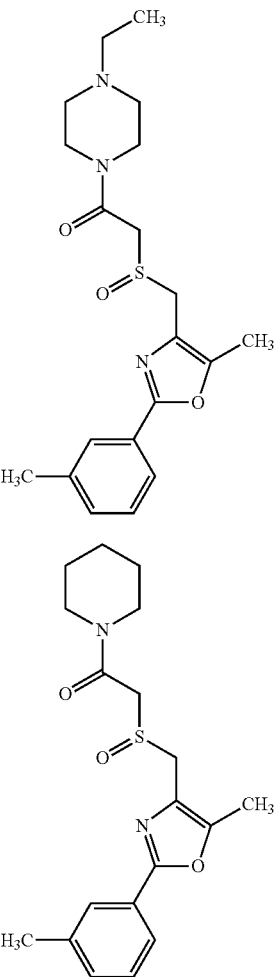
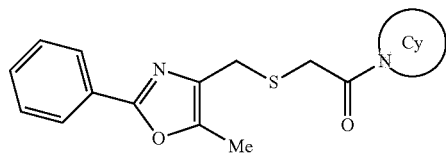
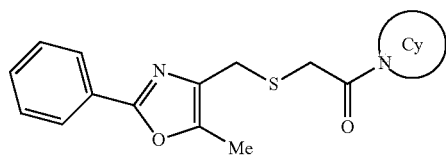
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2165		388.53
IIa-2166		389.52
IIa-2167		360.48

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

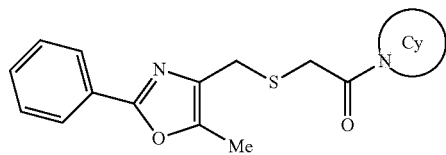
ID	Structure	MW
IIa-2168		433.53
IIa-2169		437.57

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2170		472.01
IIa-2171		408.52

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2172	<chem>Cc1ccc(cc1)-c2nc(C)oc2CS(=O)(=O)CC(=O)N3CCCCC3C4=CC=C(C)CC=C4</chem>	465.62
IIa-2173	<chem>Cc1ccc(cc1)-c2nc(C)oc2CS(=O)(=O)CC(=O)N3CCOCC3</chem>	362.45

TABLE 6-continued

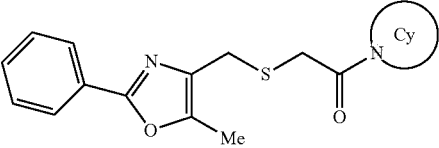
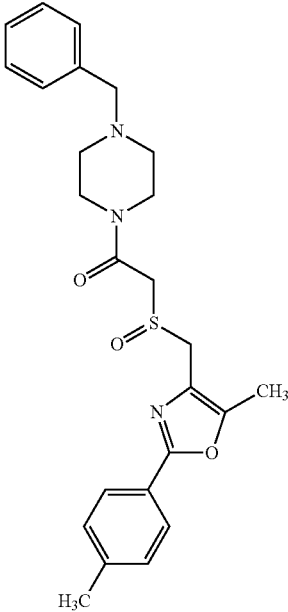
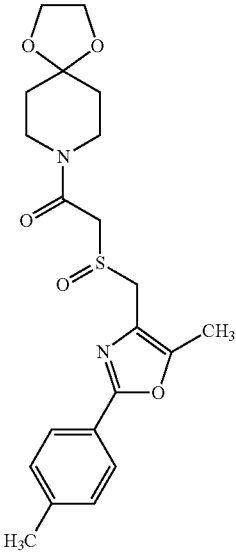
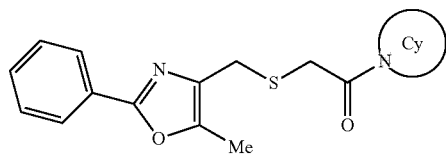
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2174		451.59
IIa-2175		418.52

TABLE 6-continued

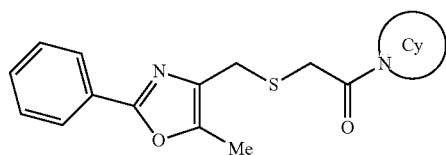
Oxazole amides (R ³ = N-cyclo)		
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ID	Structure	MW
IIa-2176		388.53

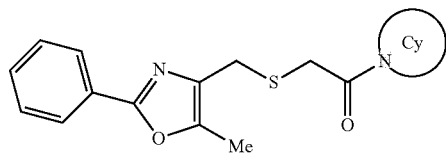
IIa-2177		455.56
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TABLE 6-continued

Oxazole amides ($R^3 = \text{N-cyclo}$)

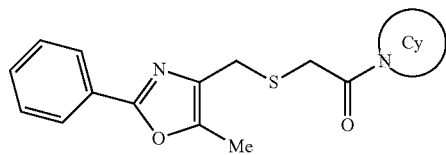
ID	Structure	MW
IIa-2178		453.95
IIa-2179		438.93

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

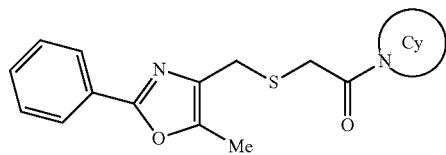
ID	Structure	MW
IIa-2180	<p>Chemical structure of compound IIa-2180. The structure features a 2-methyl-5-((2-((2-methoxyacetyl)amino)ethyl)sulfonyl)-1,3,4-oxadiazole-3-yl group attached to a benzene ring. The benzene ring is further substituted with a methyl group at the 6-position. The oxadiazole ring has a methyl group at the 4-position.</p>	433.53
IIa-2181	<p>Chemical structure of compound IIa-2181. The structure is similar to IIa-2180, but the 2-methoxyacetyl group is replaced by a 2-phenylacetyl group. The rest of the structure, including the 1,3,4-oxadiazole ring with a methyl group at position 4 and the 6-methylphenyl group, remains the same.</p>	437.57

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

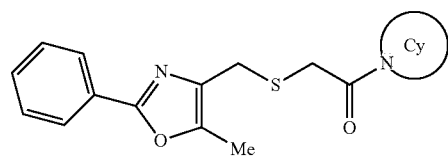
ID	Structure	MW
IIa-2182		486.04
IIa-2183		362.45

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2184		418.52
IIa-2185		455.54

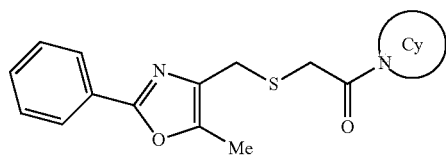
TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2186		389.52
IIa-2187		360.48
IIa-2188		394.92

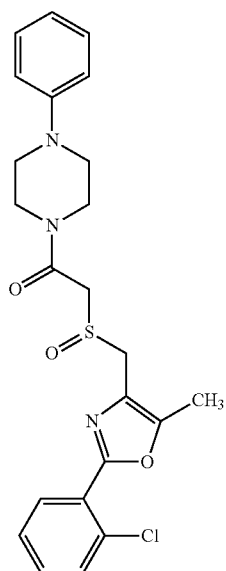
TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
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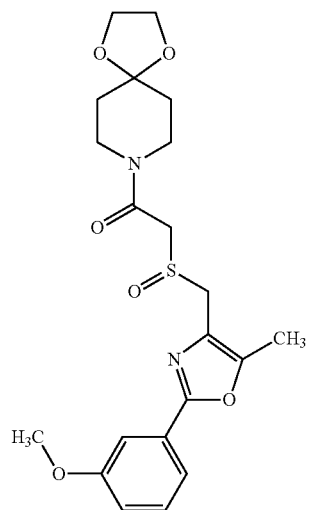
ID	Structure	MW
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IIa-2189



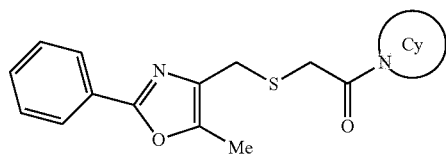
457.98

IIa-2190



434.52

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2191		479.56

IIa-2192

440.52

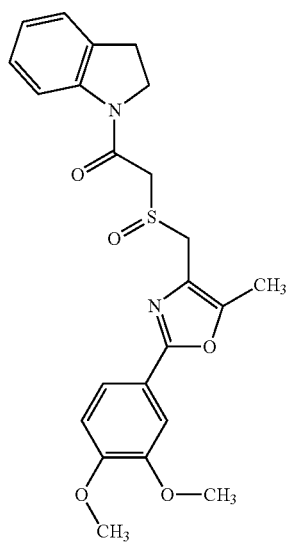
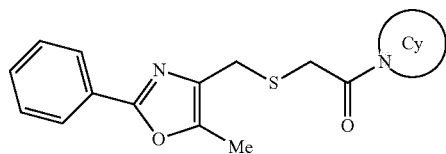


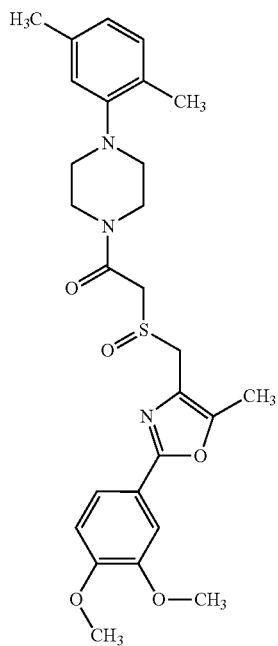
TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
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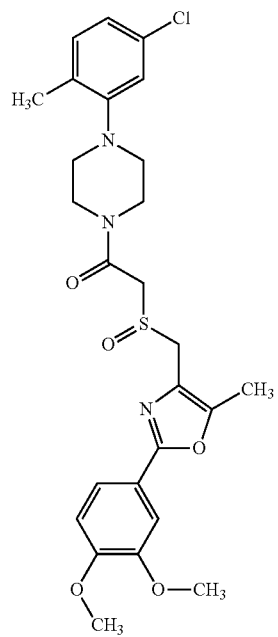
ID	Structure	MW
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IIa-2193



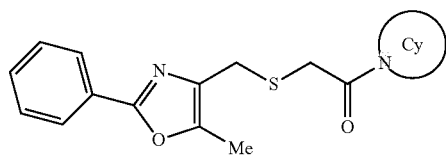
511.65

IIa-2194



532.06

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2195		511.65

IIa-2196

421.52

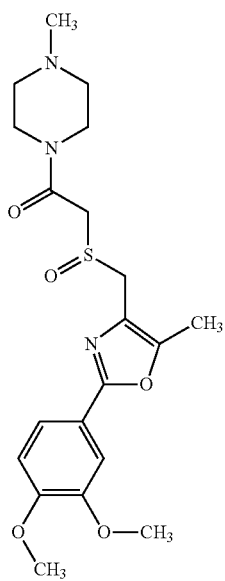
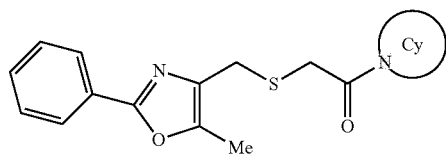


TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2197	<chem>COc1cc(OC)cc(c1-c2oc(C)c2)CS(=O)(=O)CC(=O)N3CCOCC3</chem>	408.48

IIa-2198

497.62

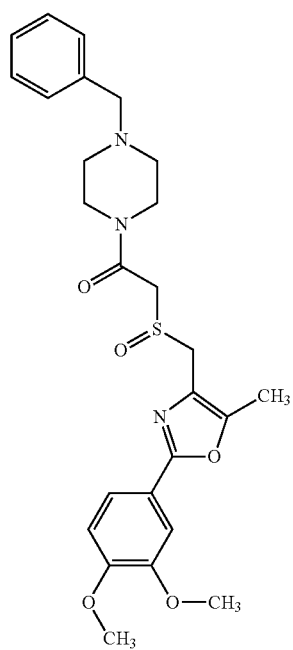
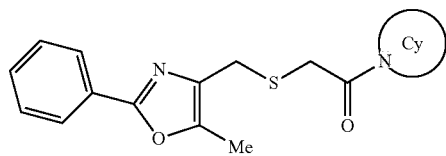


TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2199		435.55
IIa-2200		513.62

TABLE 6-continued

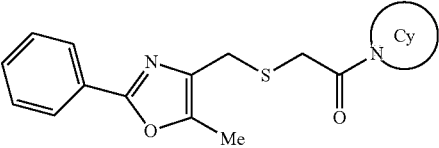
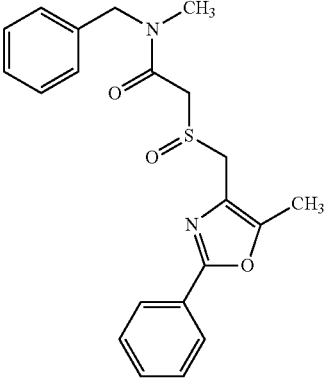
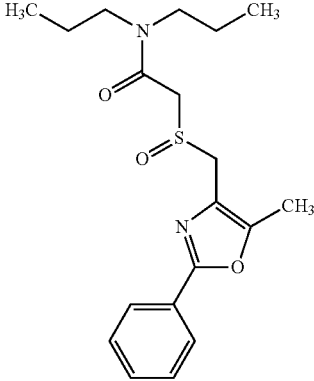
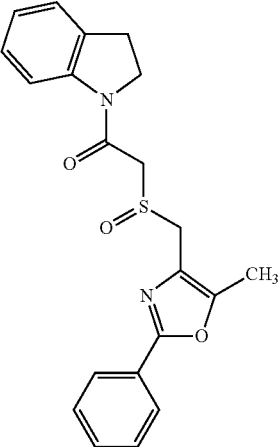
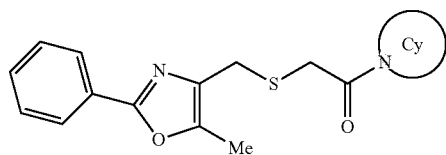
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2201		382.49
IIa-2202		362.49
IIa-2203		380.47

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2204		423.54

IIa-2205		394.50
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TABLE 6-continued

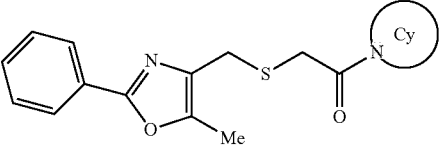
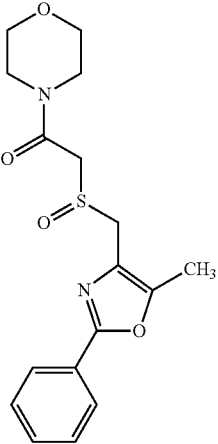
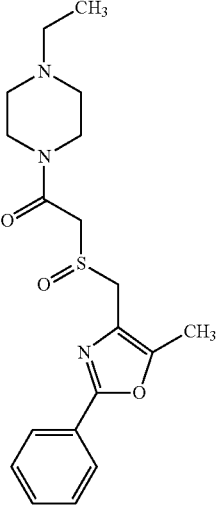
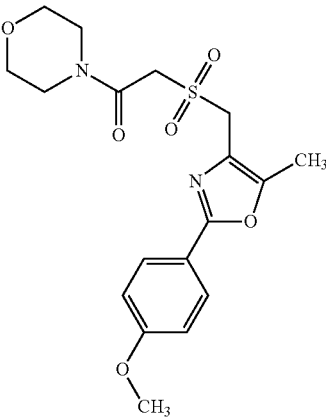
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2206		
IIa-2206		348.42
IIa-2207		375.49
IIa-2208		394.45

TABLE 6-continued

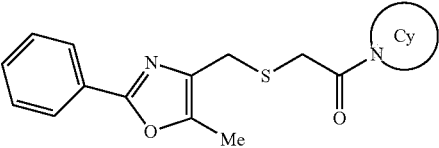
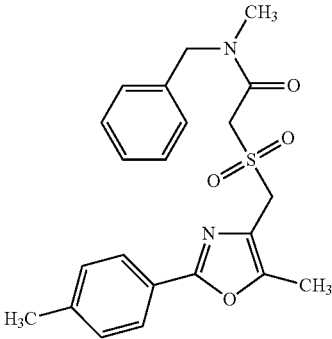
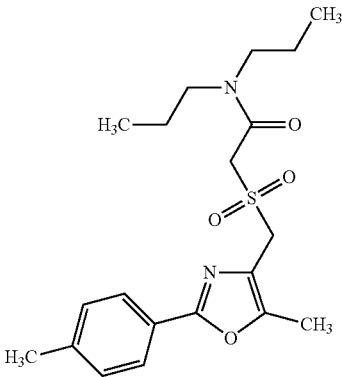
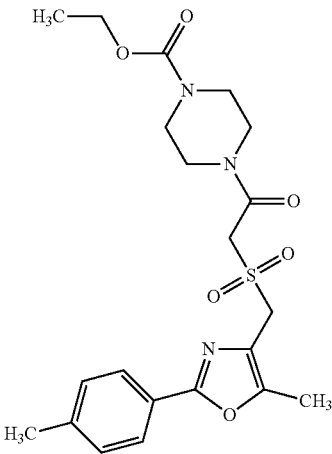
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2209		412.51
IIa-2210		392.52
IIa-2211		449.53

TABLE 6-continued

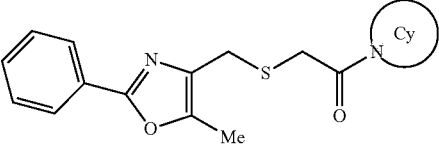
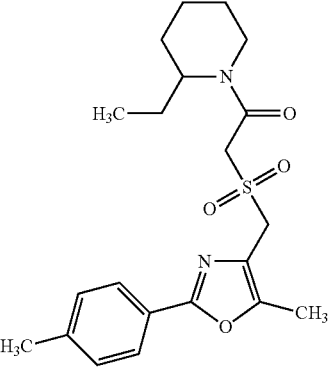
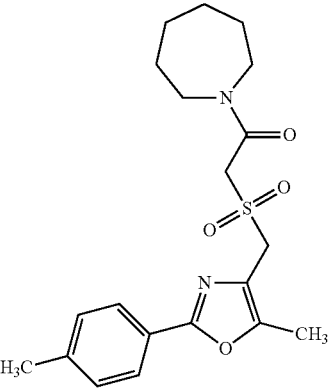
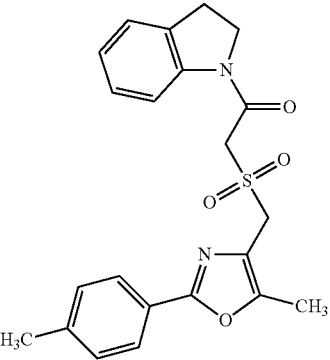
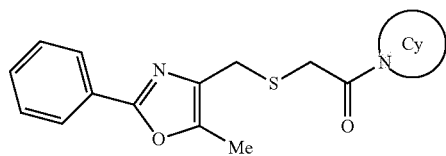
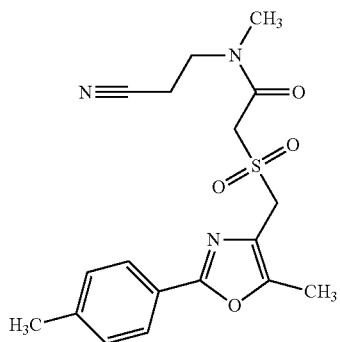
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2212		404.53
IIa-2213		390.51
IIa-2214		410.50

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

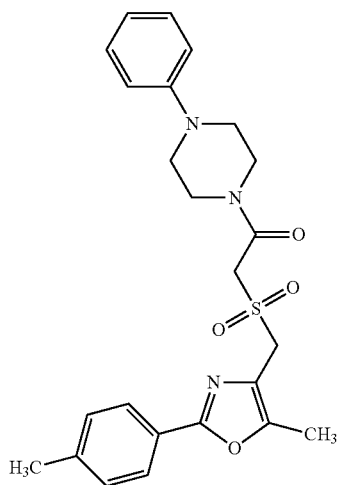
ID	Structure	MW
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IIa-2215



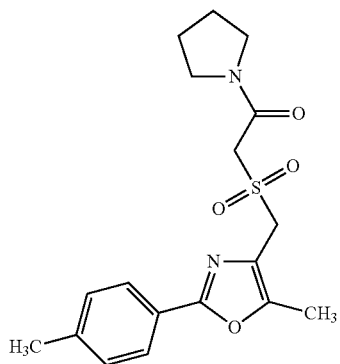
375.45

IIa-2216



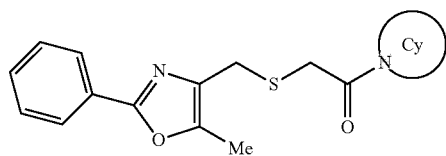
453.56

IIa-2217



362.45

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2218	<p>Chemical structure of IIa-2218. It features a 2,6-dimethylphenyl group attached to a piperazine ring. The piperazine ring is connected via its nitrogen atom to a carbonyl group (-C(=O)-), which is further connected to a methylene group (-CH₂-), then to a sulfonyl group (-SO₂-), and finally to a methylene group (-CH₂-) attached to a 2-methyl-5-(4-methylphenyl)-1,3,4-oxazole ring.</p>	481.62
IIa-2219	<p>Chemical structure of IIa-2219. It features a 3,5-dimethylphenyl group attached to a piperazine ring. The piperazine ring is connected via its nitrogen atom to a carbonyl group (-C(=O)-), which is further connected to a methylene group (-CH₂-), then to a sulfonyl group (-SO₂-), and finally to a methylene group (-CH₂-) attached to a 2-methyl-5-(4-methylphenyl)-1,3,4-oxazole ring.</p>	481.62
IIa-2220	<p>Chemical structure of IIa-2220. It features a 1,2,3,4-tetrahydroisoquinoline ring system attached to a piperazine ring. The piperazine ring is connected via its nitrogen atom to a carbonyl group (-C(=O)-), which is further connected to a methylene group (-CH₂-), then to a sulfonyl group (-SO₂-), and finally to a methylene group (-CH₂-) attached to a 2-methyl-5-(4-methylphenyl)-1,3,4-oxazole ring.</p>	424.52

TABLE 6-continued

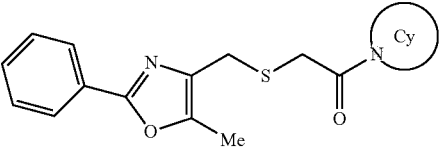
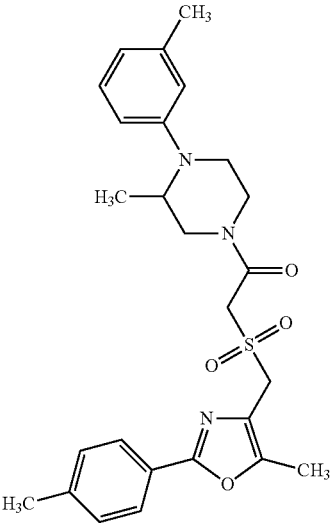
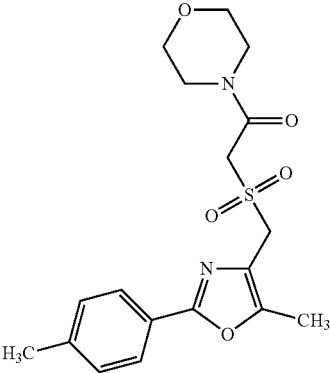
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2221		481.62
IIa-2222		391.49
IIa-2223		378.45

TABLE 6-continued

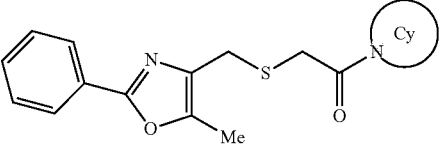
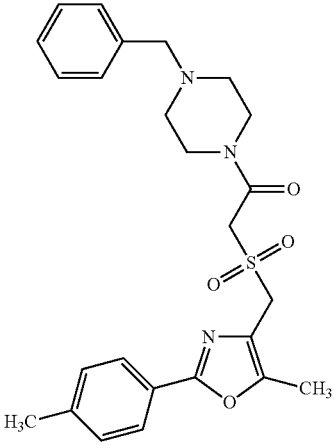
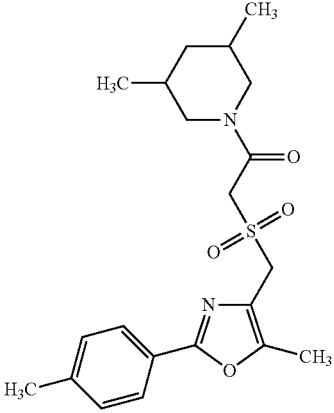
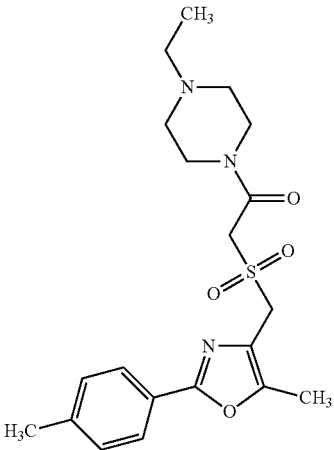
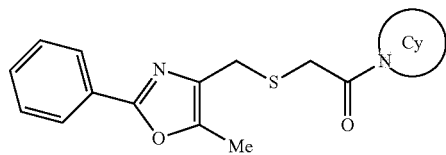
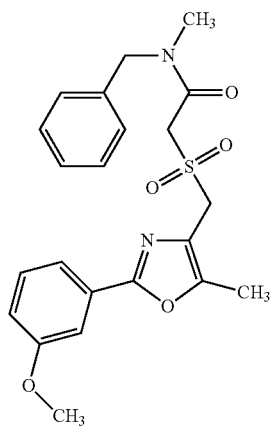
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2224		467.59
IIa-2225		404.53
IIa-2226		405.52

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

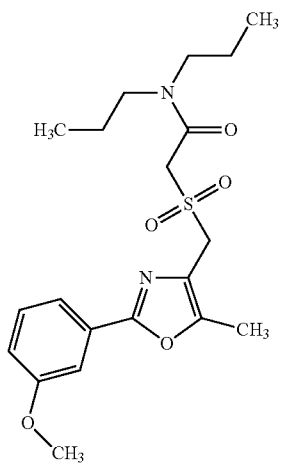
ID	Structure	MW
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IIa-2227



428.51

IIa-2228



408.52

TABLE 6-continued

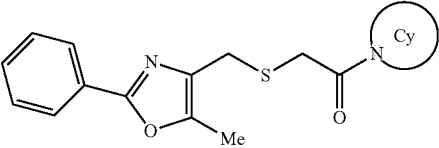
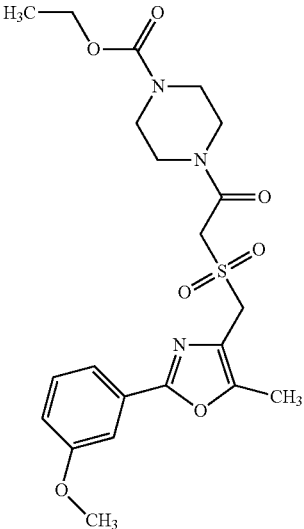
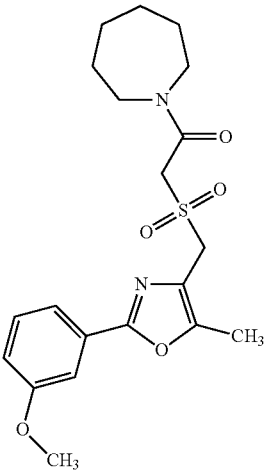
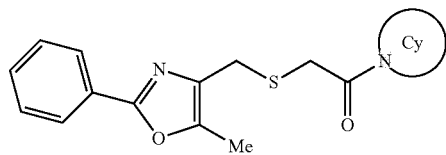
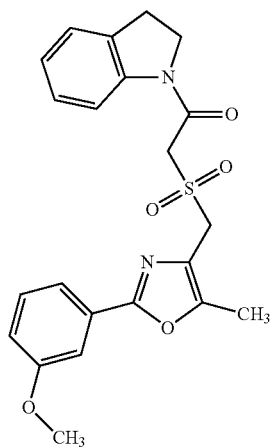
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2229		465.53
IIa-2230		406.50

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

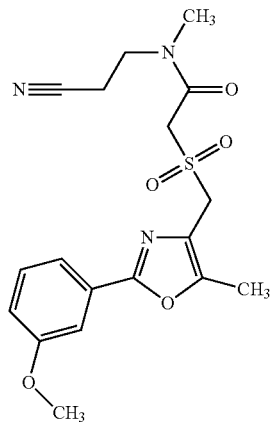
ID	Structure	MW
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IIa-2231



426.50

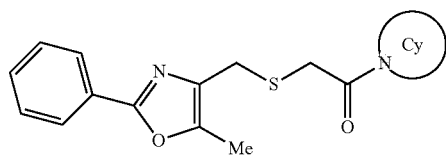
IIa-2232



391.45

TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
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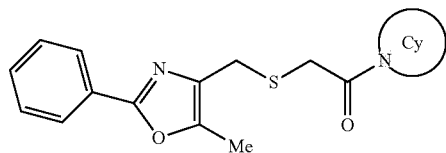


ID	Structure	MW
IIa-2233		469.56

IIa-2234		378.45
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TABLE 6-continued

Oxazole amides (R³ = N-cyclo)



ID	Structure	MW
IIa-2235		475.61

IIa-2236

497.62

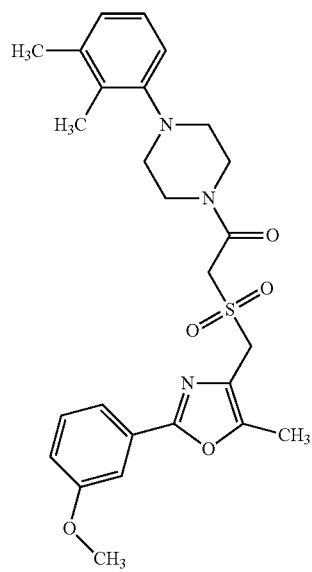
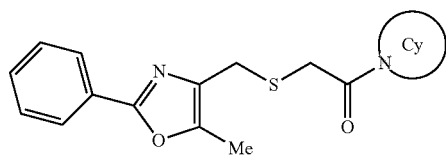


TABLE 6-continued

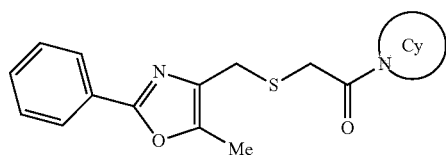
Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2237		497.62

IIa-2238		440.52
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TABLE 6-continued

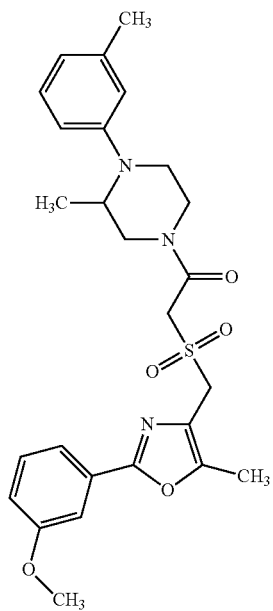
Oxazole amides (R ³ = N-cyclo)		
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ID	Structure	MW
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IIa-2239

497.62



IIa-2240

380.47

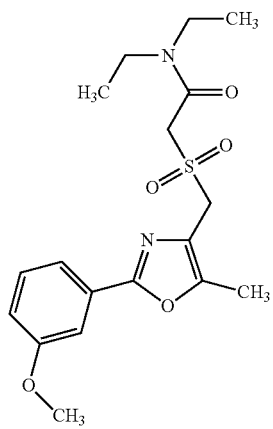
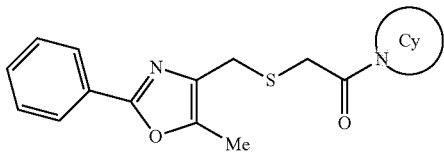


TABLE 6-continued

Oxazole amides ($R^3 = \text{N-cyclo}$)

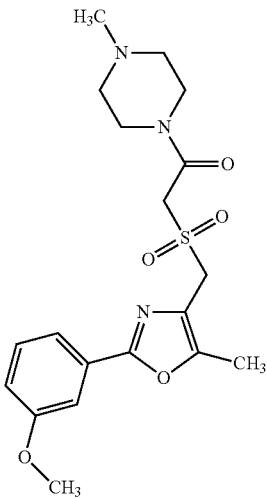
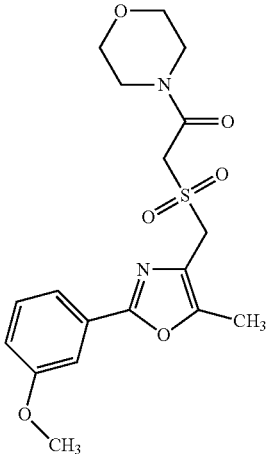
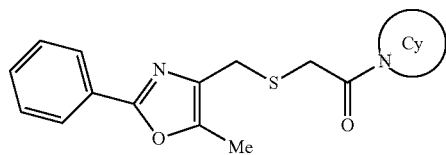
ID	Structure	MW
IIa-2241		407.49
IIa-2242		394.45

TABLE 6-continued

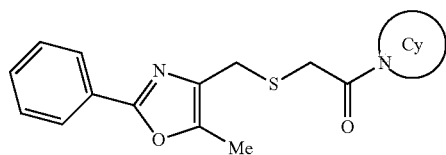
Oxazole amides (R³ = N-cyclo)



ID	Structure	MW
IIa-2243		483.59

IIa-2244		435.55
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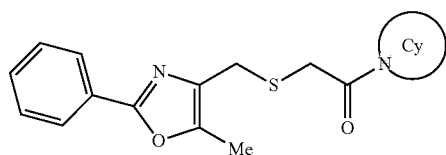
TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2245		470.55
IIa-2246		394.49

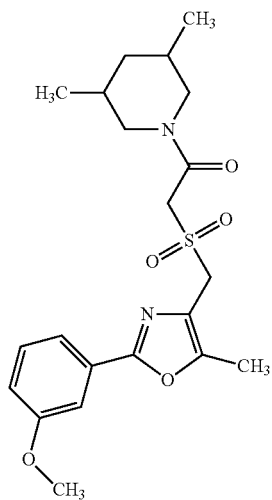
TABLE 6-continued

Oxazole amides (R ³ = N-cyclo)		
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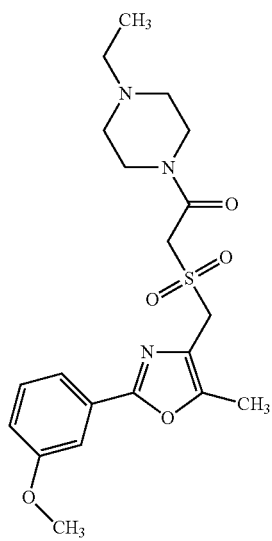
ID	Structure	MW
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IIa-2247



420.53

IIa-2248



421.52

TABLE 6-continued

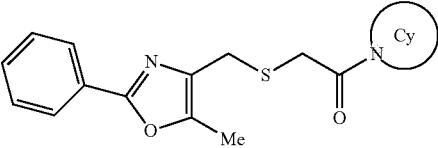
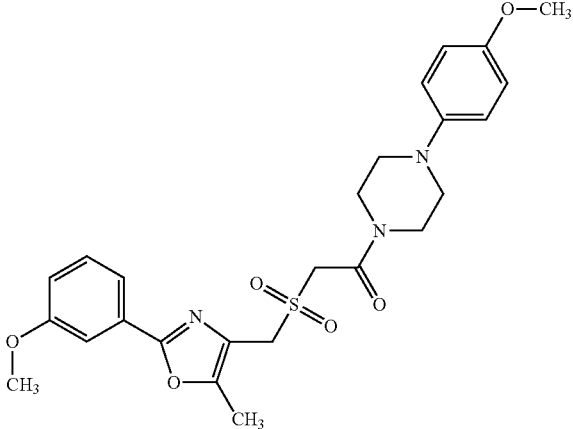
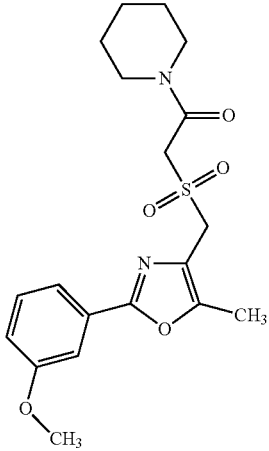
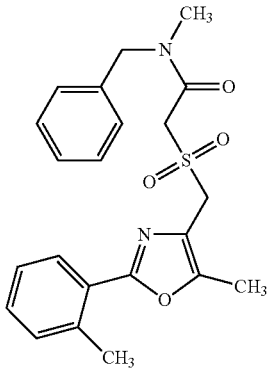
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2249		499.59
IIa-2250		392.48
IIa-2251		412.51

TABLE 6-continued

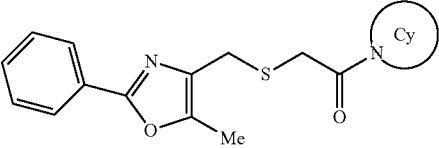
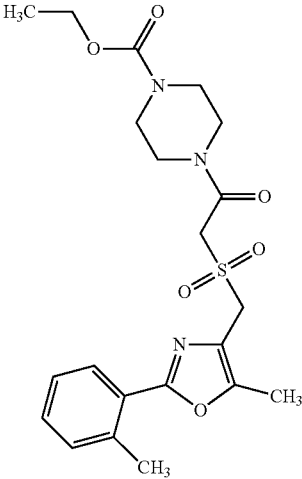
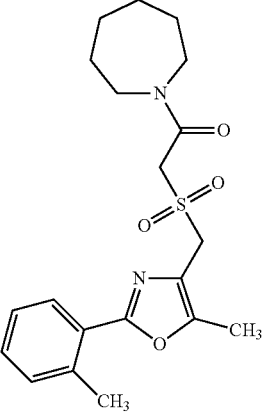
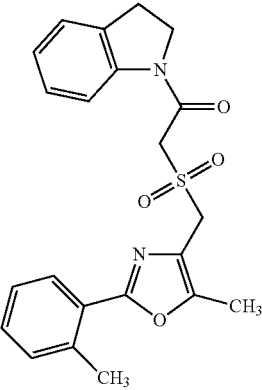
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2252		449.53
IIa-2253		390.51
IIa-2254		410.50

TABLE 6-continued

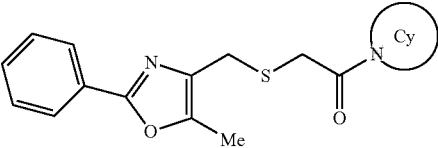
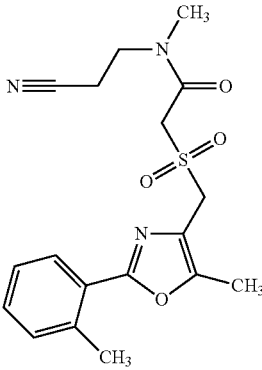
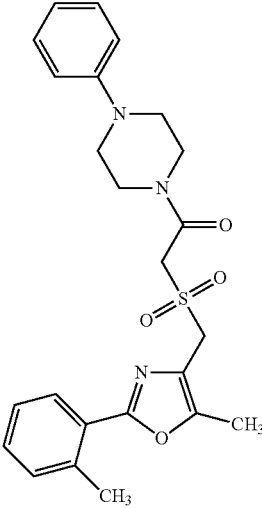
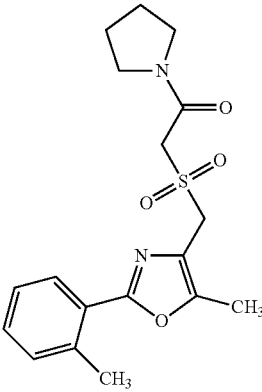
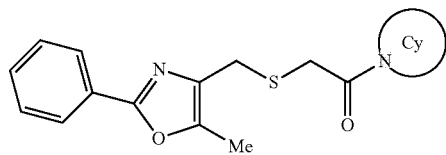
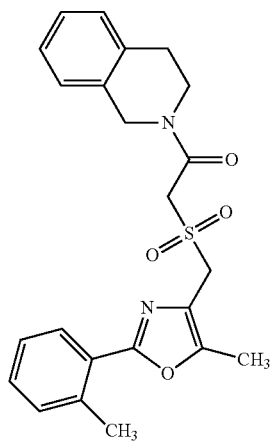
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2255		375.45
IIa-2256		453.56
IIa-2257		362.45

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

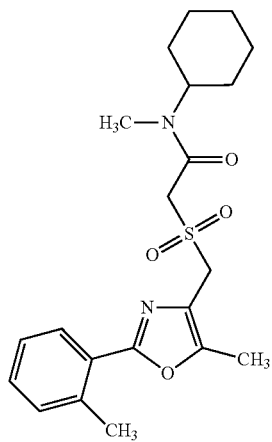
ID	Structure	MW
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IIa-2258



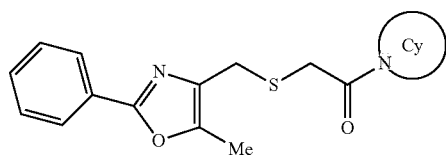
424.52

IIa-2259



404.53

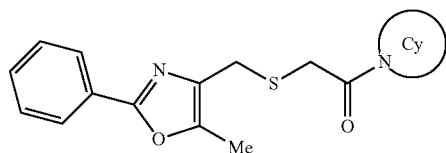
TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2260	<p>Chemical structure of IIa-2260. It consists of a 2-methyl-5-(3-methylphenyl)-1,3,4-oxazole ring connected via a methylene group to a sulfonamide group (-SO₂-CH₂-C(=O)-). The sulfonamide group is further connected to a piperazine ring, which has a 4-methylphenyl group attached to one of its nitrogens.</p>	481.62
IIa-2261	<p>Chemical structure of IIa-2261. It consists of a 2-methyl-5-(3-methylphenyl)-1,3,4-oxazole ring connected via a methylene group to a sulfonamide group (-SO₂-CH₂-C(=O)-). The sulfonamide group is further connected to a piperazine ring, which has a methyl group attached to one of its nitrogens.</p>	391.49
IIa-2262	<p>Chemical structure of IIa-2262. It consists of a 2-methyl-5-(3-methylphenyl)-1,3,4-oxazole ring connected via a methylene group to a sulfonamide group (-SO₂-CH₂-C(=O)-). The sulfonamide group is further connected to a morpholine ring.</p>	378.45

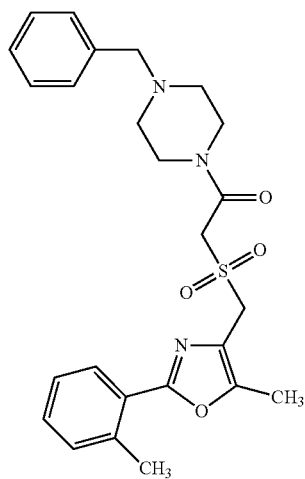
TABLE 6-continued

Oxazole amides (R³ = N-cyclo)



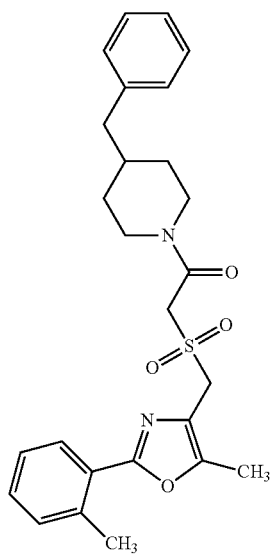
ID	Structure	MW
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IIa-2263



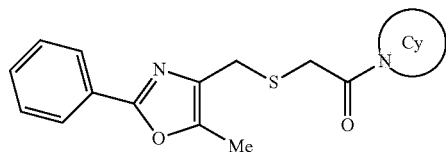
467.59

IIa-2264



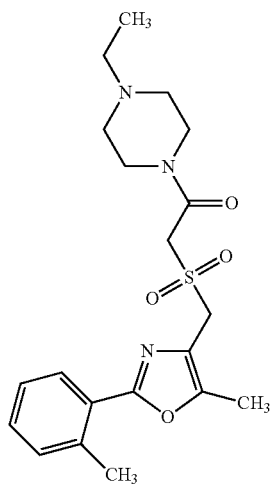
466.60

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

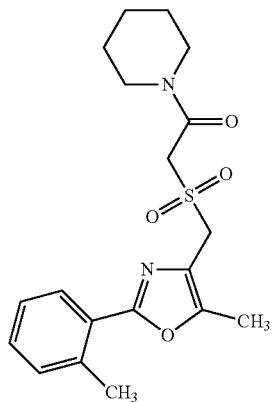
ID	Structure	MW
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IIa-2265



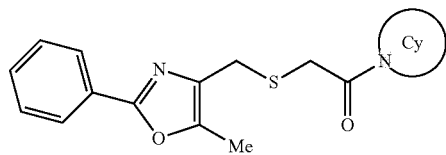
405.52

IIa-2266



376.48

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2267		491.97
IIa-2268		408.50

TABLE 6-continued

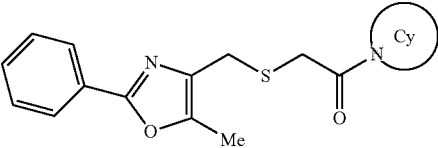
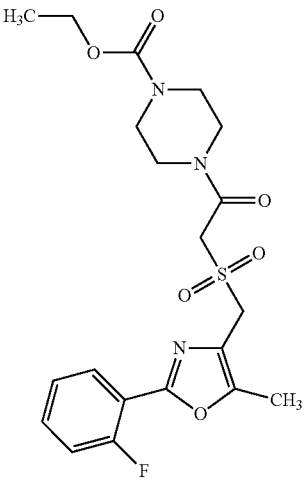
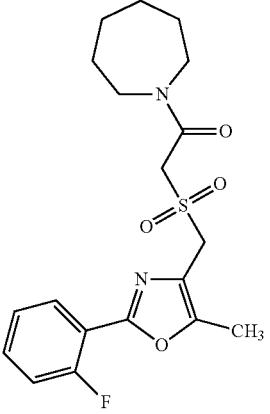
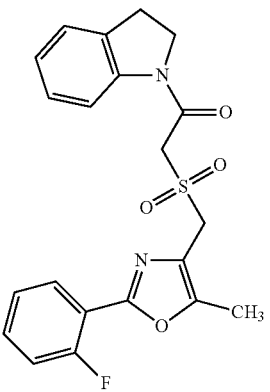
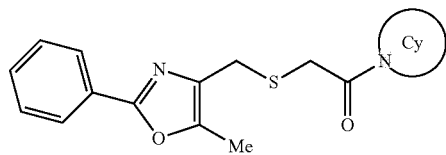
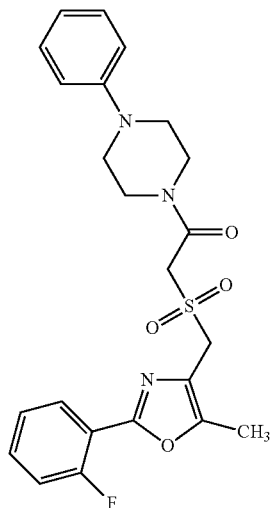
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2269		453.49
IIa-2270		394.47
IIa-2271		414.46

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

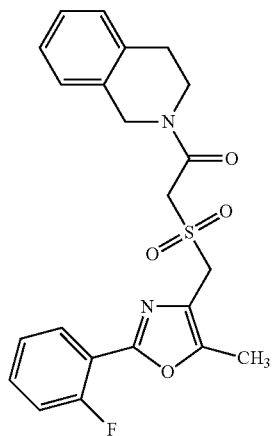
ID	Structure	MW
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IIa-2272



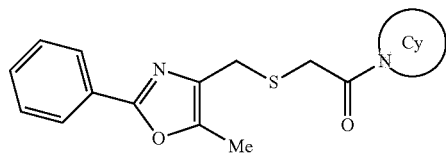
457.53

IIa-2273



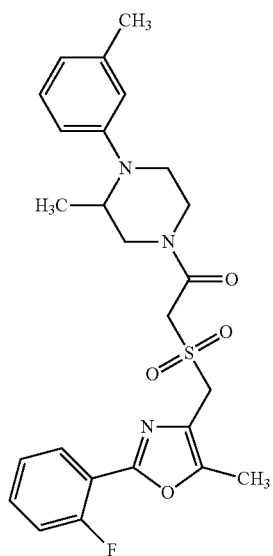
428.49

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

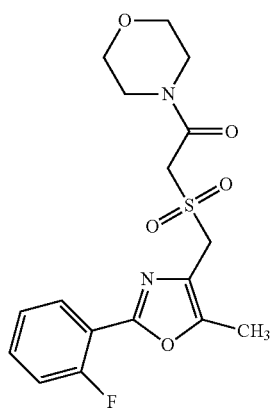
ID	Structure	MW
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IIa-2274



485.58

IIa-2275



382.41

TABLE 6-continued

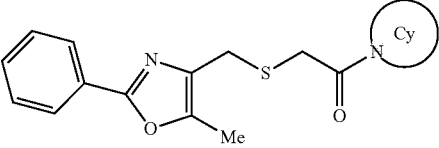
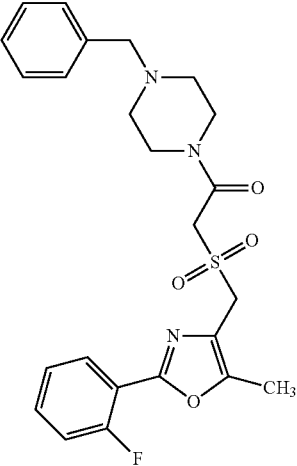
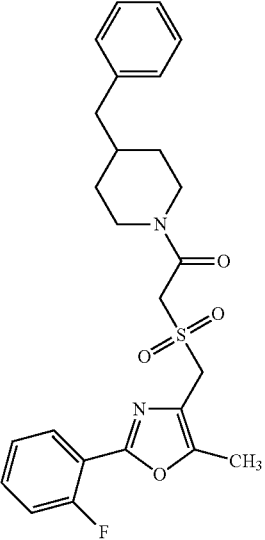
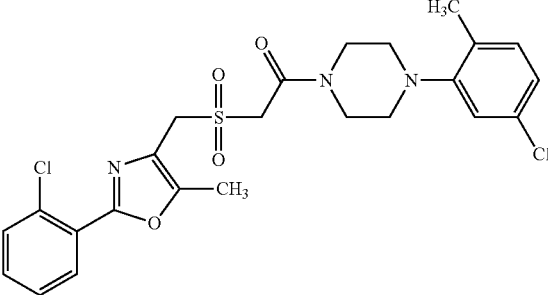
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2276		471.55
IIa-2277		470.57
IIa-2278		522.45

TABLE 6-continued

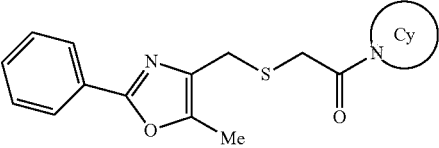
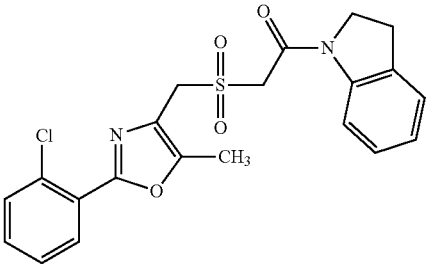
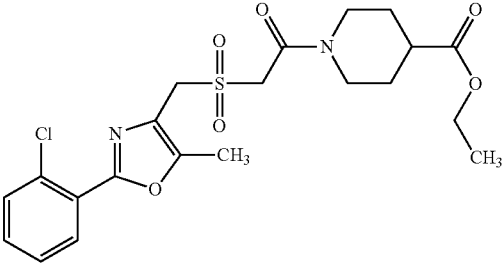
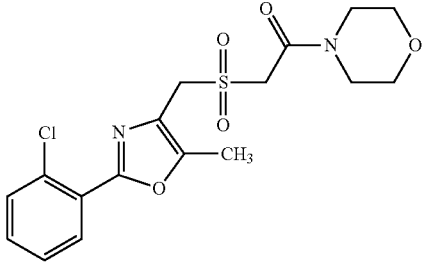
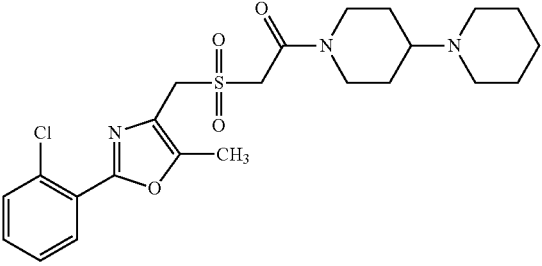
Oxazole amides (R ³ = N-cyclo)		
		
ID	Structure	MW
IIa-2279		430.91
IIa-2280		468.96
IIa-2281		398.87
IIa-2282		480.03

TABLE 6-continued

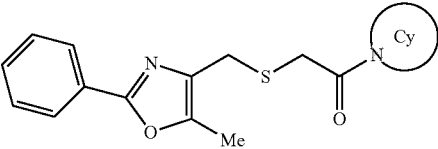
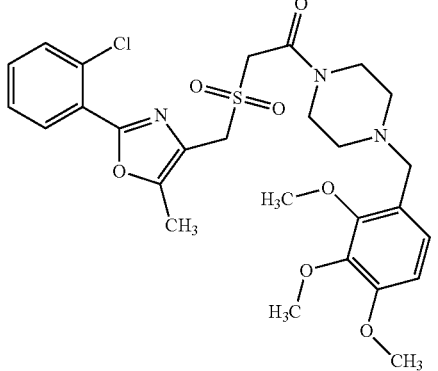
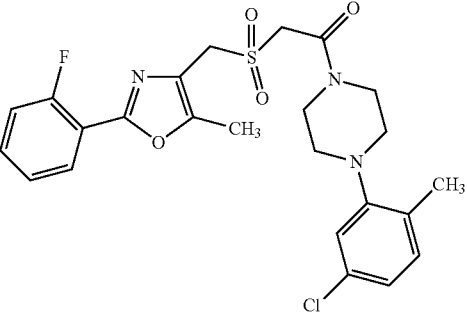
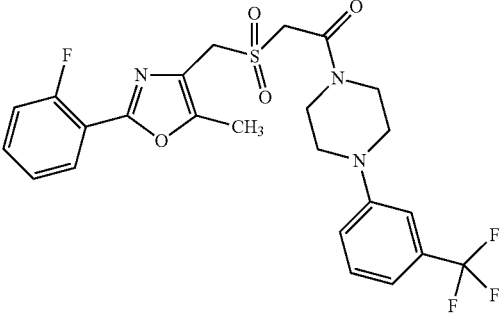
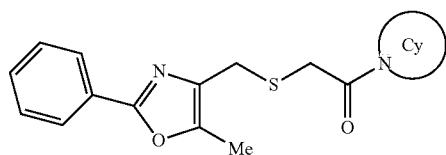
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2283		578.09
IIa-2284		475.52
IIa-2285		506.00
IIa-2286		525.53

TABLE 6-continued

Oxazole amides (R³ = N-cyclo)

ID	Structure	MW
IIa-2287		514.62
IIa-2288		374.46
IIa-2289		441.60

TABLE 6-continued

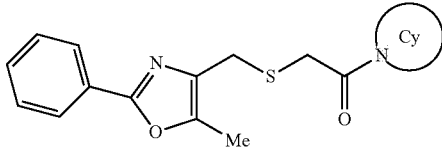
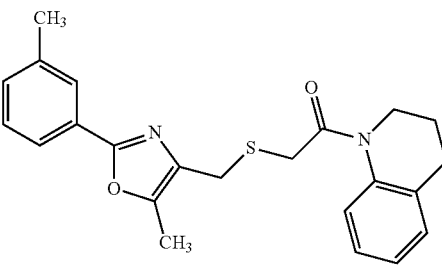
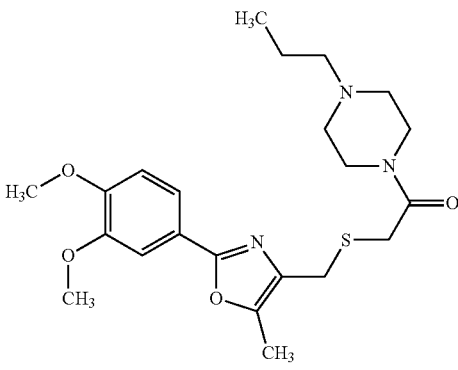
Oxazole amides (R ³ = N-cyclo)		
ID	Structure	MW
IIa-2290		392.52
IIa-2291		433.57
		

TABLE 7

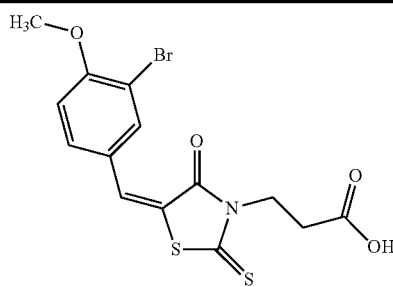
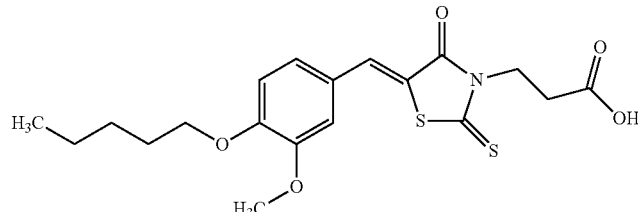
Phenylmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-1		402.3
IIb-2		409.5

TABLE 7-continued

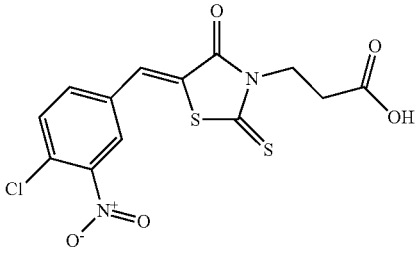
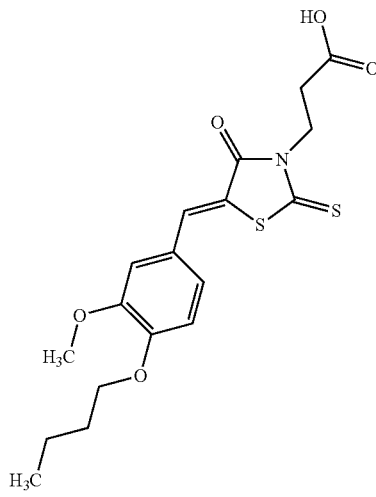
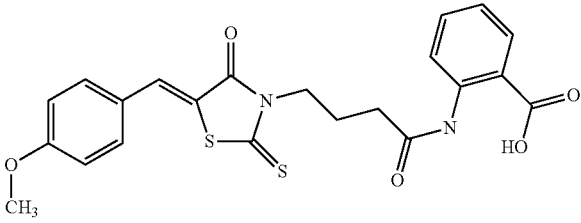
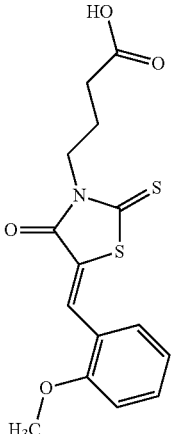
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-3		372.8
IIb-4		395.5
IIb-5		456.5
IIb-6		337.4

TABLE 7-continued

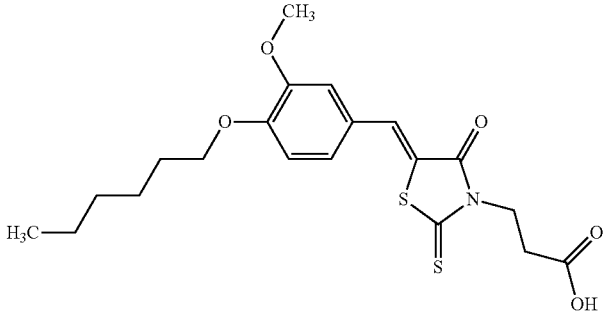
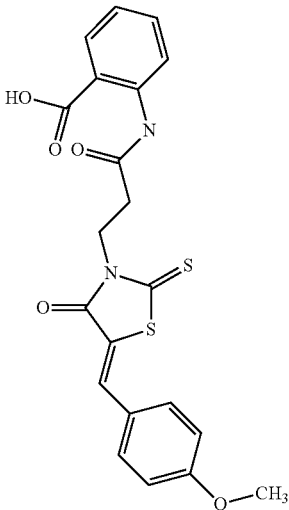
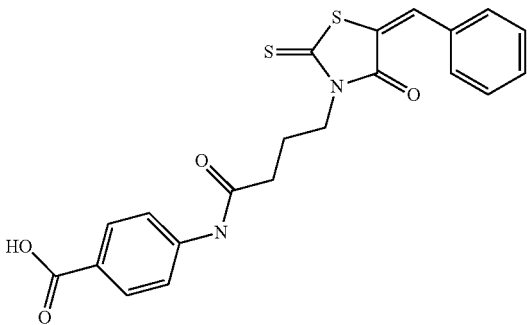
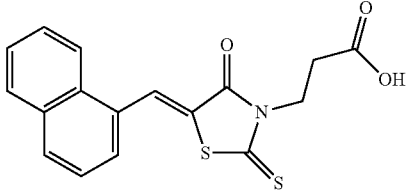
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-7		423.6
IIb-8		442.5
IIb-9		426.5
IIb-10		343.4

TABLE 7-continued

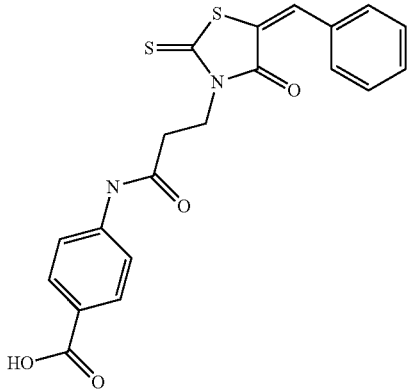
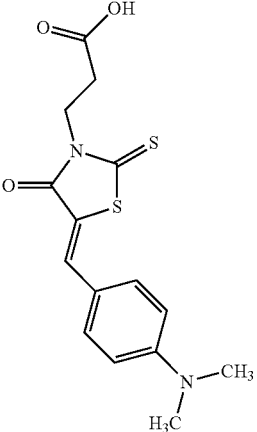
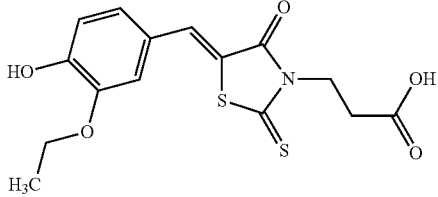
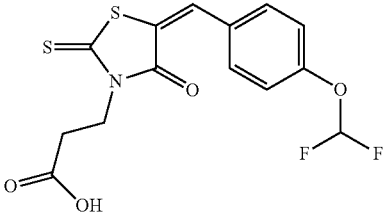
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-11		412.5
IIb-12		336.4
IIb-13		353.4
IIb-14		359.4

TABLE 7-continued

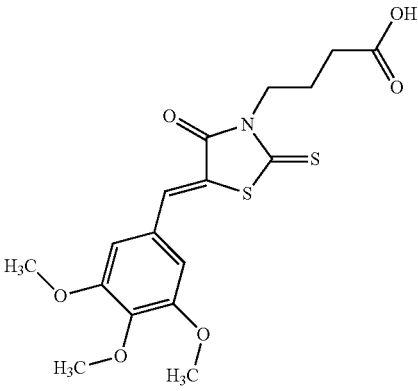
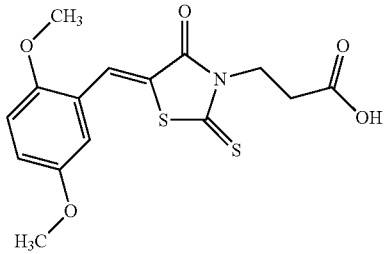
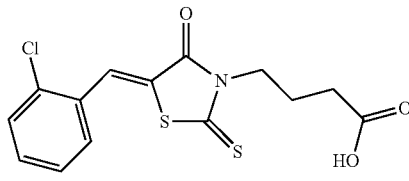
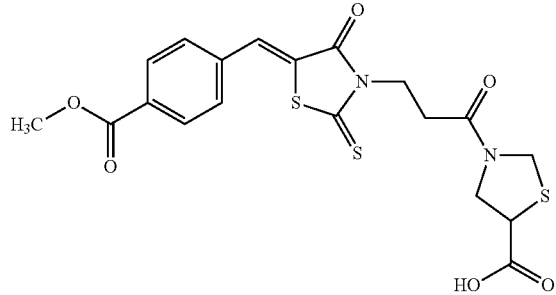
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-15		397.5
IIb-16		353.4
IIb-17		341.8
IIb-18		466.6

TABLE 7-continued

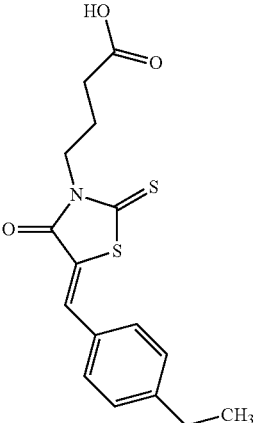
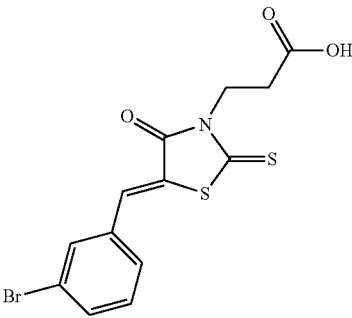
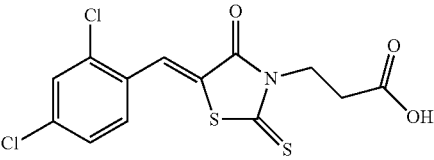
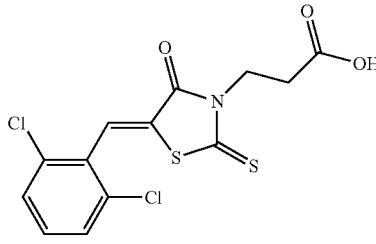
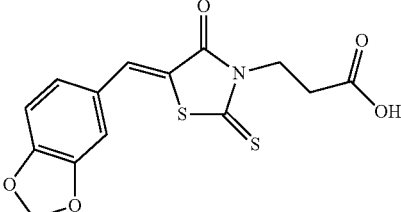
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-19		335.4
IIb-20		372.3
IIb-21		362.3
IIb-22		362.3
IIb-23		337.4

TABLE 7-continued

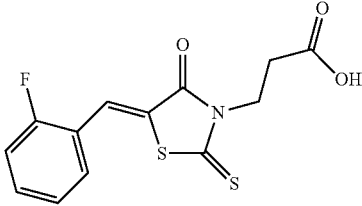
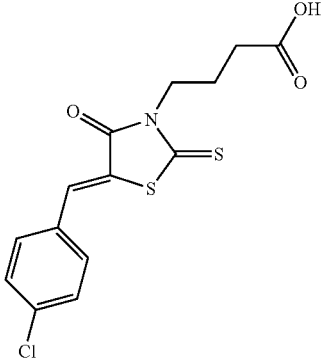
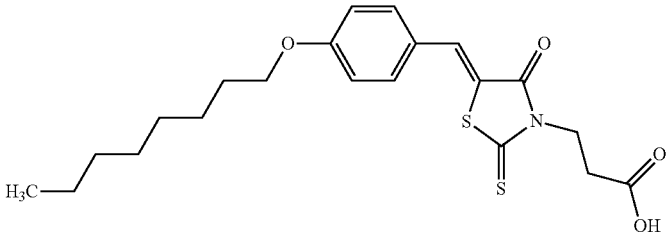
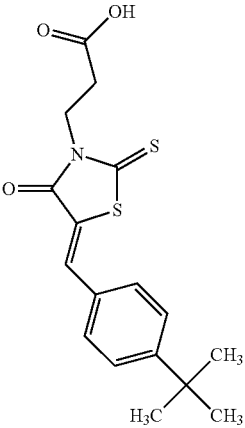
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-24		311.4
IIb-25		341.8
IIb-26		421.6
IIb-27		349.5

TABLE 7-continued

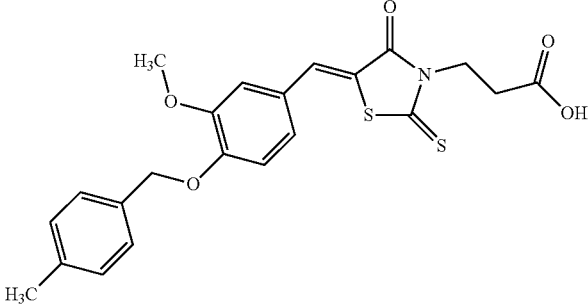
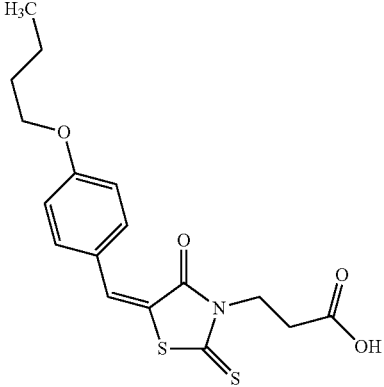
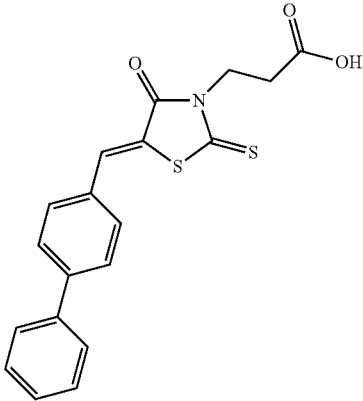
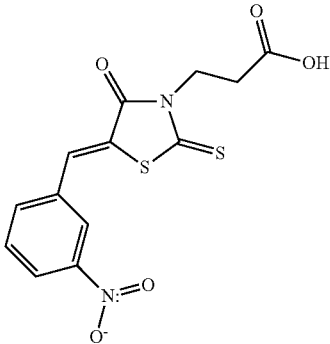
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-28		443.5
IIb-29		365.5
IIb-30		369.5
IIb-31		338.4

TABLE 7-continued

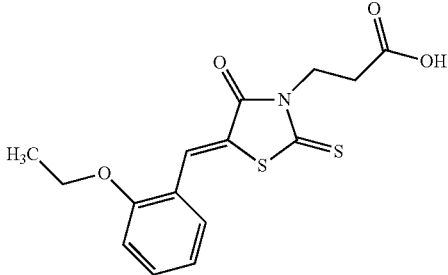
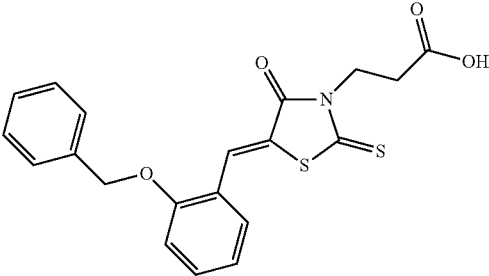
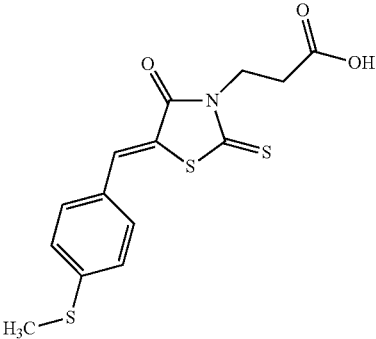
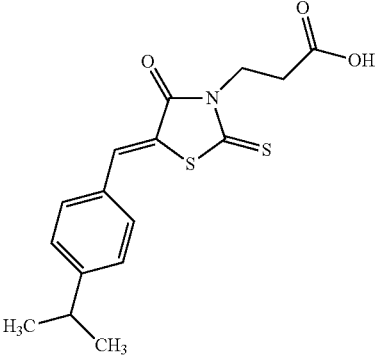
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-32		337.4
IIb-33		399.5
IIb-34		339.5
IIb-35		335.4

TABLE 7-continued

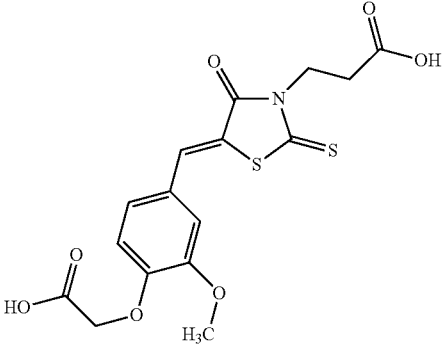
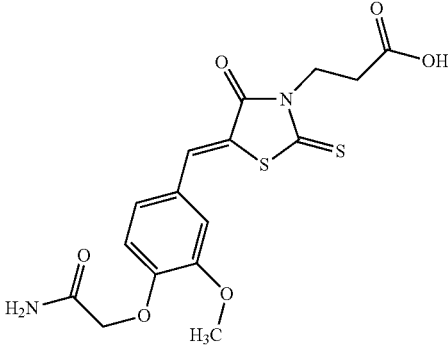
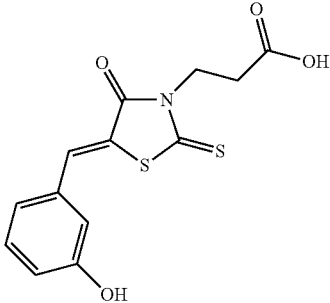
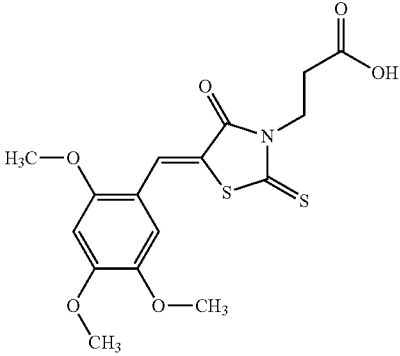
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-36		397.4
IIb-37		396.4
IIb-38		309.4
IIb-39		383.4

TABLE 7-continued

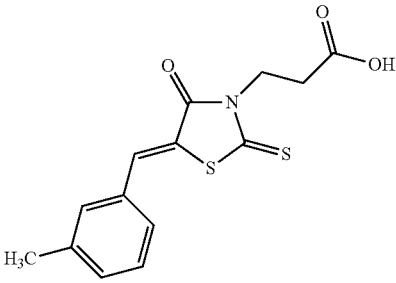
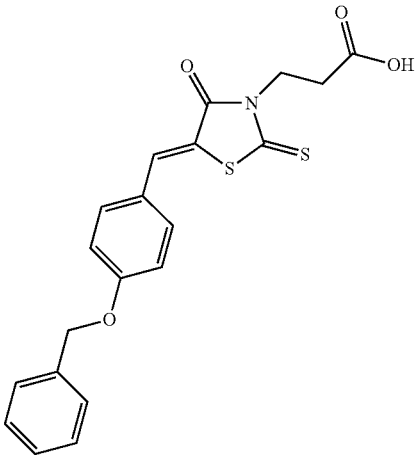
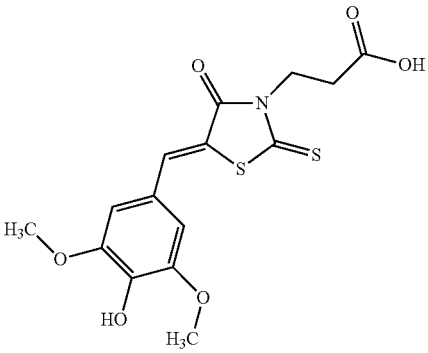
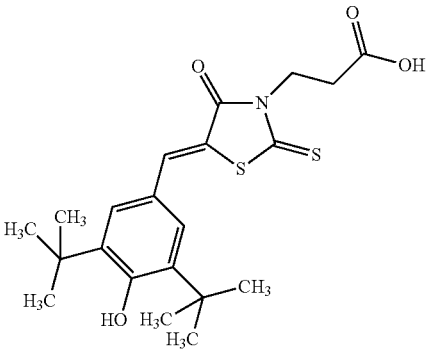
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-40		307.4
IIb-41		399.5
IIb-42		369.4
IIb-43		421.6

TABLE 7-continued

ID	Structure	MW
I Ib-44		362.3
I Ib-45		367.4
I Ib-46		366.4
I Ib-47		386.3

TABLE 7-continued

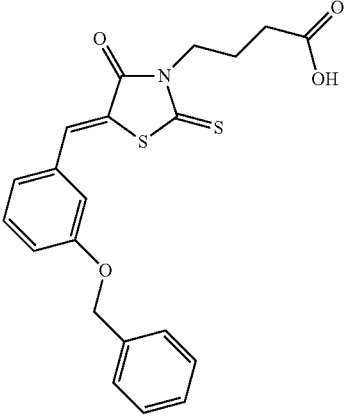
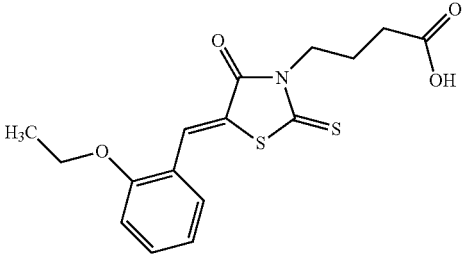
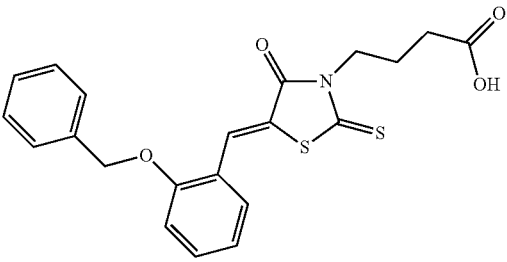
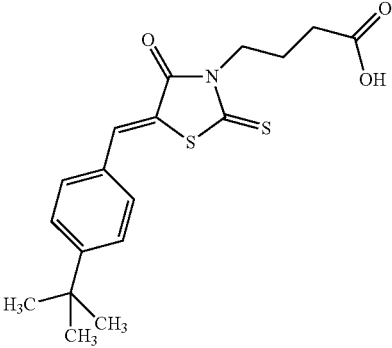
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-48		413.5
IIb-49		351.4
IIb-50		413.5
IIb-51		363.5

TABLE 7-continued

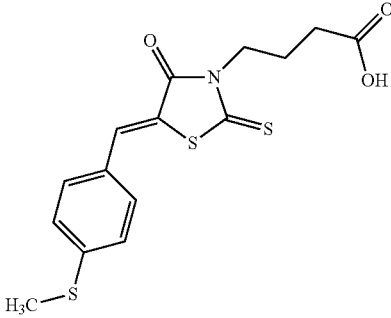
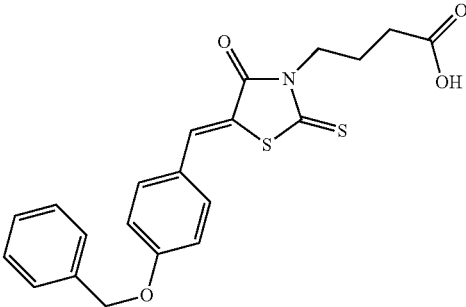
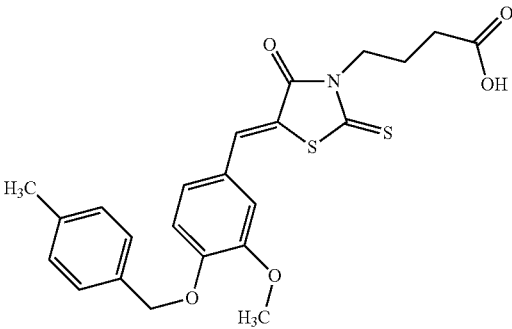
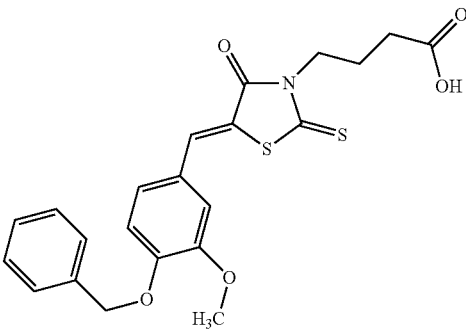
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-52		353.5
IIb-53		413.5
IIb-54		457.6
IIb-55		443.5

TABLE 7-continued

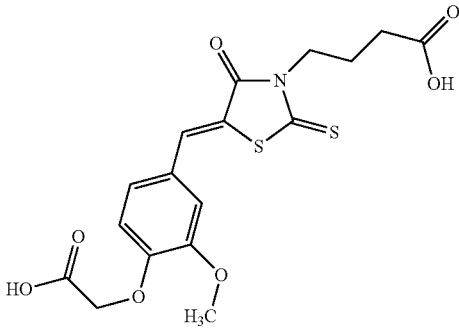
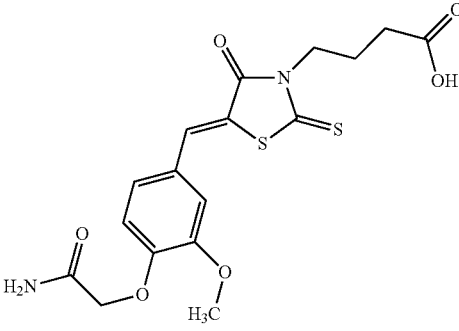
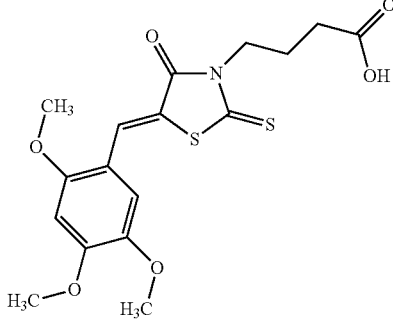
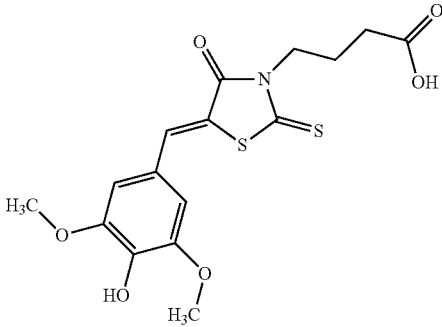
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-56		411.5
IIb-57		410.5
IIb-58		397.5
IIb-59		383.4

TABLE 7-continued

Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-60		435.6
IIb-61		353.4
IIb-62		338.4
IIb-63		460.5
IIb-64		435.6

TABLE 7-continued

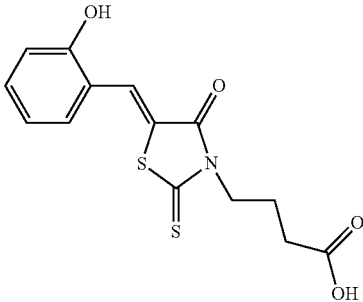
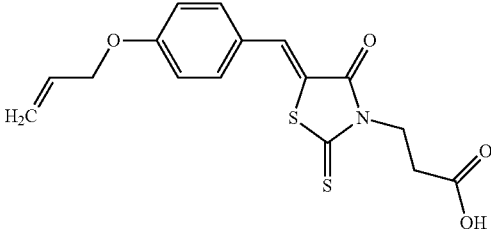
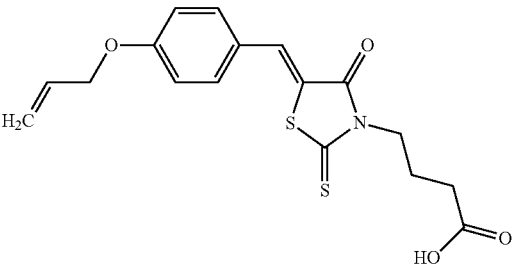
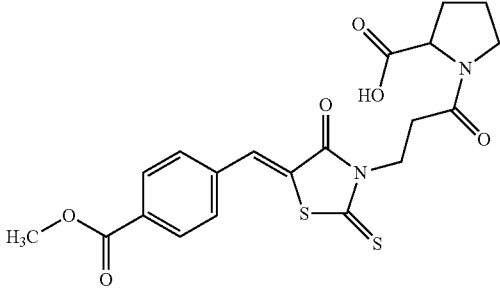
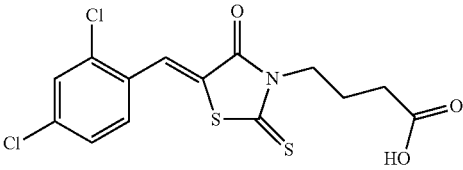
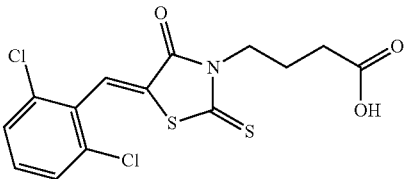
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-65		323.4
IIb-66		349.4
IIb-67		363.5
IIb-68		448.5
IIb-69		376.3
IIb-70		376.3

TABLE 7-continued

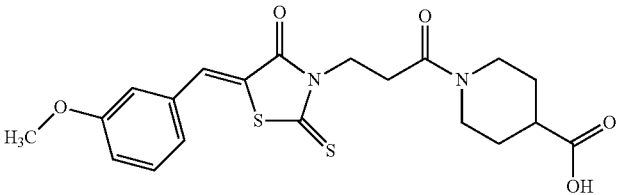
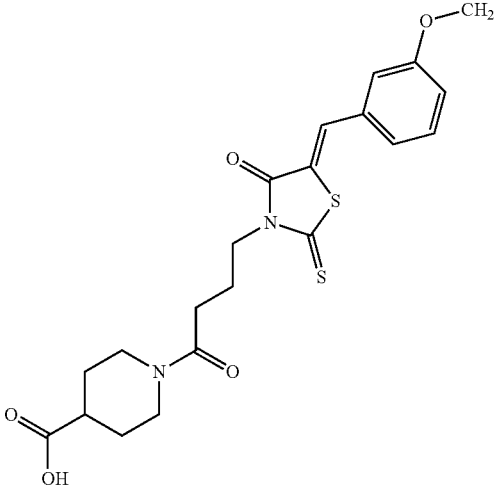
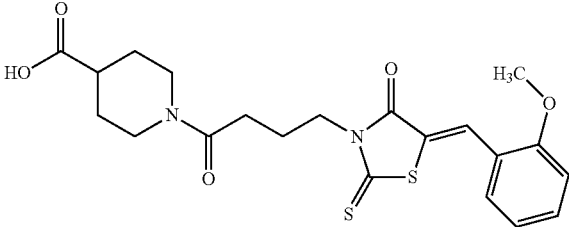
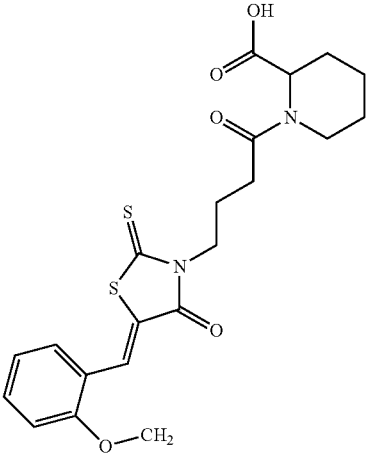
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-71		434.5
IIb-72		448.6
IIb-73		448.6
IIb-74		448.6

TABLE 7-continued

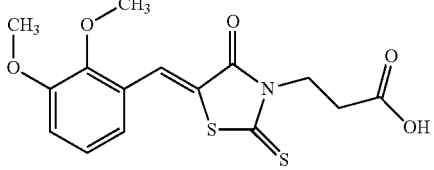
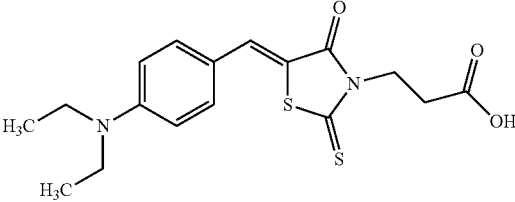
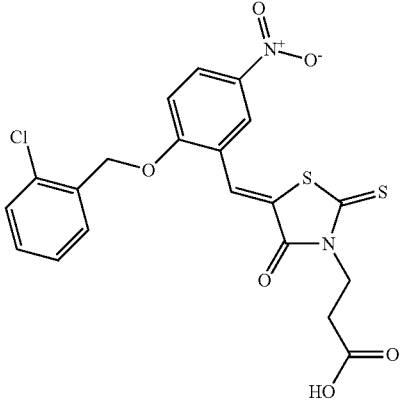
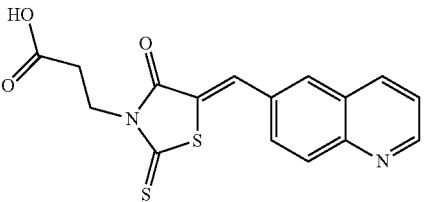
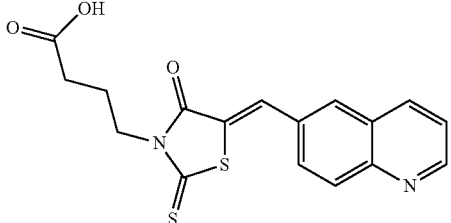
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-75		353.4
IIb-76		364.5
IIb-77		478.9
IIb-78		344.4
IIb-79		358.4

TABLE 7-continued

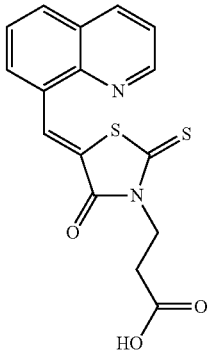
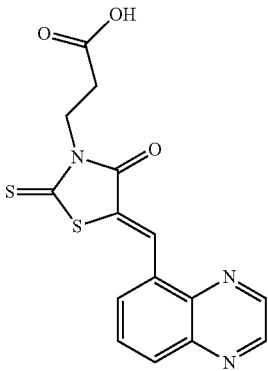
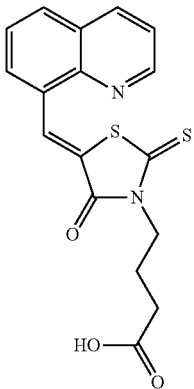
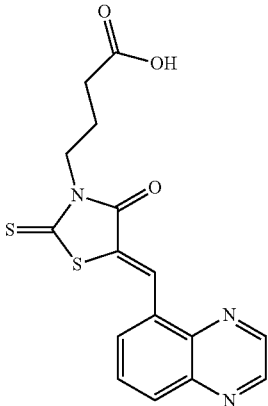
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-80		344.4
IIb-81		345.4
IIb-82		358.4
IIb-83		359.4

TABLE 7-continued

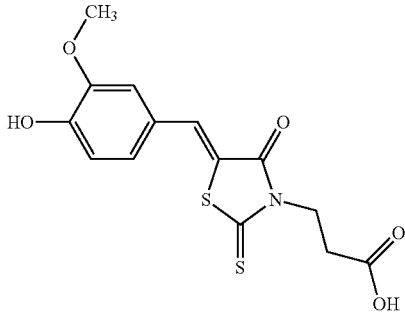
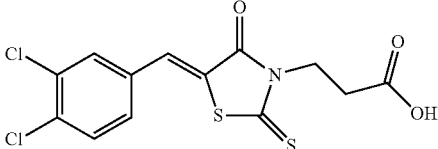
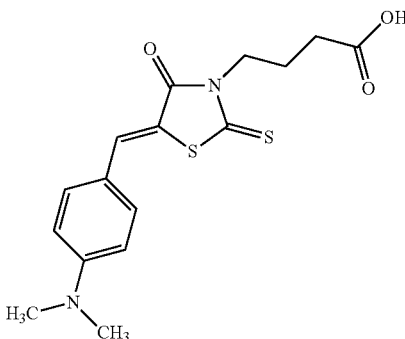
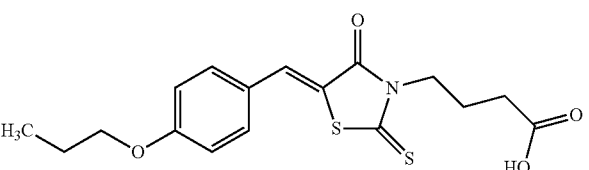
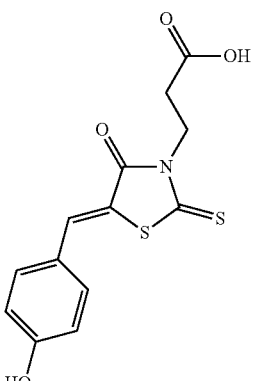
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-84		339.4
IIb-85		362.3
IIb-86		350.5
IIb-87		365.5
IIb-88		309.4

TABLE 7-continued

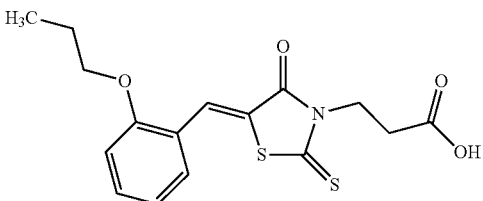
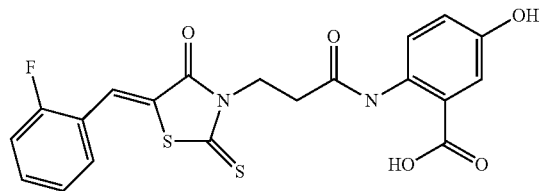
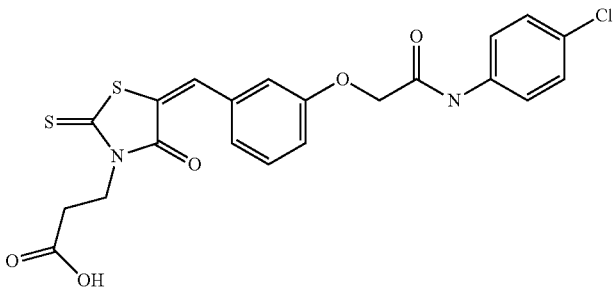
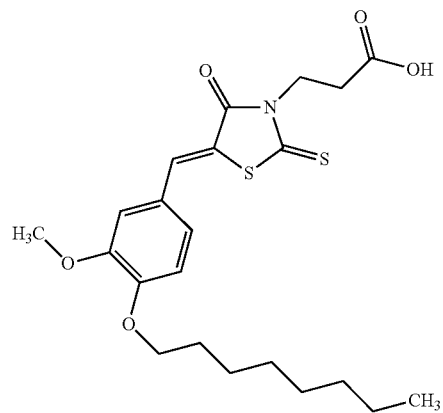
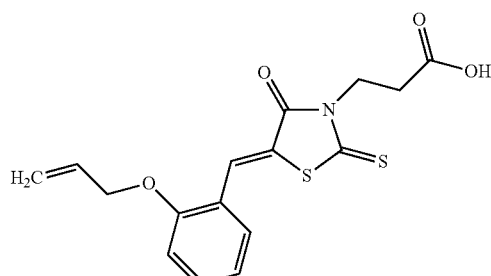
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-89		351.4
IIb-90		446.5
IIb-91		477.0
IIb-92		451.6
IIb-93		349.4

TABLE 7-continued

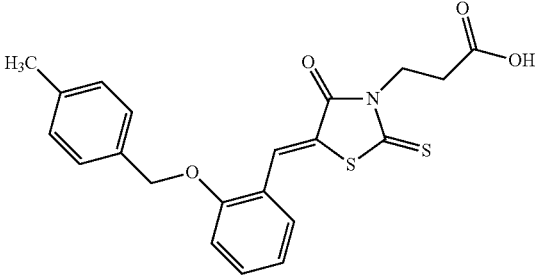
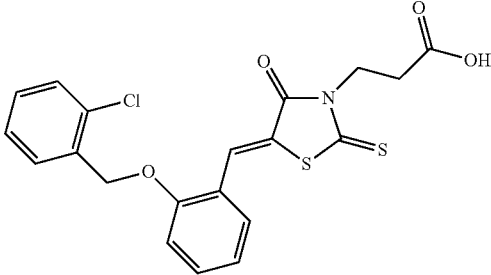
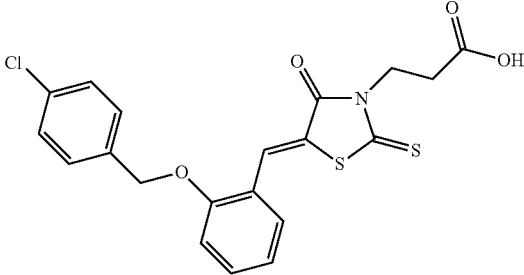
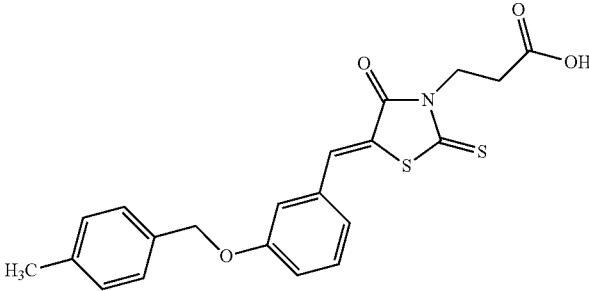
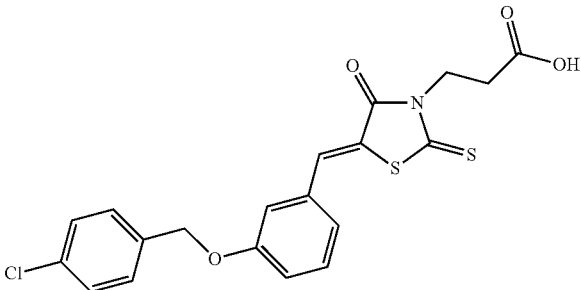
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-94		413.5
IIb-95		433.9
IIb-96		433.9
IIb-97		413.5
IIb-98		433.9

TABLE 7-continued

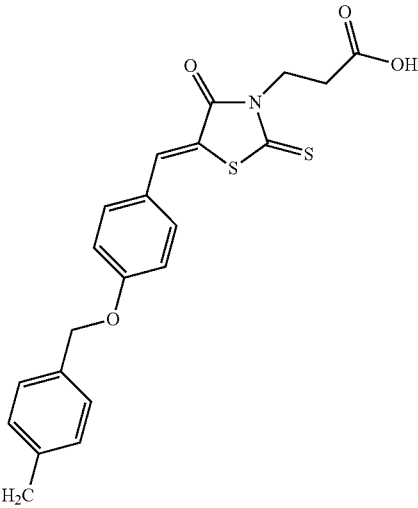
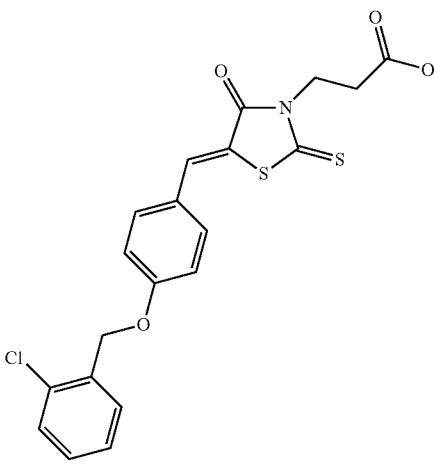
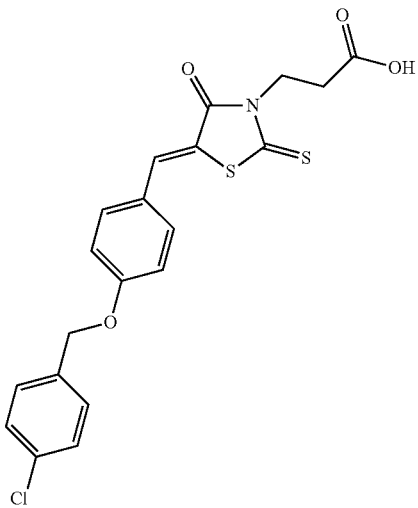
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-99		413.5
IIb-100		433.9
IIb-101		433.9

TABLE 7-continued

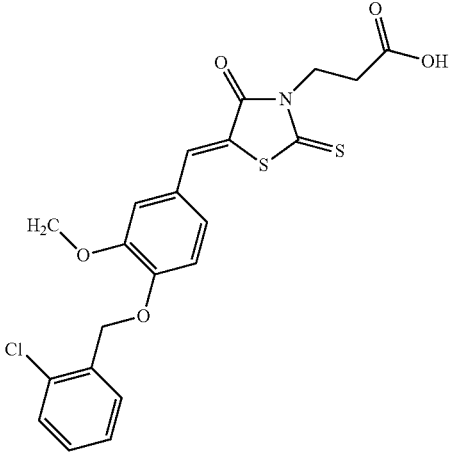
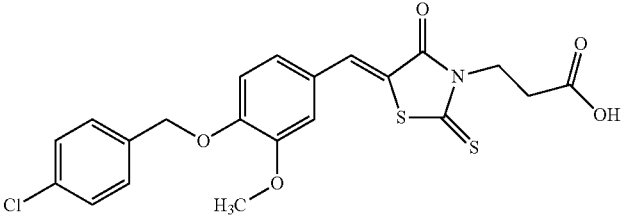
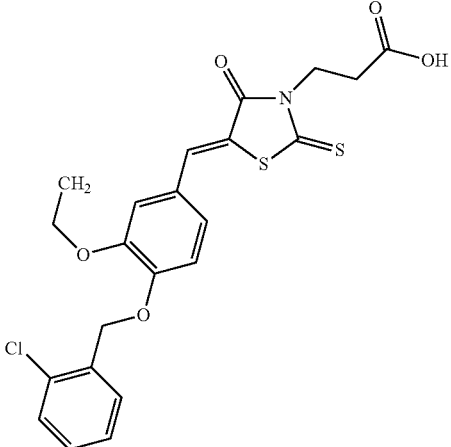
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-102		464.0
IIb-103		464.0
IIb-104		478.0

TABLE 7-continued

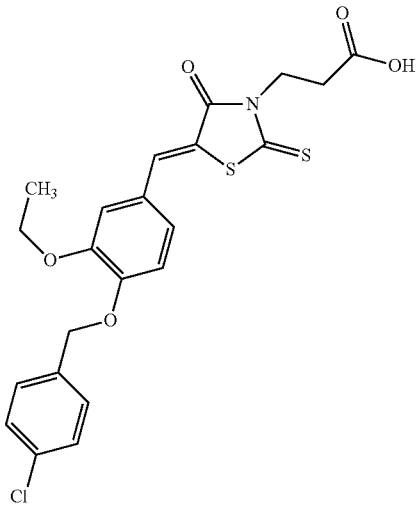
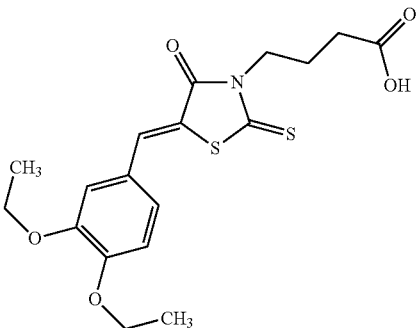
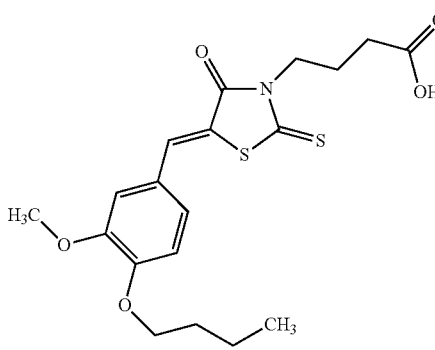
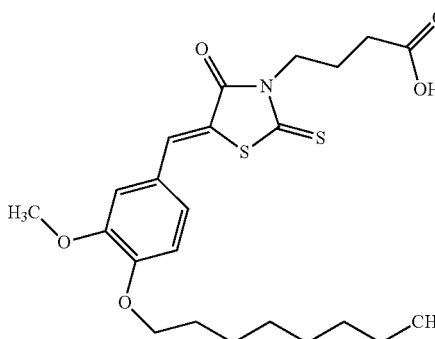
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-105		478.0
IIb-106		395.5
IIb-107		409.5
IIb-108		465.6

TABLE 7-continued

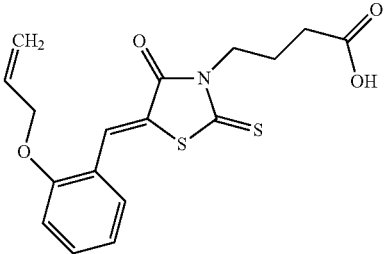
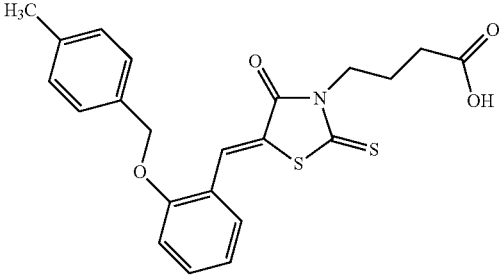
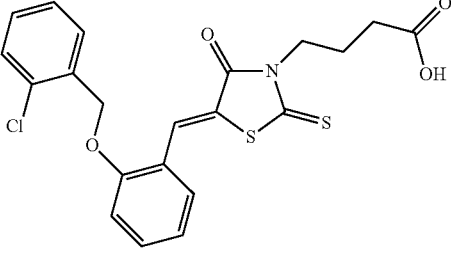
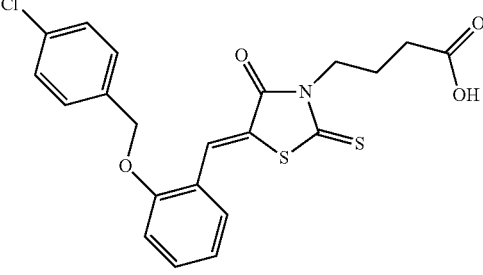
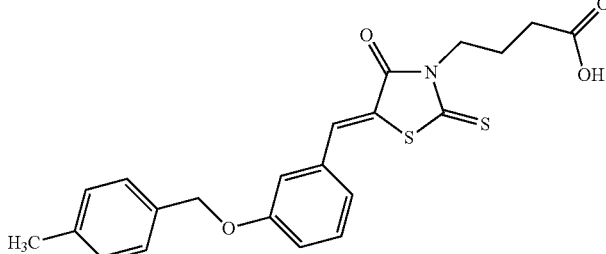
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-109		363.5
IIb-110		427.5
IIb-111		448.0
IIb-112		448.0
IIb-113		427.5

TABLE 7-continued

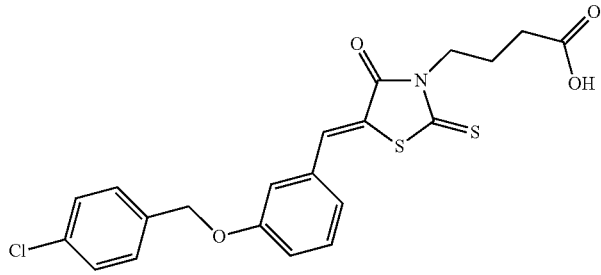
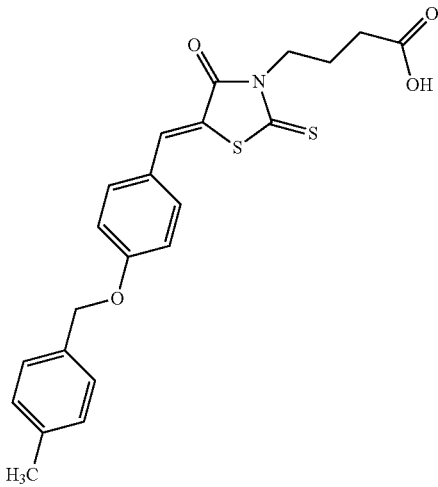
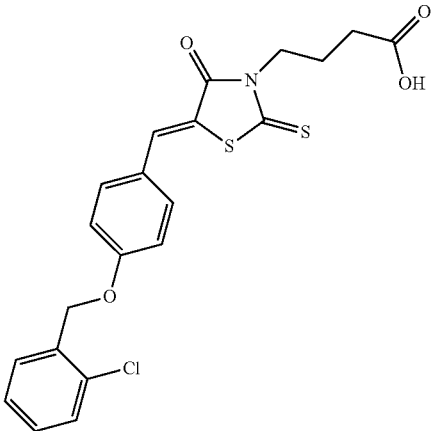
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-114		448.0
IIb-115		427.5
IIb-116		448.0

TABLE 7-continued

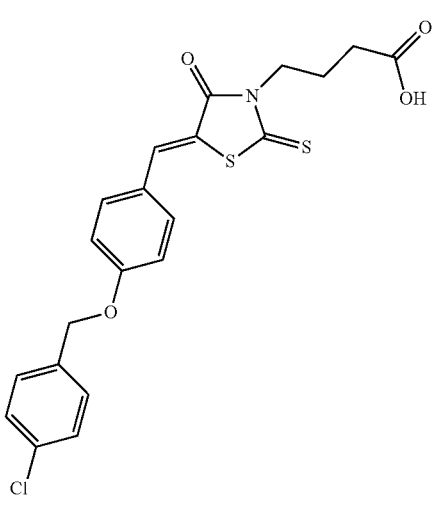
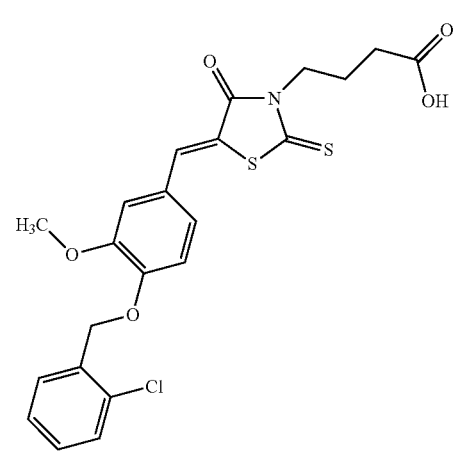
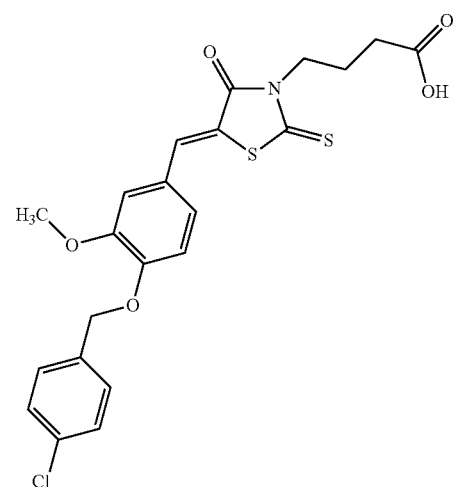
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-117		448.0
IIb-118		478.0
IIb-119		478.0

TABLE 7-continued

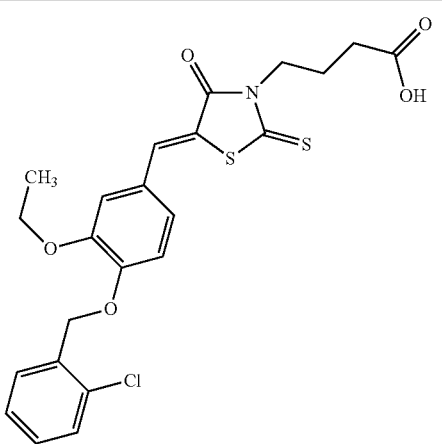
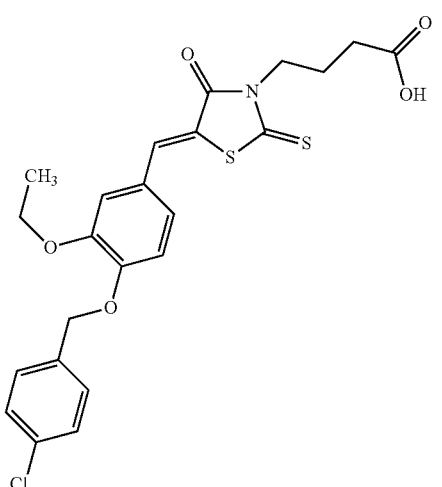
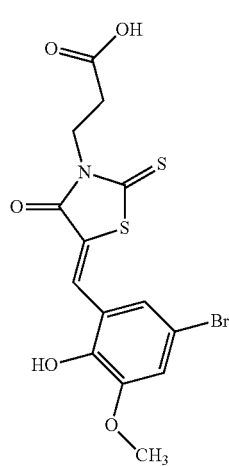
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-120		492.0
IIb-121		492.0
IIb-122		418.3

TABLE 7-continued

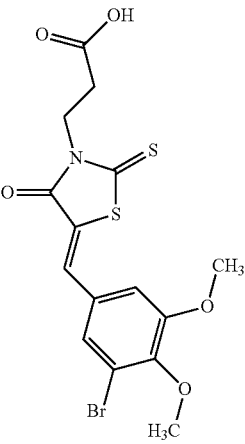
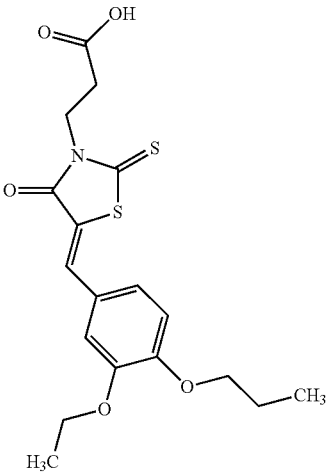
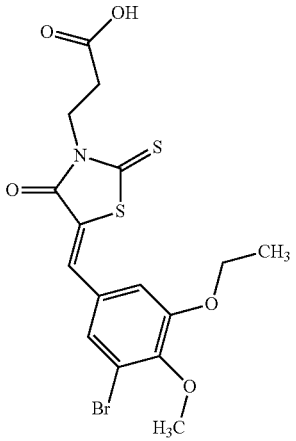
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-123		432.3
IIb-124		395.5
IIb-125		446.3

TABLE 7-continued

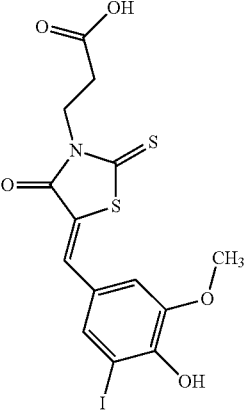
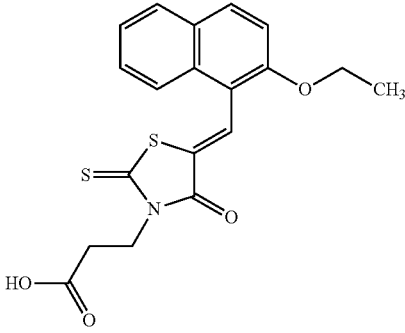
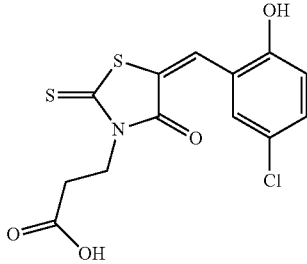
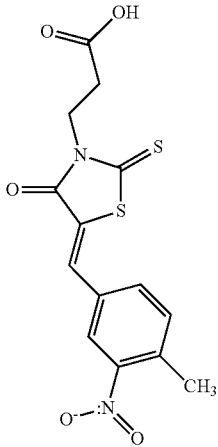
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-126		465.3
IIb-127		387.5
IIb-128		343.8
IIb-129		352.4

TABLE 7-continued

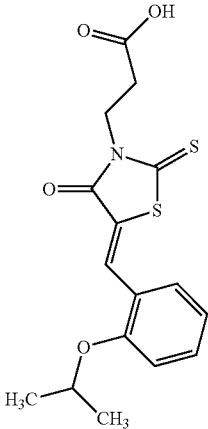
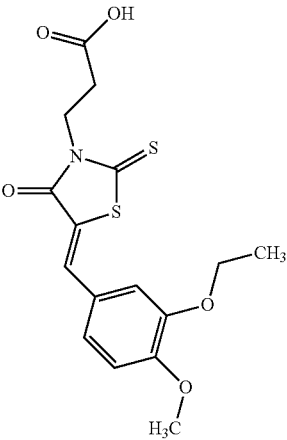
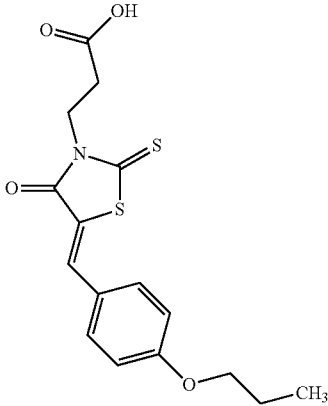
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-130		351.4
IIb-131		367.4
IIb-132		351.4

TABLE 7-continued

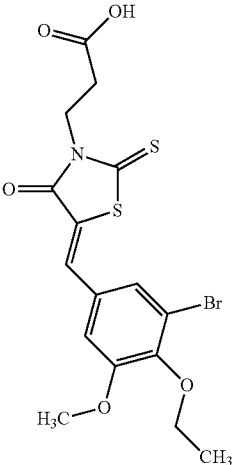
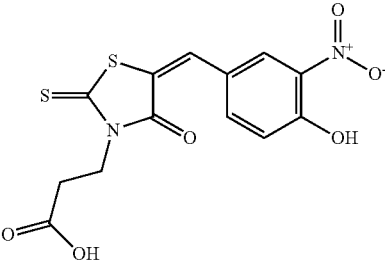
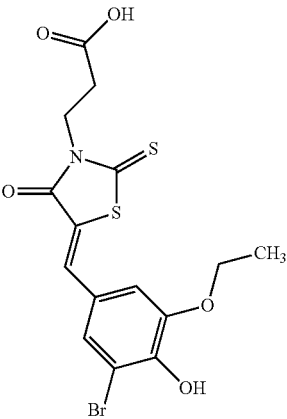
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-133		446.3
IIb-134		354.4
IIb-135		432.3

TABLE 7-continued

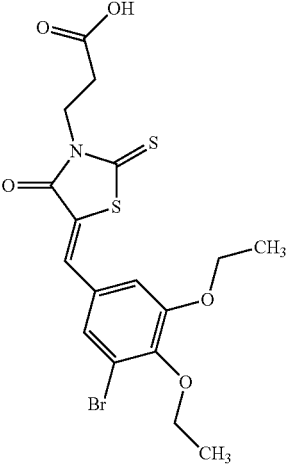
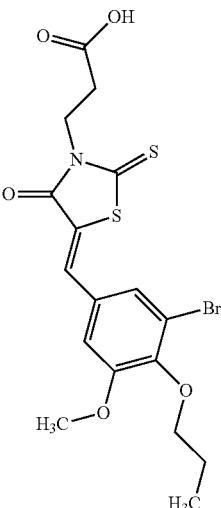
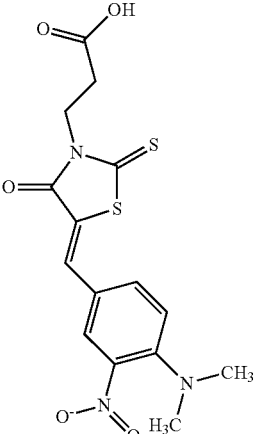
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-136		460.4
IIb-137		460.4
IIb-138		381.4

TABLE 7-continued

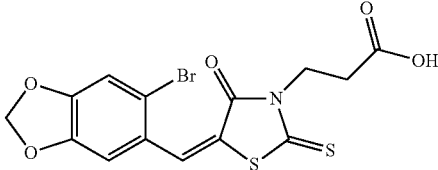
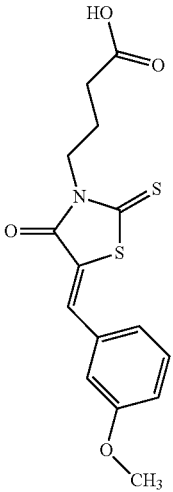
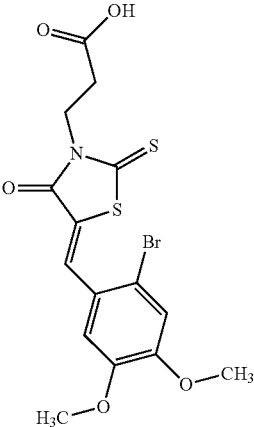
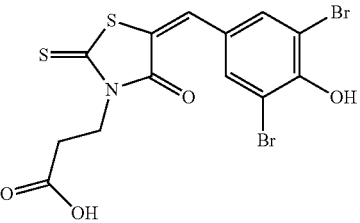
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-139		416.3
IIb-140		337.4
IIb-141		432.3
IIb-142		467.2

TABLE 7-continued

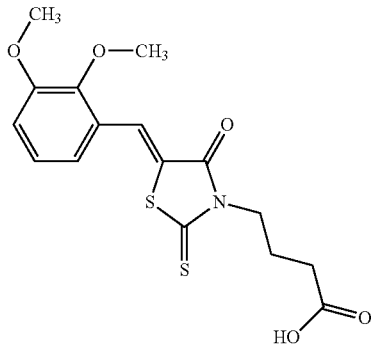
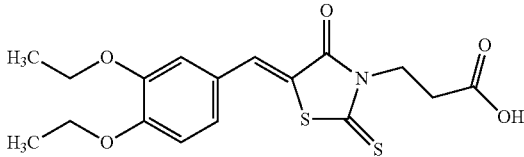
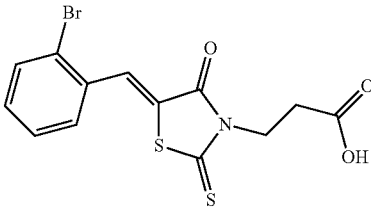
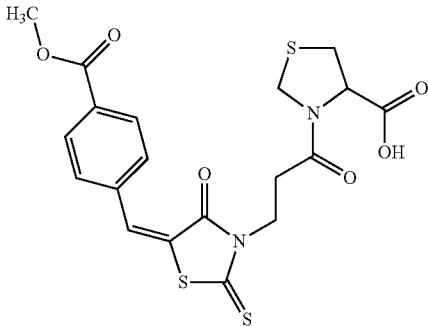
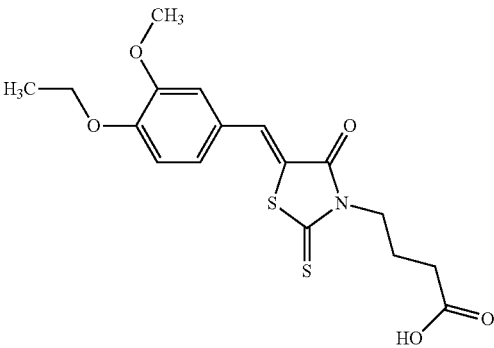
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-143		367.4
IIb-144		381.5
IIb-145		372.3
IIb-146		466.6
IIb-147		381.5

TABLE 7-continued

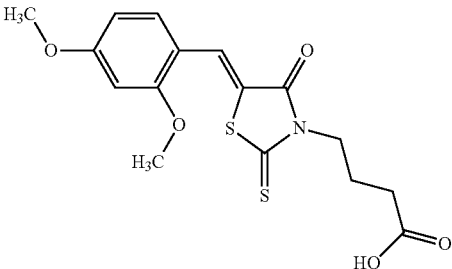
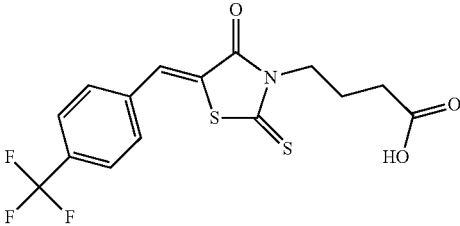
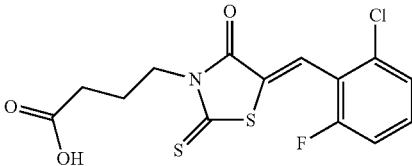
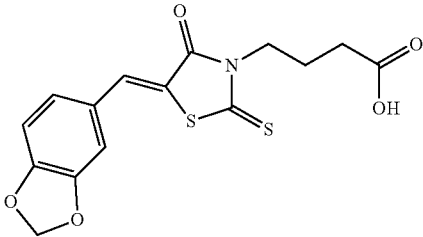
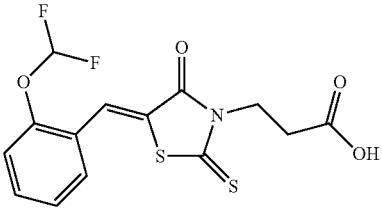
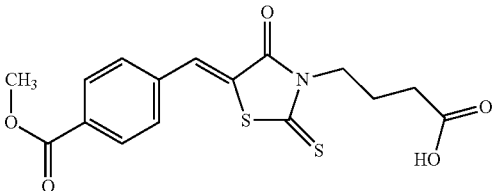
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-148		367.4
IIb-149		375.4
IIb-150		359.8
IIb-151		351.4
IIb-152		359.4
IIb-153		365.4

TABLE 7-continued

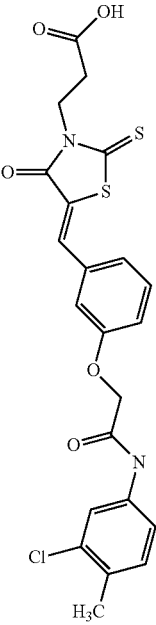
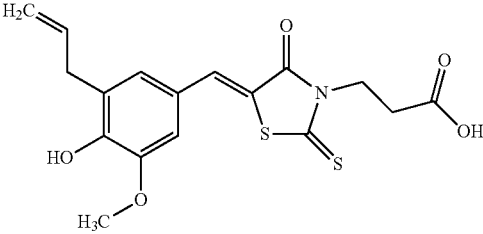
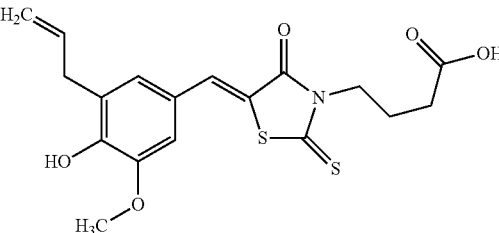
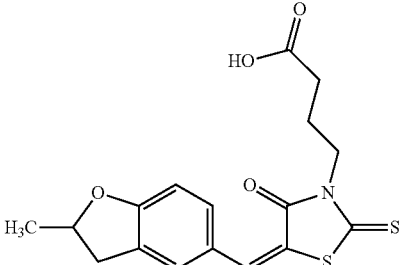
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-154		491.0
IIb-155		379.5
IIb-156		393.5
IIb-157		363.5

TABLE 7-continued

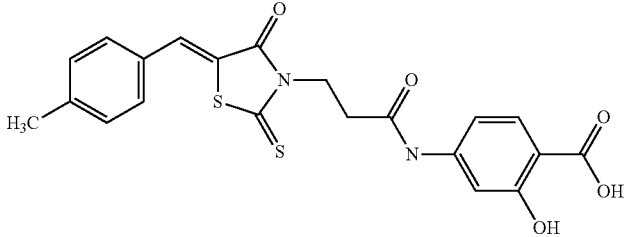
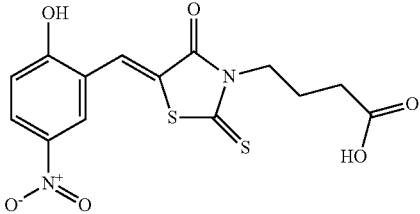
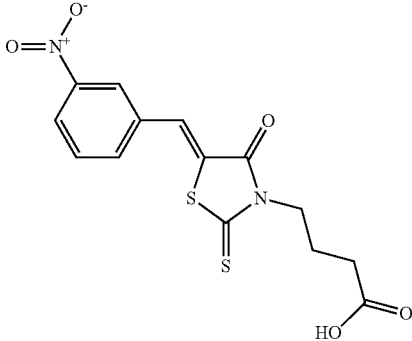
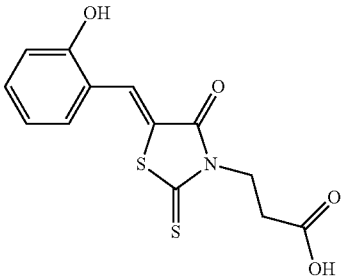
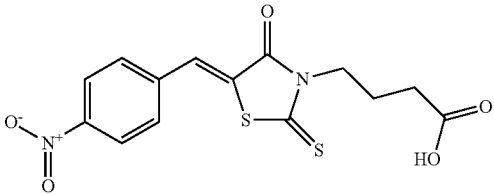
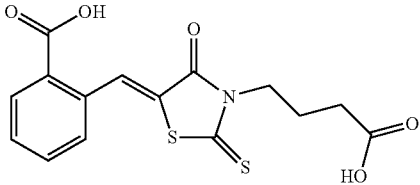
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-158		442.5
IIb-159		368.4
IIb-160		352.4
IIb-161		309.4
IIb-162		352.4
IIb-163		351.4

TABLE 7-continued

Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-164		442.5
IIb-165		442.5
IIb-166		323.4
IIb-167		412.5
IIb-168		412.5
IIb-169		337.4

TABLE 7-continued

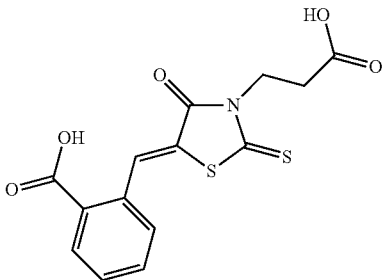
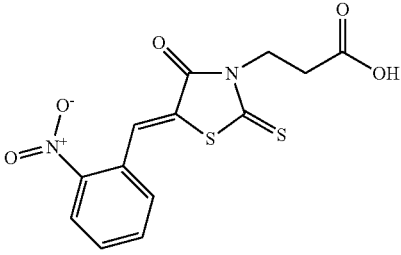
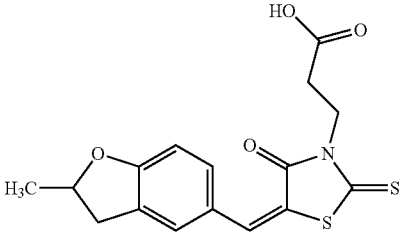
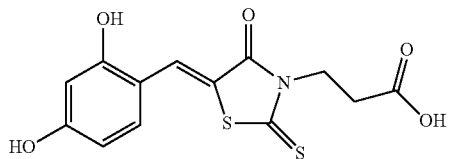
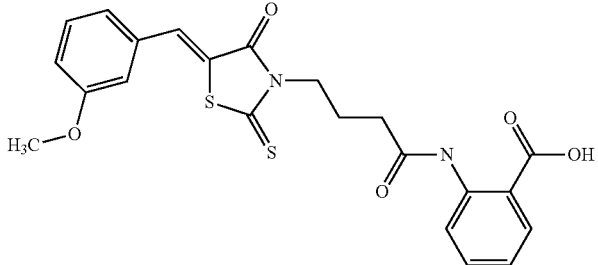
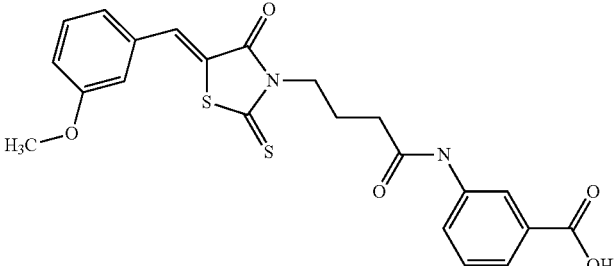
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-170		337.4
IIb-171		338.4
IIb-172		349.4
IIb-173		325.4
IIb-174		456.5
IIb-175		456.5

TABLE 7-continued

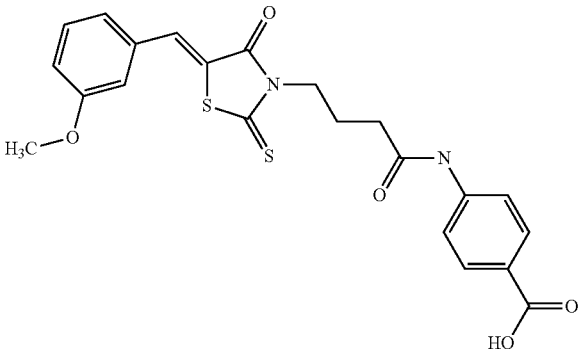
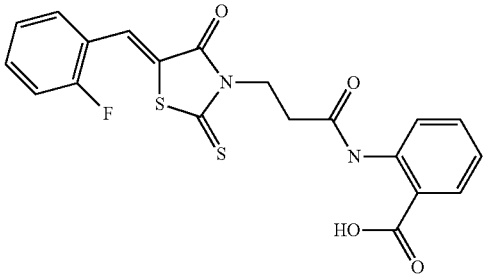
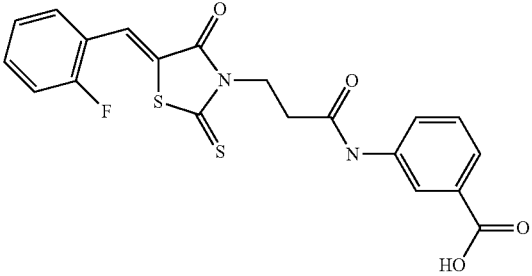
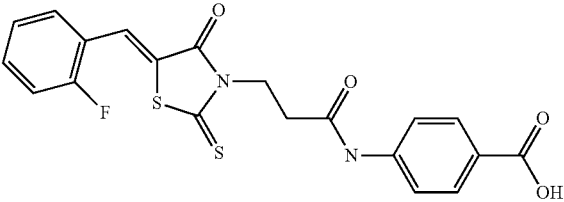
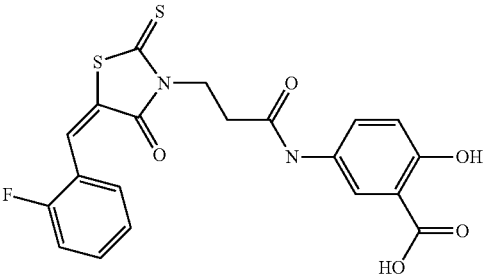
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-176		456.5
IIb-177		430.5
IIb-178		430.5
IIb-179		430.5
IIb-180		446.5

TABLE 7-continued

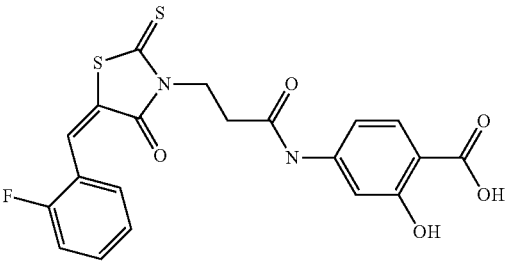
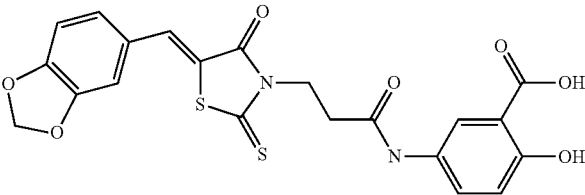
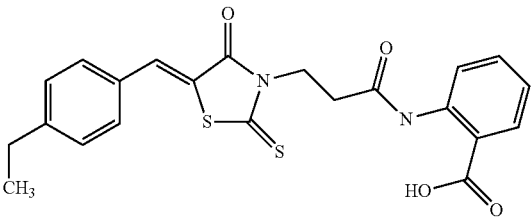
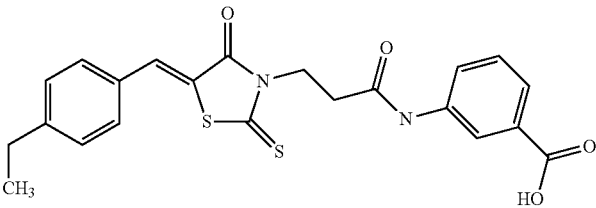
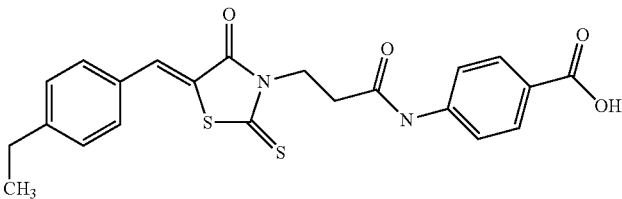
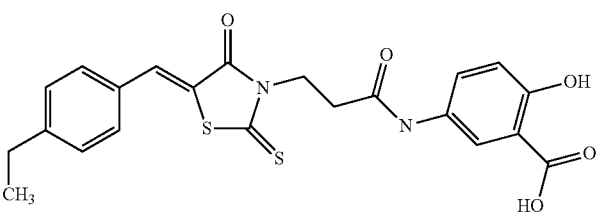
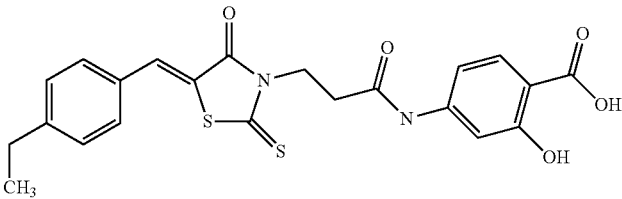
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-181		446.5
IIb-182		472.5
IIb-183		440.5
IIb-184		440.5
IIb-185		440.5
IIb-186		456.5
IIb-187		456.5

TABLE 7-continued

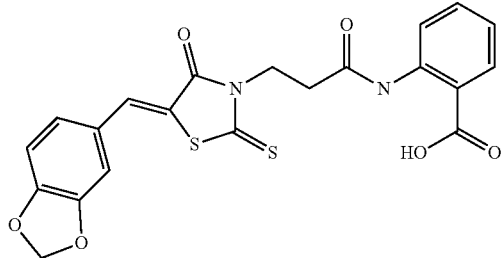
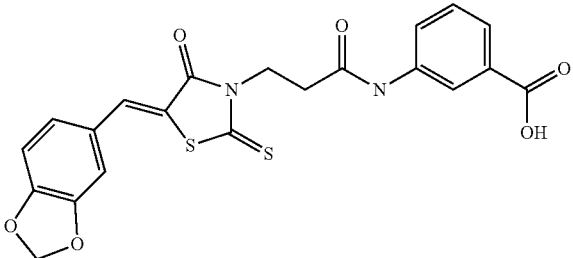
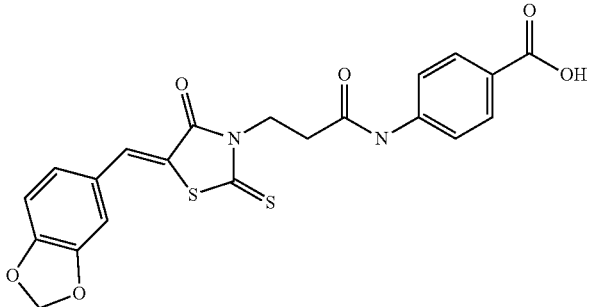
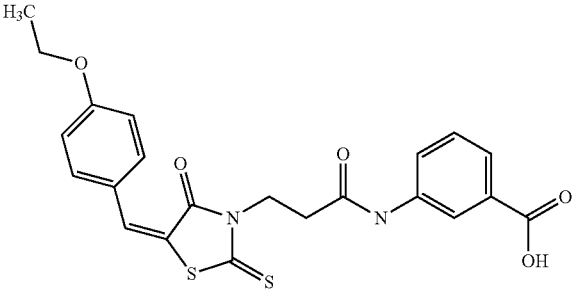
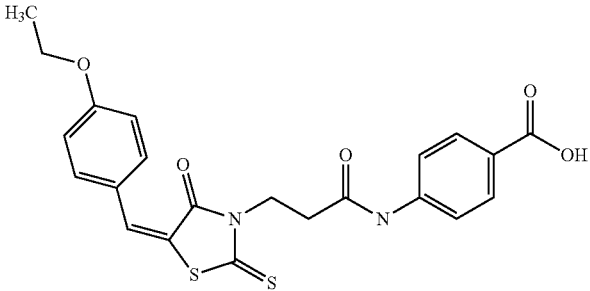
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-188		456.5
IIb-189		456.5
IIb-190		456.5
IIb-191		456.5
IIb-192		456.5

TABLE 7-continued

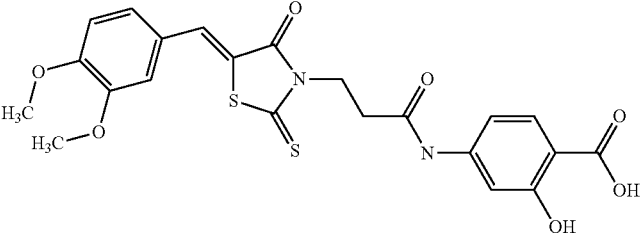
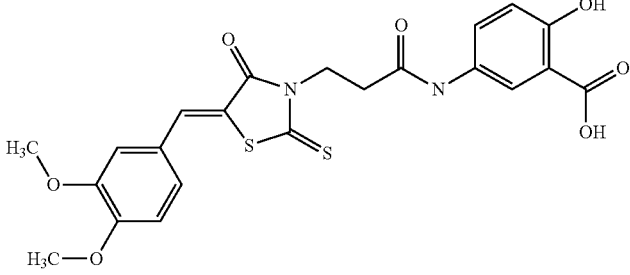
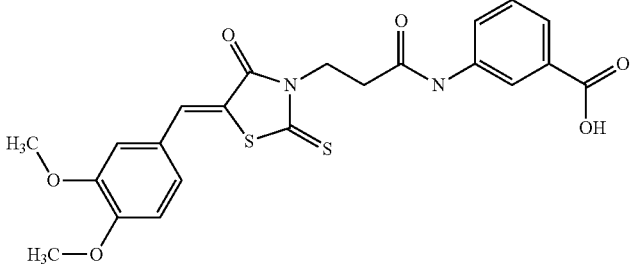
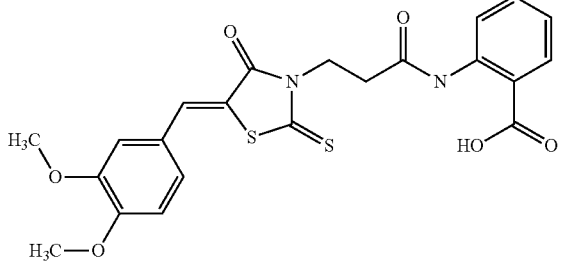
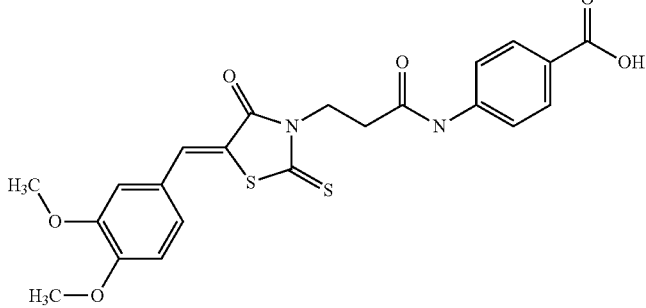
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-193		488.5
IIb-194		488.5
IIb-195		472.5
IIb-196		472.5
IIb-197		472.5

TABLE 7-continued

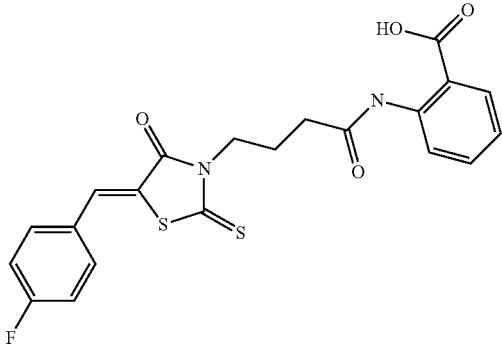
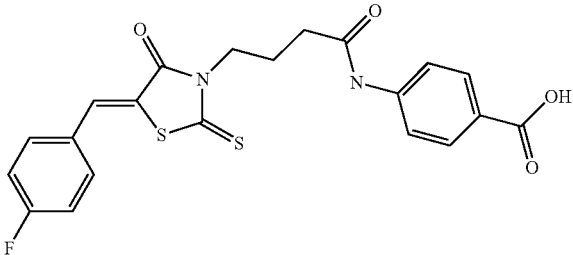
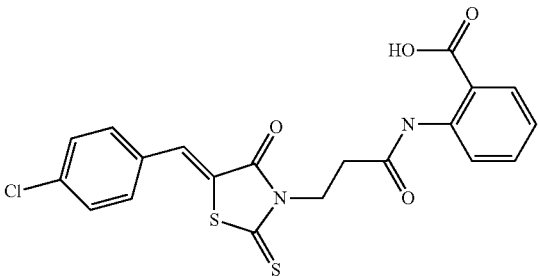
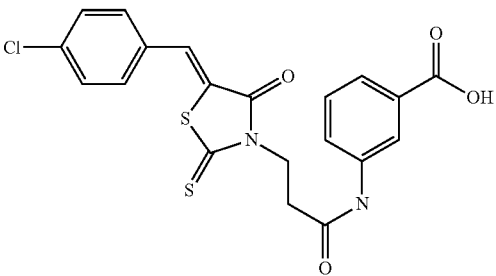
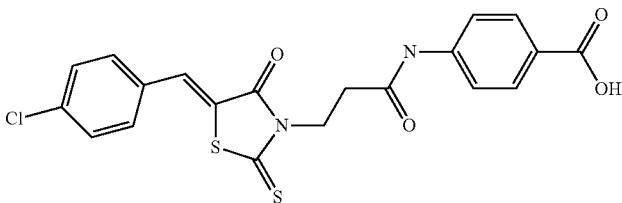
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-198		444.5
IIb-199		444.5
IIb-200		446.9
IIb-201		446.9
IIb-202		446.9

TABLE 7-continued

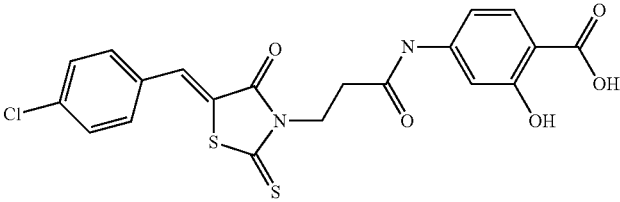
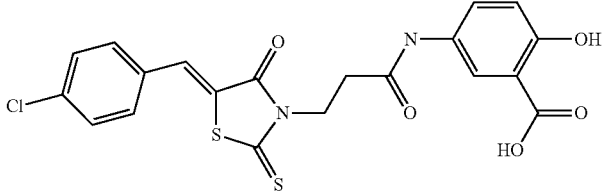
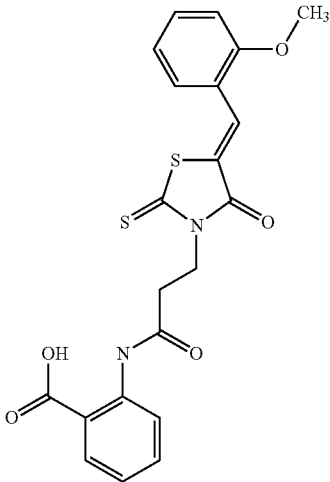
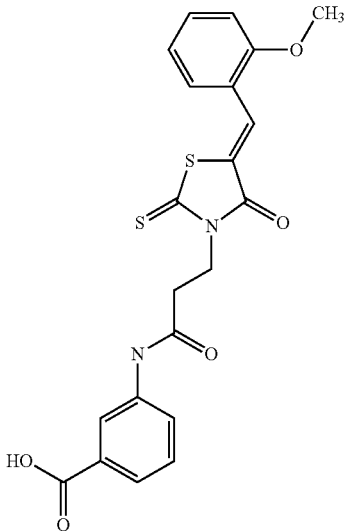
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-203		462.9
IIb-204		462.9
IIb-205		442.5
IIb-206		442.5

TABLE 7-continued

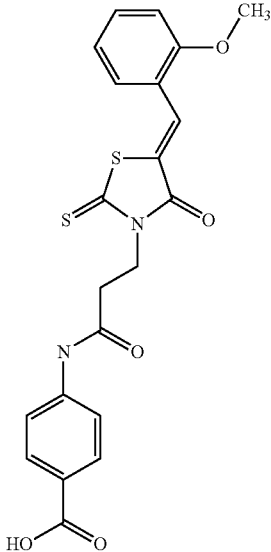
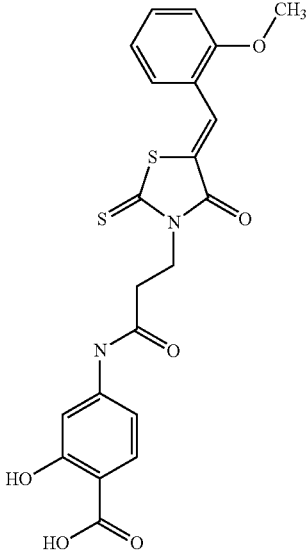
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-207	 <chem>COc1ccc(cc1)/C=C2S[C@@H](C(=O)N(CCC(=O)Nc3ccc(cc3)C(=O)O)C2=O</chem>	442.5
IIb-208	 <chem>COc1ccc(cc1)/C=C2S[C@@H](C(=O)N(CCC(=O)Nc3ccc(cc3C(=O)O)O)C2=O</chem>	458.5

TABLE 7-continued

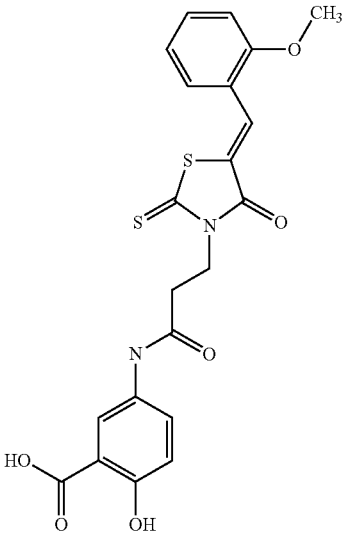
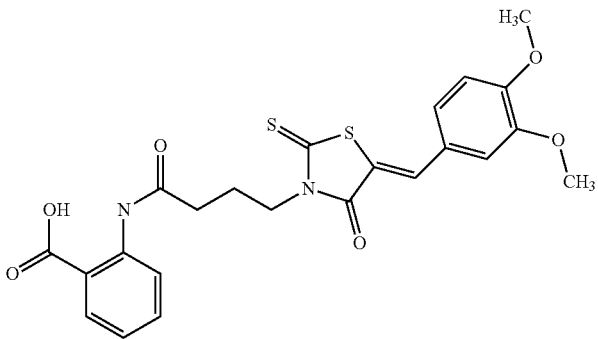
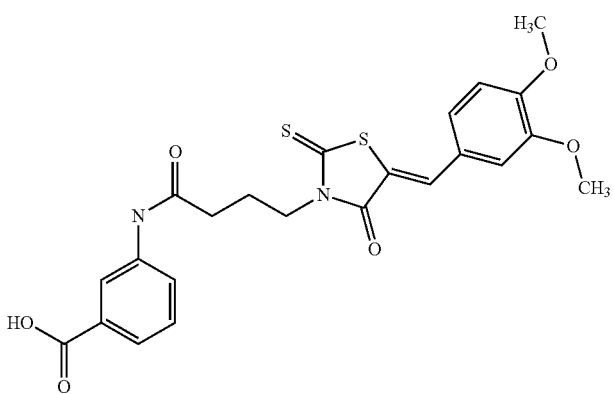
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-209		458.5
IIb-210		486.6
IIb-211		486.6

TABLE 7-continued

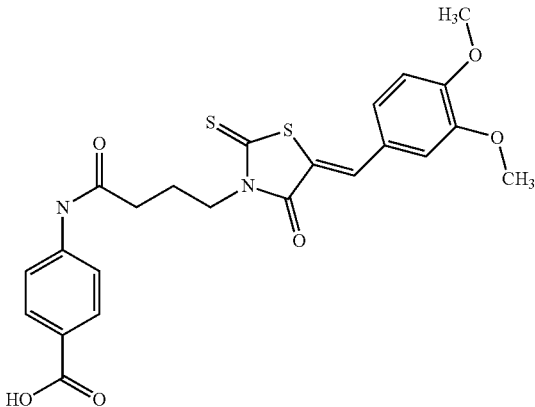
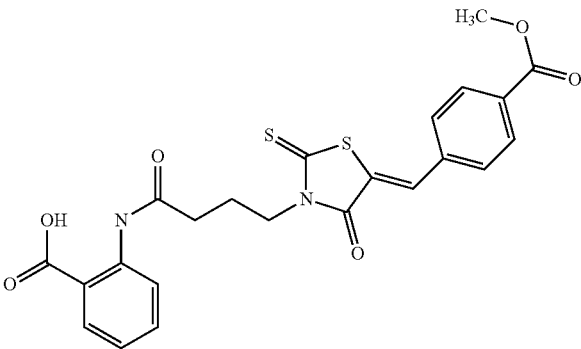
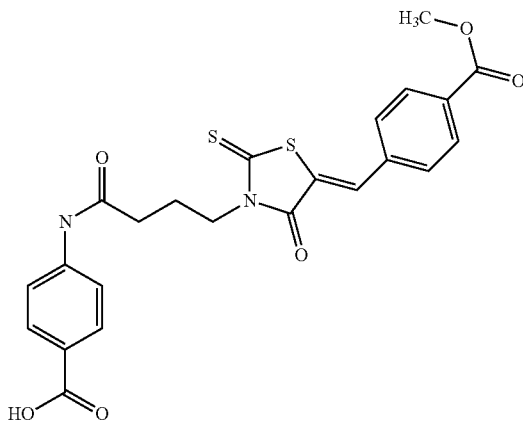
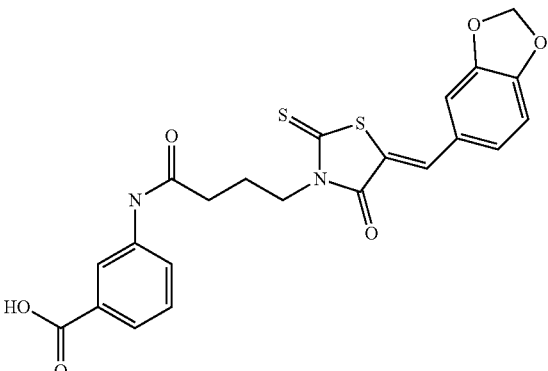
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-212		486.6
IIb-213		484.6
IIb-214		484.6
IIb-215		470.5

TABLE 7-continued

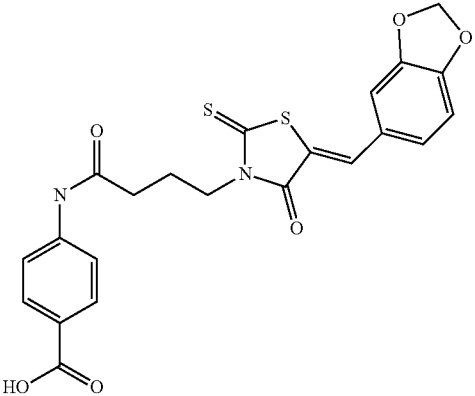
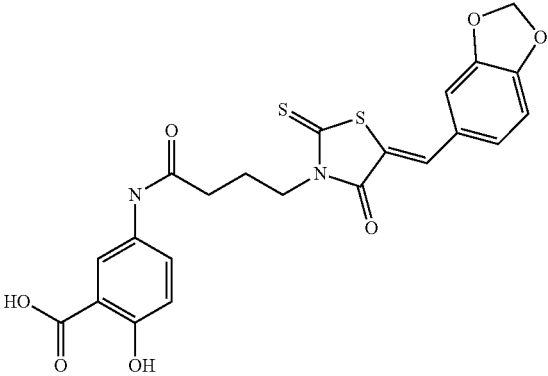
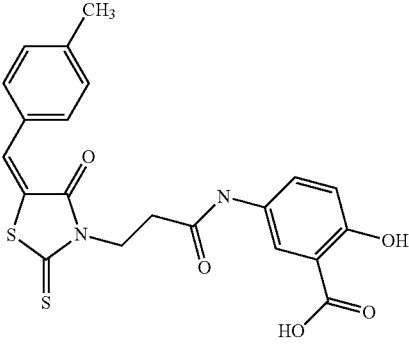
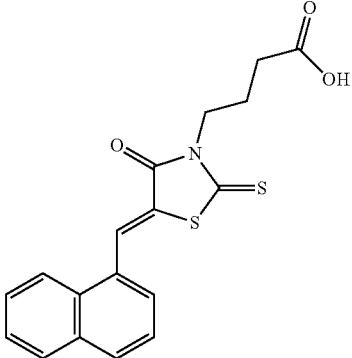
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-216		470.5
IIb-217		486.5
IIb-218		442.5
IIb-219		357.5

TABLE 7-continued

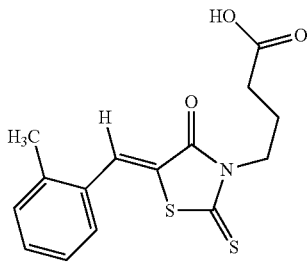
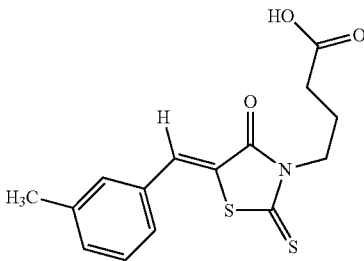
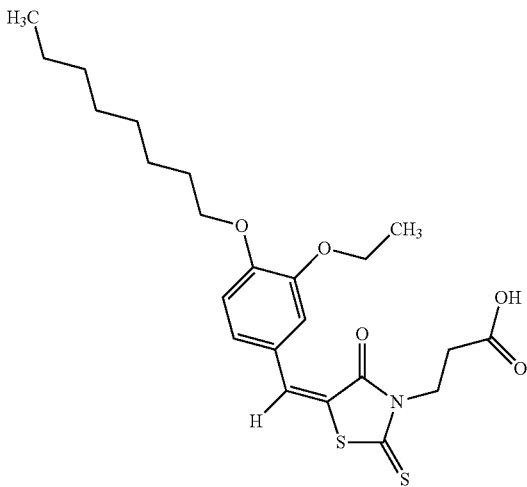
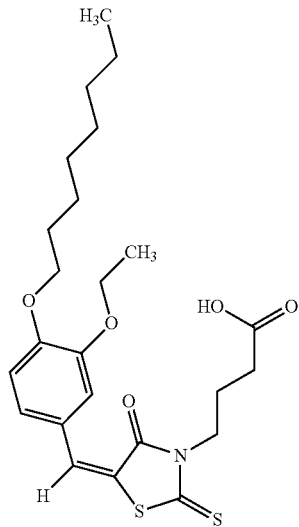
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-220		321.4
IIb-221		321.4
IIb-222		465.6
IIb-223		479.7

TABLE 7-continued

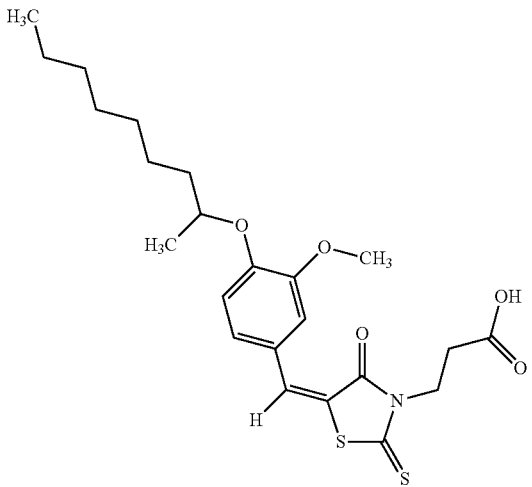
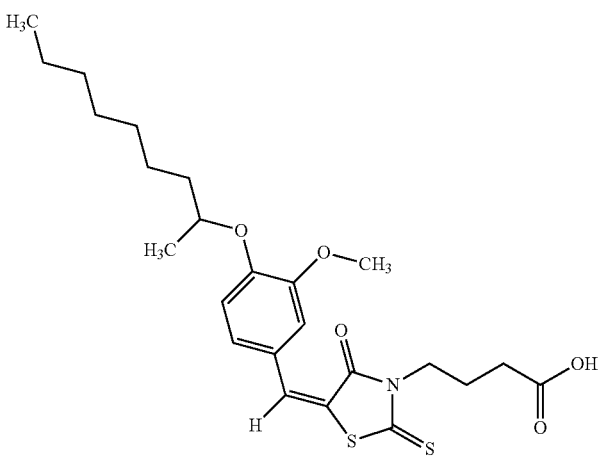
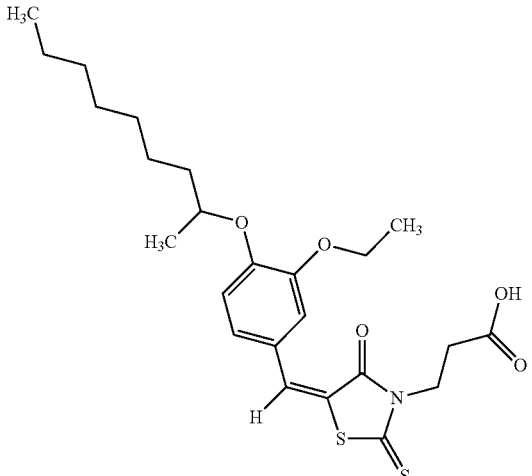
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-224		465.6
IIb-225		479.7
IIb-226		479.7

TABLE 7-continued

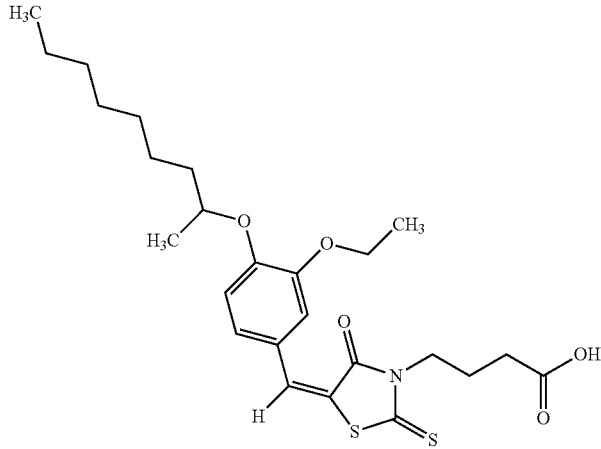
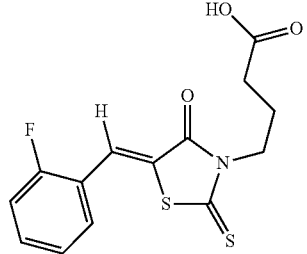
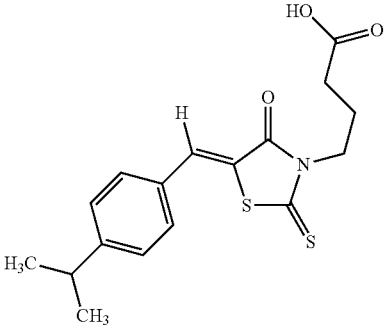
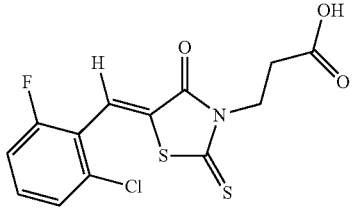
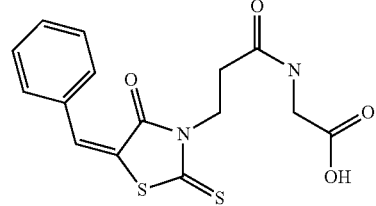
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-227		493.7
IIb-228		325.4
IIb-229		349.5
IIb-230		345.8
IIb-231		350.4

TABLE 7-continued

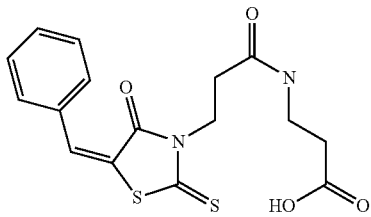
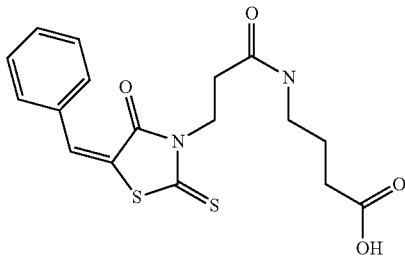
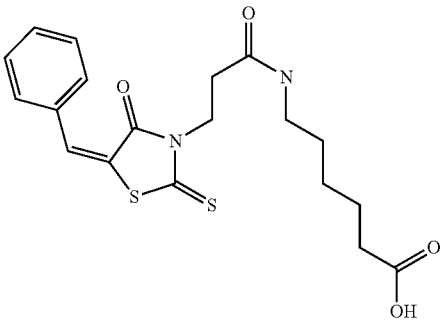
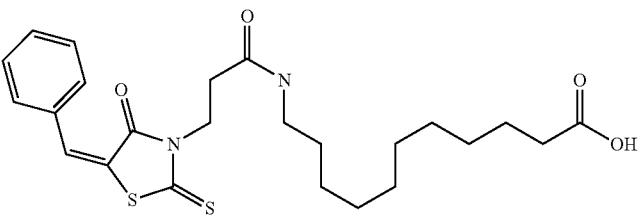
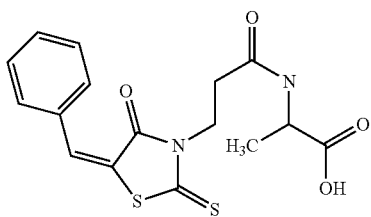
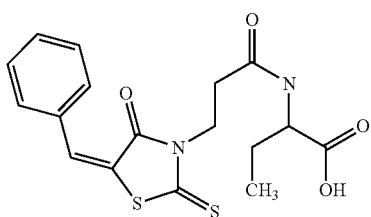
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-232		364.4
IIb-233		378.5
IIb-234		406.5
IIb-235		476.7
IIb-236		364.4
IIb-237		378.5

TABLE 7-continued

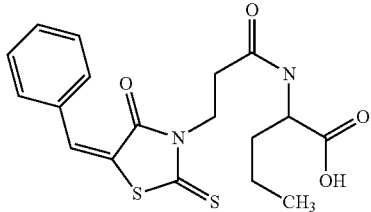
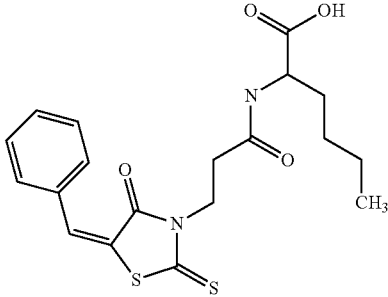
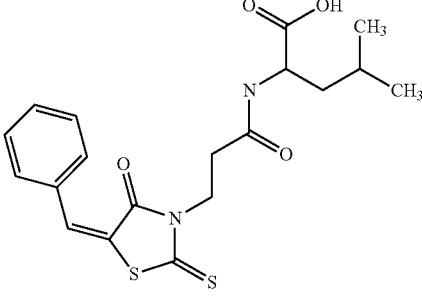
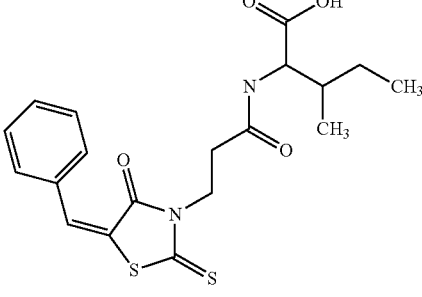
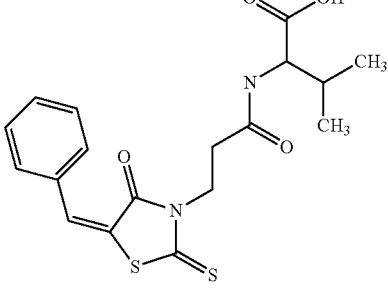
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-238		392.5
IIb-239		406.5
IIb-240		406.5
IIb-241		406.5
IIb-242		392.5

TABLE 7-continued

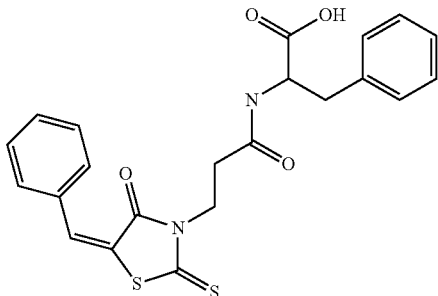
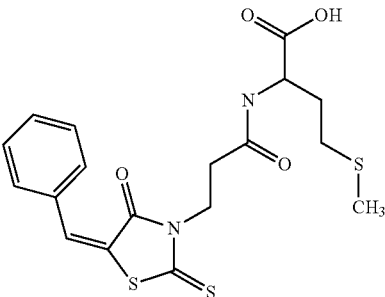
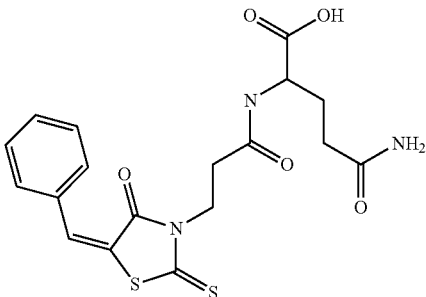
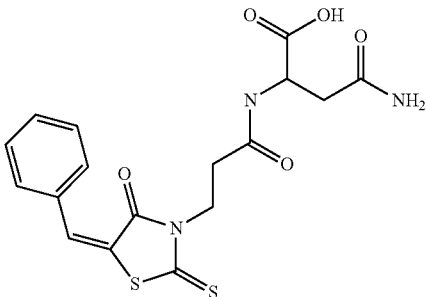
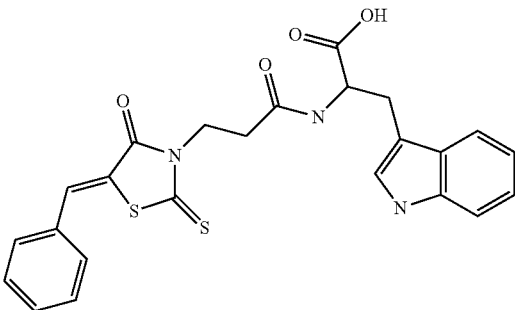
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-243		440.5
IIb-244		424.6
IIb-245		421.5
IIb-246		407.5
IIb-247		479.6

TABLE 7-continued

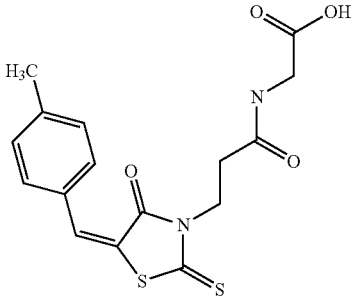
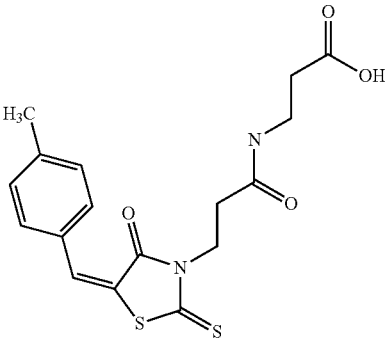
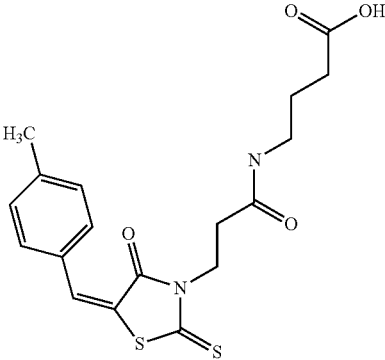
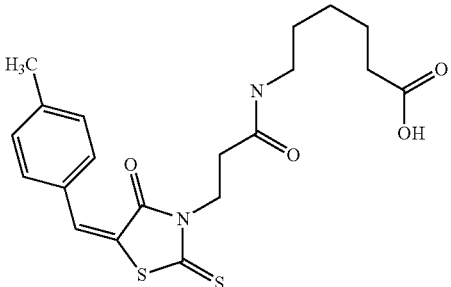
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-248		364.4
IIb-249		378.5
IIb-250		392.5
IIb-251		420.6

TABLE 7-continued

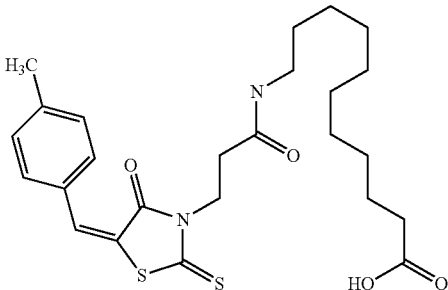
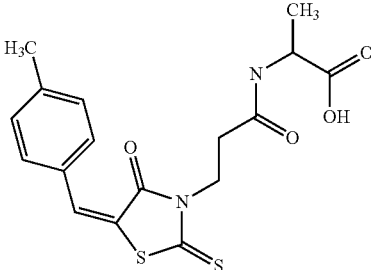
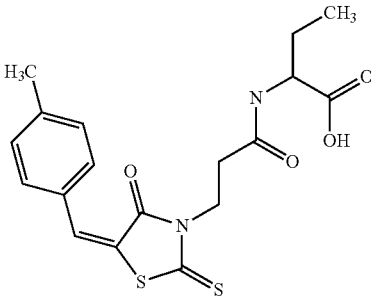
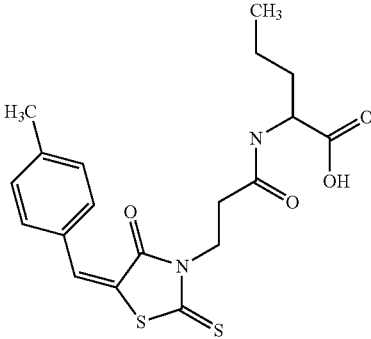
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-252		490.7
IIb-253		378.5
IIb-254		392.5
IIb-255		406.5

TABLE 7-continued

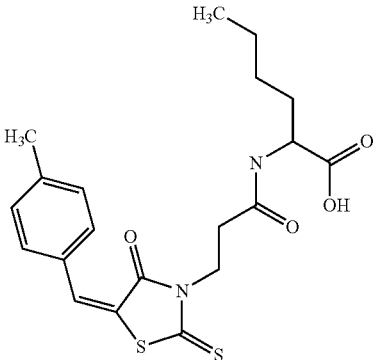
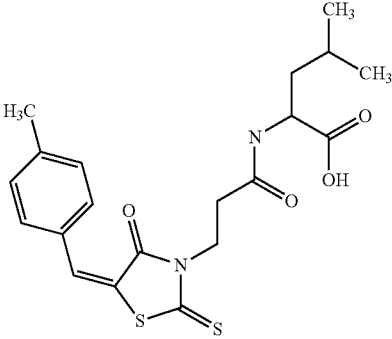
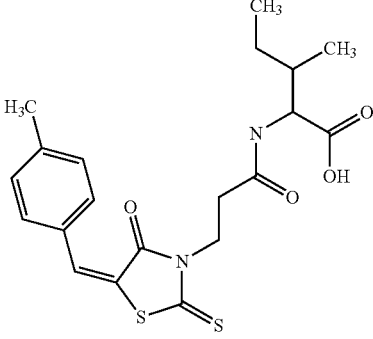
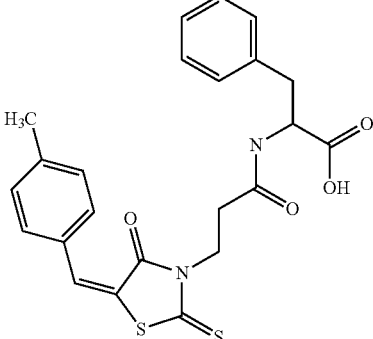
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-256		420.6
IIb-257		420.6
IIb-258		420.6
IIb-259		454.6

TABLE 7-continued

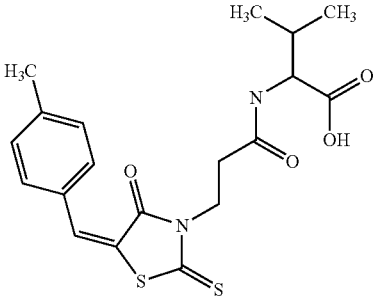
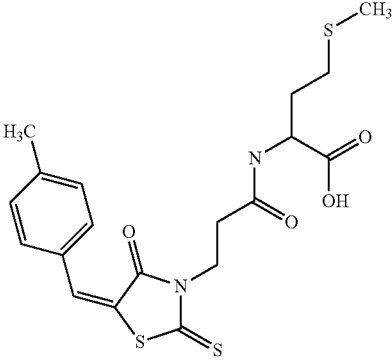
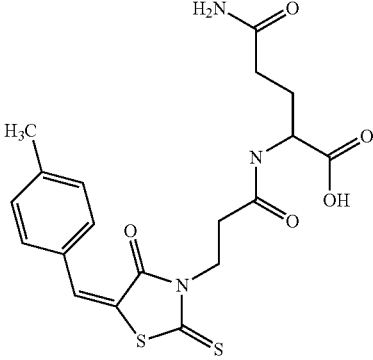
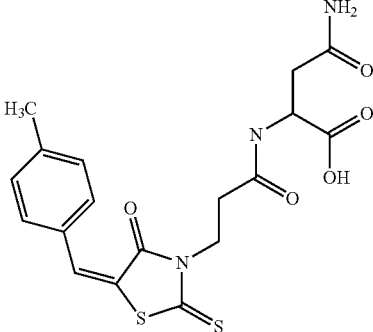
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-260		406.5
IIb-261		438.6
IIb-262		435.5
IIb-263		421.5

TABLE 7-continued

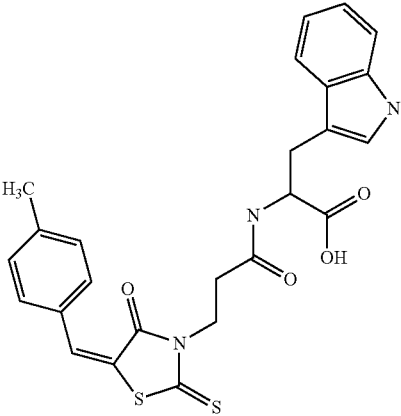
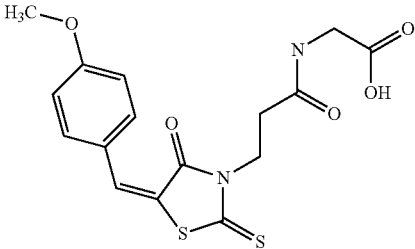
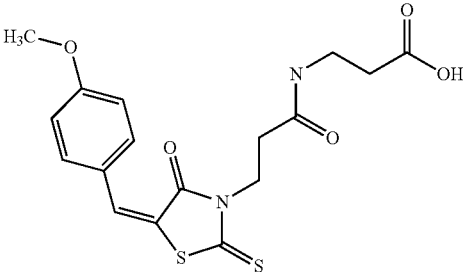
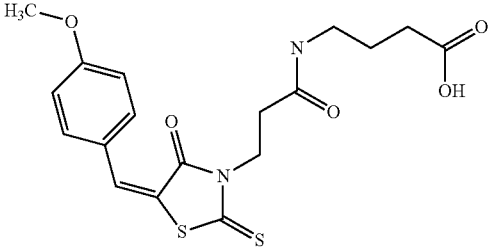
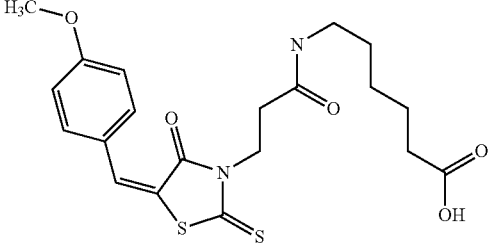
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-264		493.6
IIb-265		380.4
IIb-266		394.5
IIb-267		408.5
IIb-268		436.6

TABLE 7-continued

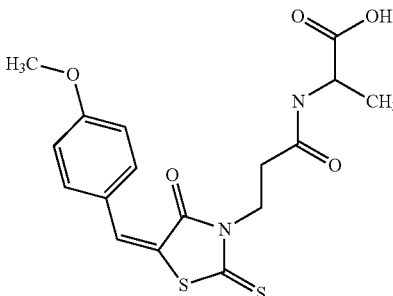
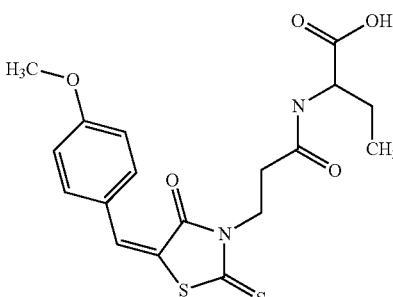
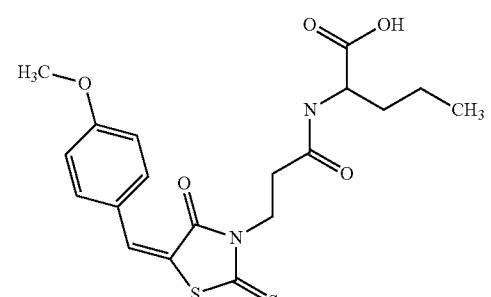
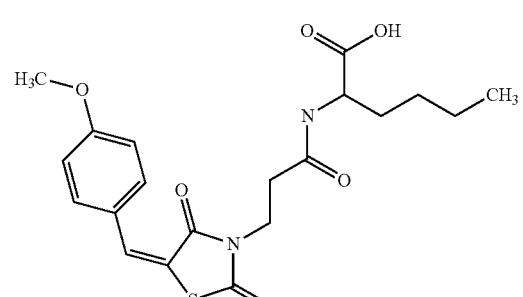
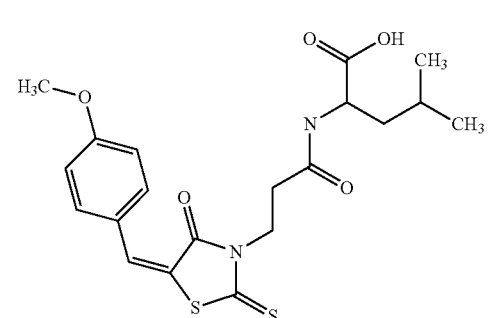
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-269		394.5
IIb-270		408.5
IIb-271		422.5
IIb-272		436.6
IIb-273		436.6

TABLE 7-continued

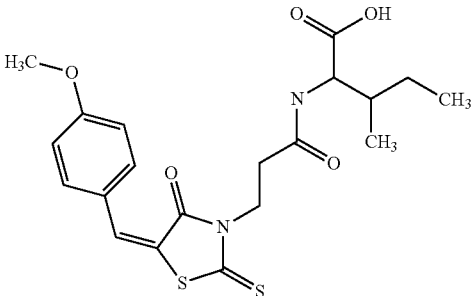
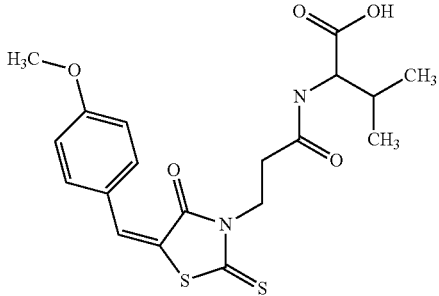
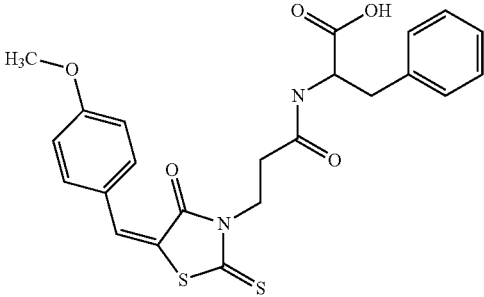
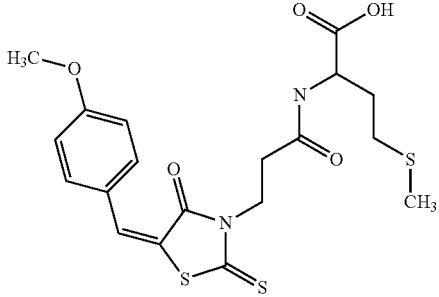
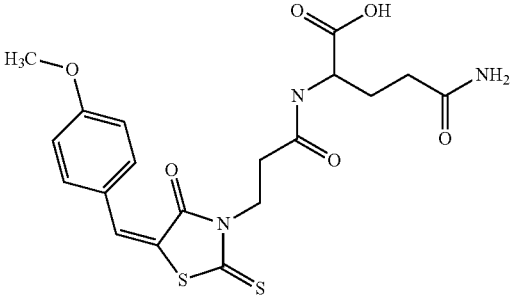
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-274		436.6
IIb-275		422.5
IIb-276		470.6
IIb-277		454.6
IIb-278		451.5

TABLE 7-continued

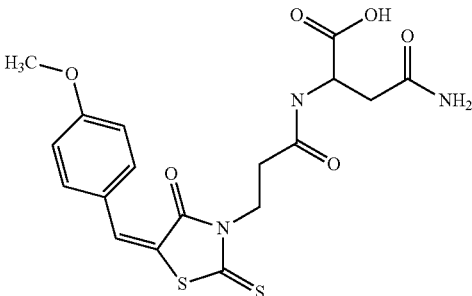
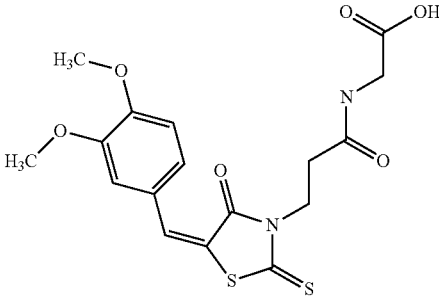
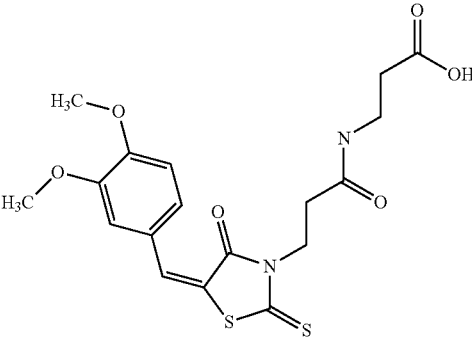
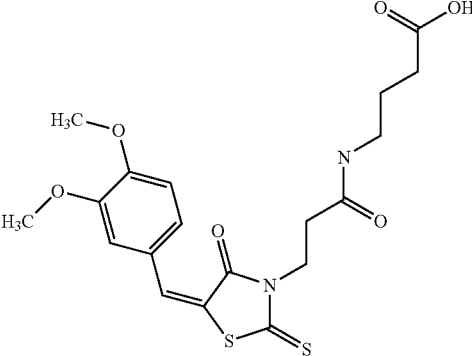
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-279		437.5
IIb-280		410.5
IIb-281		424.5
IIb-282		438.5

TABLE 7-continued

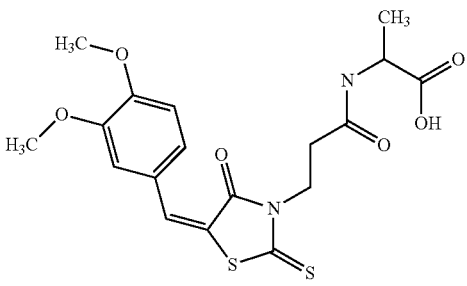
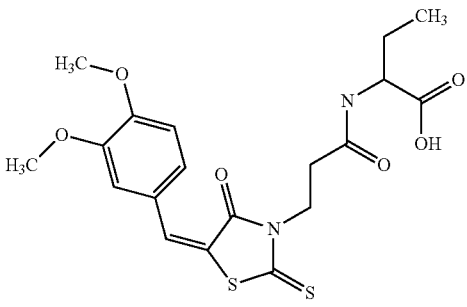
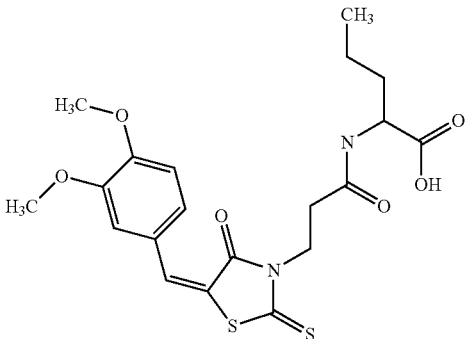
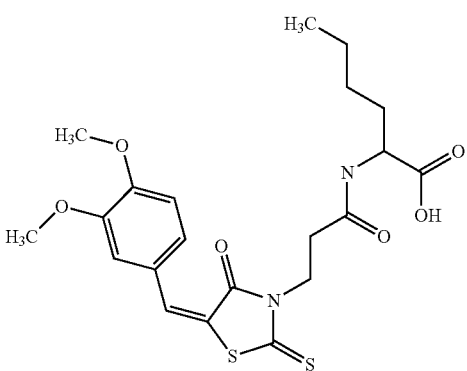
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-283		424.5
IIb-284		438.5
IIb-285		452.6
IIb-286		466.6

TABLE 7-continued

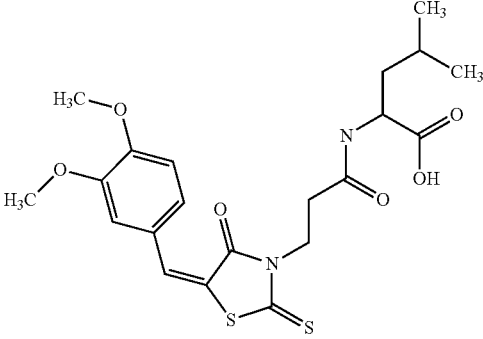
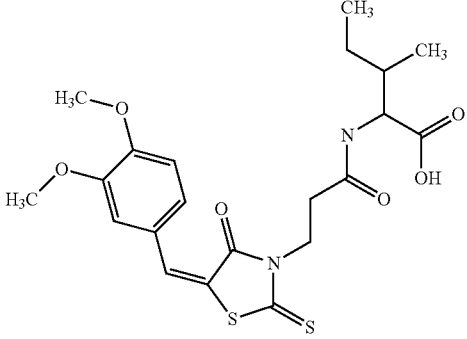
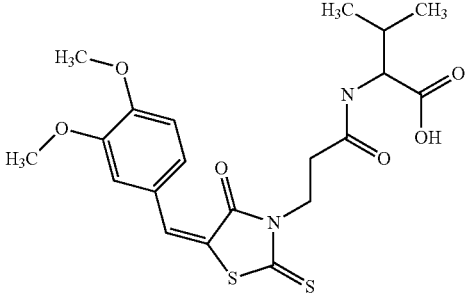
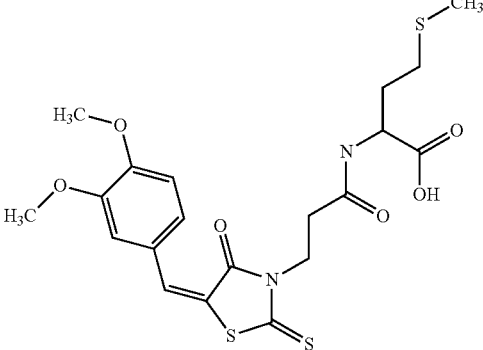
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-287		466.6
IIb-288		466.6
IIb-289		452.6
IIb-290		484.6

TABLE 7-continued

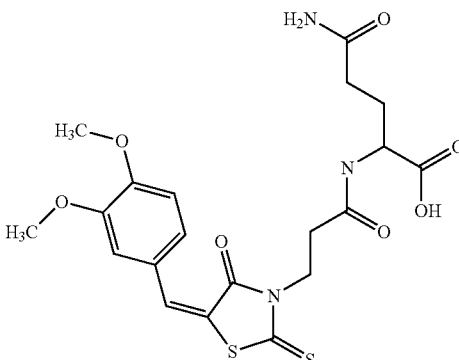
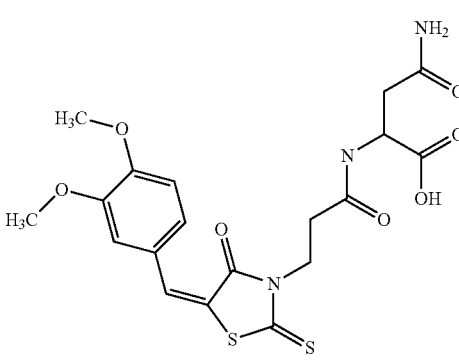
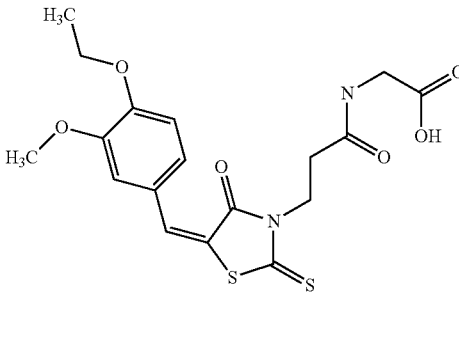
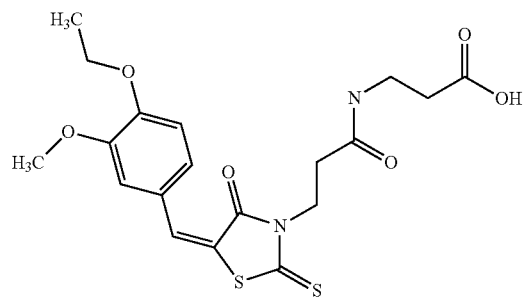
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-291		481.6
IIb-292		467.5
IIb-293		424.5
IIb-294		438.5

TABLE 7-continued

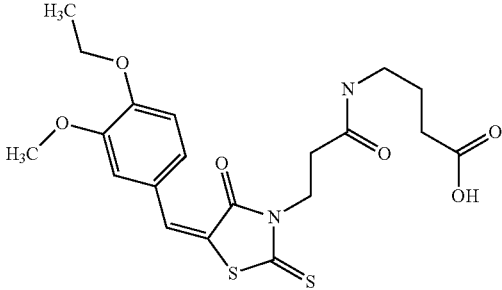
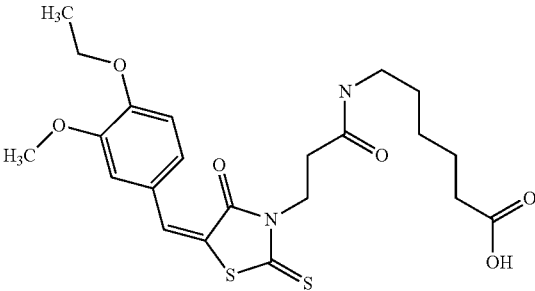
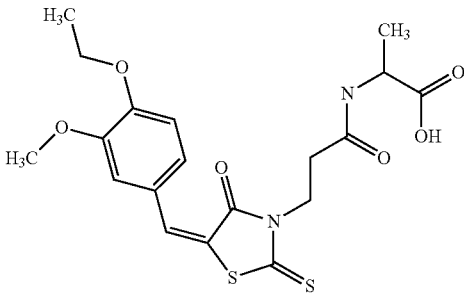
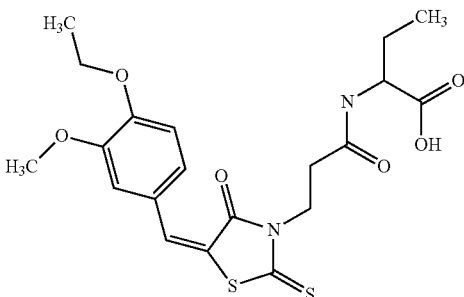
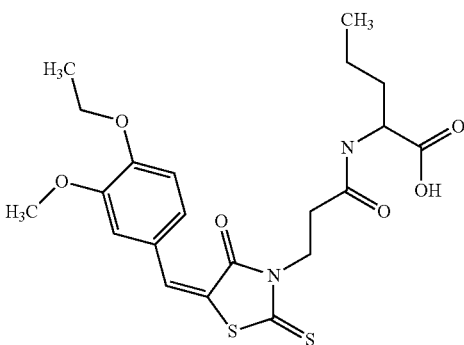
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-295		452.6
IIb-296		480.6
IIb-297		438.5
IIb-298		452.6
IIb-299		466.6

TABLE 7-continued

Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-300	<chem>CC(C)C(=O)NCCC1C(=O)NC(=S)S1C=Cc2cc(OC)c(OC)cc2C(=O)O</chem>	480.6
IIb-301	<chem>CC(C)C(=O)NCCC1C(=O)NC(=S)S1C=Cc2cc(OC)c(OC)cc2C(=O)O</chem>	480.6
IIb-302	<chem>CC(C)C(=O)NCCC1C(=O)NC(=S)S1C=Cc2cc(OC)c(OC)cc2C(=O)O</chem>	480.6
IIb-303	<chem>CC(C)C(=O)NCCC1C(=O)NC(=S)S1C=Cc2cc(OC)c(OC)cc2C(=O)O</chem>	466.6

TABLE 7-continued

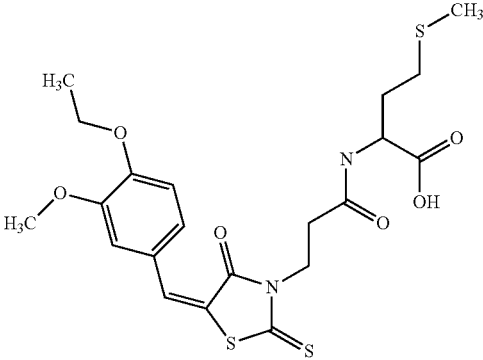
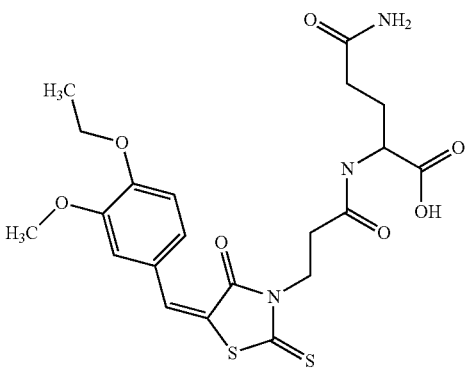
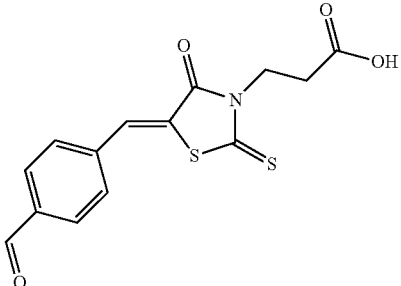
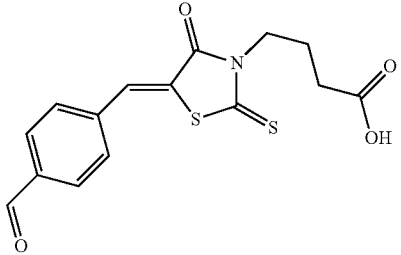
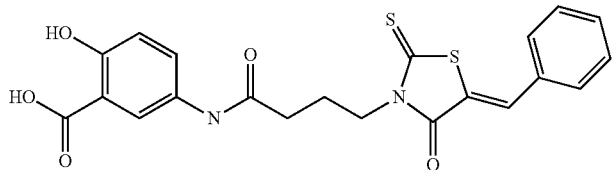
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-304		498.6
IIb-305		495.6
IIb-306		321.4
IIb-307		335.4
IIb-308		442.5

TABLE 7-continued

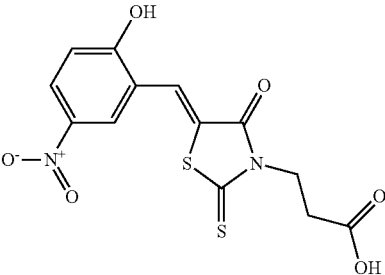
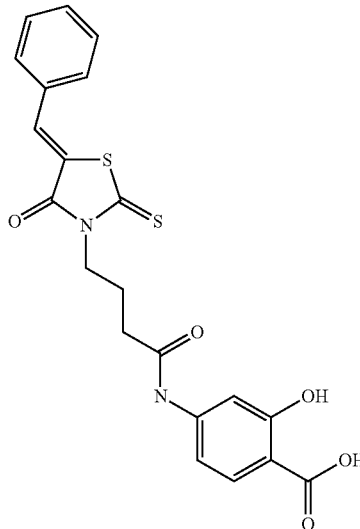
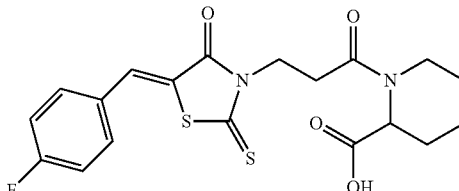
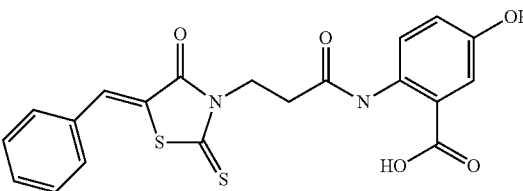
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
I Ib-309		354.4
I Ib-310		442.5
I Ib-311		422.5
I Ib-312		428.5

TABLE 7-continued

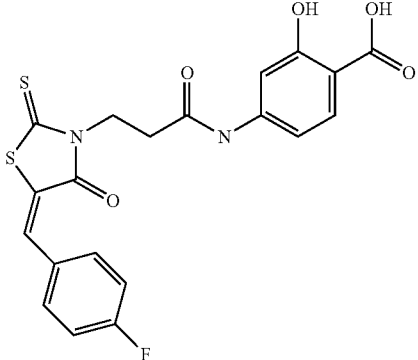
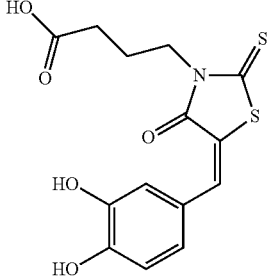
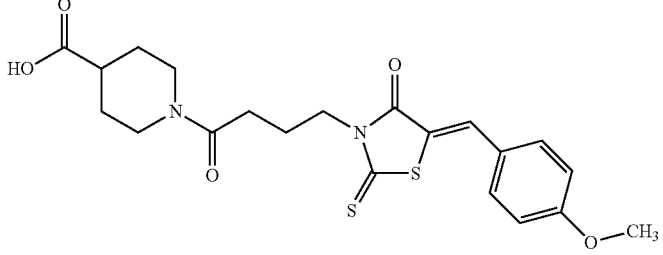
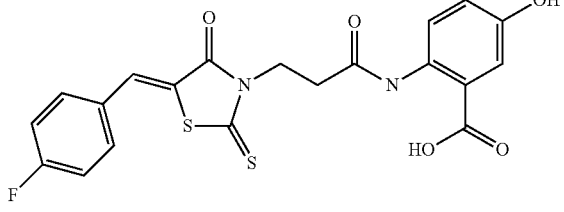
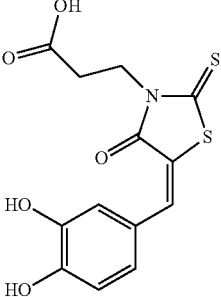
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-313		446.5
IIb-314		339.4
IIb-315		448.6
IIb-316		446.5
IIb-317		325.4

TABLE 7-continued

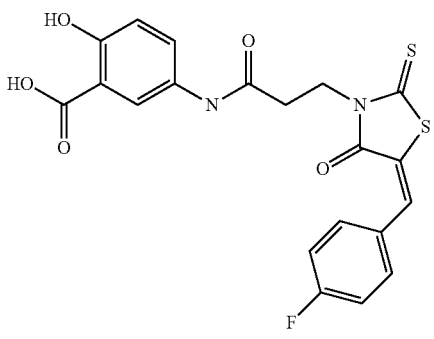
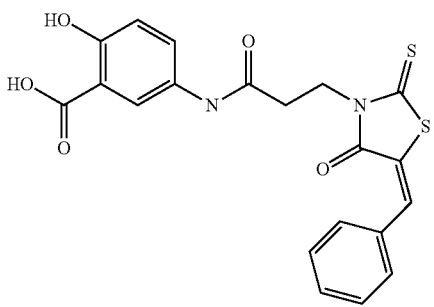
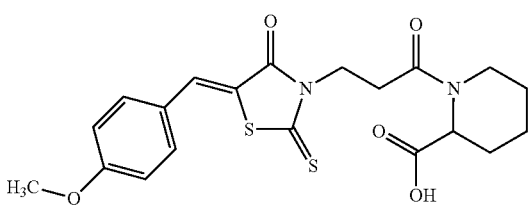
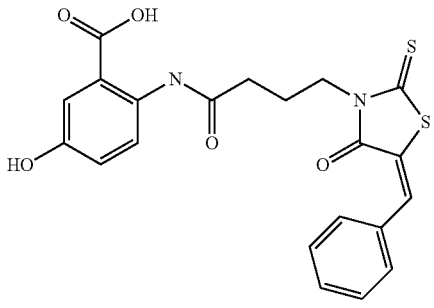
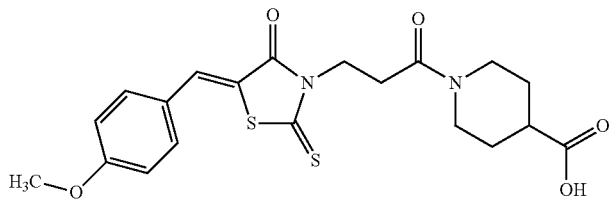
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-318		446.5
IIb-319		428.5
IIb-320		434.5
IIb-321		442.5
IIb-322		434.5

TABLE 7-continued

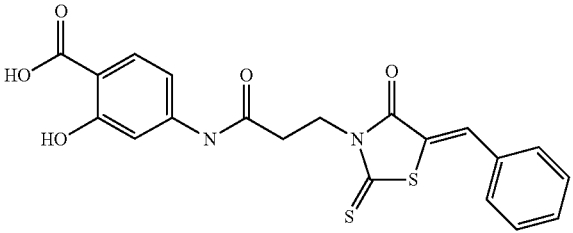
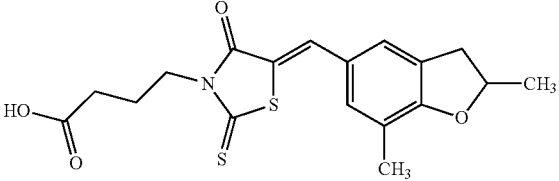
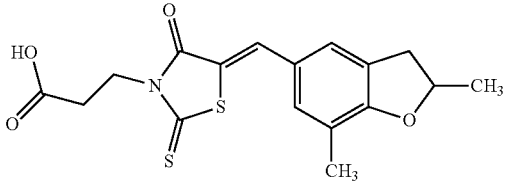
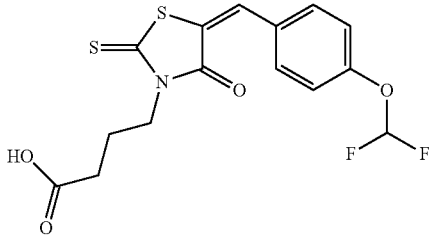
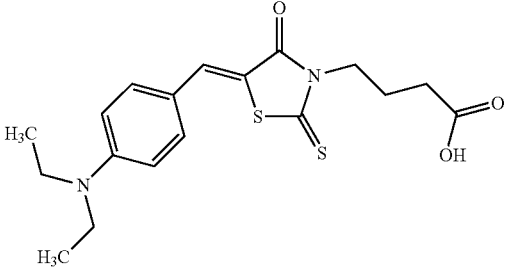
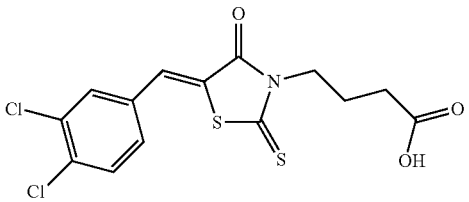
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-323		428.5
IIb-324		377.5
IIb-325		363.5
IIb-326		373.4
IIb-327		378.5
IIb-328		376.3

TABLE 7-continued

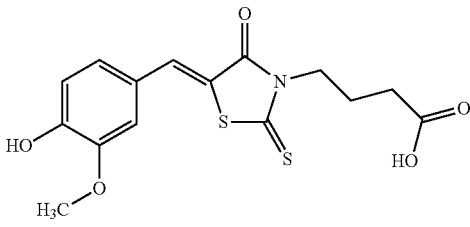
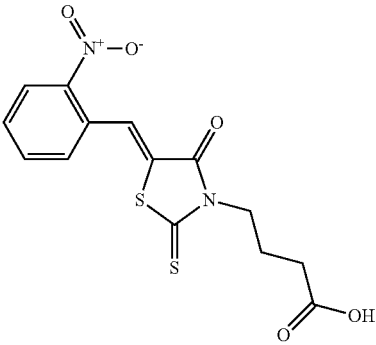
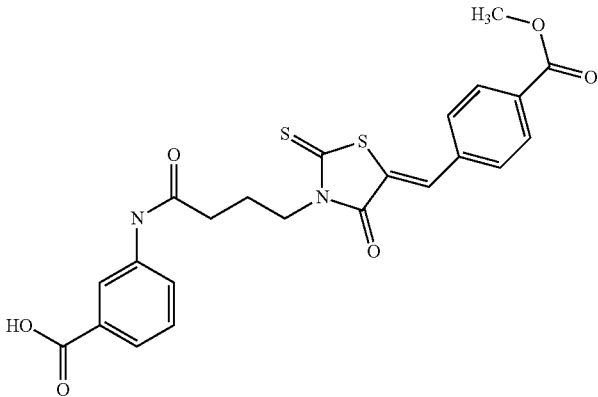
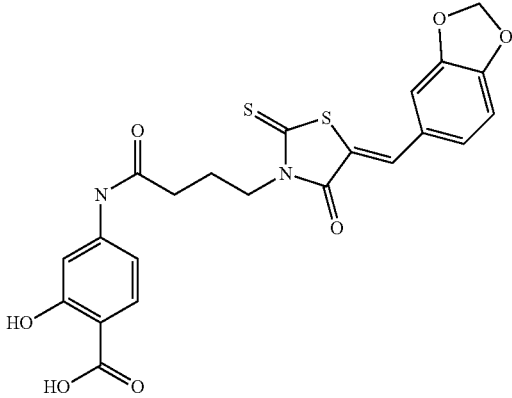
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-329		353.4
IIb-330		352.4
IIb-331		484.6
IIb-332		486.5

TABLE 7-continued

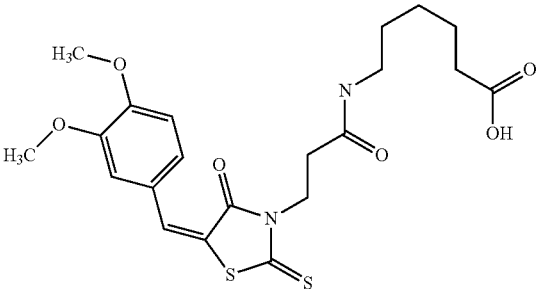
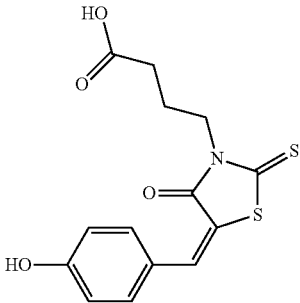
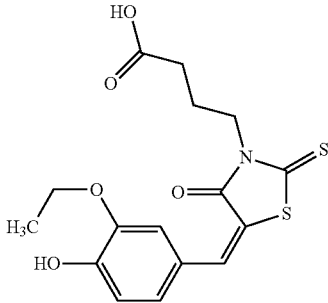
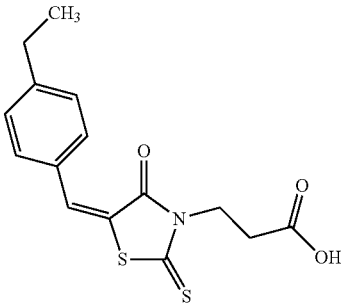
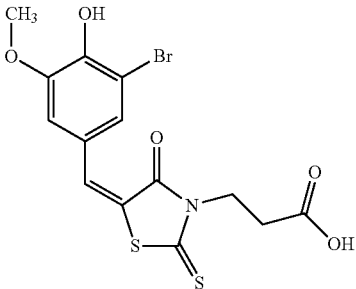
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-333		466.6
IIb-334		323.4
IIb-335		367.4
IIb-336		321.4
IIb-337		418.3

TABLE 7-continued

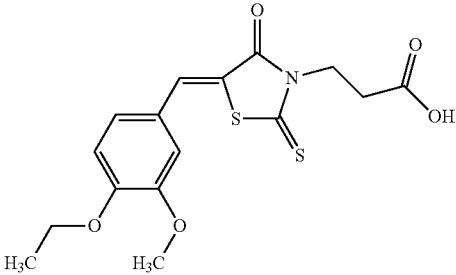
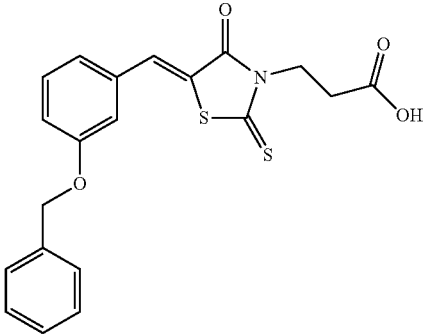
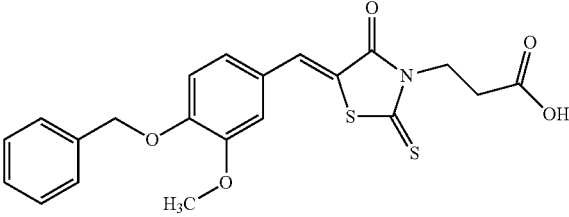
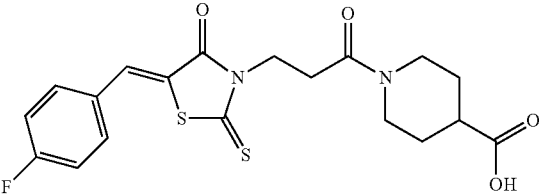
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-338		367.4
IIb-339		399.5
IIb-340		429.5
IIb-341		422.5

TABLE 7-continued

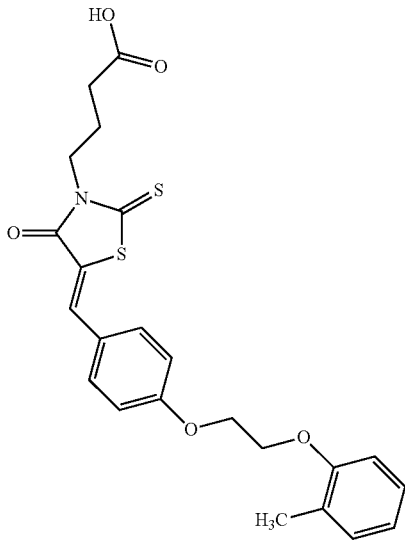
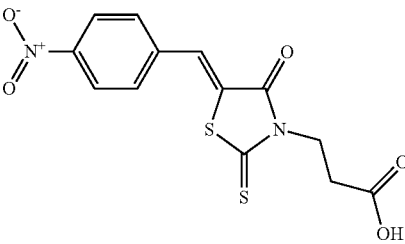
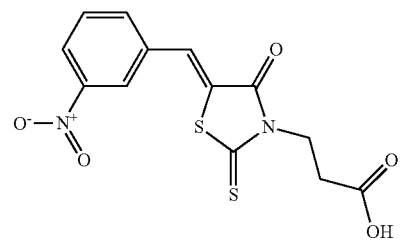
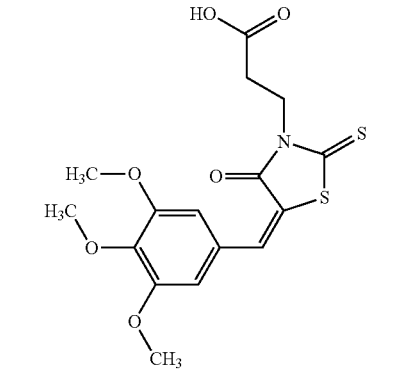
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-342		457.6
IIb-343		338.4
IIb-344		338.4
IIb-345		383.4

TABLE 7-continued

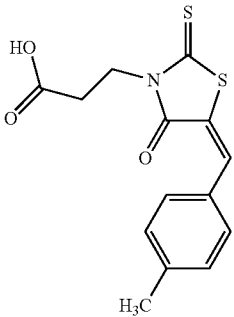
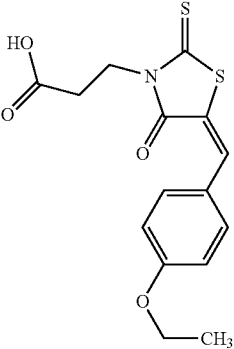
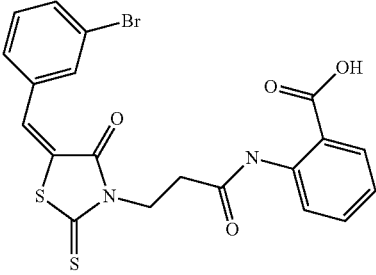
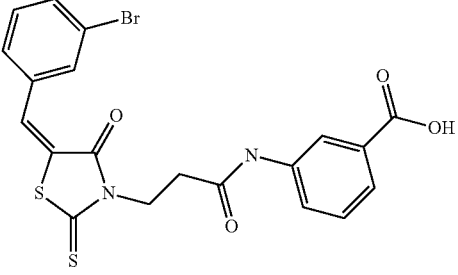
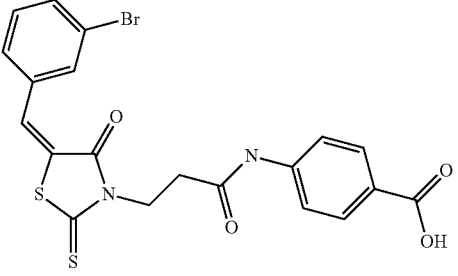
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-346		307.4
IIb-347		337.4
IIb-348		491.4
IIb-349		491.4
IIb-350		491.4

TABLE 7-continued

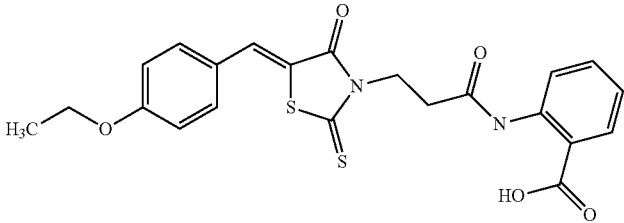
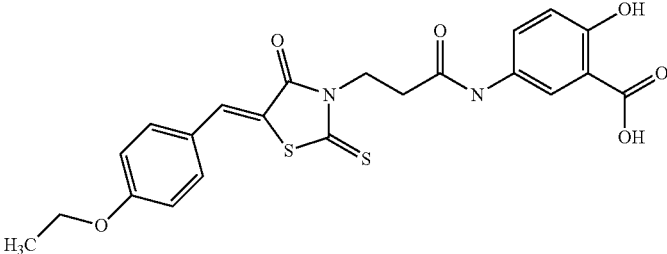
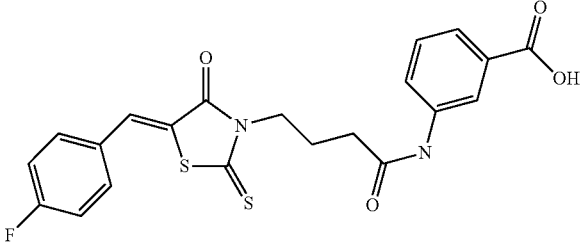
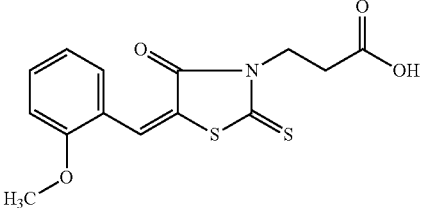
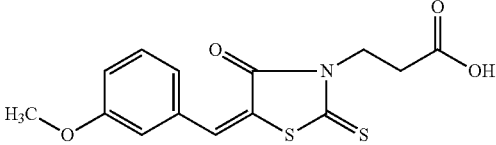
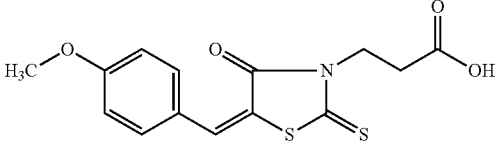
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-351		456.5
IIb-352		472.5
IIb-353		444.5
IIb-354		323.4
IIb-355		323.4
IIb-356		323.4

TABLE 7-continued

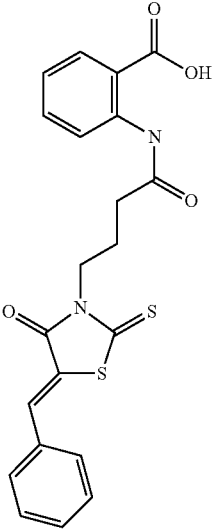
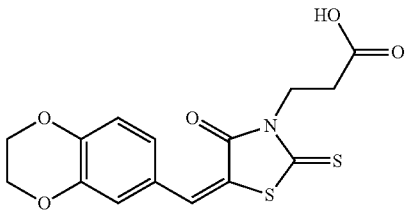
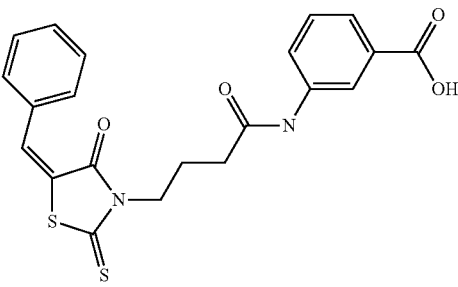
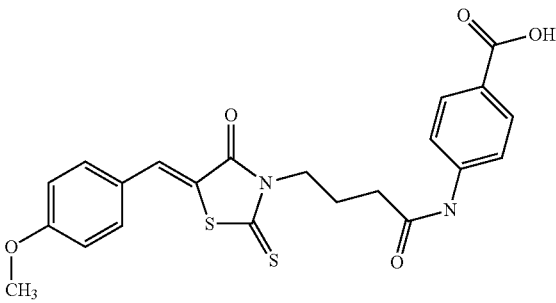
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-357		426.5
IIb-358		351.4
IIb-359		426.5
IIb-360		456.5

TABLE 7-continued

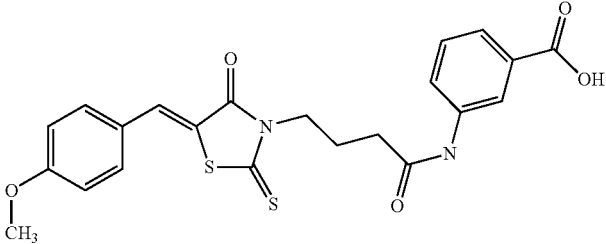
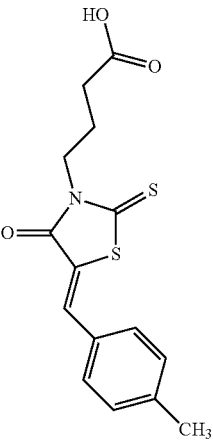
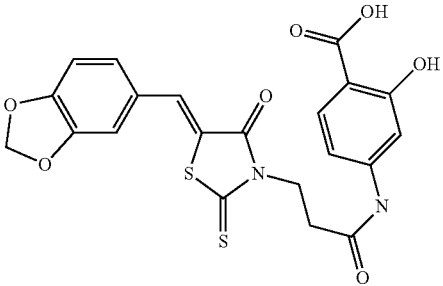
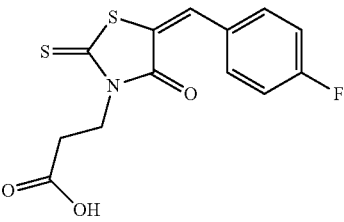
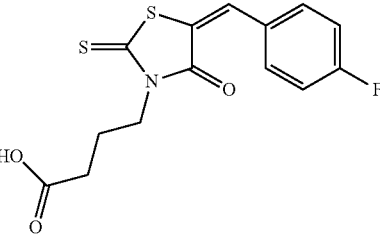
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-361		456.5
IIb-362		321.4
IIb-363		472.5
IIb-364		311.4
IIb-365		325.4

TABLE 7-continued

Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-366		327.8
IIb-367		293.4
IIb-368		381.5
IIb-369		393.5

TABLE 7-continued

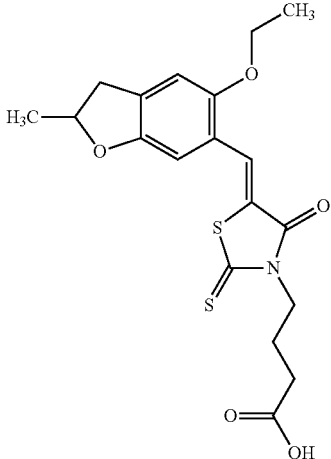
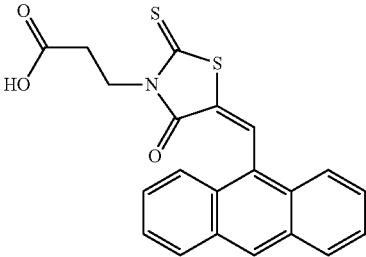
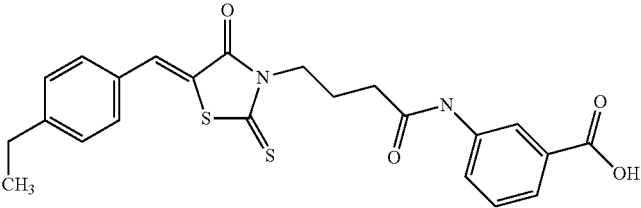
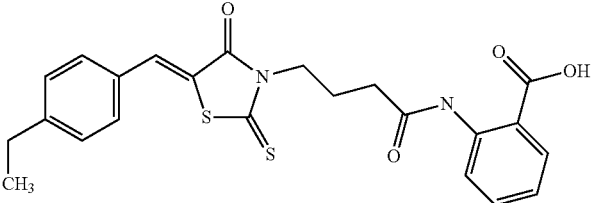
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-370		407.5
IIb-371		393.5
IIb-372		454.6
IIb-373		454.6

TABLE 7-continued

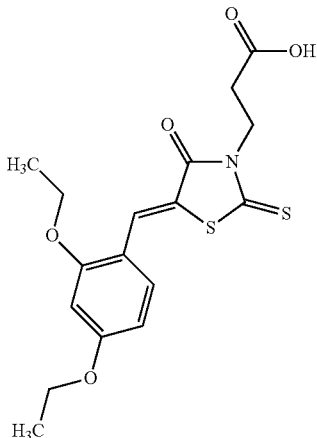
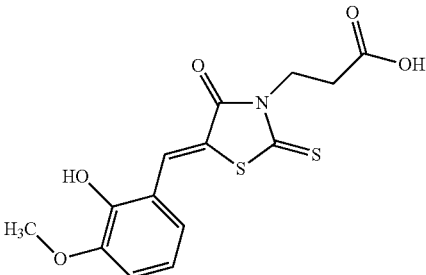
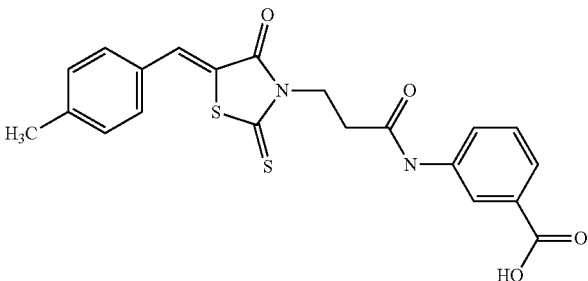
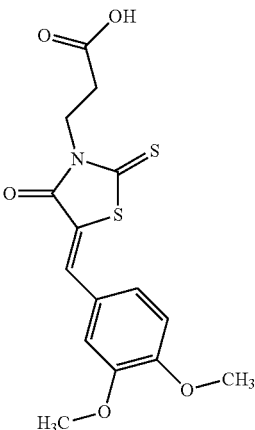
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-374		381.5
IIb-375		339.4
IIb-376		426.5
IIb-377		353.4

TABLE 7-continued

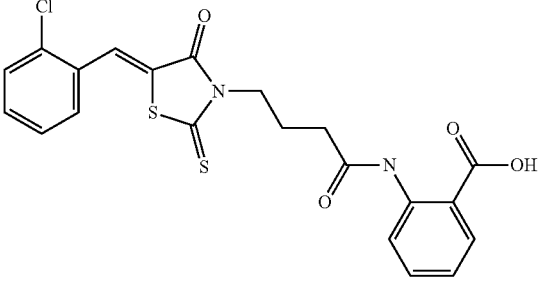
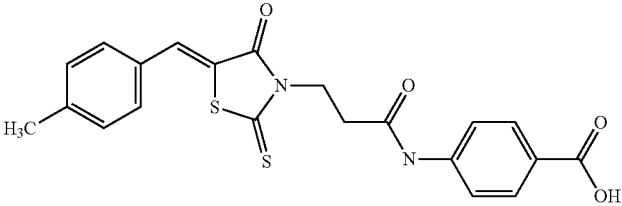
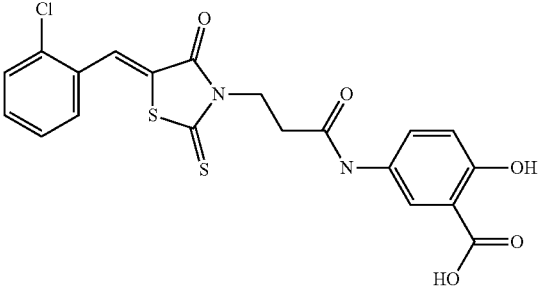
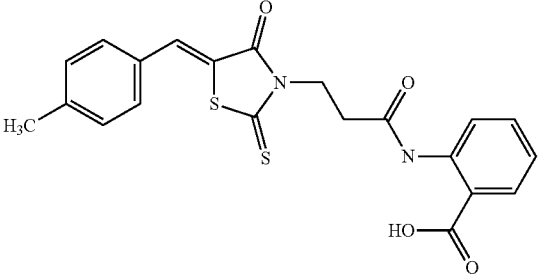
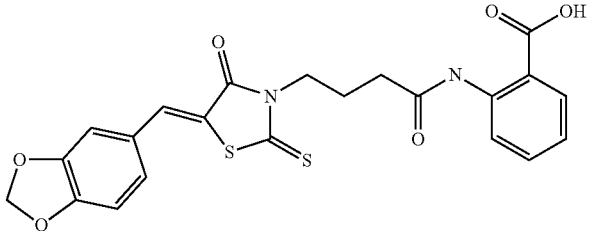
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-378		461.0
IIb-379		426.5
IIb-380		462.9
IIb-381		426.5
IIb-382		470.5

TABLE 7-continued

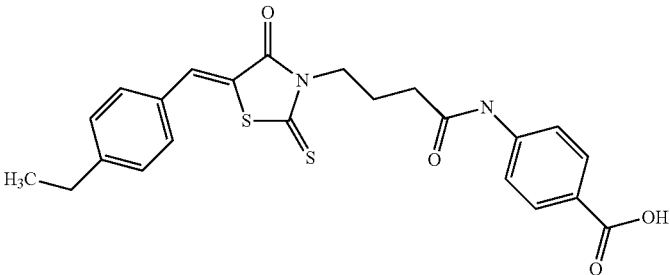
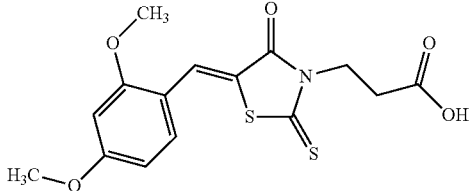
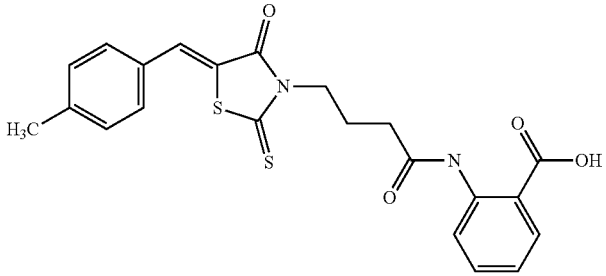
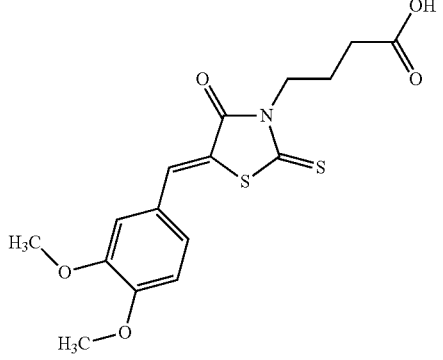
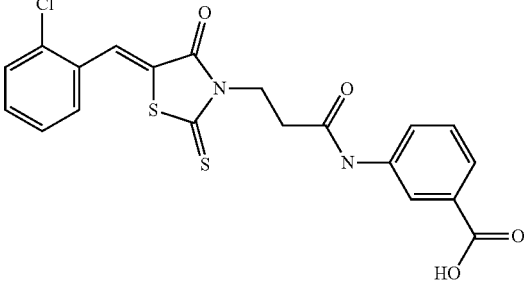
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-383		454.6
IIb-384		353.4
IIb-385		440.5
IIb-386		367.4
IIb-387		446.9

TABLE 7-continued

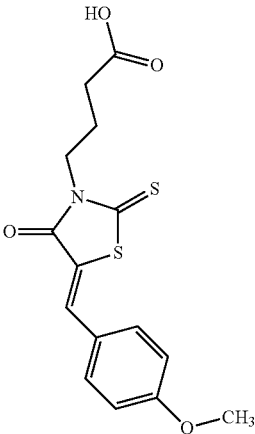
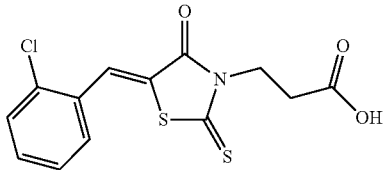
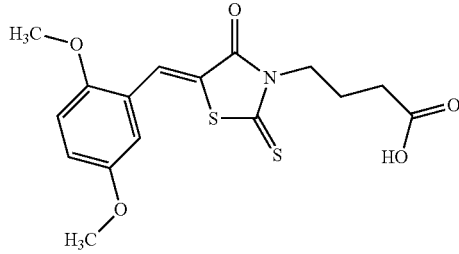
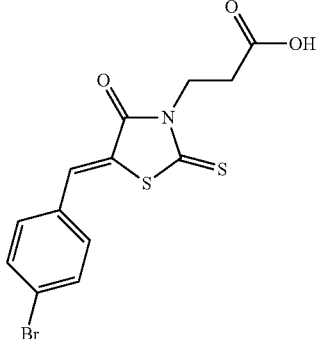
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-388		337.4
IIb-389		327.8
IIb-390		367.4
IIb-391		372.3

TABLE 7-continued

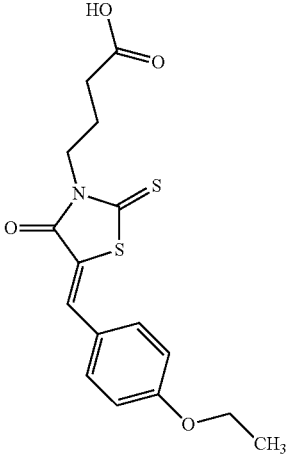
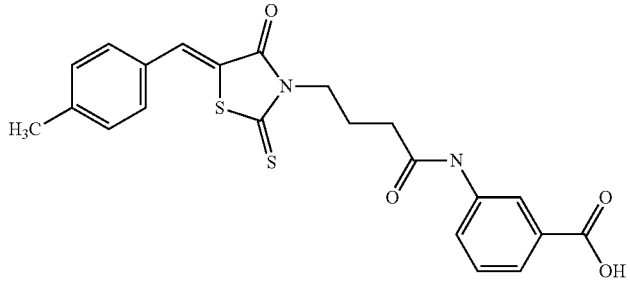
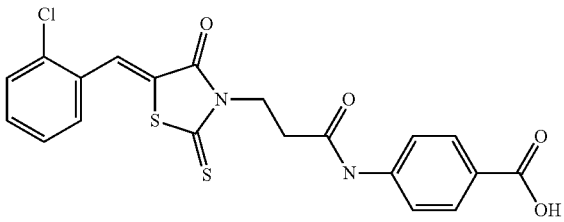
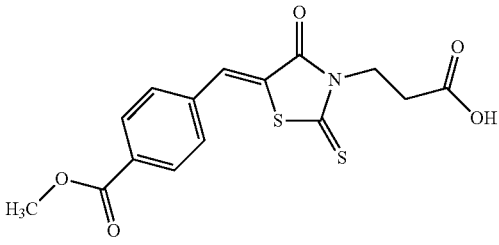
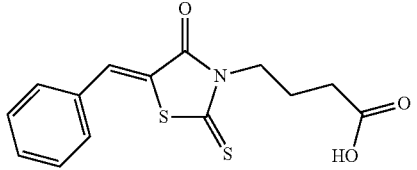
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-392		351.4
IIb-393		440.5
IIb-394		446.9
IIb-395		351.4
IIb-396		307.4

TABLE 7-continued

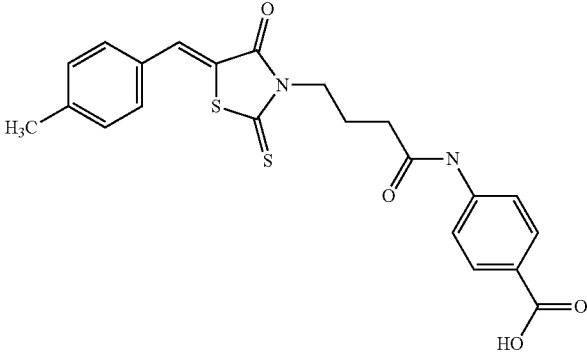
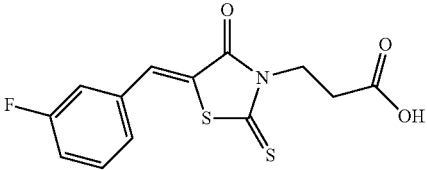
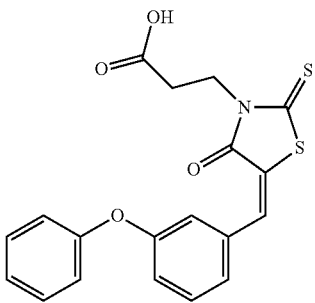
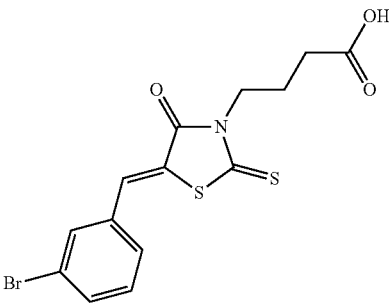
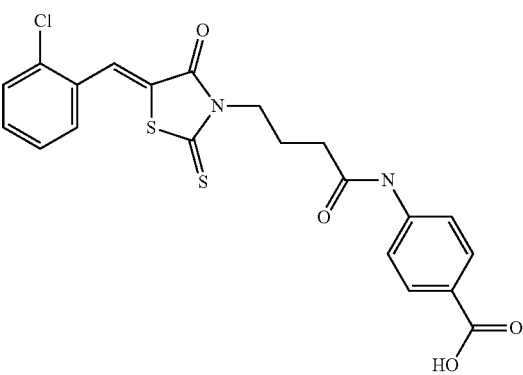
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-397		440.5
IIb-398		311.4
IIb-399		385.5
IIb-400		386.3
IIb-401		461.0

TABLE 7-continued

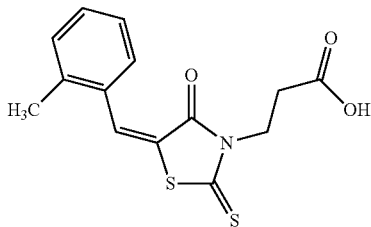
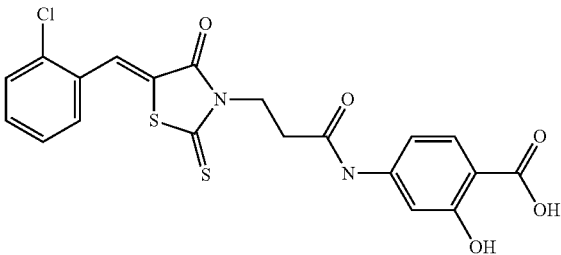
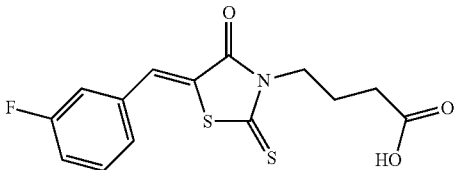
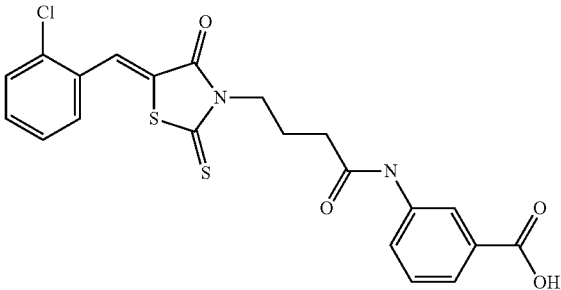
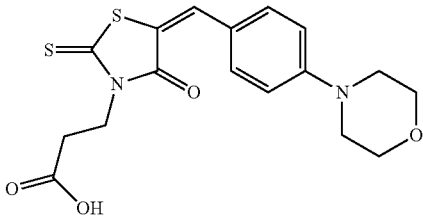
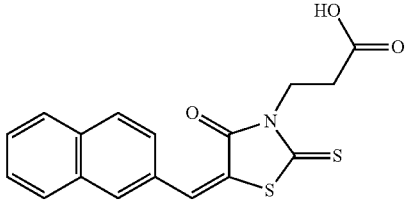
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-402		307.4
IIb-403		462.9
IIb-404		325.4
IIb-405		461.0
IIb-406		378.5
IIb-407		343.4

TABLE 7-continued

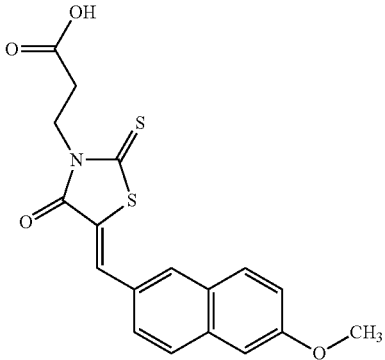
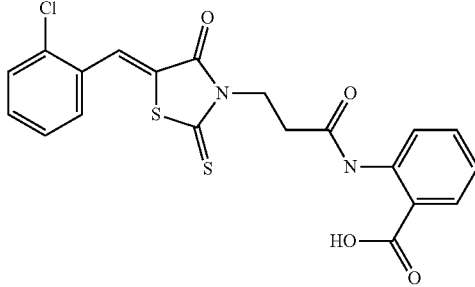
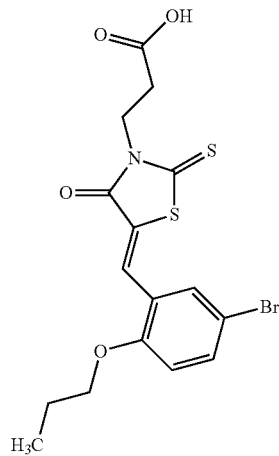
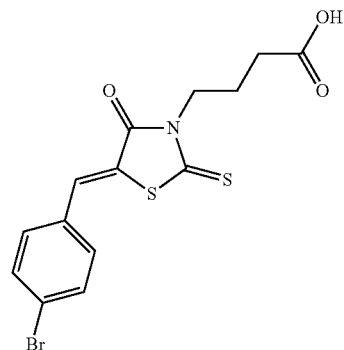
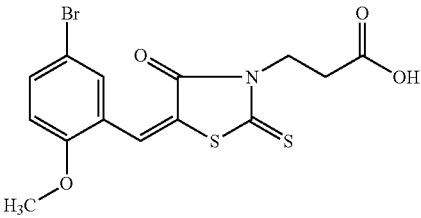
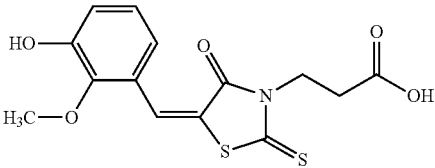
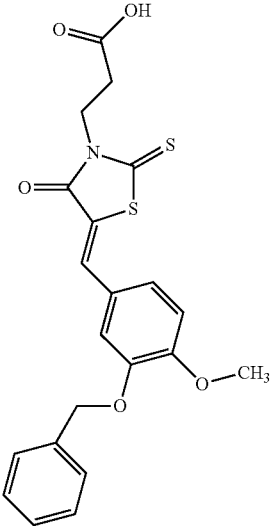
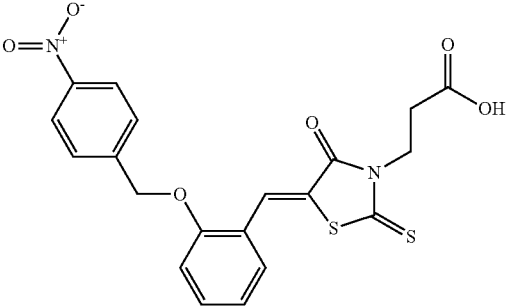
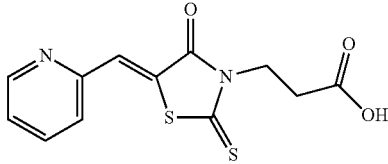
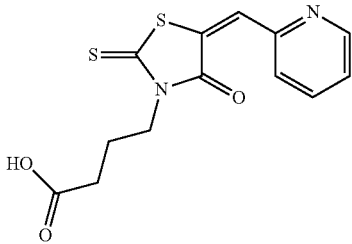
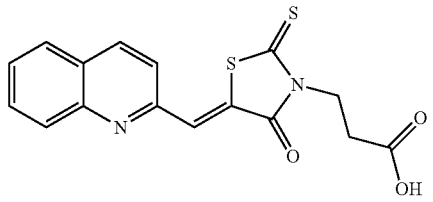
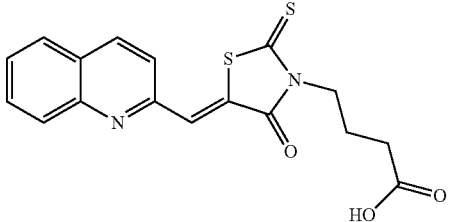
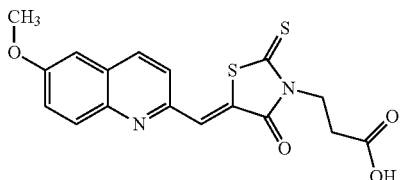
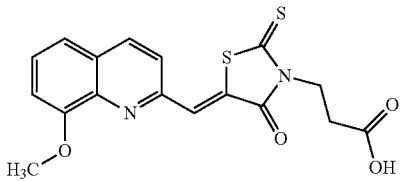
Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-408		373.5
IIb-409		446.9
IIb-410		430.3
IIb-411		386.3

TABLE 7-continued

Phenmethylene-Thiazole Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-412		402.3
IIb-413		339.4
IIb-414		429.5
IIb-415		444.5

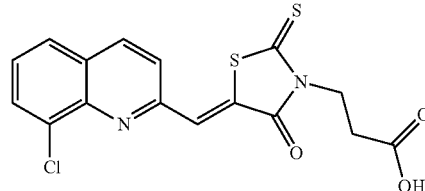
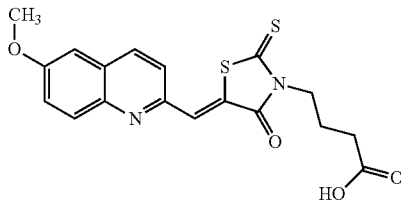
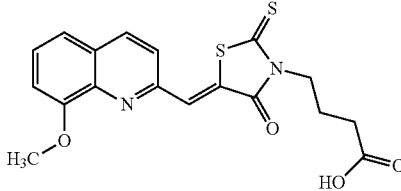
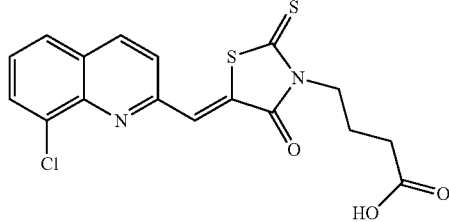
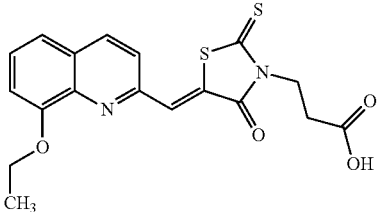
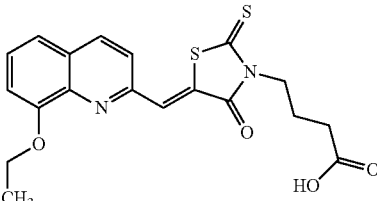
1237

TABLE 8

Pyridyl And Quinoliny Methylene Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-416		294.4
IIb-417		308.4
IIb-418		344.4
IIb-419		358.4
IIb-420		374.4
IIb-421		374.4

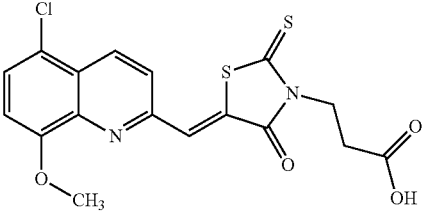
1238

TABLE 8-continued

Pyridyl And Quinoliny Methylene Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
IIb-422		378.9
IIb-423		388.5
IIb-424		388.5
IIb-425		392.9
IIb-426		388.5
IIb-427		402.5

1239

TABLE 8-continued

Pyridyl And Quinoliny Methylene Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
I Ib-428		408.9

1240

TABLE 8-continued

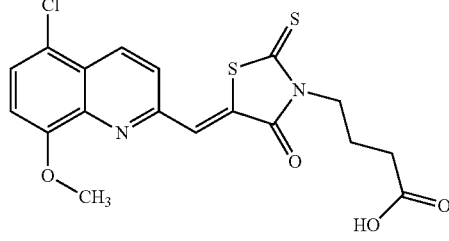
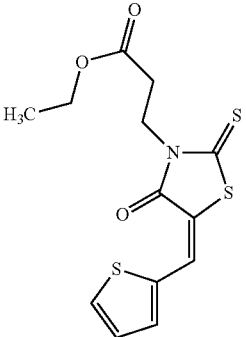
Pyridyl And Quinoliny Methylene Alkanoic Acids (R ³ = OH)		
ID	Structure	MW
I Ib-429		422.9

TABLE 9

Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
I Ib-430		327.4

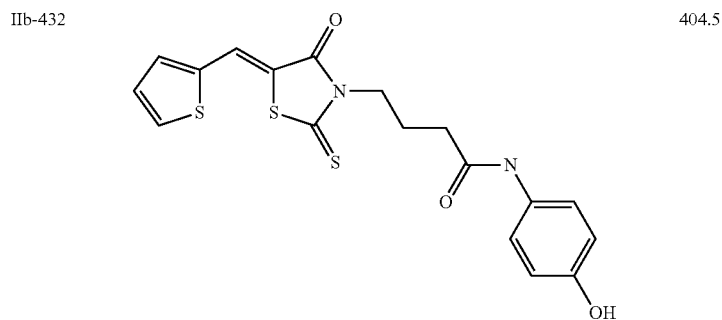
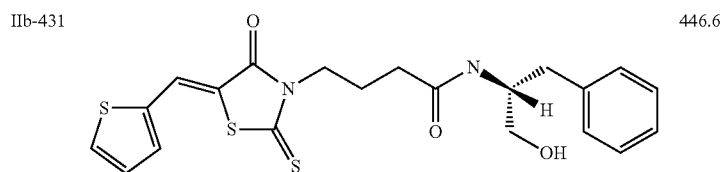


TABLE 9-continued

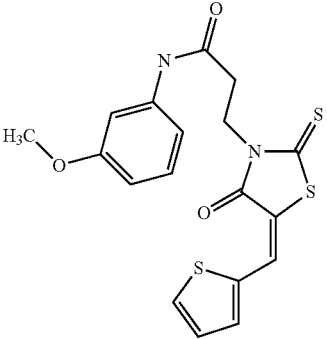
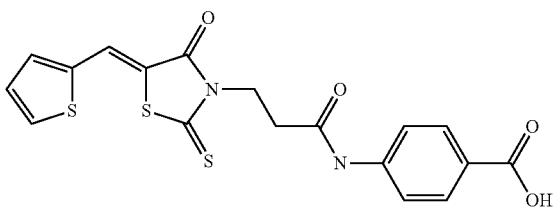
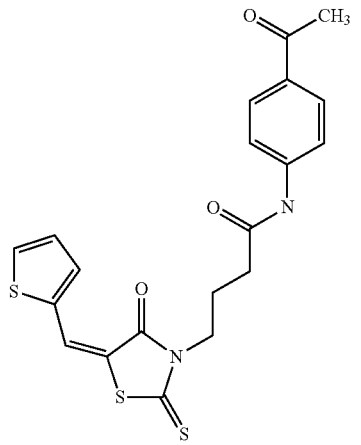
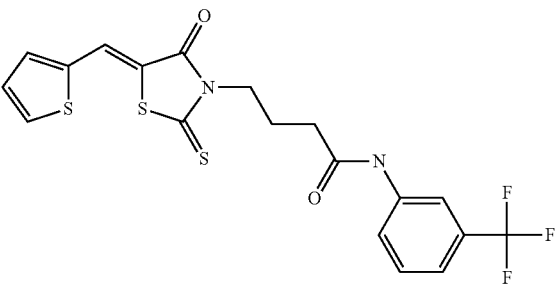
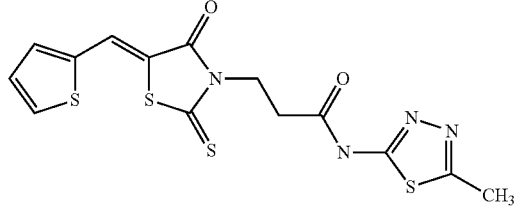
Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-433		404.5
IIb-434		418.5
IIb-435		430.6
IIb-436		456.5
IIb-437		396.5

TABLE 9-continued

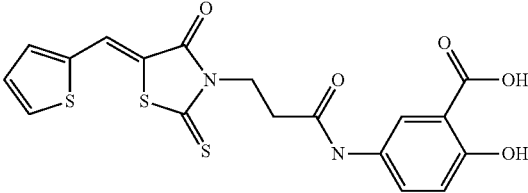
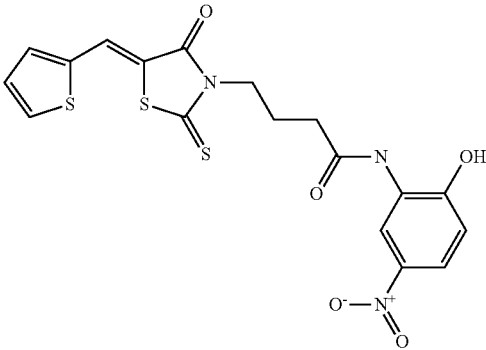
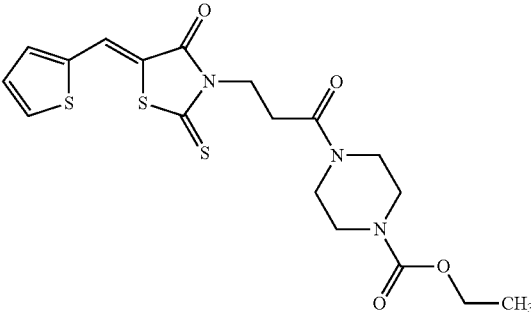
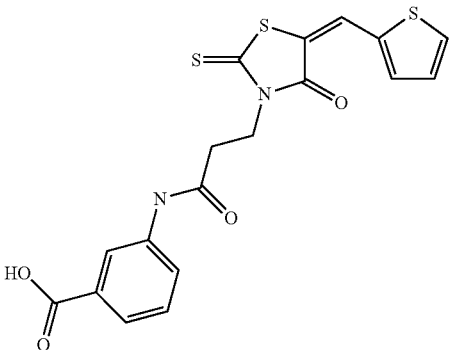
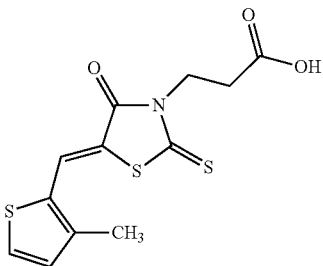
Thiophenylmethylene Alkanoic Acids And Amides ($R^3 = O-$ And $NH-$)		
ID	Structure	MW
IIb-438		434.5
IIb-439		449.5
IIb-440		439.6
IIb-441		418.5
IIb-442		313.4

TABLE 9-continued

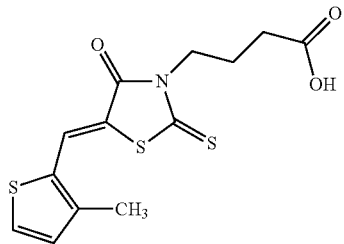
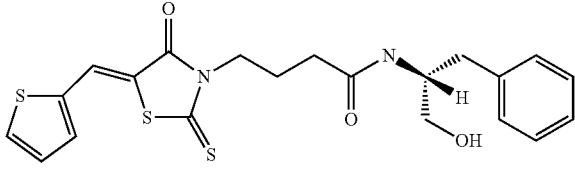
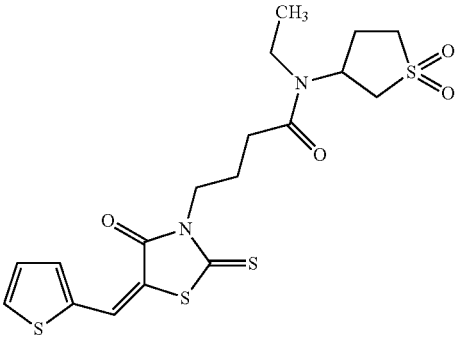
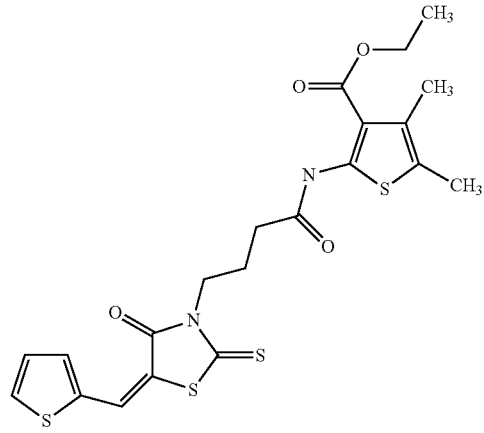
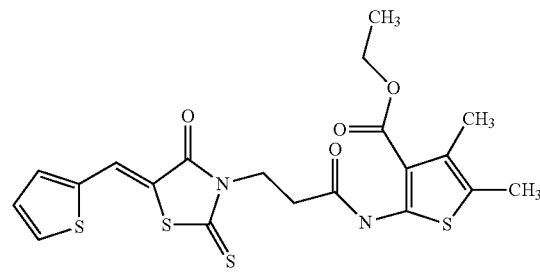
Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-443		327.4
IIb-444		446.6
IIb-445		458.6
IIb-446		494.7
IIb-447		480.6

TABLE 9-continued

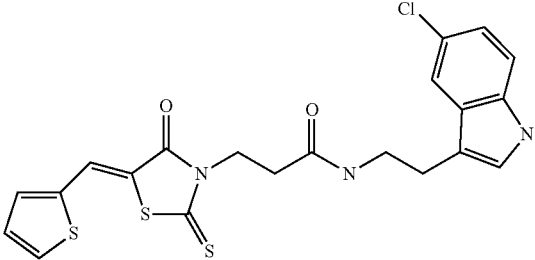
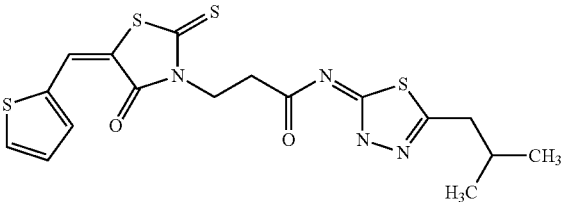
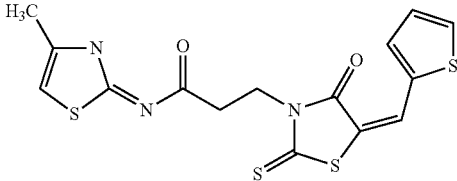
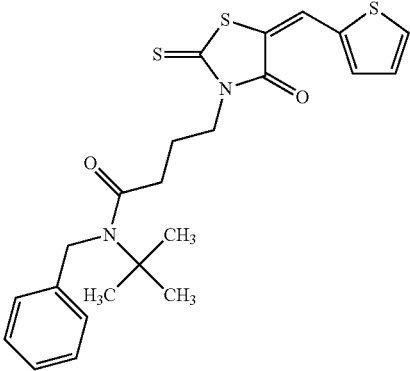
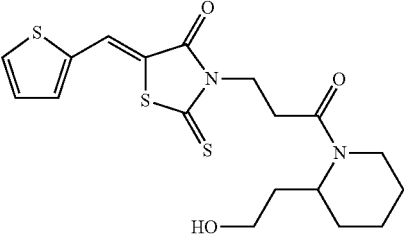
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-448		476.0
IIb-449		438.6
IIb-450		395.5
IIb-451		458.7
IIb-452		410.6

TABLE 9-continued

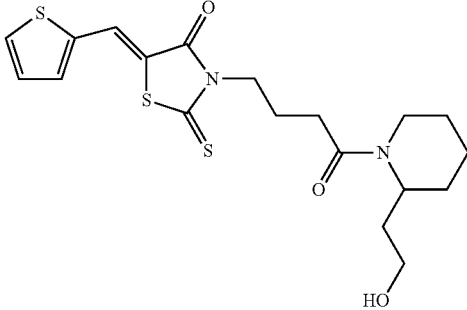
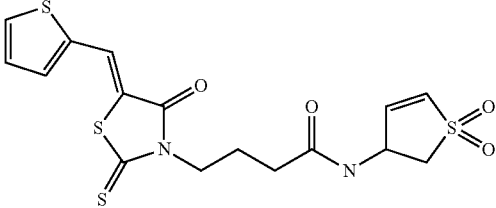
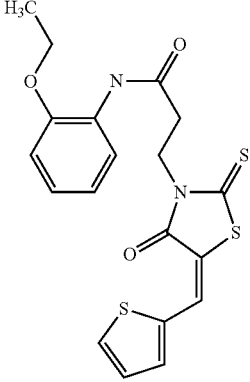
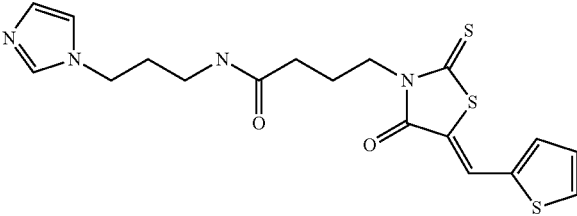
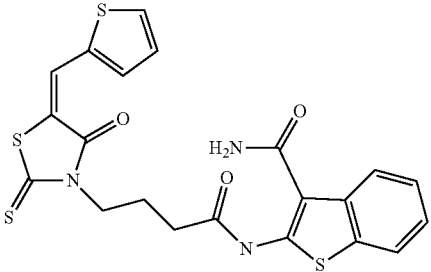
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-453		424.6
IIb-454		428.6
IIb-455		418.6
IIb-456		420.6
IIb-457		487.6

TABLE 9-continued

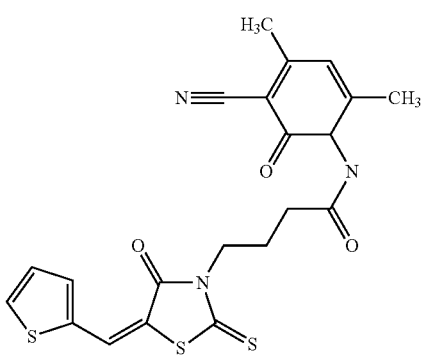
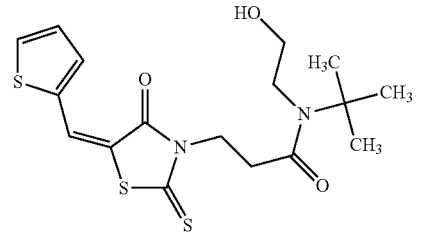
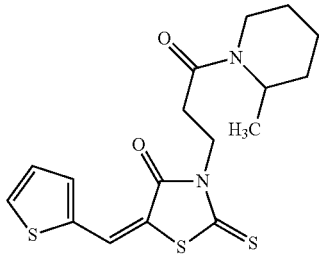
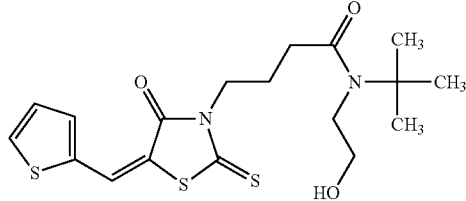
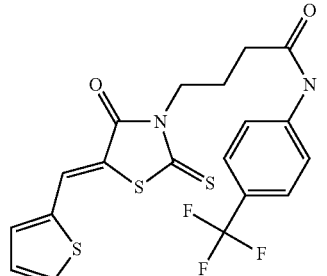
Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-458		457.6
IIb-459		398.6
IIb-460		380.6
IIb-461		412.6
IIb-462		456.5

TABLE 9-continued

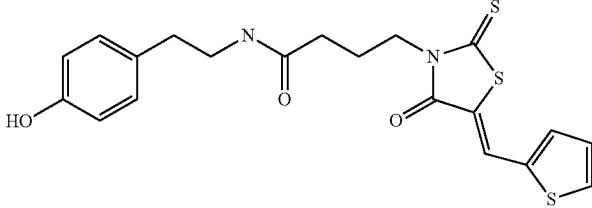
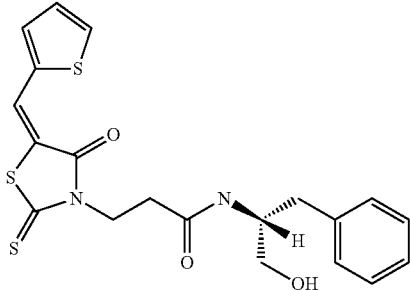
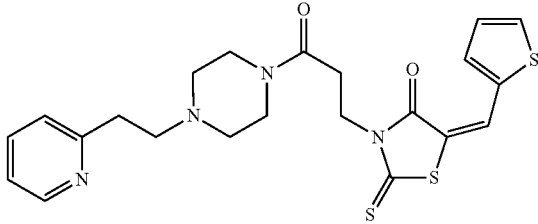
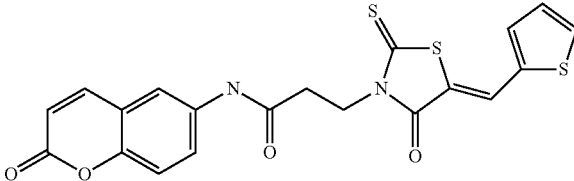
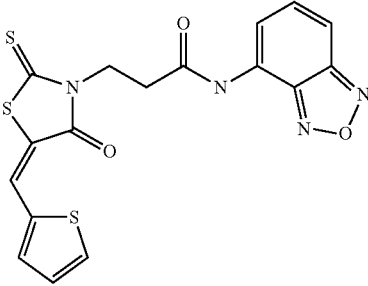
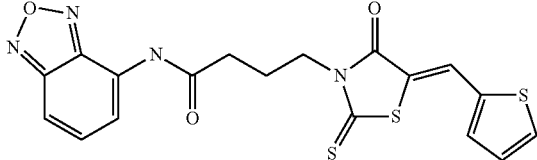
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-463		432.6
IIb-464		432.6
IIb-465		472.7
IIb-466		442.5
IIb-467		416.5
IIb-468		430.5

TABLE 9-continued

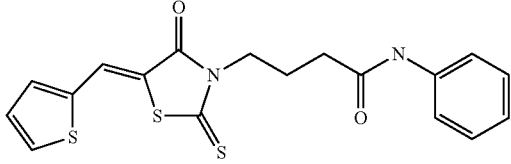
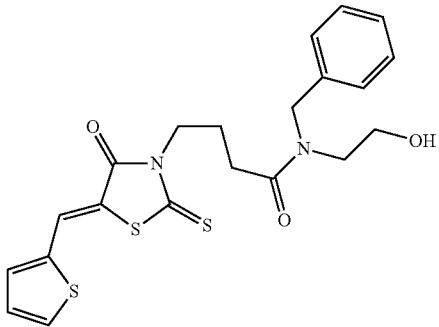
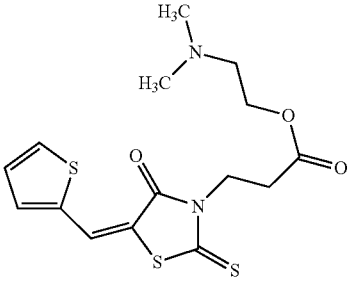
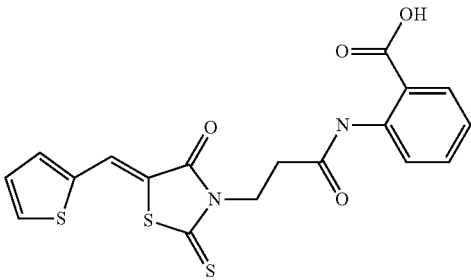
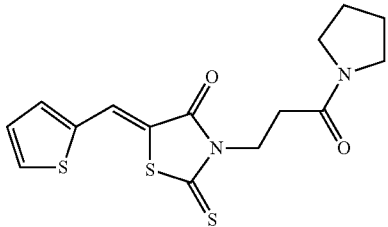
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-469		388.5
IIb-470		446.6
IIb-471		370.5
IIb-472		418.5
IIb-473		352.5

TABLE 9-continued

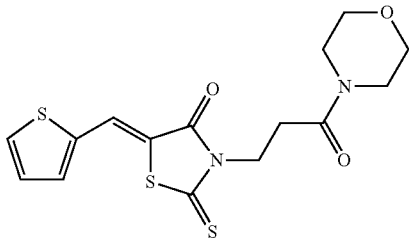
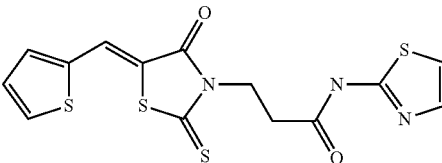
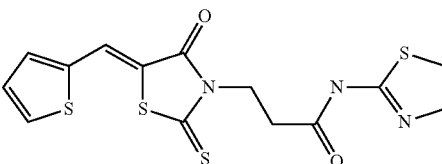
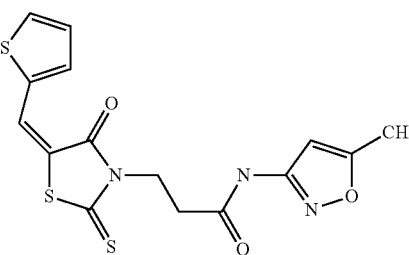
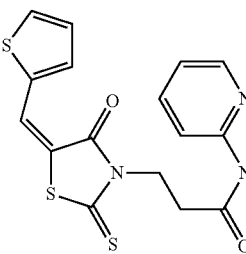
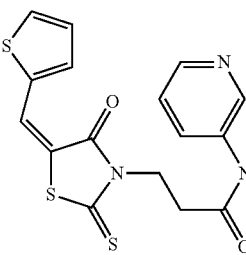
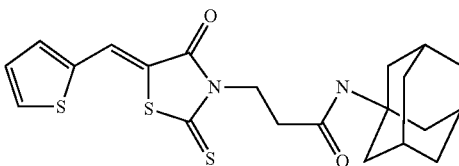
Thiophenylmethylanyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-474		368.5
IIb-475		381.5
IIb-476		383.5
IIb-477		379.5
IIb-478		375.5
IIb-479		375.5
IIb-480		432.6

TABLE 9-continued

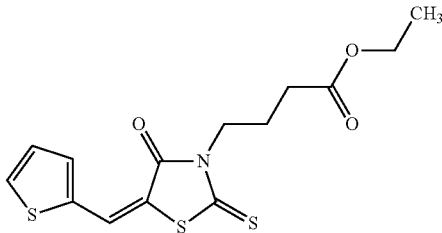
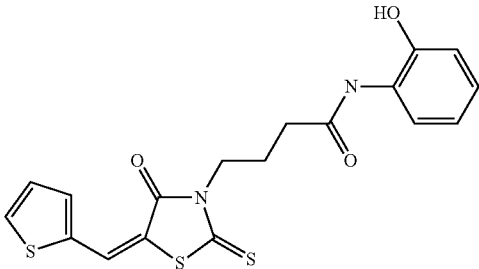
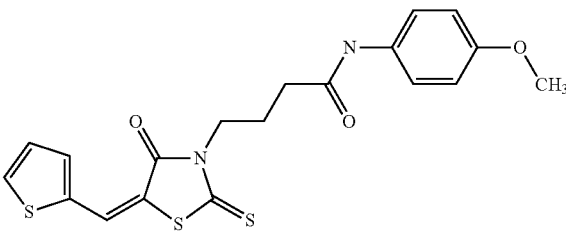
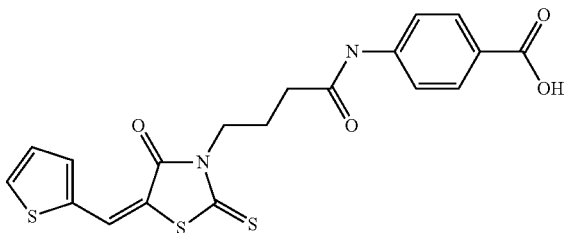
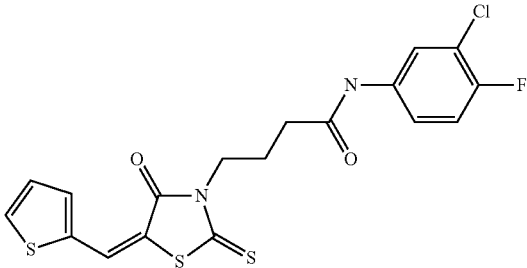
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-481		341.5
IIb-482		404.5
IIb-483		418.6
IIb-484		432.5
IIb-485		441.0

TABLE 9-continued

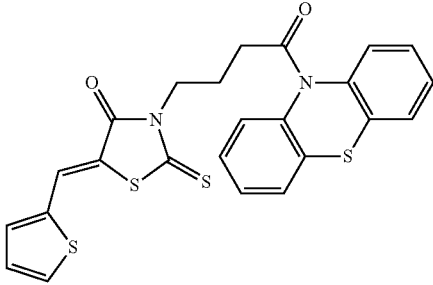
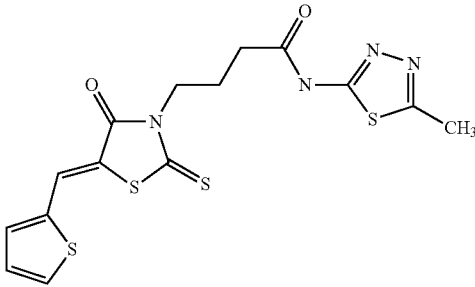
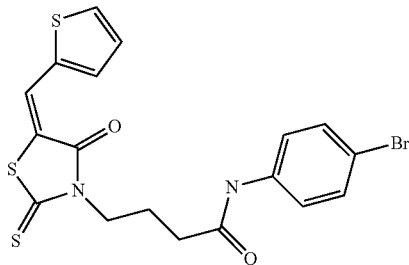
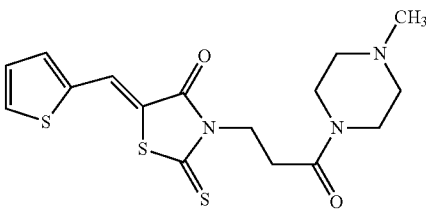
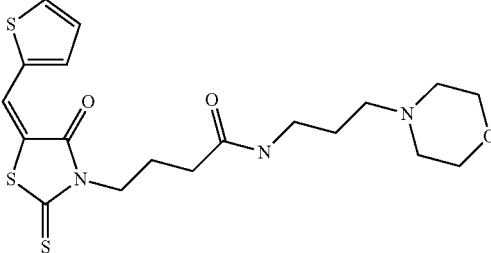
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-486		494.7
IIb-487		410.6
IIb-488		467.4
IIb-489		381.5
IIb-490		439.6

TABLE 9-continued

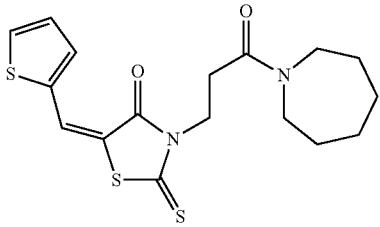
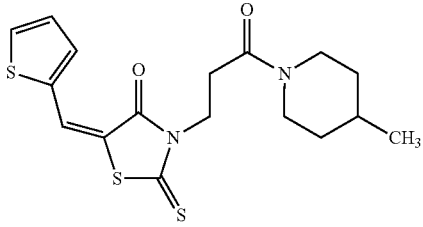
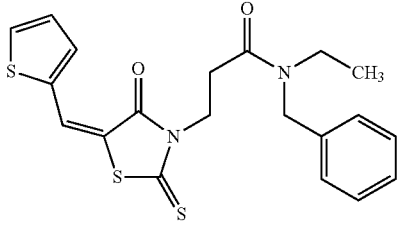
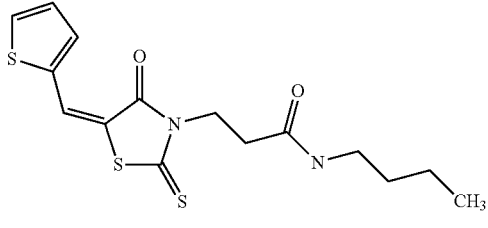
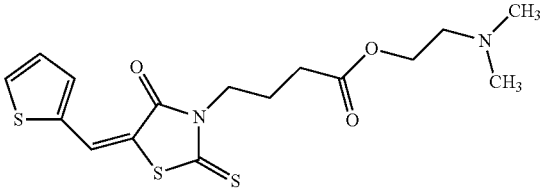
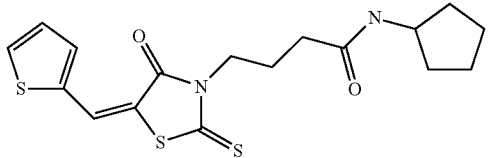
Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-491		380.6
IIb-492		380.6
IIb-493		416.6
IIb-494		354.5
IIb-495		384.5
IIb-496		380.6

TABLE 9-continued

Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-497		477.6
IIb-498		445.6
IIb-499		416.6
IIb-500		406.5
IIb-501		439.0
IIb-502		397.6

TABLE 9-continued

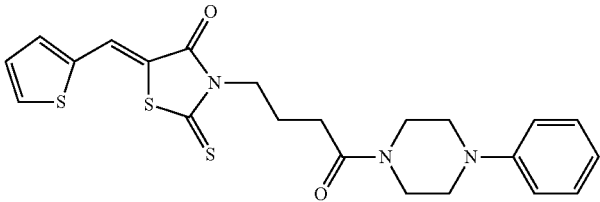
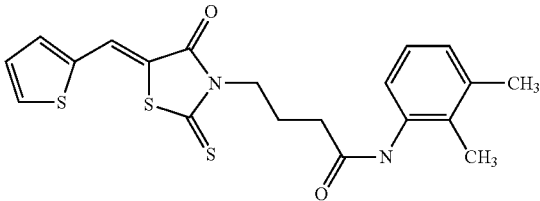
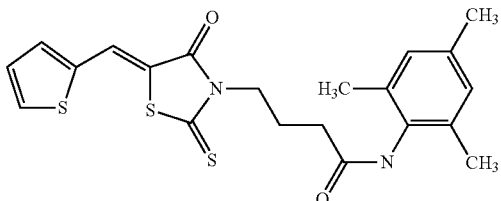
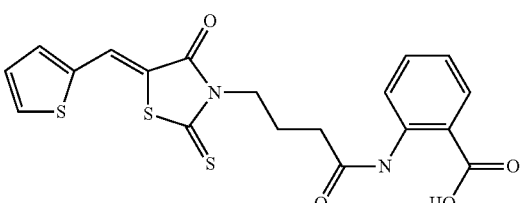
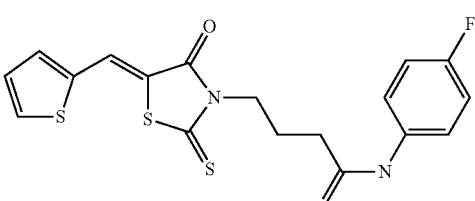
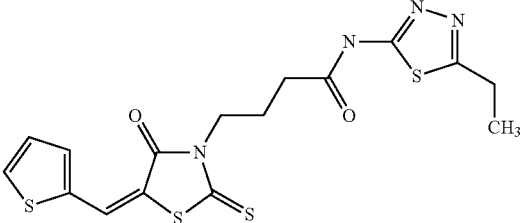
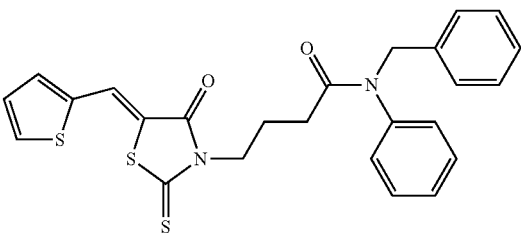
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-503		457.6
IIb-504		416.6
IIb-505		430.6
IIb-506		432.5
IIb-507		406.5
IIb-508		424.6
IIb-509		478.7

TABLE 9-continued

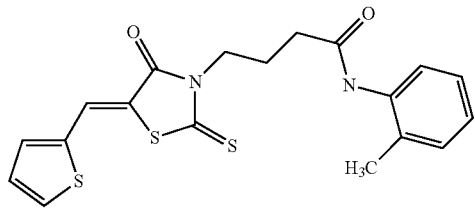
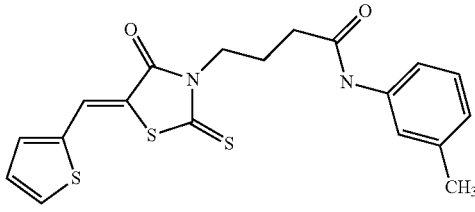
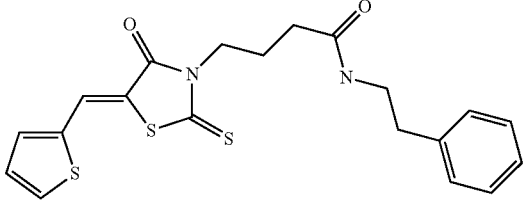
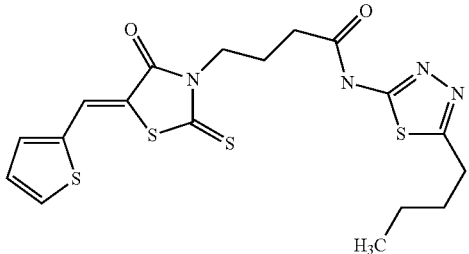
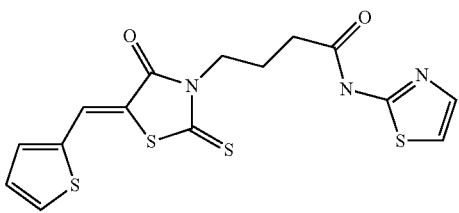
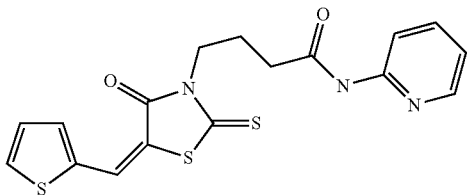
Thiophenylmethylenyl Alkanoic Acids And Amides ($R^3 = O-$ And $NH-$)		
ID	Structure	MW
IIb-510		402.6
IIb-511		402.6
IIb-512		416.6
IIb-513		452.6
IIb-514		395.5
IIb-515		389.5

TABLE 9-continued

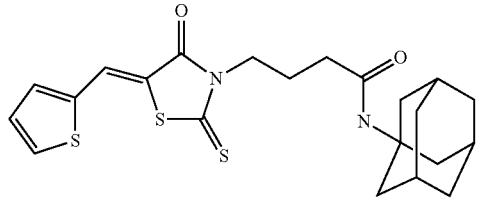
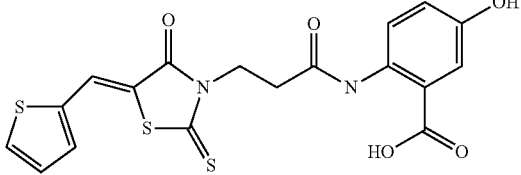
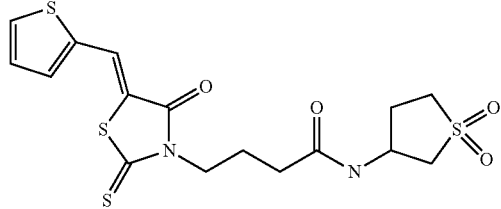
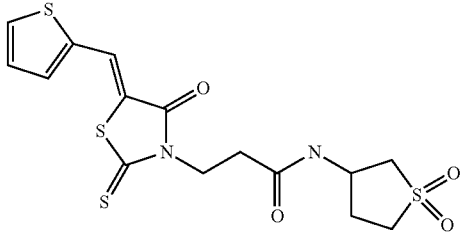
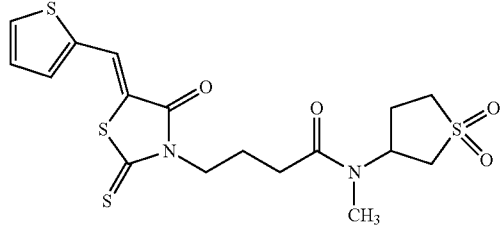
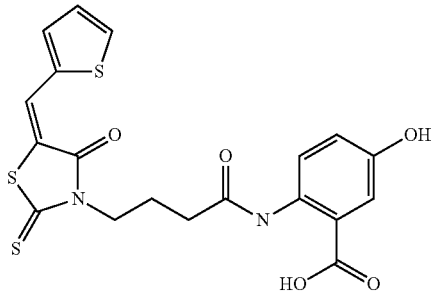
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-516		446.7
IIb-517		434.5
IIb-518		430.6
IIb-519		416.6
IIb-520		444.6
IIb-521		448.5

TABLE 9-continued

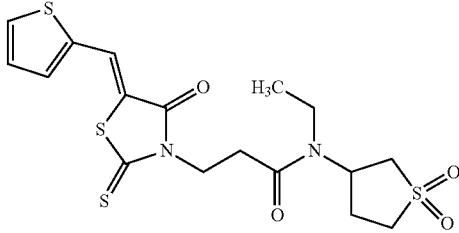
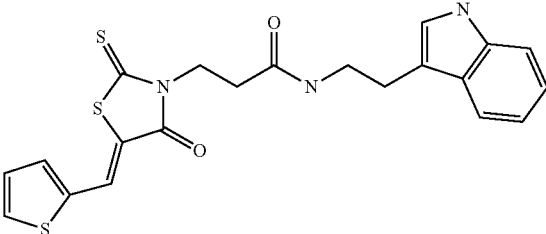
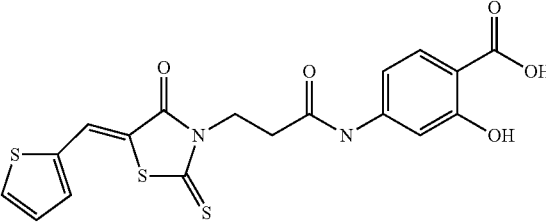
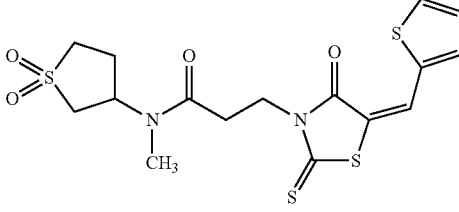
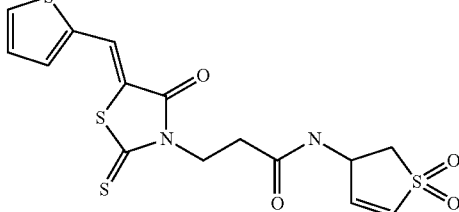
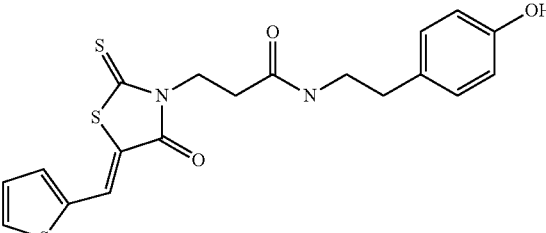
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-522		444.6
IIb-523		441.6
IIb-524		434.5
IIb-525		430.6
IIb-526		414.5
IIb-527		418.6

TABLE 9-continued

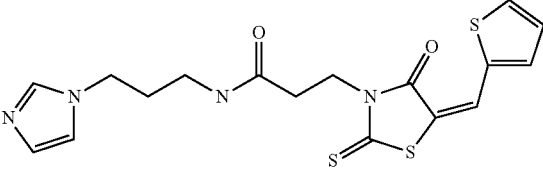
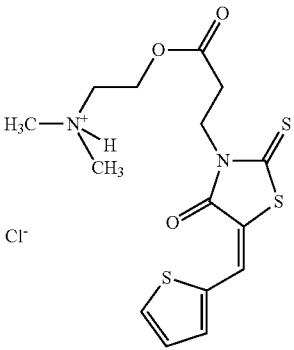
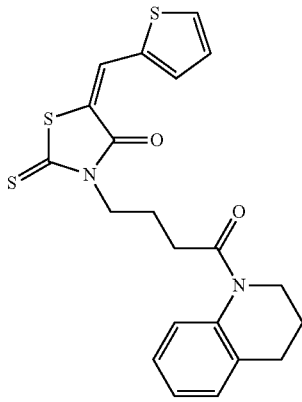
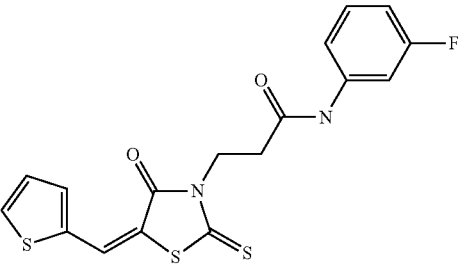
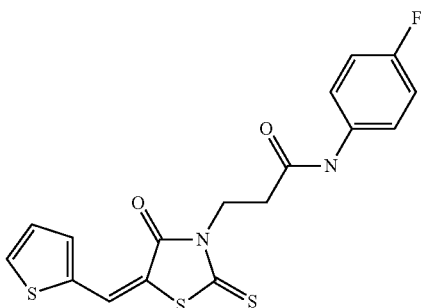
Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-528		406.6
IIb-529		407.0
IIb-530		428.6
IIb-531		392.5
IIb-532		392.5

TABLE 9-continued

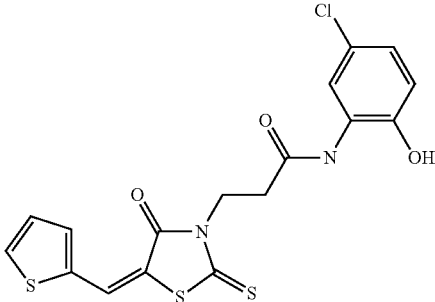
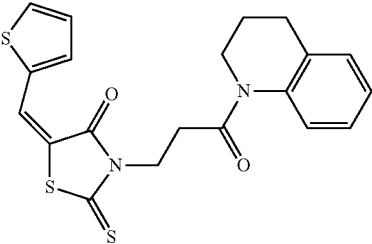
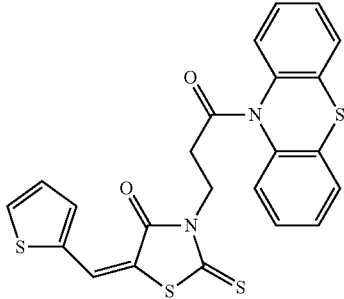
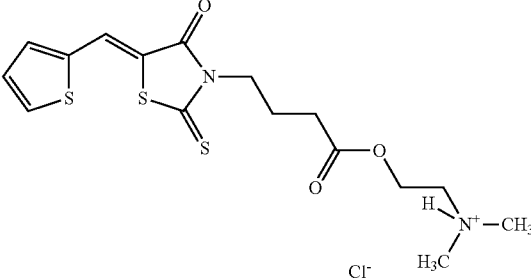
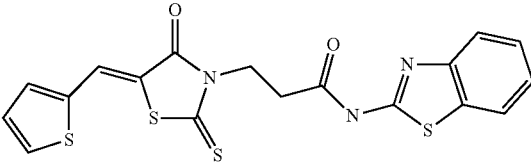
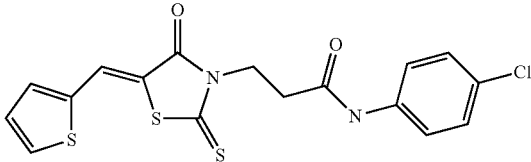
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-533		424.9
IIb-534		414.6
IIb-535		480.7
IIb-536		421.0
IIb-537		431.6
IIb-538		409.0

TABLE 9-continued

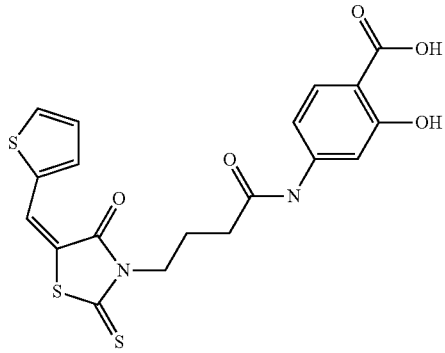
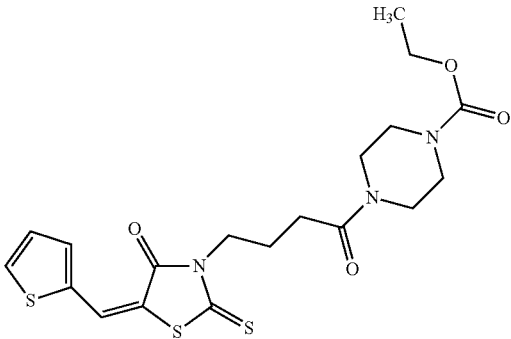
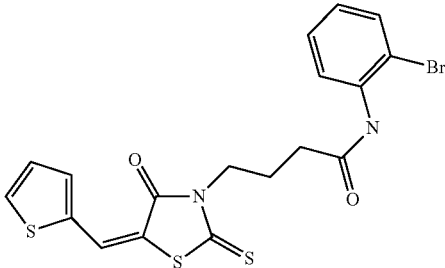
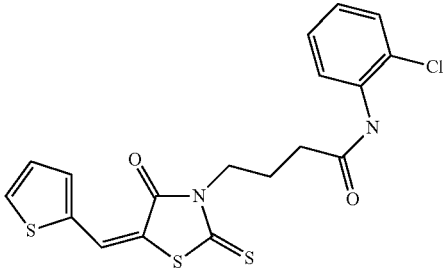
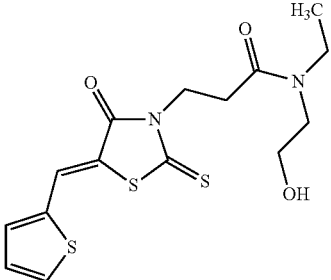
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-539		448.5
IIb-540		453.6
IIb-541		467.4
IIb-542		423.0
IIb-543		370.5

TABLE 9-continued

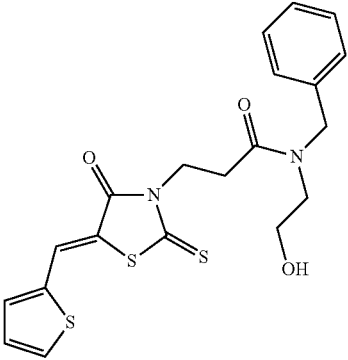
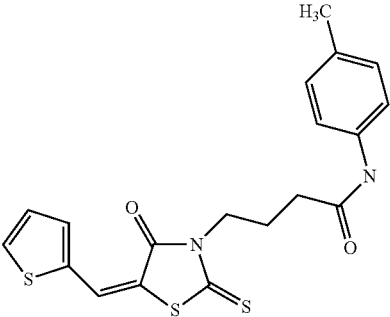
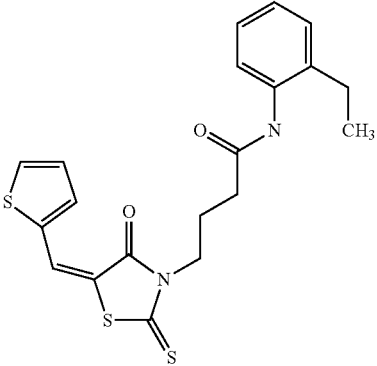
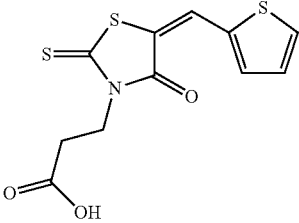
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-544		432.6
IIb-545		402.6
IIb-546		416.6
IIb-547		299.4

TABLE 9-continued

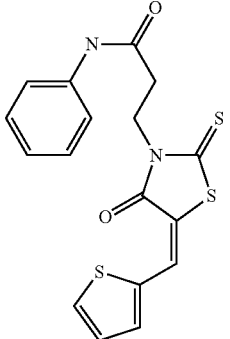
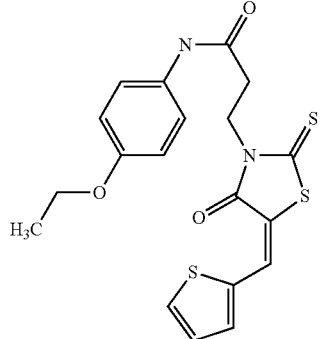
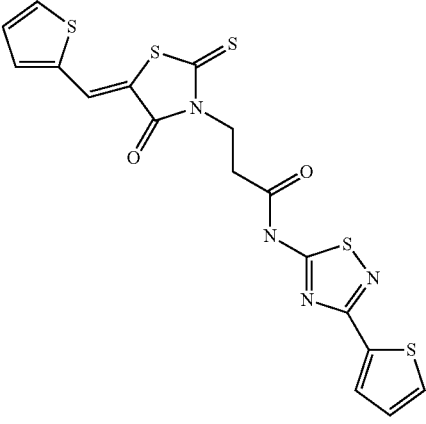
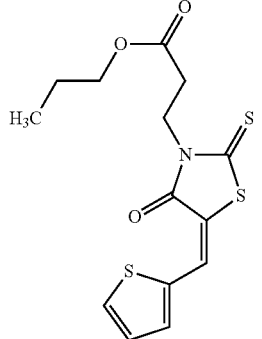
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-548		374.5
IIb-549		418.6
IIb-550		464.6
IIb-551		341.5

TABLE 9-continued

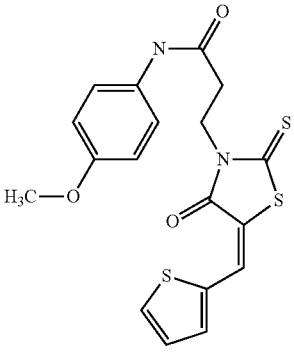
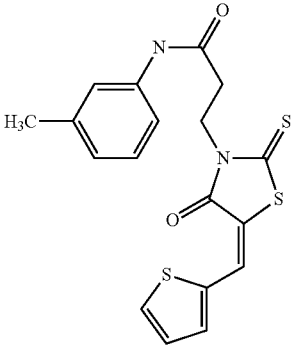
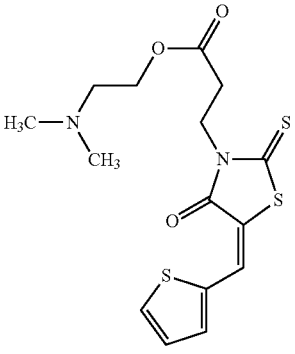
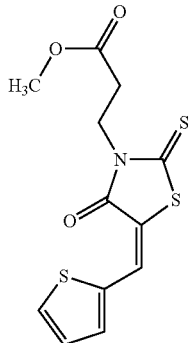
Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-552	 <chem>COc1ccc(cc1)C(=O)CN2C(=O)S=C2C=C3C=CC=C3S</chem>	404.5
IIb-553	 <chem>Cc1ccc(cc1)C(=O)CN2C(=O)S=C2C=C3C=CC=C3S</chem>	388.5
IIb-554	 <chem>CN(C)CCOC(=O)CCN2C(=O)S=C2C=C3C=CC=C3S</chem> ClH	407.0
IIb-555	 <chem>COC(=O)CCN2C(=O)S=C2C=C3C=CC=C3S</chem>	313.4

TABLE 9-continued

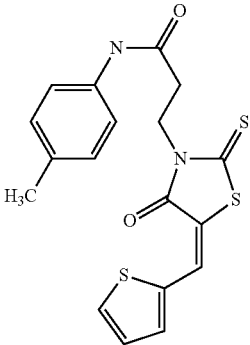
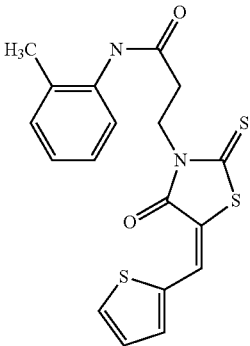
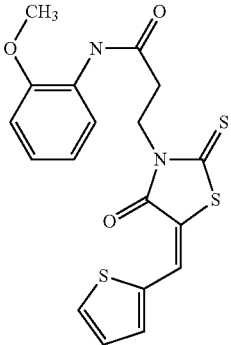
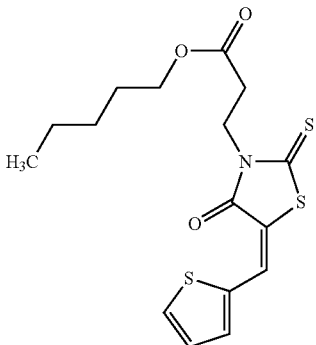
Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-556		388.5
IIb-557		388.5
IIb-558		404.5
IIb-559		369.5

TABLE 9-continued

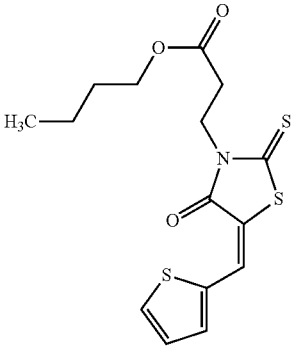
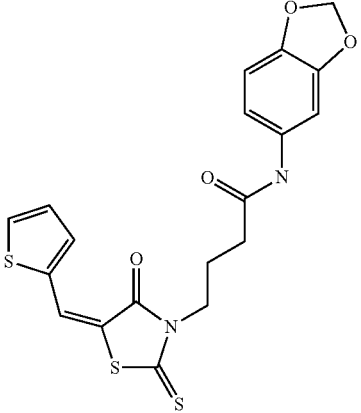
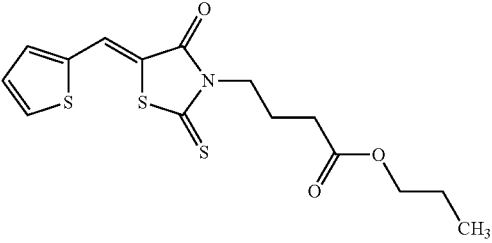
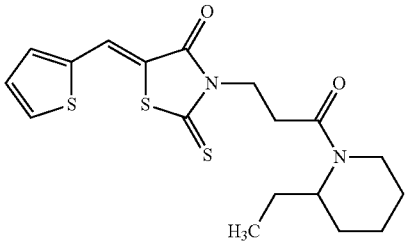
Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-560	 <chem>CCCCOC(=O)CCN1C(=O)C(=S)S1C(=O)C=Cc2ccsc2</chem>	355.5
IIb-561	 <chem>c1ccc2c(c1)OCO2N(C(=O)CCCN3C(=O)C(=S)S3C(=O)C=Cc4ccsc4)C(=O)c5ccc6c(c5)OCO6</chem>	432.5
IIb-562	 <chem>CCCCOC(=O)CCN1C(=O)C(=S)S1C(=O)C=Cc2ccsc2</chem>	355.5
IIb-563	 <chem>CC1CCN(CC1)C(=O)CCN2C(=O)C(=S)S2C(=O)C=Cc3ccsc3</chem>	394.6

TABLE 9-continued

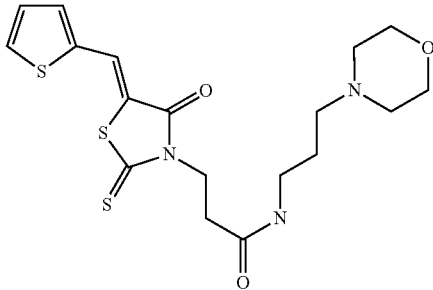
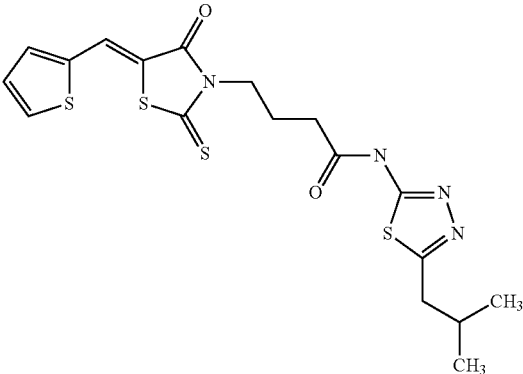
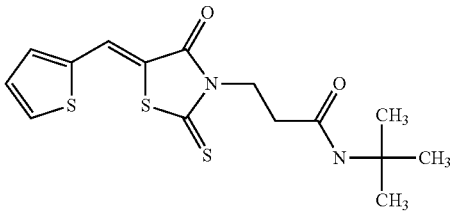
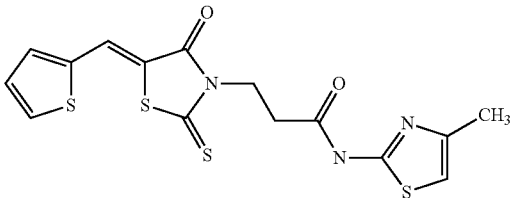
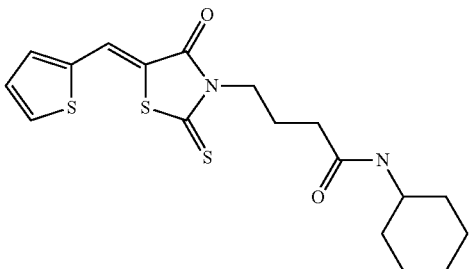
Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-564		425.6
IIb-565		452.6
IIb-566		354.5
IIb-567		395.5
IIb-568		394.6

TABLE 9-continued

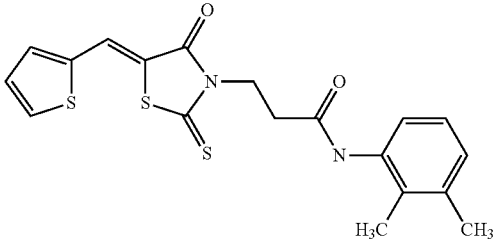
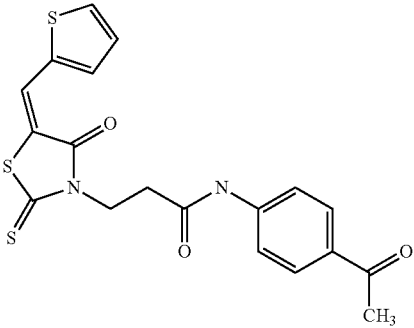
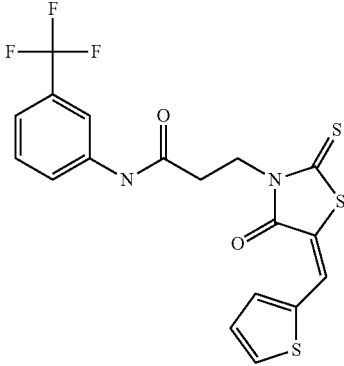
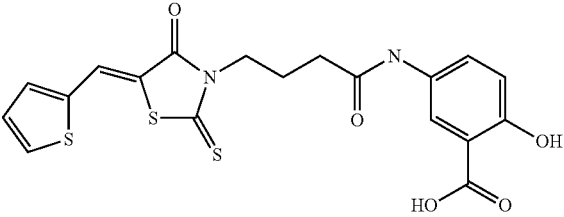
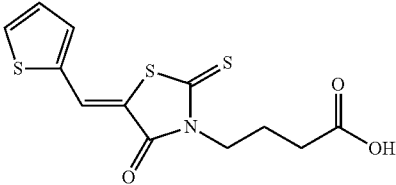
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-569		402.6
IIb-570		416.5
IIb-571		442.5
IIb-572		448.5
IIb-573		313.4

TABLE 9-continued

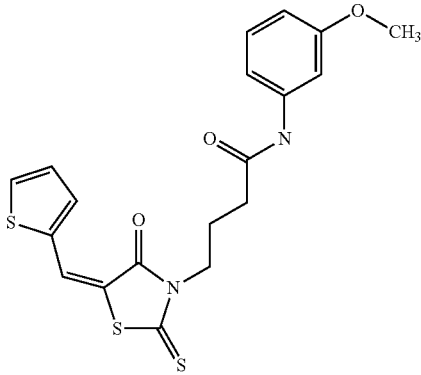
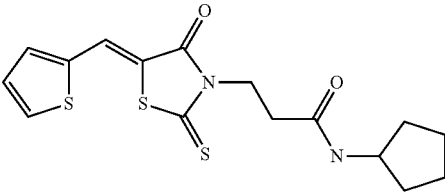
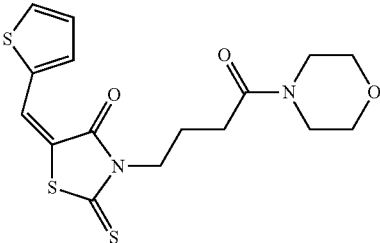
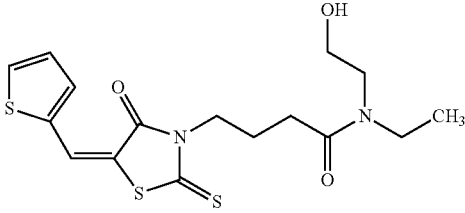
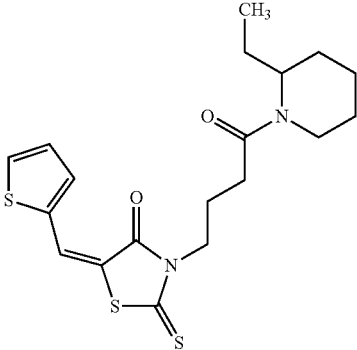
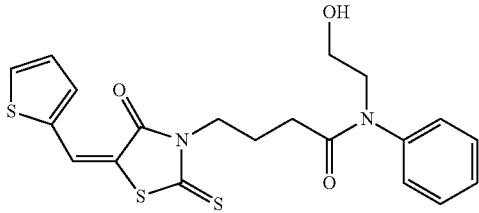
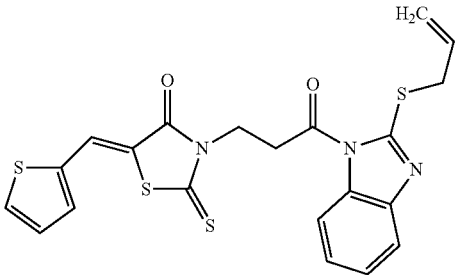
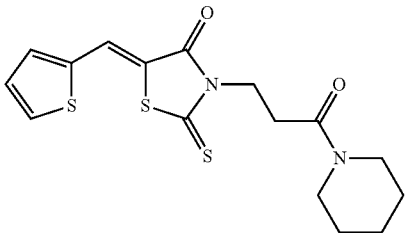
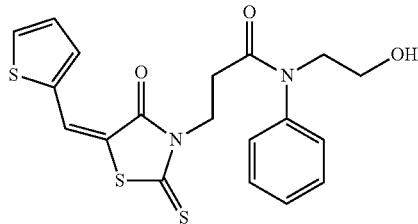
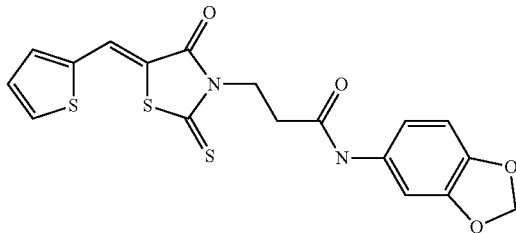
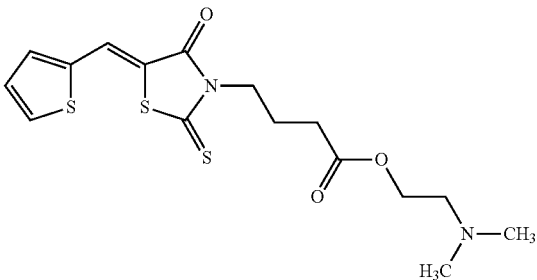
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-574		418.6
IIb-575		366.5
IIb-576		382.5
IIb-577		384.5
IIb-578		408.6

TABLE 9-continued

Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-579		432.6
IIb-580		471.6
IIb-581		366.5
IIb-582		418.6
IIb-583		418.5
IIb-584		421.0

ClH

TABLE 9-continued

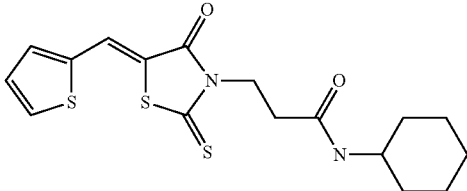
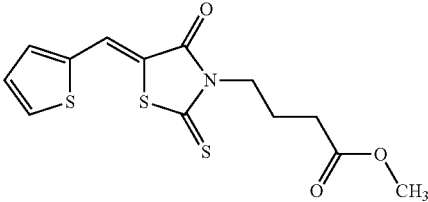
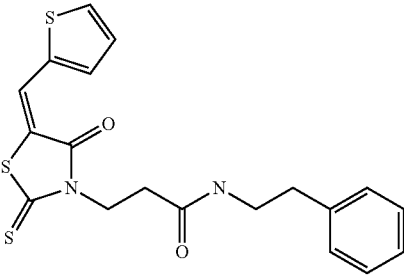
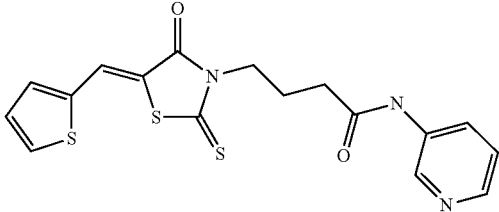
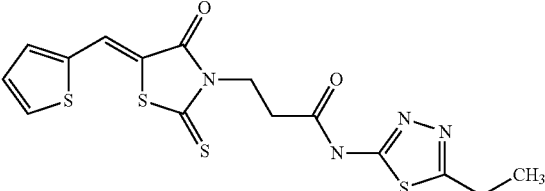
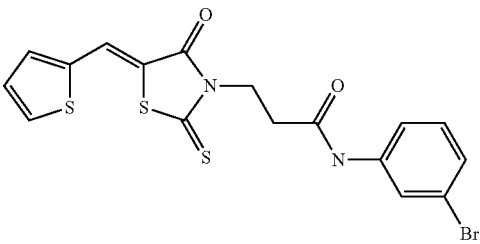
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-585		380.6
IIb-586		327.4
IIb-587		402.6
IIb-588		389.5
IIb-589		410.6
590		453.4

TABLE 9-continued

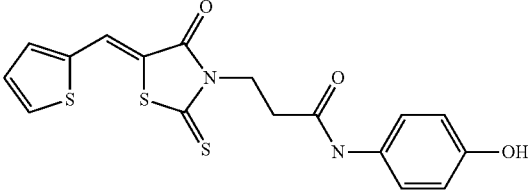
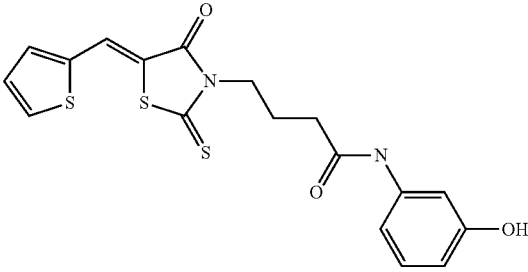
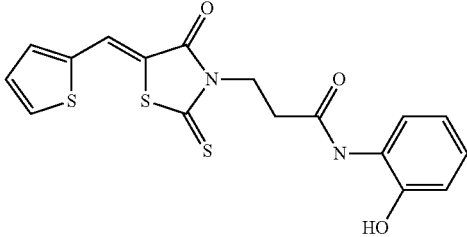
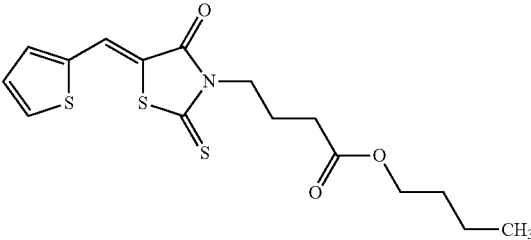
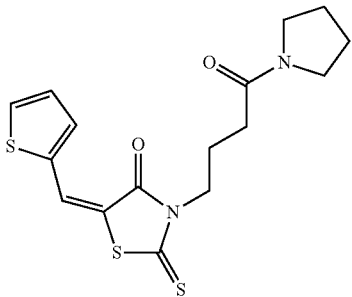
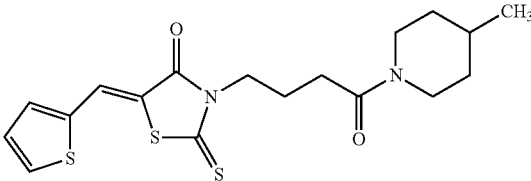
Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-591		390.5
IIb-592		404.5
IIb-593		390.5
IIb-594		369.5
IIb-595		366.5
IIb-596		394.6

TABLE 9-continued

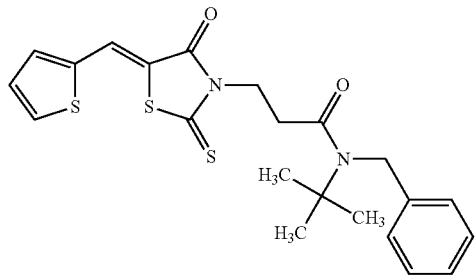
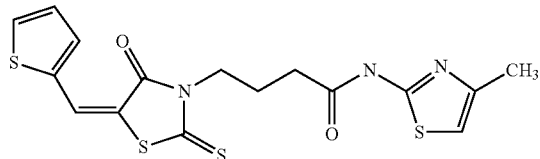
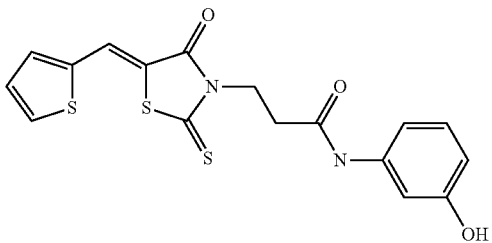
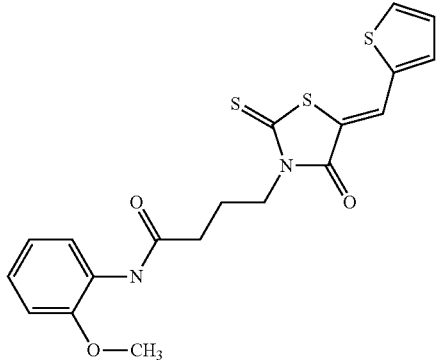
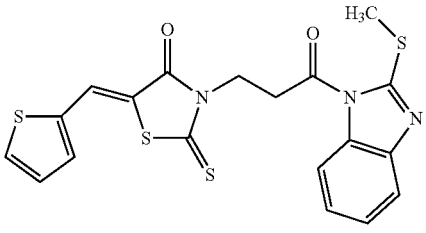
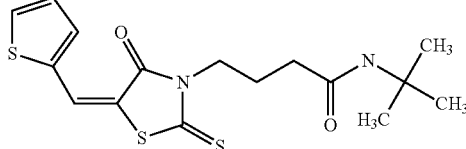
Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-597		444.6
IIb-598		409.6
IIb-599		390.5
IIb-600		418.6
IIb-601		445.6
IIb-602		368.5

TABLE 9-continued

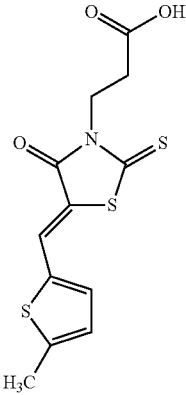
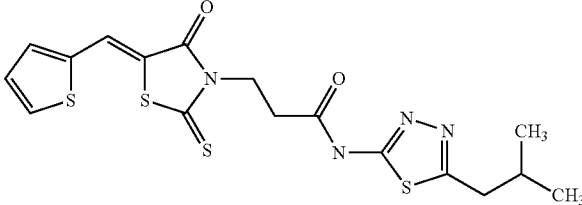
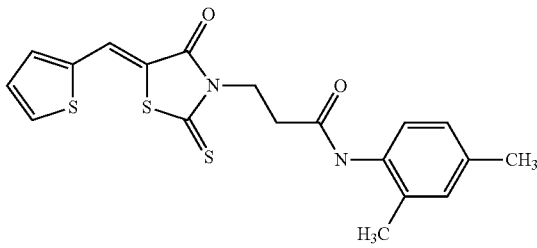
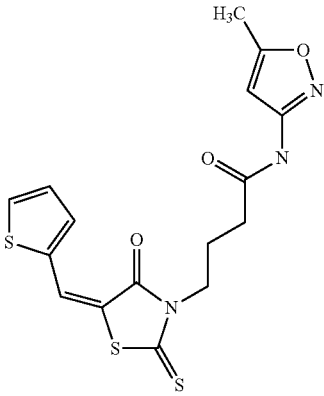
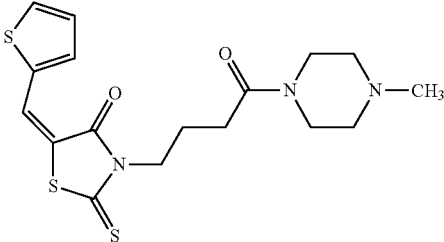
Thiophenylmethylene Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-603		313.4
IIb-604		438.6
IIb-605		402.6
IIb-606		393.5
IIb-607		395.6

TABLE 9-continued

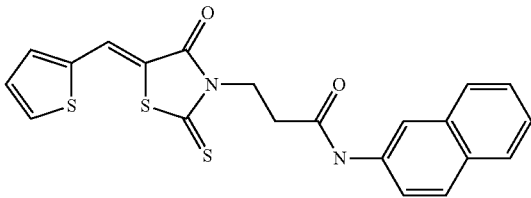
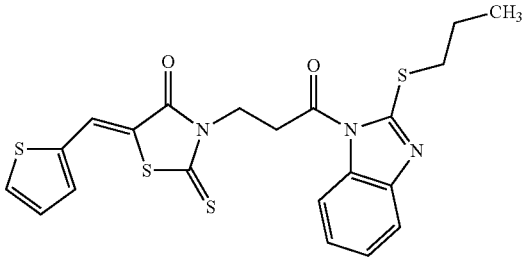
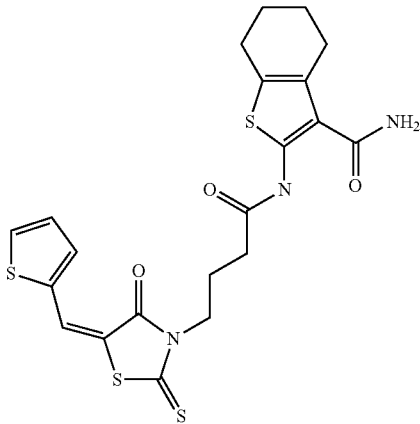
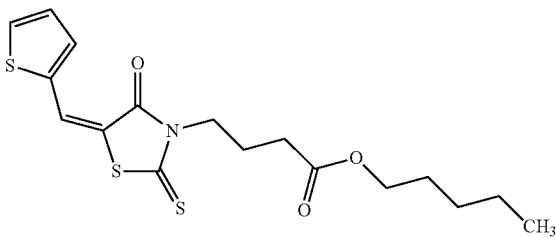
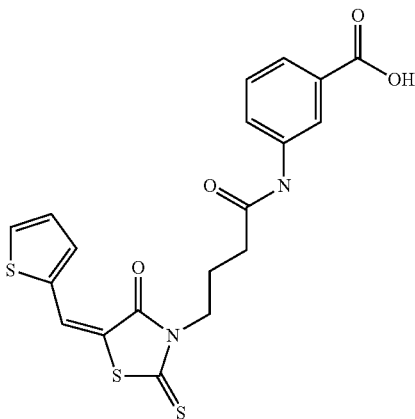
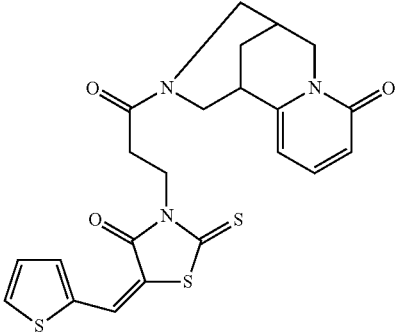
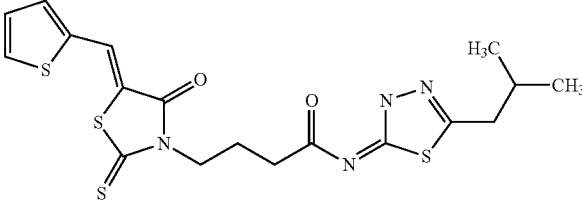
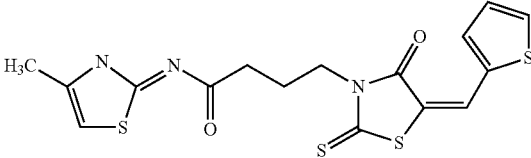
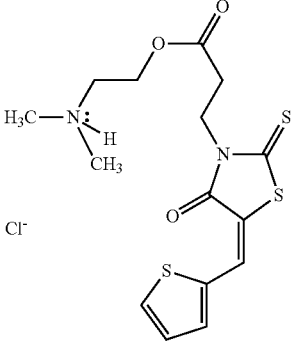
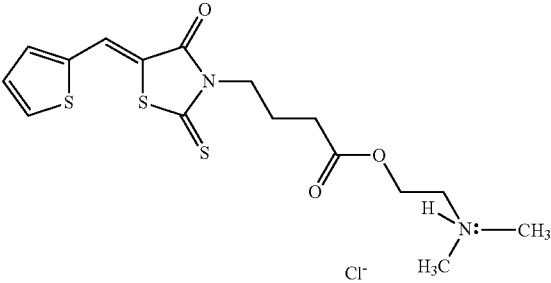
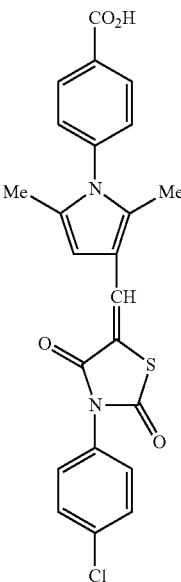
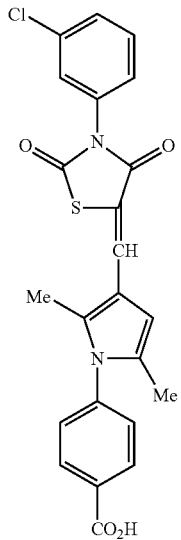
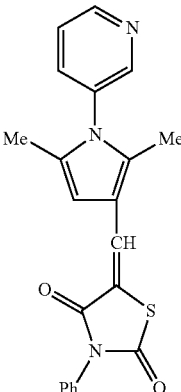
Thiophenylmethylanyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-608		424.6
IIb-609		459.6
IIb-610		491.7
IIb-611		383.6
IIb-612		432.5

TABLE 9-continued

Thiophenylmethylenyl Alkanoic Acids And Amides (R ³ = O— And NH—)		
ID	Structure	MW
IIb-613		471.6
IIb-614		452.6
IIb-615		409.6
IIb-616		407.0
IIb-617		421.0

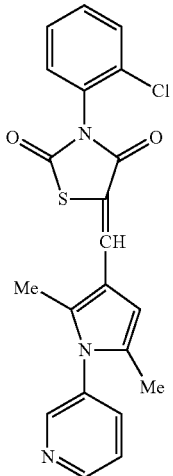
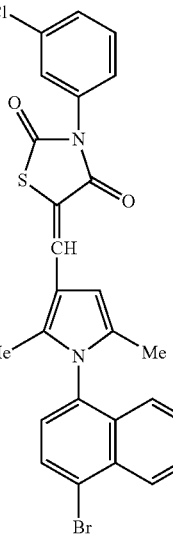
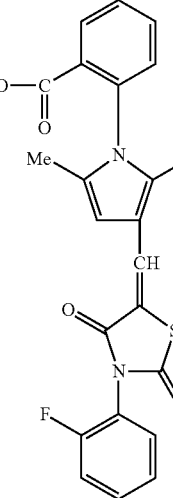
1311

TABLE 10

5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-1	
IIC-2	
IIC-3	

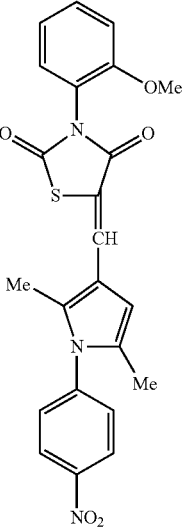
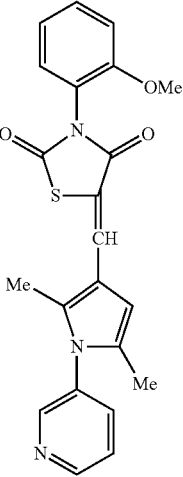
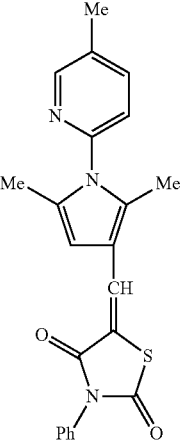
1312

TABLE 10-continued

5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-4	
IIC-5	
IIC-6	

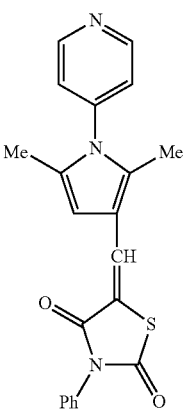
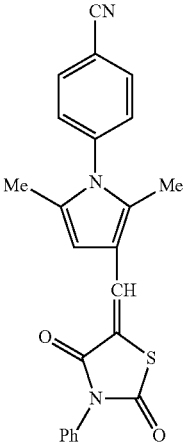
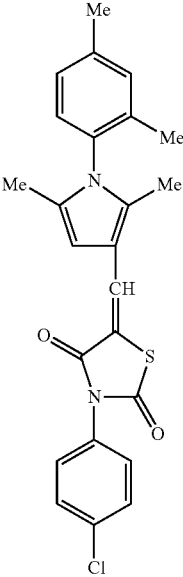
1313

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-7	
IIC-8	
IIC-9	

1314

TABLE 10-continued

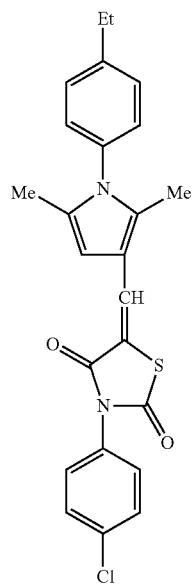
5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-10	
IIC-11	
IIC-12	

1315

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure

IIc-13



IIc-14

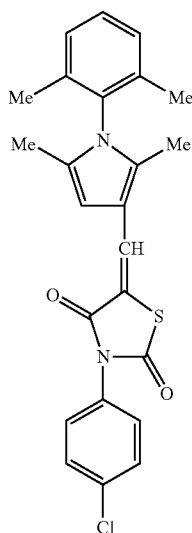
**1316**

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure

IIc-15

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IIc-16

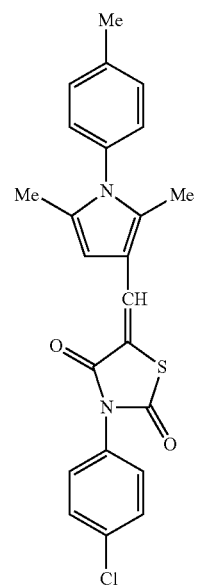
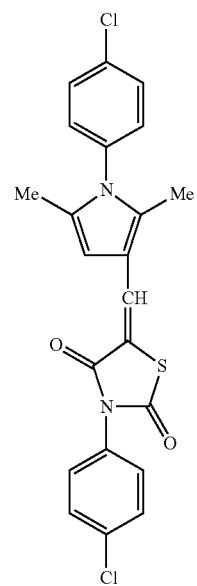
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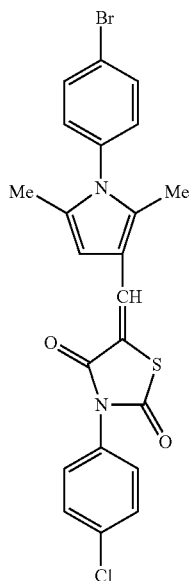


1317

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure

IIc-17



IIc-18

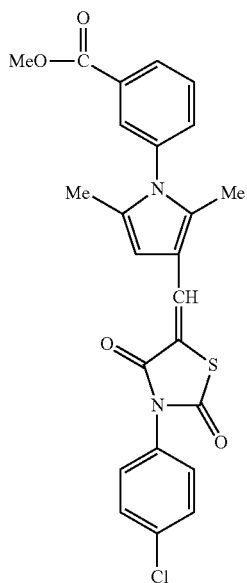
**1318**

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure

10 IIc-19

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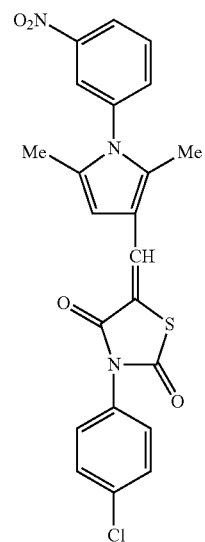
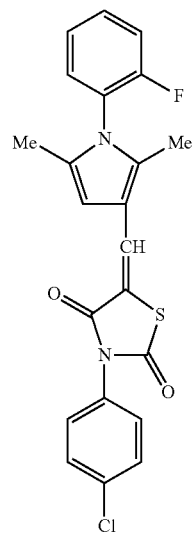
45 IIc-20

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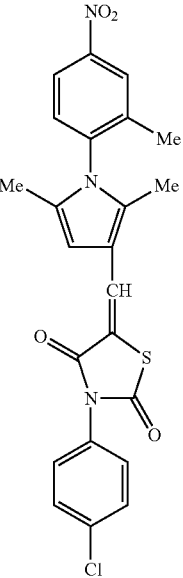
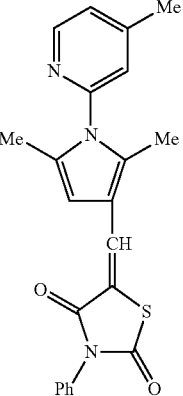
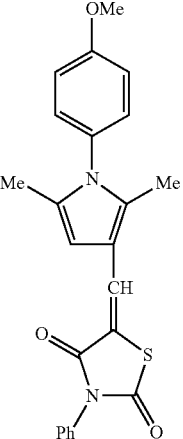
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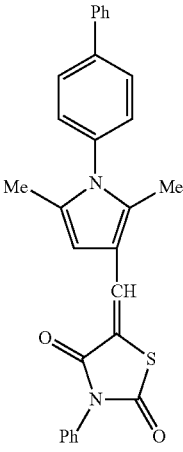
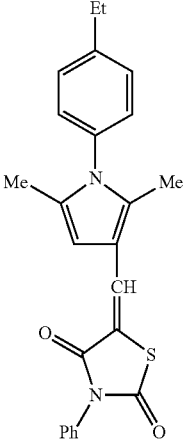
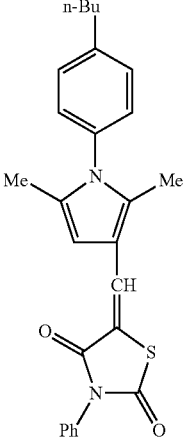
1319

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-21	
IIC-22	
IIC-23	

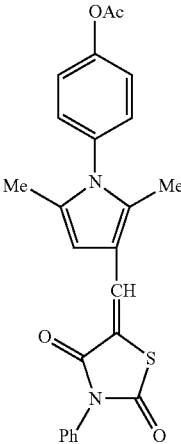
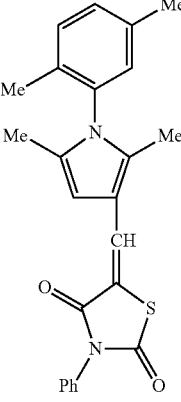
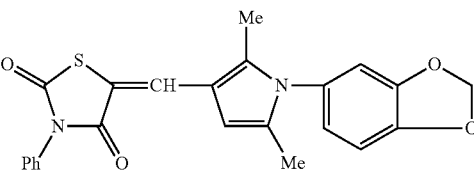
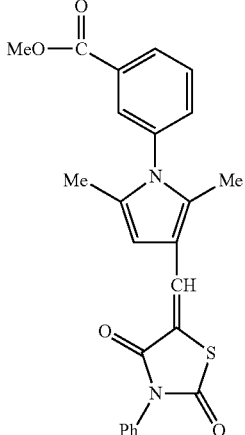
1320

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-24	
IIC-25	
IIC-26	

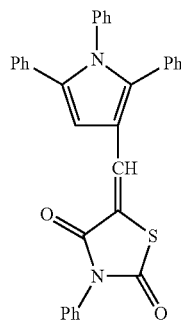
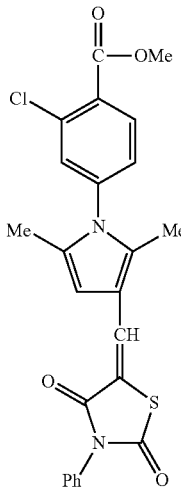
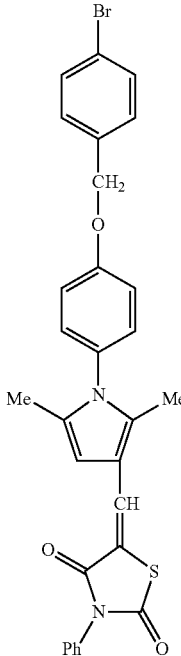
1321

TABLE 10-continued

5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-27	
IIC-28	
IIC-29	
IIC-30	

1322

TABLE 10-continued

5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-31	
IIC-32	
IIC-33	

1323

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
Ile-34	
Ile-35	
Ile-36	

1324

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
Ile-37	
Ile-38	
Ile-39	

1325

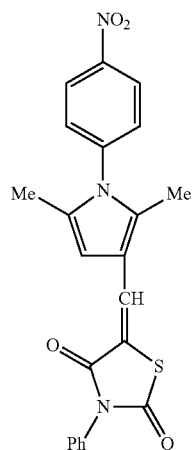
TABLE 10-continued

5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones

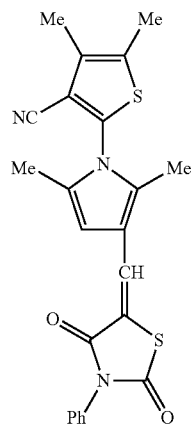
ID

Structure

IIc-40



IIc-41



IIc-42

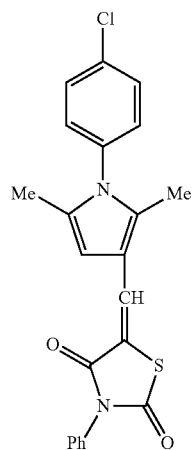
**1326**

TABLE 10-continued

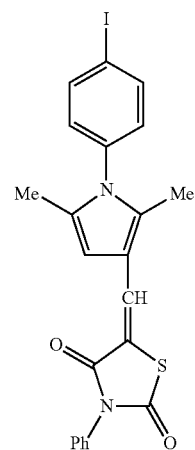
5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones

ID

Structure

IIc-43

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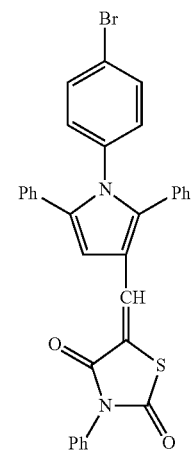
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IIc-44

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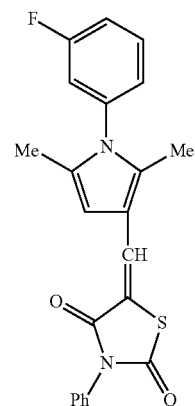
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IIc-45

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1327

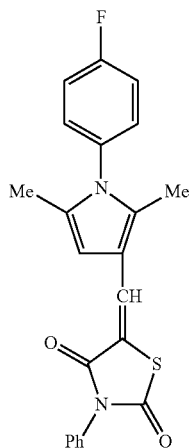
TABLE 10-continued

5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones

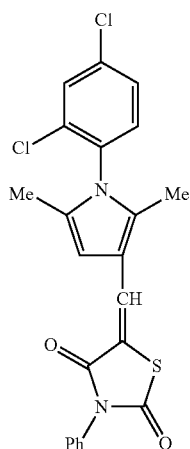
ID

Structure

IIc-46



IIc-47



IIc-48

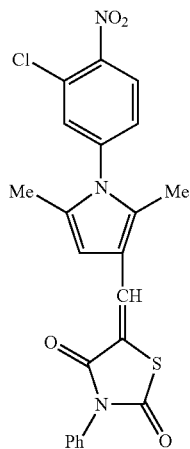
**1328**

TABLE 10-continued

5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones

ID

Structure

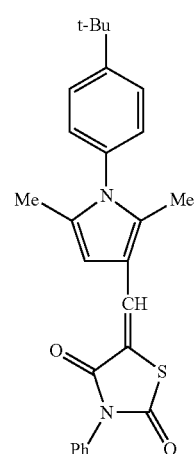
IIc-49

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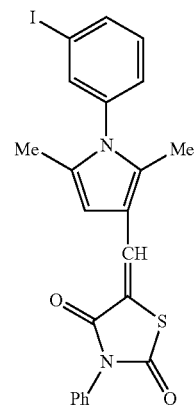


30 IIc-50

35

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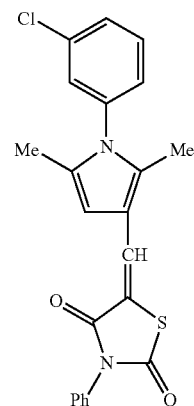


50 IIc-51

55

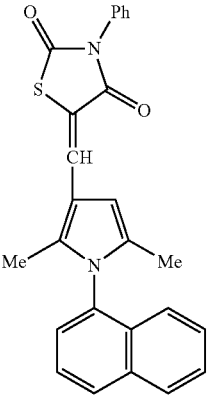
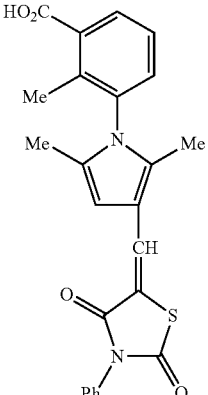
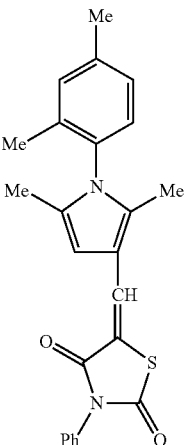
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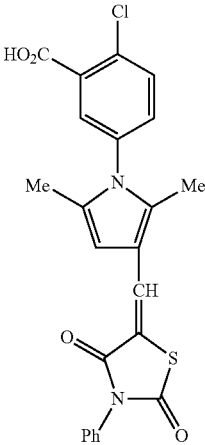
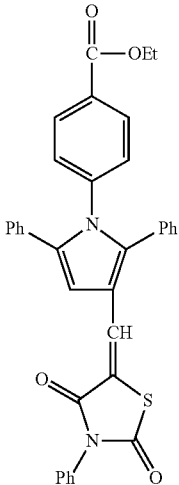
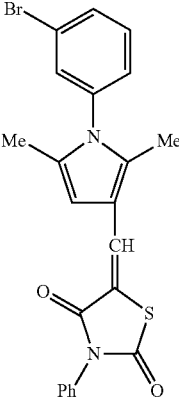
1329

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-52	
IIC-53	
IIC-54	

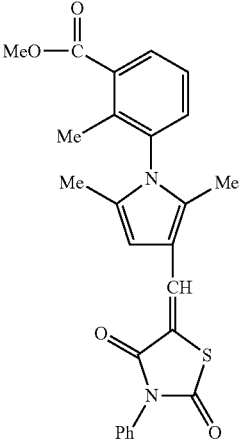
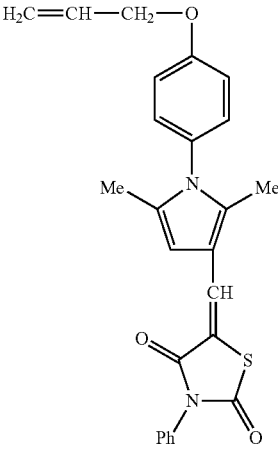
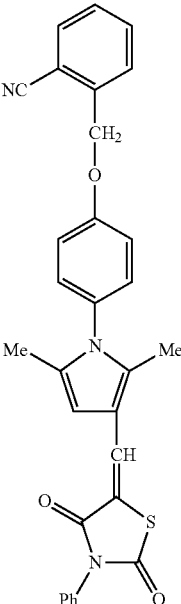
1330

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-55	
IIC-56	
IIC-57	

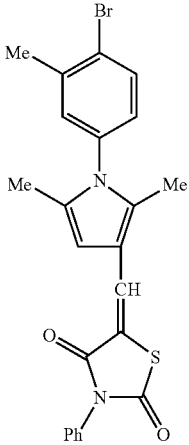
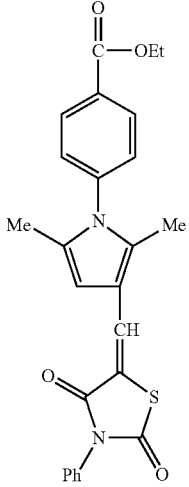
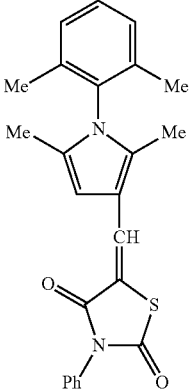
1331

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
Ile-58	
Ile-59	
Ile-60	

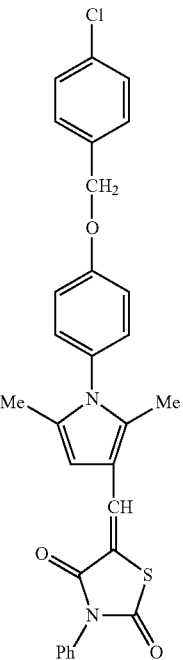
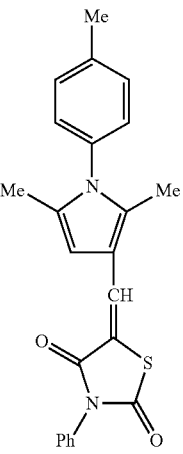
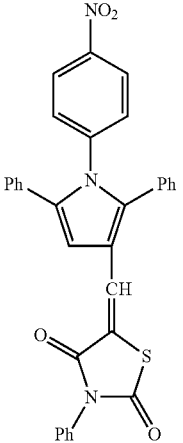
1332

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
Ile-61	
Ile-62	
Ile-63	

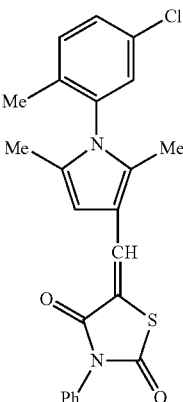
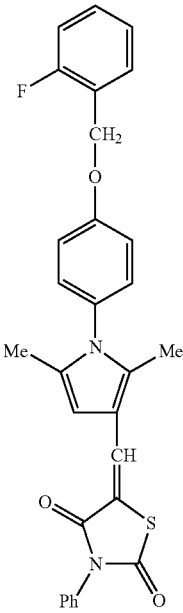
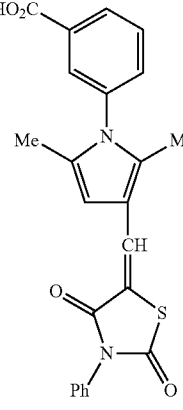
1333

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-64	
IIC-65	
IIC-66	

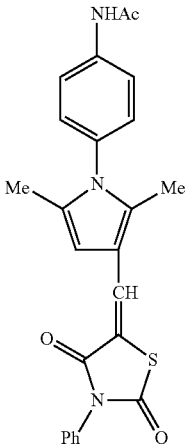
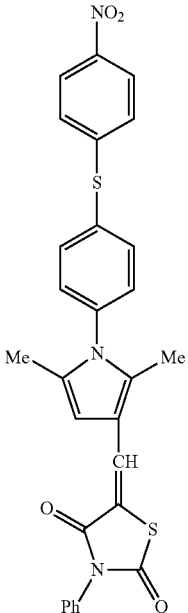
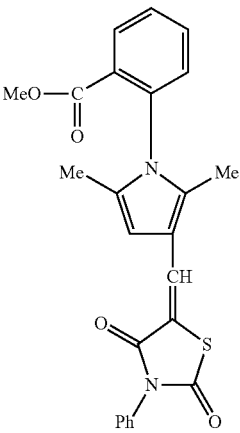
1334

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-67	
IIC-68	
IIC-69	

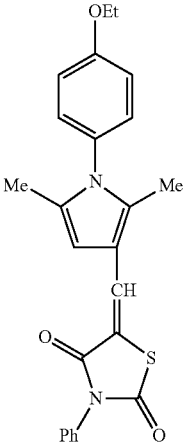
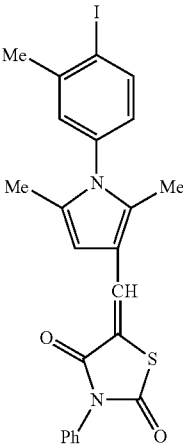
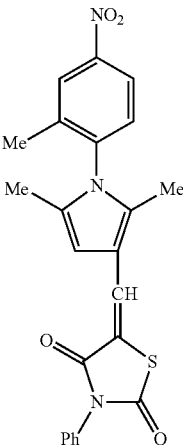
1335

TABLE 10-continued

5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-70	
IIC-71	
IIC-72	

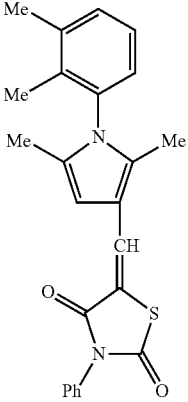
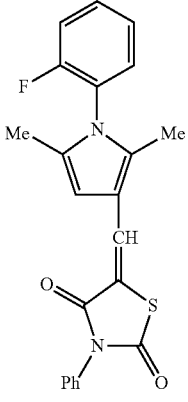
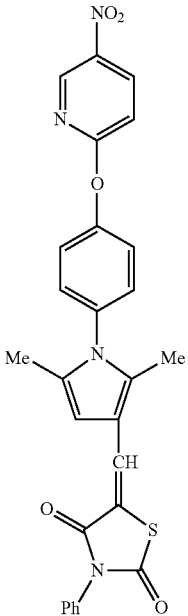
1336

TABLE 10-continued

5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-73	
IIC-74	
IIC-75	

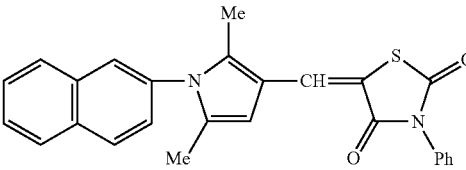
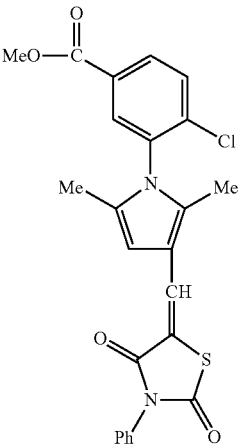
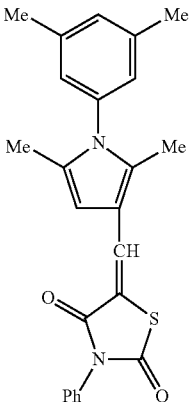
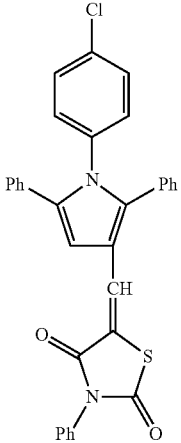
1337

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-76	
IIC-77	
IIC-78	

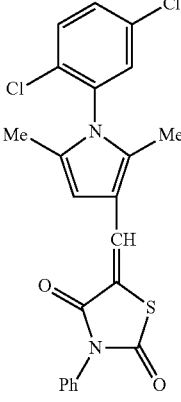
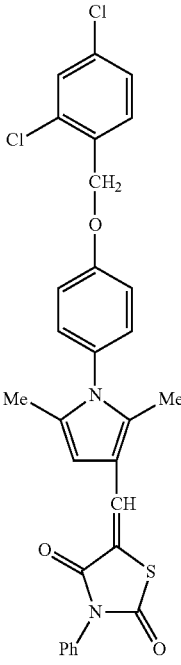
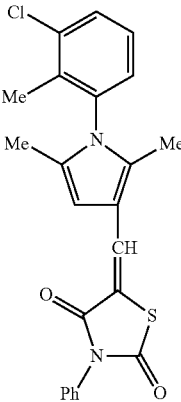
1338

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-79	
IIC-80	
IIC-81	
IIC-82	

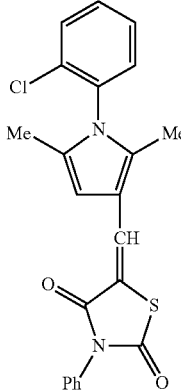
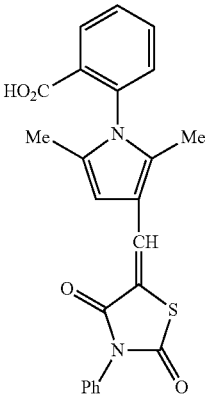
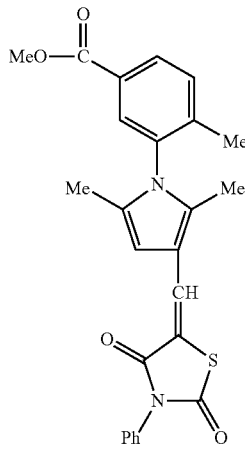
1339

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-83	
IIC-84	
IIC-85	

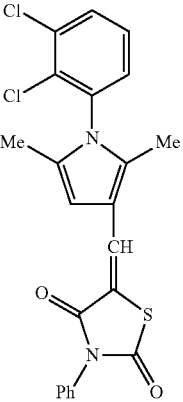
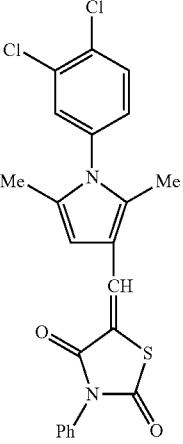
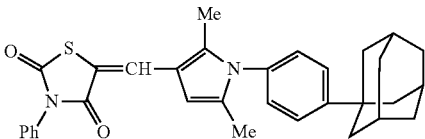
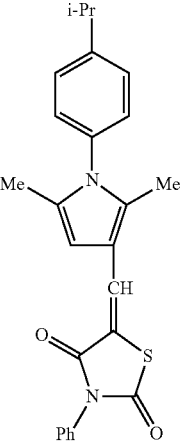
1340

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-86	
IIC-87	
IIC-88	

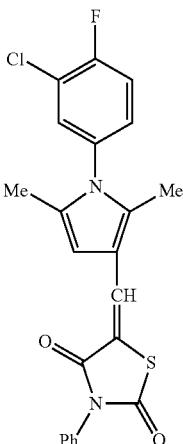
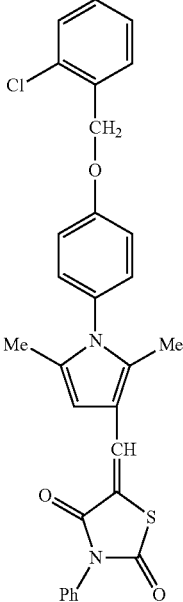
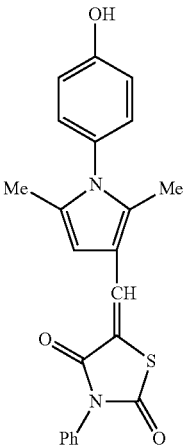
1341

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-89	
IIC-90	
IIC-91	
IIC-92	

1342

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-93	
IIC-94	
IIC-95	

1343

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-96	
IIC-97	
IIC-98	

1344

TABLE 10-continued

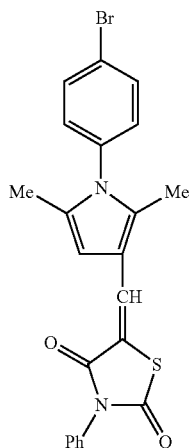
5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-99	
IIC-100	
IIC-101	

1345

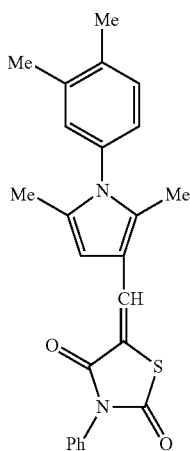
TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure

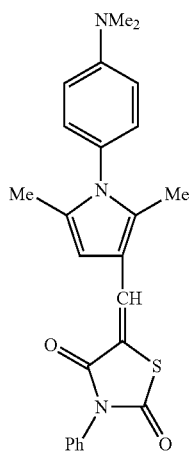
IIc-102



IIc-103



IIc-104



1346

TABLE 10-continued

5-[[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure

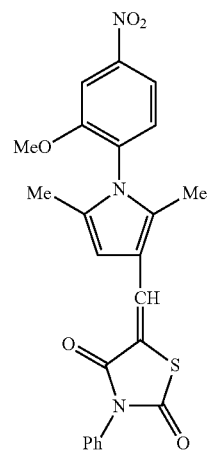
IIc-105

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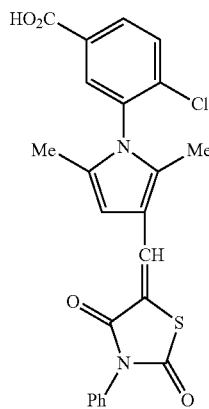
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IIc-106

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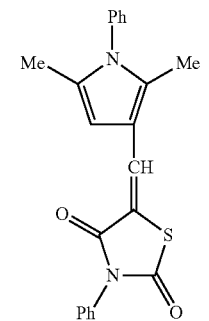
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IIc-107

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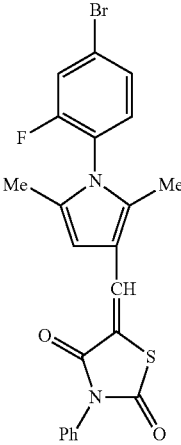
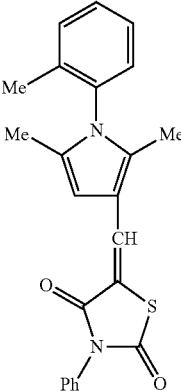
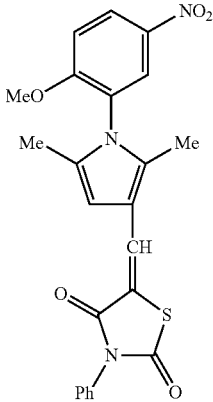
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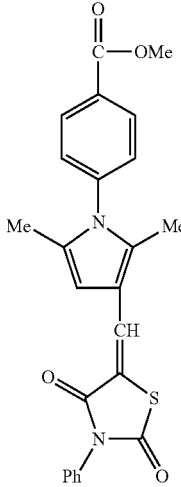
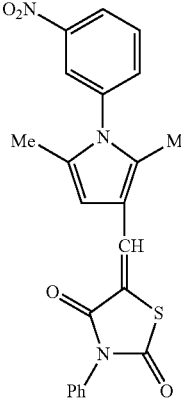
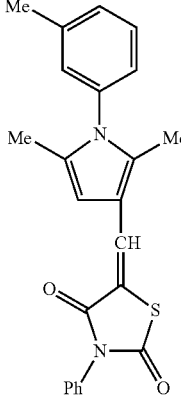
1347

TABLE 10-continued

5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-108	
IIC-109	
IIC-110	

1348

TABLE 10-continued

5-[[2,5-Dimethyl-1H-Pyrrol-3-Yl]Methylene]-2,4-Thiazolidinediones	
ID	Structure
IIC-111	
IIC-112	
IIC-113	

A number of representative oxazoles and thiazole derivatives of this invention, as listed below in Table 11, were tested for their inhibitory activity and IC_{50} were calculated. For the purpose of Table 11 below, activity of each compound is determined using the luciferase assay method in *Drosophila* Clone 8 cells.

TABLE 11

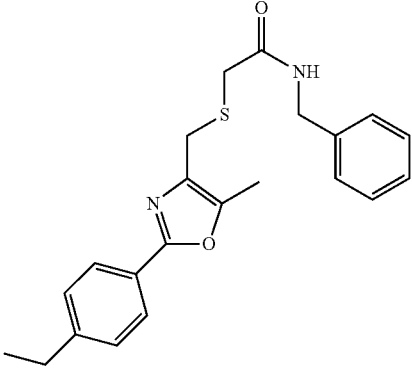
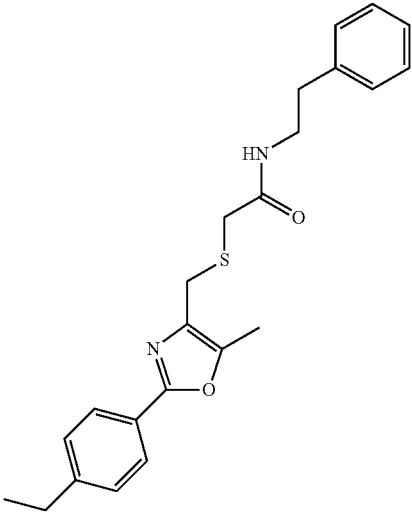
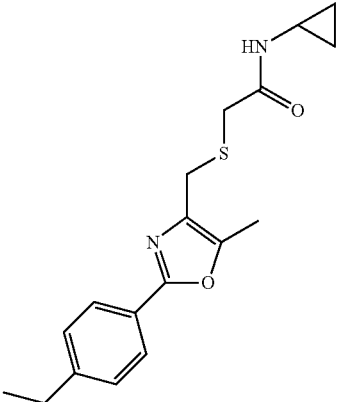
IC ₅₀ Values of Exemplary Compounds				
ID	C#*	Structure	MW	IC ₅₀ (μM)
IIa-66	C6		380.51	3.51
IIa-333	C3		394.54	4.18
IIa-719	C1		330.45	1.58

TABLE 11-continued

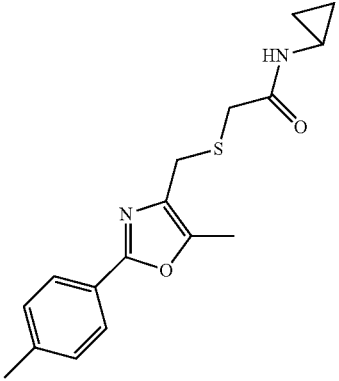
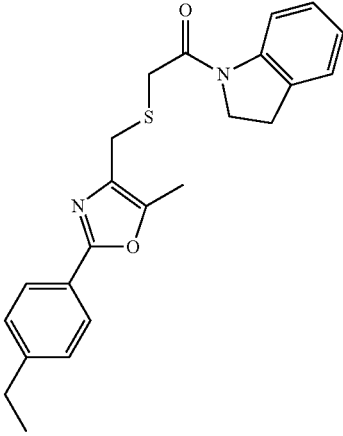
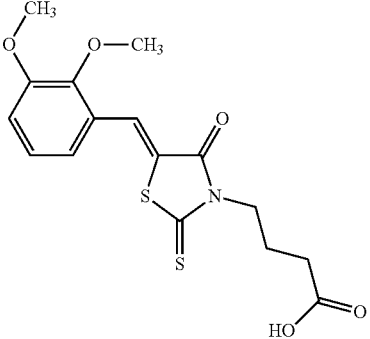
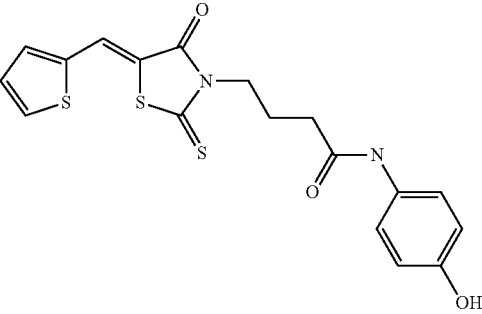
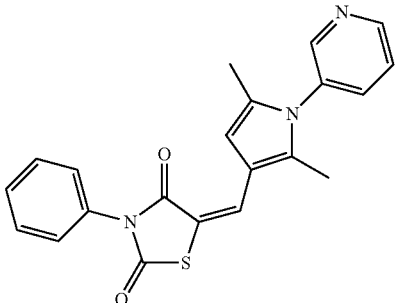
IC ₅₀ Values of Exemplary Compounds				
ID	C#*	Structure	MW	IC ₅₀ (μM)
IIa-722	C13		316.43	1259.72
IIa-2102	C8		392.52	1.10
IIb-143	C5		367.4	3.06
IIb-432	C10		404.5	4.76

TABLE 11-continued

IC ₅₀ Values of Exemplary Compounds				
ID	C#*	Structure	MW	IC ₅₀ (μM)
Iic-3	C14		375.4	3.24

*see FIG.s 3-12

From the foregoing description, various modifications and changes in the compositions and methods of this invention will occur to those skilled in the art. All such modifications coming within the scope of the appended claims are intended to be included therein.

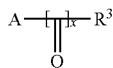
All publications, including but not limited to patents and patent applications, cited in this specification are herein incorporated by reference as if each individual publication were specifically and individually indicated to be incorporated by reference herein as though fully set forth.

At least some of the chemical names of compounds of the invention as given and set forth in this application, may have been generated on an automated basis by use of a commercially available chemical naming software program, and have not been independently verified. Representative programs performing this function include the Lexichem naming tool sold by Open Eye Software, Inc. and the Autonom Software tool sold by MDL, Inc. In the instance where the indicated chemical name and the depicted structure differ, the depicted structure will control.

Chemical structures shown herein were prepared using either ChemDraw® or ISIS® /DRAW. Any open valency appearing on a carbon, oxygen or nitrogen atom in the structures herein indicates the presence of a hydrogen atom. Where a chiral center exists in a structure but no specific stereochemistry is shown for the chiral center, both enantiomers associated with the chiral structure are encompassed by the structure.

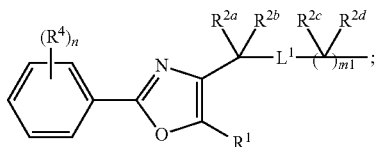
What is claimed is:

1. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a pharmaceutically effective amount of a compound according to formula I:



wherein A is A¹;

A¹ is



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x is 1;

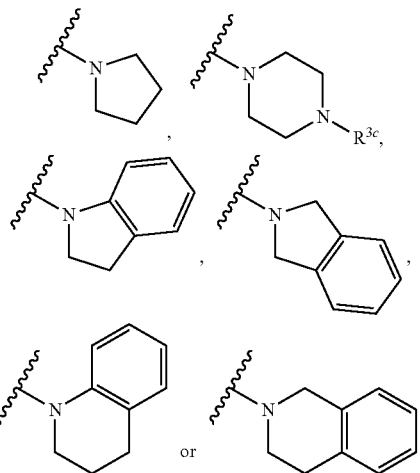
L¹ is S, SO or SO₂;

m1 is 1, 2 or 3; n is 1, 2, 3, 4 or 5;

each R¹, R^{2a}, R^{2b}, R^{2c}, and R^{2d} is independently selected from hydrogen, halo, and substituted or unsubstituted C₁-C₆ alkyl;

R³ is NR^{3a}R^{3b}; and

one of R^{3a} and R^{3b} is substituted or unsubstituted alkyl, substituted or unsubstituted benzyl, substituted or unsubstituted phenethyl, cycloheptyl, cyclohexyl, cyclohexyl substituted with methyl or dimethyl, substituted or unsubstituted cyclopentyl, substituted or unsubstituted cyclobutyl, or substituted or unsubstituted cyclopropyl; and the other is H or substituted or unsubstituted alkyl; or NR^{3a}R^{3b} is:



I

55

and wherein R^{3c} is H or alkyl;

each R⁴ is independently selected from alkyl, substituted alkyl, acyl, substituted acyl, substituted or unsubstituted acylamino, substituted or unsubstituted alkylthio, substituted or unsubstituted alkoxy, alkoxycarbonyl, substituted alkoxycarbonyl, substituted or unsubstituted alkylarylamino, arylalkyloxy, substituted arylalkyloxy, amino, aryl, substituted aryl, arylalkyl, substi-

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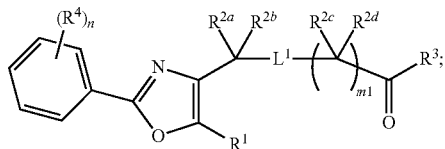
tuted or unsubstituted sulfonyl, substituted or unsubstituted sulfinyl, substituted or unsubstituted sulfanyl, substituted or unsubstituted aminosulfonyl, substituted or unsubstituted arylsulfonyl, azido, carboxy, substituted or unsubstituted carbamoyl, cyano, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloheteroalkyl, substituted or unsubstituted dialkylamino, heteroaryloxy, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroalkyl, hydroxy, nitro, and thiol; and

a pharmaceutically acceptable salt, solvate or prodrug thereof;

and stereoisomers, isotopic variants and tautomers thereof.

2. The pharmaceutical composition of claim 1 wherein the carrier is a parenteral carrier, oral or topical carrier.

3. The pharmaceutical composition according to claim 1, wherein the compound is according to formula Ha:

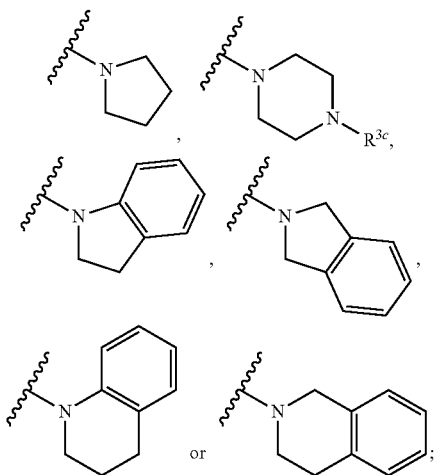


and wherein L^1 , m_1 , n , R^1 , R^{2a} , R^{2b} , R^{2c} , R^{2d} , R^3 , and R^4 , are as in claim 1.

4. The pharmaceutical composition according to claim 3, wherein R^1 is H, halo, or substituted or unsubstituted C_1 - C_6 alkyl.

5. The pharmaceutical composition according to claim 3, wherein R^3 is $NR^{3a}R^{3b}$; and; one of R^{3a} and R^{3b} is substituted or unsubstituted alkyl, substituted or unsubstituted benzyl, substituted or unsubstituted phenethyl, cycloheptyl, cyclohexyl, cyclohexyl substituted with methyl or dimethyl, substituted or unsubstituted cyclopentyl, substituted or unsubstituted cyclobutyl, or substituted or unsubstituted cyclopropyl; and the other is H.

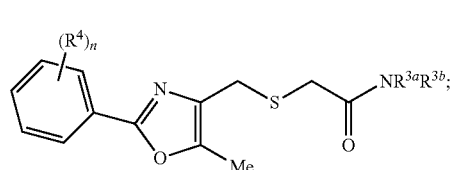
6. The pharmaceutical composition according to claim 3, wherein R^3 is $NR^{3a}R^{3b}$; and $NR^{3a}R^{3b}$ is:



and wherein R^{3c} is H or alkyl.

1356

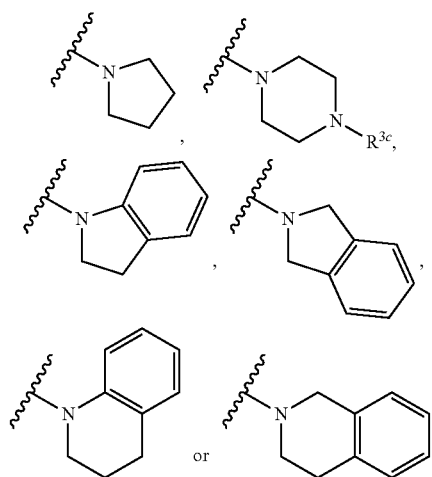
7. The pharmaceutical composition according to claim 1, wherein the compound is according to formula IVa:



wherein n , and R^4 are as in claim 1; and

one of R^{3a} and R^{3b} is substituted or unsubstituted alkyl, substituted or unsubstituted benzyl, substituted or unsubstituted phenethyl, cycloheptyl, cyclohexyl, cyclohexyl substituted with methyl or dimethyl, substituted or unsubstituted cyclopentyl, substituted or unsubstituted cyclobutyl, or substituted or unsubstituted cyclopropyl; and the other is H; or

$NR^{3a}R^{3b}$ is:

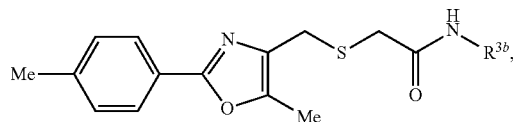


and wherein R^{3c} is H or alkyl.

8. The pharmaceutical composition according to claim 7, wherein n is 1; and R^4 is alkyl, alkoxy, or haloalkyl.

9. The pharmaceutical composition according to claim 7, wherein one of R^{3a} and R^{3b} is substituted or unsubstituted benzyl, substituted or unsubstituted phenethyl, cycloheptyl, cyclohexyl, cyclohexyl substituted with methyl or dimethyl, substituted or unsubstituted cyclopentyl, substituted or unsubstituted cyclobutyl, or substituted or unsubstituted cyclopropyl; and the other is H.

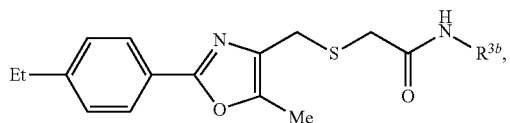
10. The pharmaceutical composition according to claim 1, wherein the compound is according to formula VIIa, VIIb, VIIc or VIId:



1357

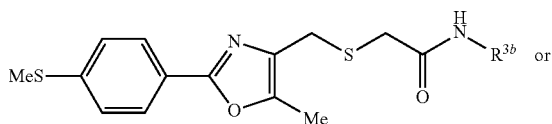
-continued

VIIb



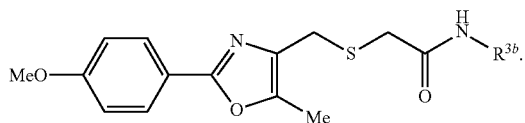
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VIIc 10



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VIId

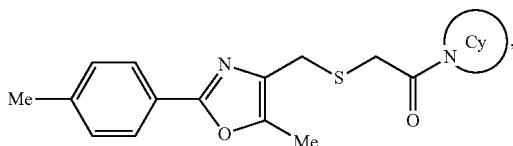


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wherein R^{3b} is substituted or unsubstituted alkyl, benzyl, substituted or unsubstituted phenethyl, cyclohexyl, cyclohexyl substituted with methyl or dimethyl, substituted or unsubstituted cyclopentyl, substituted or unsubstituted cyclobutyl, or substituted or unsubstituted cyclopropyl.

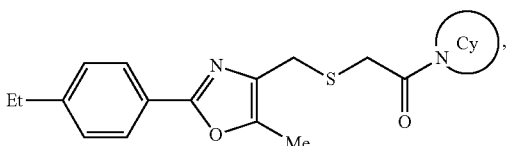
11. The pharmaceutical composition according to claim 1, wherein the compound is according to formula VIIla, VIIlb, VIIlc, or VIId:

VIIla



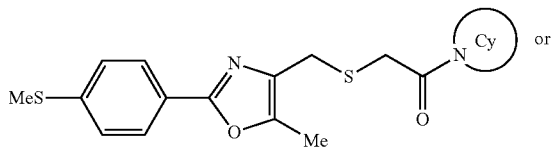
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VIIlb 45



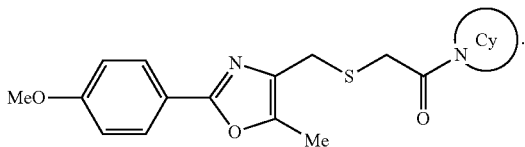
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VIIlc



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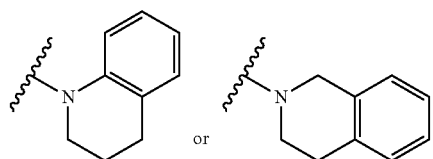
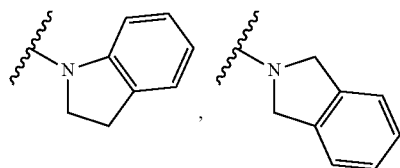
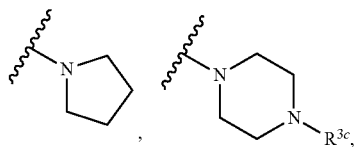
VIId 60



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1358

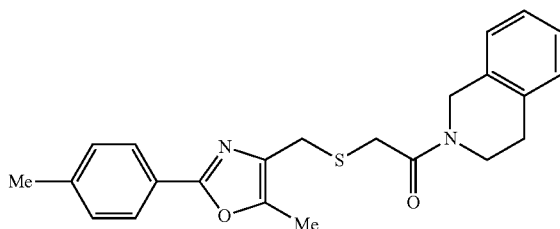
wherein Cy is



and wherein R^{3c} is H or alkyl.

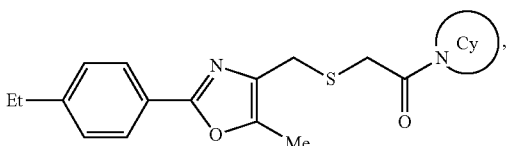
12. The pharmaceutical composition according to claim 1, wherein the compound is according to formula XIIa, XIIb, XIIc or XIId:

XIIa



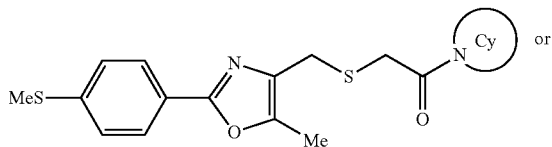
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VIIlb 45



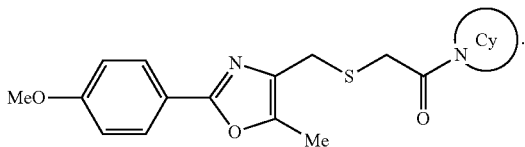
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VIIlc



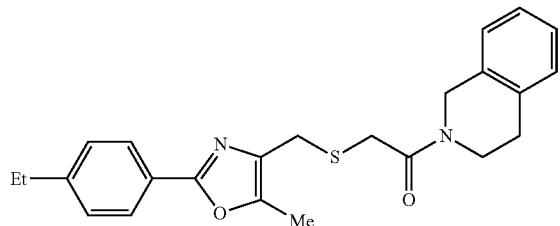
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VIId 60



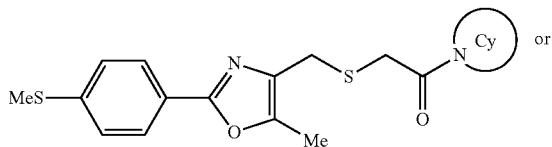
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XIIb



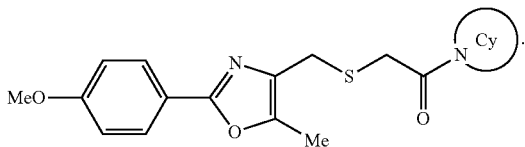
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VIIlc



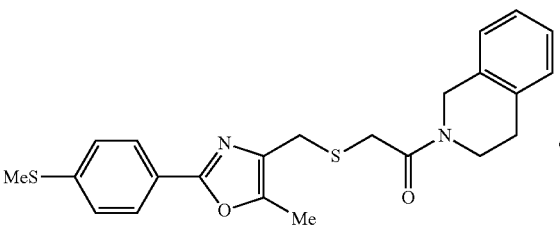
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VIId 60



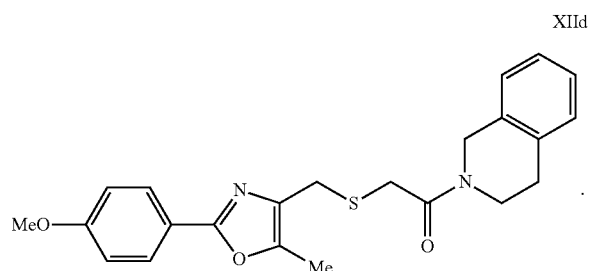
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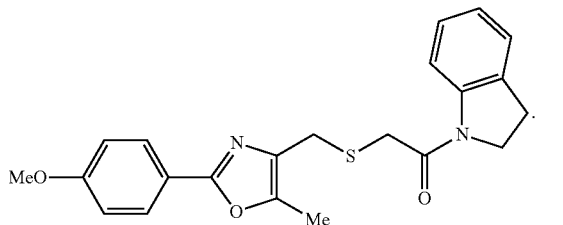
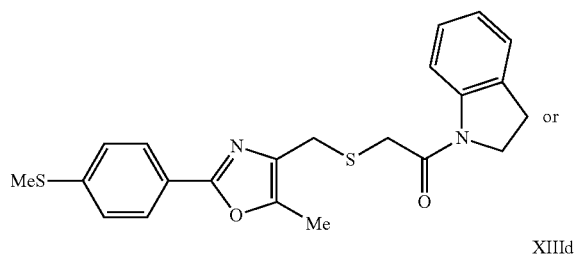
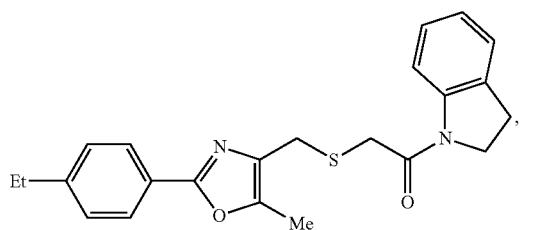
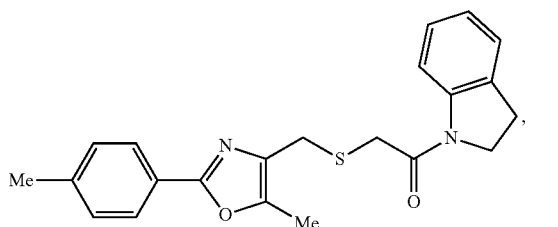


1359

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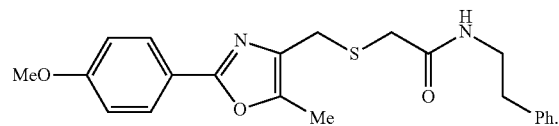
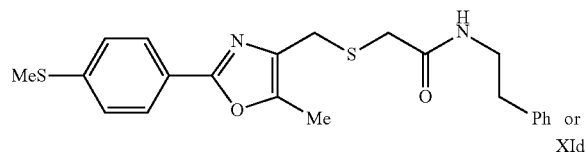
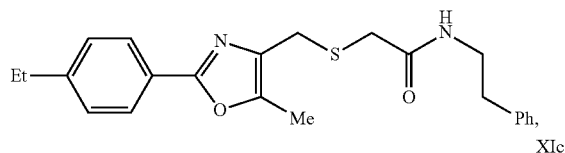
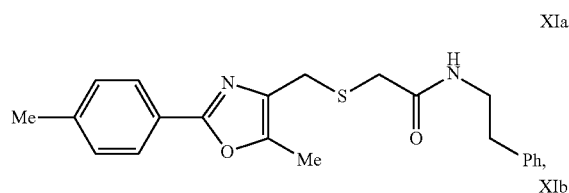


13. The pharmaceutical composition according to claim 1, wherein the compound is according to formula XIIIa, XIIIb, XIIIc or XIId:



14. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a pharmaceutically effective amount of a compound, wherein the compound is according to formula XIa, XIb, XIc or XIId:

1360

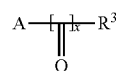


15. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a pharmaceutically effective amount of a compound, wherein the compound is selected from Table 1.

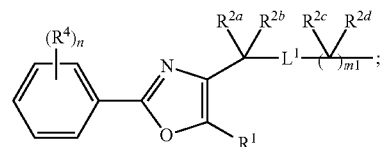
16. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a pharmaceutically effective amount of a compound, wherein the compound is selected from Table 2.

17. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a pharmaceutically effective amount of a compound, wherein the compound is selected from Table 4.

18. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a pharmaceutically effective amount of a compound according to formula I:



wherein A is A¹;



A¹ is

x is 1;

L¹ is S, SO or SO₂;

m1 is 1, 2 or 3; n is 1, 2, 3, 4 or 5;

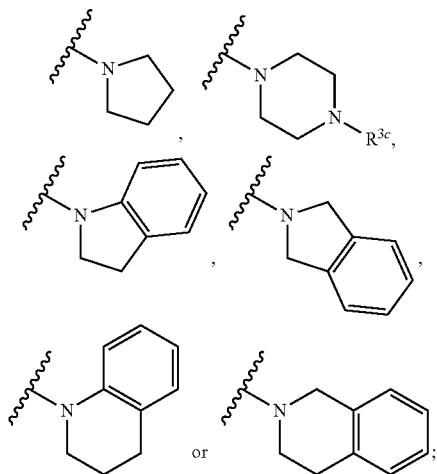
each R¹, R^{2a}, R^{2b}, R^{2c}, and R^{2d} is independently selected from hydrogen, halo, and substituted or unsubstituted C₁-C₆ alkyl;

R³ is NR^{3a}R^{3b}; and

one of R^{3a} and R^{3b} is substituted or unsubstituted alkyl, substituted or unsubstituted benzyl, substituted or unsubstituted phenethyl, substituted or unsubstituted cyclopentyl, substituted or unsubstituted cyclobutyl, or

1361

substituted or unsubstituted cyclopropyl; and the other is H or substituted or unsubstituted alkyl; or $\text{NR}^{3a}\text{R}^{3b}$ is:



1362

and wherein R^{3c} is H or alkyl;

each R^4 is independently selected from alkyl, substituted alkyl, acyl, substituted acyl, substituted or unsubstituted acylamino, substituted or unsubstituted alkylamino, substituted or unsubstituted alkylthio, substituted or unsubstituted alkoxy, alkoxycarbonyl, substituted alkoxycarbonyl, substituted or unsubstituted alkylarylamino, arylalkyloxy, substituted arylalkyloxy, amino, aryl, substituted aryl, arylalkyl, substituted or unsubstituted sulfonyl, substituted or unsubstituted sulfinyl, substituted or unsubstituted sulfanyl, substituted or unsubstituted aminosulfonyl, substituted or unsubstituted arylsulfonyl, azido, carboxy, substituted or unsubstituted carbamoyl, cyano, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloheteroalkyl, substituted or unsubstituted dialkylamino, heteroaryloxy, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroalkyl, hydroxy, nitro, and thiol; and

a pharmaceutically acceptable salt, solvate or prodrug thereof;

and stereoisomers, isotopic variants and tautomers thereof.

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